PETITORIO

Industria y Comercio
SUPERINTENDENCIA

SUPERINTENDENCIA DE INDUSTRIA Y COMERCIO
No. 08-067170- -00000-0000
Fecha: 2008-07-01 16:11:17 Dep: 2020 NUEVA CREACION
Tra: 11 PATENTES II Eve: 378 FASE NACIONAL
Act: 411 PRESENTACION Folios: 348

ión

FORMULARIO ÚNICO DE SOLICITUD DE PATENTE
PCT
ENTRADA EN FASE NACIONAL

30 Julio 2008

(1) SOLICITUD DE :

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

(2) SOLICITANTE (71)

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

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

Nacionalidad o Domicilio: Delaware, Estados Unidos de América

Lugar de Constitución: Estados Unidos de América

Teléfono: Fax:

E-Mail

IDENTIFICACION

C.C. [ ] NIT [ ]

C.E. [ ] Otro [ ]

Cual

Número

(3) REPRESENTANTE O APODERADO

Nombre: ALVARO CORREA ORDOÑEZ

Dirección: Avenida 82 No.10-62 Piso 6

Teléfono: 634 1500 Fax: 376 2211

E-Mail: office.bogota@bakernet.com

IDENTIFICACION

C.C. [X] NIT [ ]

C.E. [ ] Otro [ ]

Cual

Número 79.143.366 de Usaquén TP 20.281

(4) INVENTOR (ES) (72)

Nombre: LAHM, George, Philip; SHOOP, Wesley, Lawrence y XU, Ming

Dirección: 148 Fairhill Drive, Wilmington, DE 19808, Estados Unidos de América; 500 Auten Road #3A, Hillsborough, NJ 08844, Estados Unidos de América y 25 South Perch Creek Drive, Newark, DE 19702, Estados Unidos de América, respectivamente.

Nacionalidad o Domicilio: Estadounidenses

(5) PCT (85)

Solicitud Internacional No. PCT/US2006/049459 Fecha 28-DIC-06

Publicación Internacional No. WO/2007/079162 Fecha 12-JUL-07

(6) Título (54) ISOXAZOLINAS PARA CONTROLAR PLAGAS DE INVERTEBRADOS

(7) Clasificación Internacional (51) C07D 261/04, A01N 43/80, A01P 17/00, C07D 401/04, C07D 413/12, C07D 417/12

(8) Prioridad SI [X] NO [ ]

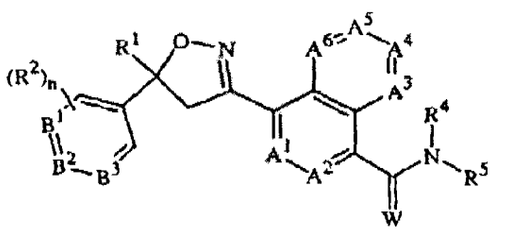
(33) País de Origen	(32) Fecha	(31) Número de Solicitud
US	30-DIC-05	60/755,247
US	23-AGO-06	60/839,988
US	07-NOV-06	60/857,307

(9) Comprobante de pago No. 08-45814 Fecha 09-JUN-08

(10) **ANEXOS**

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

(11) **FIGURA CARATERISTICA**



(I)

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

NOMBRE: ALVARO CORREA ORDOÑEZ

FIRMA:

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

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

SUPERINTENDENCIA DE INDUSTRIA Y COMERCIO

No. 08-067170- -00000-0000

Fecha: 2008-07-01 16:11:17 Dep: 2020 NUEVACREACION
Tra: 11 PATENTEIYII Eve: 378 PASENACIONALI
Act: 411 PRESENTACION Folios: 348

SUPERINTENDENCIA DE INDUSTRIA Y COMERCIO

NIT : 900176089-2

RECIBO OFICIAL DE CAJA : 08 - 45,814
FECHA : JUNIO 9 DE 2008

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

[redacted]ITANTE	TIPO PAGO	BANCO	CUENTA	No. PAGO	FECHA PGO	Vr. PAGO
BAKER & MCKENZIE	CONSIGNACION	BANCO DE BOGOTA	062754387	287210	09/06/2008	37,859,400.00

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

CANT.	RENTISTICO	CONCEPTO		TOTAL CONCEPTO
1	50005-01-01 SOLICITUDES	1	TRAMITES DE SOL. DE PATENTE DE IN	501,000.00
			TOTAL : $	501,000.00

SON: QUINIENTOS UN MIL PESOS

RESPONSABLE : _____

RECIBO DE CAJA APLICADO AL EXPEDIENTE No. _____

POD-04104

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. Mecoxnahey

CERTIFICACIÓN NOTARIAL

6 JUN 2008

5

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 especificamente con expresión de sus fechas y de su origen o procedencia:

Directrices de la Junta de Patentes de DuPont y Poder fechado junio 12, 2006.

26 JUN 2008

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

26 JUN 2008

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*

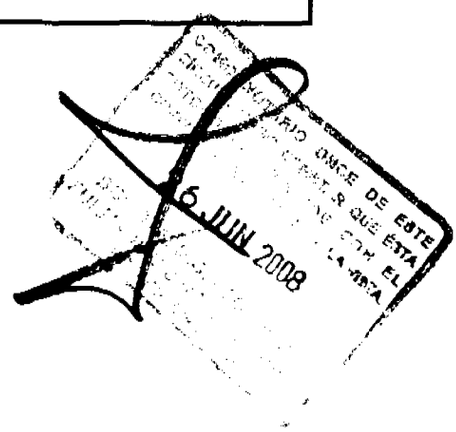
8. *No. 0345347*

9. *Seal/Stamp:*

10. *Signature:*

Harriet Smith Windsor

Secretary of State



BAKER & McKENZIE

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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

POWER OF ATTORNEY

The undersigned.

E.I. Du Pont de Nemours and Company
domiciled in

1007 Market Street
Wilmington, Delaware 19898 US

declares that it hereby grants to

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

with domicile at Av. 82 No. 10 – 62, 6Th floor, of Bogotá D. C., Colombia, a full and sufficient power of attorney to obtain the protection of my (our) industrial property and against the unfair competition, for which purpose I (we) authorize the said attorneys to represent me (us) before any authorities or persons, with or without jurisdiction, specially those administrative, administrative contentious and judicial, as well as before Arbitration Court, in all matters concerning the following: a) Obtainment of patents, utility models, industrial designs, integrated circuits, layout-designs and vegetal varieties; the registration of trademarks, denominations of origin, commercial names, trade emblems, slogans and domain names; its renewal, assignments, change of name or domicile, voluntary cancellation; and the registration of licenses of use of the above rights; b)Processes of protection to the consumer, unfair competition, oppositions, cancellations, nullity, precautionary injunctions, infringement, granting of licenses, writ mandamus, the protection of trade secrets, and in general the civil, penal, an administrative processes relating to those rights. And that in all the above matters they may substitute this Power of Attorney, compromise, conciliate, admit the facts of the case, desist, cancel, receive, resign, and ratify acts done by representatives without a power of attorney.

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

Done and subscribed in Wilmington, DE. USA

On the 26 day of February of 2008

Signature of the person issuing the Power

Miriam D. Macumber

PODER DE ABOGADO

La abajo firmada,

E.I. Du Pont de Nemours and Company
domiciliada en

1007 Market Street
Wilmington, Delaware 19898 US

declara por el presente que otorga a

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

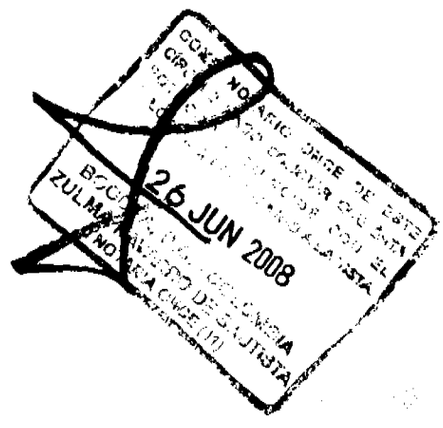
con domicilio en la Av. 82 No. 10 – 62 Piso 6 en Bogotá D. C., Colombia, poder especial amplio y suficiente, para obtener la protección de mi (nuestra) propiedad industrial y contra la competencia desleal, a cuyo efecto autorizo (amos) a los dichos apoderados para que me (nos) representen ante cualesquiera autoridades o personas, ejerzan o no jurisdicción, especialmente ante las del orden administrativo, contencioso-administrativo y judicial, así como los tribunales de arbitramento, en todo lo concerniente a los siguientes asuntos: a) Obtención de patentes de invención, modelos de utilidad, diseños industriales, esquemas de trazado de circuitos integrados y variedades vegetales; el registro de marcas, denominaciones de origen, nombres comerciales, enseñas, lemas comerciales y nombres de dominio; su renovación, traspaso, cambio de nombre o domicilio, cancelación voluntaria; y el registro de licencias de uso de esos derechos; b) Los procesos para la protección del consumidor, competencia desleal, oposiciones, cancelaciones, nulidades, medidas cautelares. infracciones, concesión de licencias, la tutela, la protección de secretos industriales, y en general procesos civiles, penales, administrativos relacionados con estos derechos. Y para que en todos los asuntos arriba determinados, puedan sustituir este mandato, transigir, conciliar, admitir los hechos del caso, desistir, cancelar, recibir, renunciar, y ratificar los actos de agentes oficiosos.

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

Dado y firmado en

A los días del mes de de 2008

Firma de la persona que firma el Poder



NOTARIAL CERTIFICATION

On this 26th day of February 2008, the undersigned Notary

CERTIFIES

1. That **Miriam D. Meconnahey**

of legal age and domiciled in
1964 Lakeview Road, Wilmington, DE 19805

set his hand on the foregoing document.

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

3. That the grantor has executed the foregoing document as

Assistant Secretary – Patent Board

of the judicial person **E. I. duPont de Nemours and Company**

4. That the judicial person **E. I. duPont de Nemours and Company**
having its home office in

1007 Market Street, Wilmington, Delaware 19898 USA

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

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

6. That I have based certifications 3, 4 and 5 above on the following authentic documents for such purpose exhibited to me and which I mention specifically, giving their dates and their origin or source:
DuPont Patent Board Guidelines and Power of Attorney dated June 12, 2006.

Patricia Panariello

Patricia Panariello, Notary Public

CERTIFICACION NOTARIAL

A los días del mes de

de 2008, el suscrito Notario

DA FE

1 Que

mayor y domiciliado en

suscribió de su puño y letra el documento que antecede.

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

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

de la persona jurídica **E. I. duPont de Nemours and Company**

4 Que la persona jurídica **E. I. duPont de Nemours and Company**
con sede en

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

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

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

El Notario Público

26 JUN 2008

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

(19) World Intellectual Property Organization
International Bureau

(43) International Publication Date
12 July 2007 (12.07.2007)

PCT

(10) International Publication Number
WO 2007/079162 A1

(51) International Patent Classification:
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C07D 413/12 (2006.01) *C07D 401/04* (2006.01)
C07D 417/12 (2006.01) *A01P 17/00* (2006.01)

(21) International Application Number:
PCT/US2006/049459

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28 December 2006 (28.12.2006)

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(30) Priority Data:

60/755,247	30 December 2005 (30.12.2005)	US
60/839,988	23 August 2006 (23.08.2006)	US
60/857,307	7 November 2006 (07.11.2006)	US

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

(72) Inventors; and

(75) Inventors/Applicants *(for US only)*: **LAHM, George, Philip** [US/US]; 148 Fairhill Drive, Wilmington, DE 19808 (US). **SHOOP, Wesley, Lawrence** [US/US]; 500 Auten Road #3A, Hillsborough, NJ 08844 (US). **XU, Ming** [CN/US]; 25 South Perch Creek Drive, Newark, DE 19702 (US).

(74) Agent: **REHBERG, Edward, F.**; E. I. Du Pont De Nemours And Company, Legal Patent Records Center, 4417 Lancaster Pike, Wilmington, DE 19805 (US).

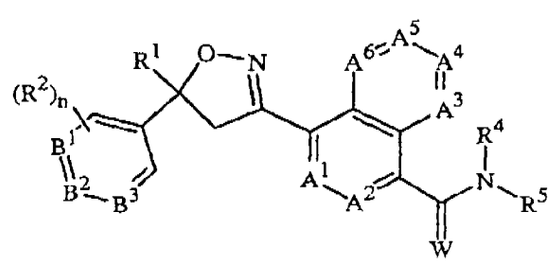
(81) Designated States *(unless otherwise indicated, for every kind of national protection available)*: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BW, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, GT, HN, HR, HU, ID, IL, IN, IS, JP, KE, KG, KM, KN, KP, KR,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

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

Published:
— *with international search report*
— *before the expiration of the time limit for amending the claims and to be republished in the event of receipt of amendments*

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

(54) Title: ISOXAZOLINES FOR CONTROLLING INVERTEBRATE PESTS



(I)

(57) Abstract: Disclosed are compounds of Formula (1), including all geometric and stereoisomers, *N*-oxides, and salts thereof, Formula (1) : wherein A^1, A^2, A^3, A^4, A^5 and A^6 are independently selected from the group consisting of CR^3 and N; provided that at most 3 of A^1, A^2, A^3, A^4, A^5 and A^6 is N; B^1, B^2 and B^3 are independently selected from the group consisting of CR^7, and N; each R^3 is independently H, halogen, C_1-C_6 alkyl, C1-C6 haloalkyl, C_3-C_6 cycloalkyl, C_3-C_6 halocycloalkyl, C_1-C_6 alkoxy, C_1-C_6 haloalkoxy, C_1-C_6 alkylthio, C_1-C_6 haloalkylthio, C_1-C_6 alkylsulfinyl, C1-C6 haloalkylsulfinyl, C_1-C_6 alkylsulfonyl, C_1-C_6 haloalkylsulfo?yl, C_1-C_6 alkylamino, C_2-G_6 dialkylamino, -CN or -NO_2; and R^1, R^2, R^4, R^5, W and n 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 2007/079162 A1

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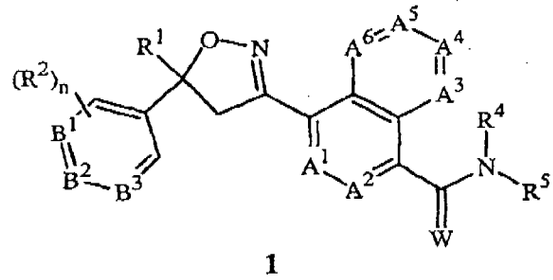


1

wherein

A^1, A^2, A^3, A^4, A^5 and A^6 are independently selected from the group consisting of CR^3 and N, provided that at most 3 of A^1, A^2, A^3, A^4, A^5 and A^6 are N;

B^1, B^2 and B^3 are independently selected from the group consisting of CR^2 and N;

W is O or S;

R^1 is C_1-C_6 alkyl, C_2-C_6 alkenyl, C_2-C_6 alkynyl, C_3-C_6 cycloalkyl, C_4-C_7 alkylcycloalkyl or C_4-C_7 cycloalkylalkyl, each optionally substituted with one or more substituents independently selected from R^6;

each R^2 is independently H, halogen, C_1-C_6 alkyl, C_1-C_6 haloalkyl, C_1-C_6 alkoxy, C_1-C_6 haloalkoxy, C_1-C_6 alkylthio, C_1-C_6 haloalkylthio, C_1-C_6 alkylsulfinyl, C_1-C_6 haloalkylsulfinyl, C_1-C_6 alkylsulfonyl, C_1-C_6 haloalkylsulfonyl, C_1-C_6 alkylamino, C_2-C_6 dialkylamino, C_2-C_4 alkoxycarbonyl, -CN or -NO_2;

each R^3 is independently H, halogen, C_1-C_6 alkyl, C_1-C_6 haloalkyl, C_3-C_6 cycloalkyl, C_3-C_6 halocycloalkyl, C_1-C_6 alkoxy, C_1-C_6 haloalkoxy, C_1-C_6 alkylthio, C_1-C_6 haloalkylthio, C_1-C_6 alkylsulfinyl, C_1-C_6 haloalkylsulfinyl, C_1-C_6 alkylsulfonyl, C_1-C_6 haloalkylsulfonyl, C_1-C_6 alkylamino, C_2-C_6 dialkylamino, -CN or -NO_2;

R^4 is H, C_1-C_6 alkyl, C_2-C_6 alkenyl, C_2-C_6 alkynyl, C_3-C_6 cycloalkyl, C_4-C_7 alkylcycloalkyl, C_4-C_7 cycloalkylalkyl, C_2-C_7 alkylcarbonyl or C_2-C_7 alkoxycarbonyl;

R^5 is H, OR^{10}, $NR^{11}R^{12}$ or Q^1; or C_1-C_6 alkyl, C_2-C_6 alkenyl, C_2-C_6 alkynyl, C_3-C_6 cycloalkyl, C_4-C_7 alkylcycloalkyl or C_4-C_7 cycloalkylalkyl, each optionally substituted with one or more substituents independently selected from R^7; or

R^4 and R^5 are taken together with the nitrogen to which they are attached to form a ring containing 2 to 6 atoms of carbon and optionally one additional atom selected from the group consisting of N, S and O, said ring optionally substituted with 1 to 4 substituents independently selected from the group consisting of C_1-C_2 alkyl, halogen, -CN, -NO_2 and C_1-C_2 alkoxy;

each R^6 is independently halogen, C_1-C_6 alkyl, C_1-C_6 alkoxy, C_1-C_6 alkylthio, C_1-C_6 alkylsulfinyl, C_1-C_6 alkylsulfonyl, -CN or -NO_2;

each R^7 is independently halogen, C_1-C_6 alkyl, C_3-C_6 cycloalkyl, C_1-C_6 alkoxy, C_1-C_6 alkylthio, C_1-C_6 alkylsulfinyl, C_1-C_6 alkylsulfonyl, C_1-C_6 alkylamino, C_2-C_8 dialkylamino, C_3-C_6 cycloalkylamino, C_2-C_7 alkylcarbonyl, C_2-C_7

alkoxycarbonyl, C_2-C_7 alkylaminocarbonyl, C_3-C_9 dialkylaminocarbonyl, C_2-C_7 haloalkylcarbonyl, C_2-C_7 haloalkoxycarbonyl, C_2-C_7 haloalkylaminocarbonyl, C_3-C_9 halodialkylaminocarbonyl, hydroxy, -NH_2, -CN or -NO_2; or Q^2;

each R^8 is independently halogen, C_1-C_6 alkoxy, C_1-C_6 haloalkoxy, C_1-C_6 alkylthio, C_1-C_6 haloalkylthio, C_1-C_6 alkylsulfinyl, C_1-C_6 haloalkylsulfinyl, C_1-C_6 alkylsulfonyl, C_1-C_6 haloalkylsulfonyl, C_1-C_6 alkylamino, C_2-C_6 dialkylamino, C_2-C_4 alkoxycarbonyl, -CN or -NO_2;

each R^9 is independently halogen, C_1-C_6 alkyl, C_1-C_6 haloalkyl, C_3-C_6 cycloalkyl, C_3-C_6 halocycloalkyl, C_1-C_6 alkoxy, C_1-C_6 haloalkoxy, C_1-C_6 alkylthio, C_1-C_6 haloalkylthio, C_1-C_6 alkylsulfinyl, C_1-C_6 haloalkylsulfinyl, C_1-C_6 alkylsulfonyl, C_1-C_6 haloalkylsulfonyl, C_1-C_6 alkylamino, C_2-C_6 dialkylamino, -CN, -NO_2, phenyl or pyridinyl;

R^{10} is H; or C_1-C_6 alkyl, C_2-C_6 alkenyl, C_2-C_6 alkynyl, C_3-C_6 cycloalkyl, C_4-C_7 alkylcycloalkyl or C_4-C_7 cycloalkylalkyl, each optionally substituted with one or more halogen;

R^{11} is H, C_1-C_6 alkyl, C_2-C_6 alkenyl, C_2-C_6 alkynyl, C_3-C_6 cycloalkyl, C_4-C_7 alkylcycloalkyl, C_4-C_7 cycloalkylalkyl, C_2-C_7 alkylcarbonyl or C_2-C_7 alkoxycarbonyl;

R^{12} is H; Q^3; or C_1-C_6 alkyl, C_2-C_6 alkenyl, C_2-C_6 alkynyl, C_3-C_6 cycloalkyl, C_4-C_7 alkylcycloalkyl or C_4-C_7 cycloalkylalkyl, each optionally substituted with one or more substituents independently selected from R^7; or

R^{11} and R^{12} are taken together with the nitrogen to which they are attached to form a ring containing 2 to 6 atoms of carbon and optionally one additional atom selected from the group consisting of N, S and O, said ring optionally substituted with 1 to 4 substituents independently selected from the group consisting of C_1-C_2 alkyl, halogen, -CN, -NO_2 and C_1-C_2 alkoxy;

Q^1 is a phenyl ring, a 5- or 6-membered heterocyclic ring, or an 8-, 9- or 10-membered fused bicyclic ring system optionally containing one to three heteroatoms selected from up to 1 O, up to 1 S and up to 3 N, each ring or ring system optionally substituted with one or more substituents independently selected from R^8;

each Q^2 is independently a phenyl ring or a 5- or 6-membered heterocyclic ring, each ring optionally substituted with one or more substituents independently selected from R^9;

Q^3 is a phenyl ring or a 5- or 6-membered heterocyclic ring, each ring optionally substituted with one or more substituents independently selected from R^9; and

n is 0, 1 or 2.

This invention also provides a composition comprising a compound of Formula 1, an *N*-oxide or a salt thereof, and at least one additional component selected from the group

consisting of a surfactant, a solid diluent and a liquid diluent. In one embodiment, this invention also provides a composition for controlling an invertebrate pest 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 a surfactant, a solid diluent and a liquid diluent, said composition optionally further comprising a biologically effective amount of at least one additional biologically active compound or agent.

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

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 "helminths" includes worms in the phylum of Nemathelminth, Platyhelminth and Acanthocephala such as: round worms, heartworms, and phytophagous nematodes (Nematoda), flukes (Trematoda), tape worms (Cestoda) and throny-headed worms.

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

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

butylthio, pentylthio and hexylthio isomers. "Alkylsulfinyl" includes both enantiomers of an alkylsulfinyl group. Examples of "alkylsulfinyl" include $CH_3S(O)$-, $CH_3CH_2S(O)$-, $CH_3CH_2CH_2S(O)$-, $(CH_3)_2CHS(O)$- and the different butylsulfinyl, pentylsulfinyl and hexylsulfinyl isomers. Examples of "alkylsulfonyl" include $CH_3S(O)_2$-, $CH_3CH_2S(O)_2$-, $CH_3CH_2CH_2S(O)_2$-, $(CH_3)_2CHS(O)_2$-, and the different butylsulfonyl, pentylsulfonyl and hexylsulfonyl isomers. "Alkylamino", "dialkylamino", and the like, are defined analogously to the above examples. "Cycloalkyl" includes, for example, cyclopropyl, cyclobutyl, cyclopentyl and cyclohexyl. The term "alkylcycloalkyl" denotes alkyl substitution on a cycloalkyl moiety and includes, for example, ethylcyclopropyl, *i*-propylcyclobutyl, 3-methylcyclopentyl and 4-methylcyclohexyl. 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 F_3C-, $ClCH_2$-, CF_3CH_2- and CF_3CCl_2-. The terms "halocycloalkyl", "haloalkoxy", "haloalkylthio", and the like, are defined analogously to the term "haloalkyl". Examples of "haloalkoxy" include CF_3O-, CCl_3CH_2O-, $HCF_2CH_2CH_2O$- and CF_3CH_2O-. Examples of "haloalkylthio" include CCl_3S-, CF_3S-, CCl_3CH_2S- and $ClCH_2CH_2CH_2S$-. Examples of "haloalkylsulfinyl" include $CF_3S(O)$-, $CCl_3S(O)$-, $CF_3CH_2S(O)$- and $CF_3CF_2S(O)$-. Examples of "haloalkylsulfonyl" include $CF_3S(O)_2$-, $CCl_3S(O)_2$-, $CF_3CH_2S(O)_2$- and $CF_3CF_2S(O)_2$-.

"Alkylcarbonyl" denotes a straight-chain or branched alkyl moieties bonded to a C(=O) moiety. Examples of "alkylcarbonyl" include $CH_3C(=O)$-, $CH_3CH_2CH_2C(=O)$- and $(CH_3)_2CHC(=O)$-. Examples of "alkoxycarbonyl" include $CH_3OC(=O)$-, $CH_3CH_2OC(=O)$, $CH_3CH_2CH_2OC(=O)$-, $(CH_3)_2CHOC(=O)$- and the different butoxy- or pentoxycarbonyl isomers.

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 8. For example, C_1-C_4 alkylsulfonyl designates methylsulfonyl through butylsulfonyl; C_2 alkoxyalkyl designates CH_3OCH_2; C_3 alkoxyalkyl designates, for example, $CH_3CH(OCH_3)$, $CH_3OCH_2CH_2$ or $CH_3CH_2OCH_2$; and C_4 alkoxyalkyl designates the various isomers of an alkyl group substituted with an alkoxy group containing a total of four carbon atoms, examples including $CH_3CH_2CH_2OCH_2$ and $CH_3CH_2OCH_2CH_2$.

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., $(R^2)_n$, n is 1, 2, 3, 4 or 5. When a group contains a substituent which can be hydrogen, for example R^2, then when this substituent is taken as hydrogen, it is recognized that this is equivalent to said group being unsubstituted.

The term "heterocyclic ring", "heterocycle" or "heterocyclic ring system" denotes rings or ring systems in which at least one ring atom is not carbon, e.g., nitrogen, oxygen or sulfur. Typically a heterocyclic ring contains no more than 4 nitrogens, no more than 2 oxygens and no more than 2 sulfurs. The heterocyclic ring can be attached through any available carbon or nitrogen by replacement of hydrogen on said carbon or nitrogen. The heterocyclic ring can be a saturated, partially unsaturated, or fully unsatuturated ring. When a fully unsaturated heterocyclic ring satifies the Hückel rule, then said ring is also called a "heteroaromatic ring" or "aromatic heterocyclic ring".

The term "aromatic ring" or "aromatic ring system" denotes fully unsaturated carbocycles and heterocycles in which at least one ring of the polycyclic ring system is aromatic (where aromatic indicates that the Hückel rule is satisfied for the ring system). The term "fused bicyclic ring system" includes a ring system comprised of two fused rings in which either ring can be saturated, partially unsaturated, or fully unsatuturated. The term "fused heterobicyclic ring system" includes a ring system comprised of two fused rings in which at least one ring atom is not carbon and can be aromatic or non aromatic, as defined above.

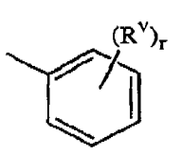
The term "optionally substituted" in connection with the heterocyclic rings refers to groups which are unsubstituted or have at least one non-hydrogen substituent that does not extinguish the biological activity possessed by the unsubstituted analog. As used herein, the following definitions shall apply unless otherwise indicated. The term "optionally substituted" is used interchangeably with the phrase "substituted or unsubstituted" or with the term "(un)substituted." Unless otherwise indicated, an optionally substituted group may have a substituent at each substitutable position of the group, and each substitution is independent of the other.

When Q^1 is a 5- or 6-membered nitrogen-containing heterocyclic ring, it may be attached to the remainder of Formula **1** though any available carbon or nitrogen ring atom, unless otherwise described. Similarly, when Q^2 or Q^3 is a 5- or 6-membered nitrogen-containing heterocycle, it may be attached through any available carbon or nitrogen ring atom, unless otherwise described.

As noted above, Q^1, Q^2 or Q^3 can be (among others) phenyl optionally substituted with one or more substituents selected from a group of substituents as defined in the Summary of Invention. An example of phenyl optionally substituted with one to five substituents is the ring illustrated as U-1 in Exhibit 1, wherein R^v is R^8 or R^9 as defined in the Summary of the Invention for Q^1, Q^2 or Q^3 and r is an integer from 0 to 5.

As noted above, Q^1, Q^2 or Q^3 can be (among others) 5- or 6-membered heterocyclic ring, which may be saturated or unsaturated, 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 unsaturated aromatic heterocyclic ring optionally substituted with from 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 for Q^1, Q^2 or Q^3 (i.e. R^8 or R^9) and r is an integer from 0 to 4.

Exhibit 1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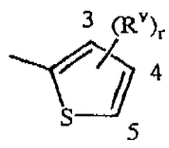
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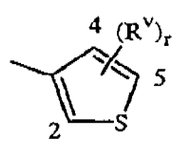
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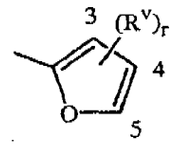
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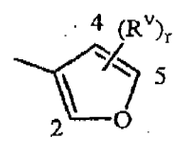
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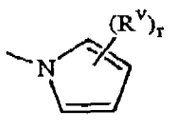
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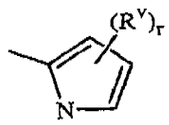
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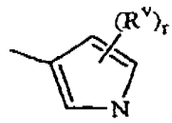
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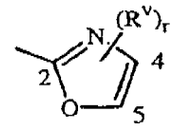
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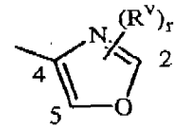
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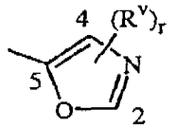
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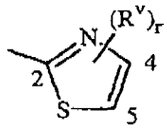
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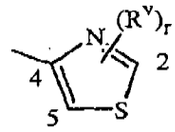
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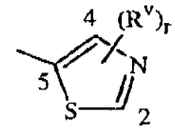
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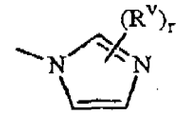
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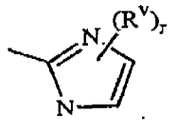
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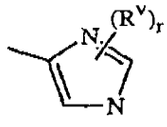
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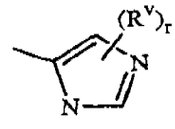
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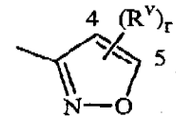
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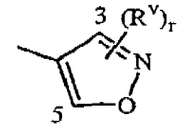
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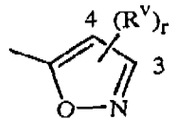
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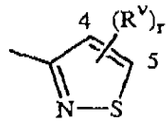
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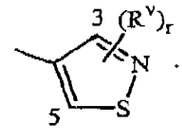
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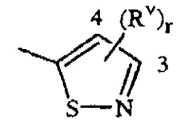
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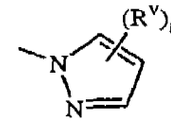
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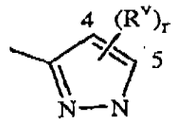
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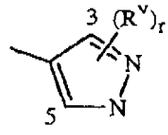
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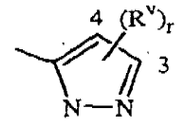
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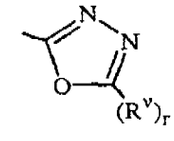
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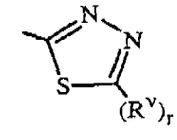
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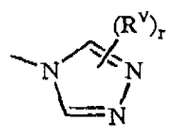
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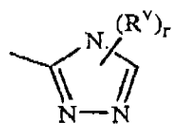
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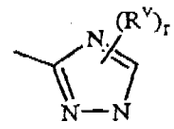
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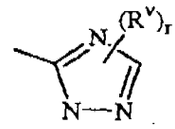
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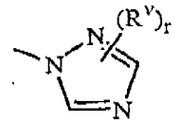
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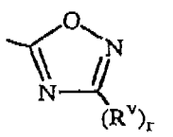
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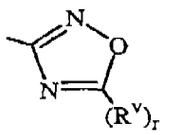
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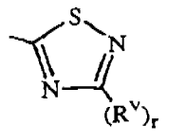
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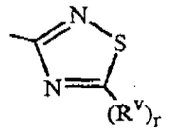
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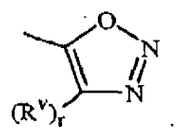
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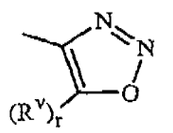
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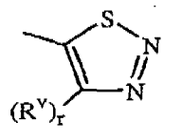
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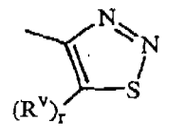
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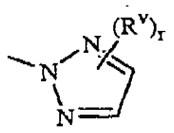
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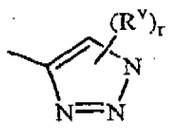
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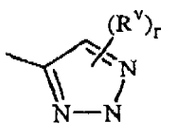
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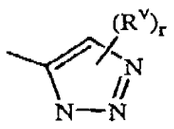
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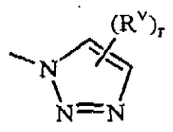
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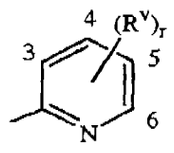
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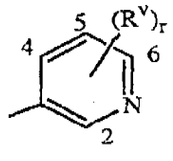
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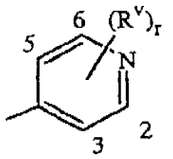
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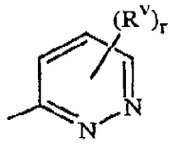
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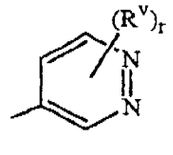
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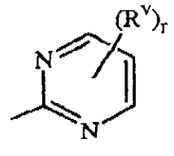
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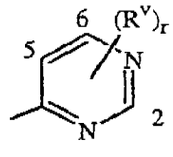
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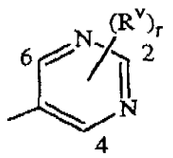
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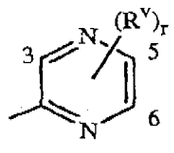
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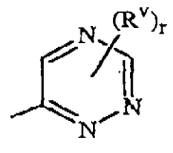
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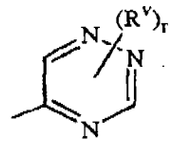
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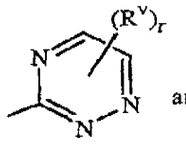
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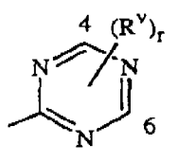

U-61 .

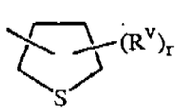
Note that when Q^1, Q^2 or Q^3 is a 5- or 6-membered saturated or unsaturated non-aromatic heterocyclic ring optionally substituted with one or more substituents selected from the group of substituents as defined in the Summary of Invention for Q^1, Q^2 or Q^3, one or

two carbon ring members of the heterocycle can optionally be in the oxidized form of a carbonyl moiety.

Examples of a 5- or 6-membered saturated or non-aromatic unsaturated heterocyclic ring include the rings G-1 through G-35 as illustrated in Exhibit 2. Note that when the attachment point on the G group is illustrated as floating, the G group can be attached to the remainder of Formula 1 through any available carbon or nitrogen of the G group by replacement of a hydrogen atom. The optional substituents can be attached to any available carbon or nitrogen by replacing a hydrogen atom.

Note that when Q^1, Q^2 or Q^3 comprises a ring selected from G-28 through G-35, G^2 is selected from O, S or N. Note that when G^2 is N, the nitrogen atom can complete its valence by substitution with either H or the substituents as defined in the Summary of Invention for Q^1, Q^2 or Q^3 (i.e. R^8 or R^9).

Exhibit 2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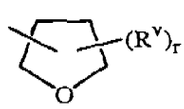
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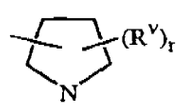
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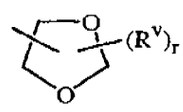
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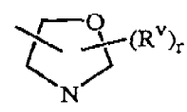
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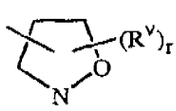
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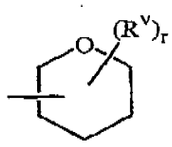
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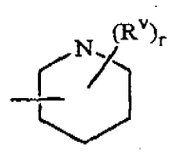
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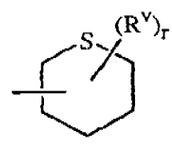
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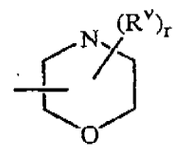
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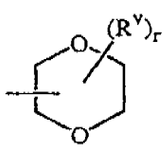
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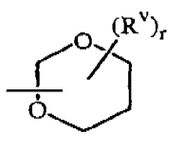
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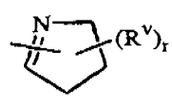
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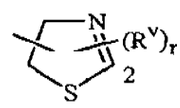
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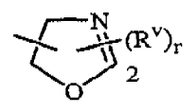
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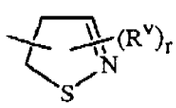
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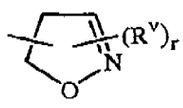
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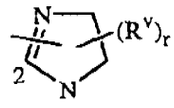
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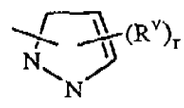
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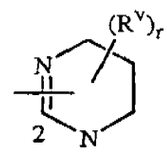
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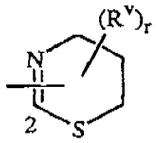
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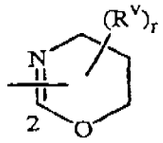
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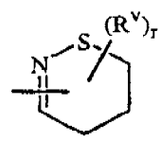
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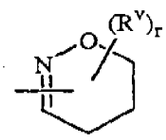
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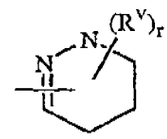
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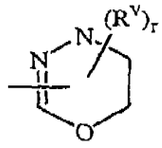
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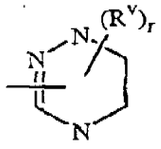
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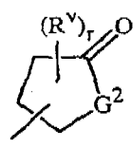
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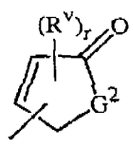
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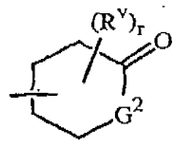
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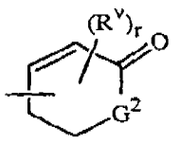
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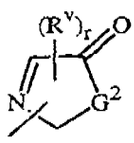
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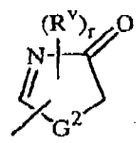
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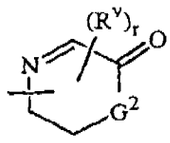
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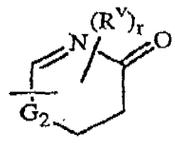
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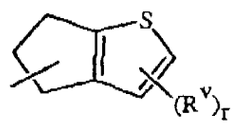
As noted above, Q^1 can be (among others) an 8-, 9- or 10-membered fused bicyclic ring system optionally substituted with one or more substituents selected from a group of substituents as defined in the Summary of Invention (i.e. R^8). Examples of 8-, 9- or 10-membered fused bicyclic ring system optionally substituted with from one or more substituents include the rings U-81 through U-123 illustrated in Exhibit 3 wherein R^v is any substituent as defined in the Summary of the Invention for Q^1 (i.e. R^8) and r is an integer from 0 to 4.

Exhibit 3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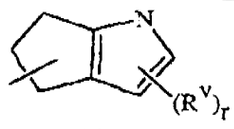
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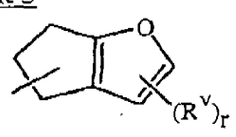
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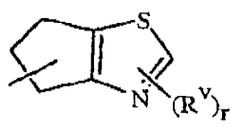
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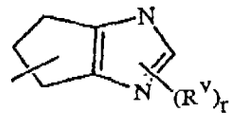
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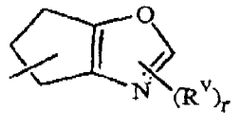
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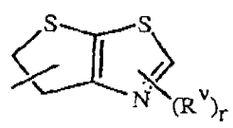
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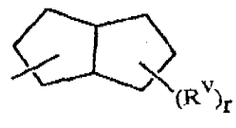
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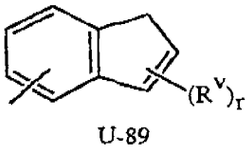
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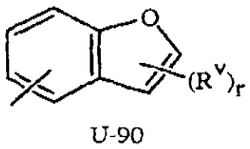
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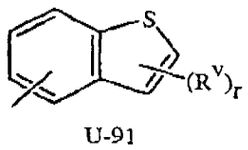
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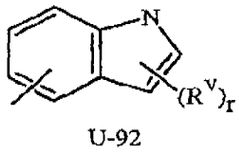
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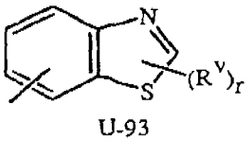
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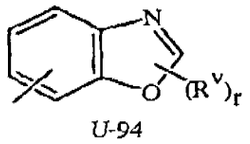
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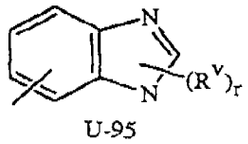
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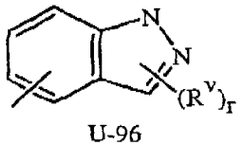
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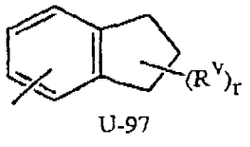
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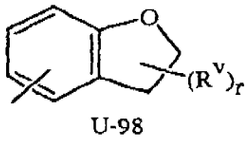
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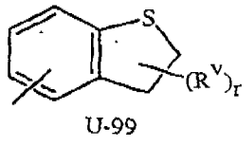
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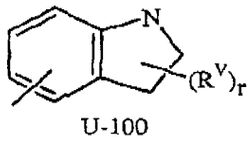
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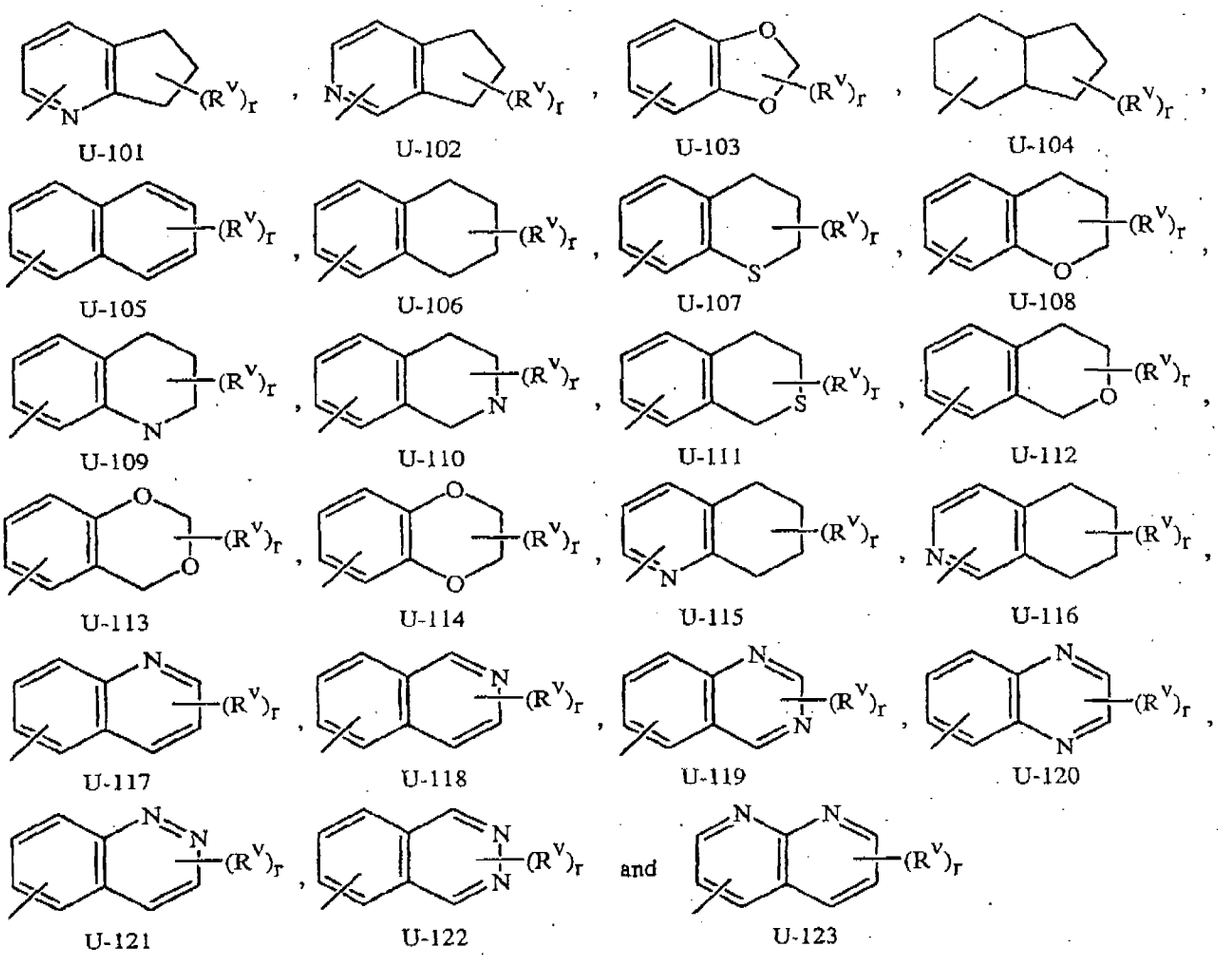


Although R^v groups are shown in the structures U-1 through U-123, it is noted that they do not need to be present since they are optional substituents. Note that when R^v is H when attached to an atom, this is the same as if said atom is unsubstituted. The nitrogen atoms that require substitution to fill their valence are substituted with H or R^v. Note that when the attachment point between $(R^v)_r$ and the U group is illustrated as floating, $(R^v)_r$ can be attached to any available carbon atom or nitrogen atom of the U group. Note that when the attachment point on the U group is illustrated as floating, the U group can be attached to the remainder of Formula 1 through any available carbon or nitrogen of the U group by replacement of a hydrogen atom. Note that some U groups can only be substituted with less than 4 R^v groups (e.g., U-2 through U-5, U-7 through U-48, and U-52 through U-61).

Compounds of this invention can exist as one or more stereoisomers. The various stereoisomers include enantiomers, diastereomers, atropisomers and geometric isomers. One skilled in the art will appreciate that one stereoisomer may be more active and/or may exhibit beneficial effects when enriched relative to the other stereoisomer(s) or when separated from the other stereoisomer(s). Additionally, the skilled artisan knows how to separate, enrich, and/or to selectively prepare said stereoisomers. The compounds of the

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

One skilled in the art will appreciate that not all nitrogen containing heterocyclic rings can form *N*-oxides since the nitrogen requires an available lone pair for oxidation to the oxide; one skilled in the art will recognize those nitrogen containing heterocyclic rings which can form *N*-oxides. One skilled in the art will also recognize that tertiary amines can form *N*-oxides. Synthetic methods for the preparation of *N*-oxides of heterocycles and tertiary amines are very well known by one skilled in the art including the oxidation of heterocycles and tertiary amines with peroxy acids such as peracetic and *m*-chloroperbenzoic acid (MCPBA), hydrogen peroxide, alkyl hydroperoxides such as *t*-butyl hydroperoxide, sodium perborate, and dioxiranes such as dimethyldioxirane. These methods for the preparation of *N*-oxides have been extensively described and reviewed in the literature, see for example: T. L. Gilchrist in *Comprehensive Organic Synthesis*, vol. 7, pp 748-750, S. V. Ley, Ed., Pergamon Press; M. Tisler and B. Stanovnik in *Comprehensive Heterocyclic Chemistry*, vol. 3, pp 18-20, A. J. Boulton and A. McKillop, Eds., Pergamon Press; M. R. Grimmett and B. R. T. Keene in *Advances in Heterocyclic Chemistry*, vol. 43, pp 149-161, A. R. Katritzky, Ed., Academic Press; M. Tisler and B. Stanovnik in *Advances in Heterocyclic Chemistry*, vol. 9, pp 285-291, A. R. Katritzky and A. J. Boulton, Eds., Academic Press; and G. W. H. Cheeseman and E. S. G. Werstiuk in *Advances in Heterocyclic Chemistry*, vol. 22, pp 390-392, A. R. Katritzky and A. J. Boulton, Eds., Academic Press.

The salts of the compounds of the invention include acid-addition salts with inorganic or organic acids such as hydrobromic, hydrochloric, nitric, phosphoric, sulfuric, acetic, butyric, fumaric, lactic, maleic, malonic, oxalic, propionic, salicylic, tartaric, 4-toluenesulfonic or valeric acids. The salts of the compounds of the invention also include those formed with organic bases (e.g., pyridine or triethylamine) or inorganic bases (e.g., hydrides, hydroxides, or carbonates of sodium, potassium, lithium, calcium, magnesium or barium) when the compound contains an acidic moiety such as when R^4 is alkylcarbonyl and R^5 is H.

Accordingly, the present invention comprises compounds selected from Formula **1**, *N*-oxides and agriculturally suitable salts thereof.

Embodiments of the present invention as described in the Summary of the Invention include:

Embodiment 1. A compound of Formula **1** wherein R^1 is C_1-C_3 alkyl optionally substituted with one or more substituents independently selected from R^6.

Embodiment 2. A compound of Embodiment 1 wherein R^1 is C_1-C_3 alkyl optionally substituted with halogen.

Embodiment 3. A compound of Embodiment 2 wherein R^1 is C_1-C_3 alkyl substituted with halogen.

Embodiment 4. A compound of Embodiment 3 wherein R^1 is C_1-C_3 alkyl substituted with F.

Embodiment 5. A compound of Embodiment 4 wherein R^1 is C_1-C_3 alkyl fully substituted with F.

Embodiment 6. A compound of Embodiment 5 wherein R^1 is CF_3.

Embodiment 7. A compound of Formula 1 wherein each R^2 is independently H, halogen, C_1-C_6 haloalkyl, C_1-C_6 haloalkoxy or -CN.

Embodiment 8. A compound of Embodiment 7 wherein each R^2 is independently H, CF_3, OCF_3, halogen or -CN.

Embodiment 9. A compound of Embodiment 7 wherein each R^2 is independently halogen or C_1-C_3 haloalkyl.

Embodiment 10. A compound of Formula 1 wherein each R^3 is independently H, halogen, C_1-C_6 alkyl, C_1-C_6 haloalkyl, C_3-C_6 cycloalkyl, C_3-C_6 halocycloalkyl, C_1-C_6 alkoxy, C_1-C_6 haloalkoxy, -CN or $-NO_2$.

Embodiment 11. A compound of Embodiment 10 wherein each R^3 is independently H, C_1-C_4 alkyl, C_1-C_4 haloalkyl, C_3-C_6 cycloalkyl, C_1-C_4 alkoxy or -CN.

Embodiment 12. A compound of Embodiment 11 wherein each R^3 is independently H, C_1-C_4 alkyl or -CN.

Embodiment 13. A compound of Embodiment 12 wherein each R^3 is H.

Embodiment 14. A compound of Formula 1 wherein R^4 is H, C_1-C_6 alkyl, C_2-C_7 alkylcarbonyl or C_2-C_7 alkoxycarbonyl.

Embodiment 15. A compound of Embodiment 14 wherein R^4 is H.

Embodiment 16. A compound of Formula 1 wherein R^5 is H, OR^{10}, $NR^{11}R^{12}$ or Q^1; or C_1-C_4 alkyl, C_2-C_4 alkenyl, C_2-C_4 alkynyl, C_3-C_4 cycloalkyl, C_4-C_7 alkylcycloalkyl or C_4-C_7 cycloalkylalkyl, each optionally substituted with one or more substituents independently selected from R^7.

Embodiment 17. A compound of Embodiment 16 wherein R^5 is H; or C_1-C_4 alkyl, C_2-C_4 alkenyl, C_2-C_4 alkynyl, C_3-C_4 cycloalkyl, C_4-C_7 alkylcycloalkyl or C_4-C_7 cycloalkylalkyl, each optionally substituted with one or more substituents independently selected from R^7.

Embodiment 18. A compound of Embodiment 17 wherein R^5 is H; or C_1-C_4 alkyl optionally substituted with one or more substituents independently selected from R^7.

Embodiment 19. A compound of Embodiment 18 wherein R^5 is C_1-C_4 alkyl optionally substituted with one or more substituents independently selected from R^7.

Embodiment 20. A compound of Embodiment 19 wherein R^5 is CH_2CF_3.

Embodiment 21. A compound of Embodiment 19 wherein R^5 is CH_2-2-pyridinyl.

Embodiment 22. A compound of Embodiment 16 wherein R^5 is OR^{10}, $NR^{11}R^{12}$ or Q^1.

Embodiment 23. A compound of Embodiment 22 wherein R^5 is $NR^{11}R^{12}$

Embodiment 24. A compound of Embodiment 22 wherein R^5 is Q^1.

Embodiment 25. A compound of Formula **1** wherein R^6 is halogen.

Embodiment 26. A compound of Formula **1** wherein each R^7 is independently halogen, C_1-C_4 alkyl, C_1-C_4 alkoxy, C_1-C_4 alkylthio, C_1-C_4 alkylsulfinyl, C_1-C_4 alkylsulfonyl, C_2-C_4 alkylcarbonyl, C_2-C_4 alkoxycarbonyl, C_2-C_5 alkylaminocarbonyl, C_2-C_5 haloalkylcarbonyl, C_2-C_5 haloalkoxycarbonyl, C_2-C_5 haloalkylaminocarbonyl, $-NH_2$, -CN or $-NO_2$; or Q^2.

Embodiment 27. A compound of Embodiment 26 wherein each R^7 is independently halogen, C_2-C_4 alkoxycarbonyl, C_2-C_5 alkylaminocarbonyl, C_2-C_5 haloalkoxycarbonyl, C_2-C_5 haloalkylaminocarbonyl, $-NH_2$, -CN or $-NO_2$; or Q^2.

Embodiment 28. A compound of Embodiment 27 wherein each R^7 is independently halogen, C_2-C_5 alkylaminocarbonyl, C_2-C_5 haloalkylaminocarbonyl or Q^2.Embodiment 29. A compound of Embodiment 28 wherein each R^7 is independently halogen or Q^2.

Embodiment 30. A compound of Embodiment 29 wherein each R^7 is independently F, Cl or Br.

Embodiment 31. A compound of Embodiment 30 wherein each R^7 is F.

Embodiment 32. A compound of Embodiment 29 wherein each R^7 is Q^2.

Embodiment 33. A compound of Formula **1** wherein each R^8 is independently halogen, C_1-C_4 alkyl, C_1-C_4 haloalkyl or -CN.

Embodiment 34. A compound of Formula **1** wherein each R^9 is halogen, C_1-C_4 alkyl, C_1-C_4 haloalkyl, -CN, phenyl or pyridinyl.

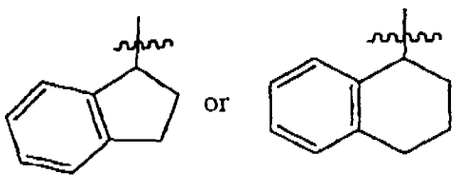
Embodiment 35. A compound of Formula **1** wherein R^{10} is H; or C_1-C_6 alkyl optionally substituted with one or more halogen.

Embodiment 36. A compound of Formula **1** wherein R^{11} is H, C_1-C_6 alkyl, C_2-C_7 alkylcarbonyl or C_2-C_7 alkoxycarbonyl.

Embodiment 37. A compound of Embodiment 34 wherein R^{11} is H.

Embodiment 38. A compound of Formula **1** wherein R^{12} is H or Q^3; or C_1-C_4 alkyl optionally substituted with one or more substituents independently selected from R^7.

Embodiment 39. A compound of Formula **1** wherein Q^1 is phenyl, pyridinyl, thiazolyl,



each optionally substituted with one or more substituents independently selected from R^8.

Embodiment 40. A compound of Formula 1 wherein each Q^2 is independently phenyl, pyridinyl or thiazolyl, each optionally substituted with one or more substituents independently selected from R^9.

Embodiment 41. A compound of Embodiment 34 wherein each Q^2 is independently phenyl, pyridinyl or thiazolyl.

Embodiment 42. A compound of Formula 1 wherein Q^3 is phenyl, pyridinyl or thiazolyl, each optionally substituted with one or more substituents independently selected from R^9.

Embodiment 43. A compound of Formula 1 wherein A^1, A^2, A^3, A^4, A^5 and A^6 are each CR^3.

Embodiment 44. A compound of Formula 1 wherein A^1 is N; and A^2, A^3, A^4, A^5 and A^6 are each CR^3.

Embodiment 45. A compound of Formula 1 wherein A^2 is N; and A^1, A^3, A^4, A^5 and A^6 are each CR^3.

Embodiment 46. A compound of Formula 1 wherein A^4 is N; and A^1, A^2, A^3, A^5 and A^6 are each CR^3.

Embodiment 47. A compound of Formula 1 wherein A^6 is N; and A^1, A^2, A^3, A^4 and A^5 are each CR^3.

Embodiment 48. A compound of Formula 1 wherein B^1, B^2 and B^3 are independently CR^2.

Embodiment 49. A compound of Embodiment 48 wherein B^2 is CH.

Embodiment 50. A compound of Formula 1 wherein B^1 is N; and B^2 and B^3 are independently CR^2.

Embodiment 51. A compound of Formula 1 wherein B^2 is N; and B^1 and B^3 are independently CR^2.

Embodiment 52. A compound of Formula 1 wherein B^2 is CR^2; and B^1 and B^3 are N.

Embodiment 53. A compound of Formula 1 wherein W is O.

Embodiment 54. A compound of Formula 1 wherein n is 0.

Embodiments of this invention, including Embodiments 1-54 above as well as any other embodiments described herein, can be combined in any manner, and the descriptions of variables in the embodiments pertain not only to the compounds of Formula 1 but also to the starting compounds and intermediate compounds. In addition, embodiments of this invention, including Embodiments 1-54 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 1-54 are illustrated by:

Embodiment A. A compound of Formula 1 wherein

R^1 is C_1-C_3 alkyl optionally substituted with one or more substituents independently selected from R^6;

each R^2 is independently H, halogen, C_1-C_6 haloalkyl, C_1-C_6 haloalkoxy or -CN; and
each R^3 is independently H, halogen, C_1-C_6 alkyl, C_1-C_6 haloalkyl, C_3-C_6 cycloalkyl, C_3-C_6 halocycloalkyl, C_1-C_6 alkoxy, C_1-C_6 haloalkoxy, -CN or $-NO_2$.

Embodiment B. A compound of Embodiment A wherein
B^1, B^2 and B^3 are independently CR^2;
W is O;
R^4 is H, C_1-C_6 alkyl, C_2-C_7 alkylcarbonyl or C_2-C_7 alkoxycarbonyl; and
R^5 is H, $NR^{11}R^{12}$ or Q^1; or C_1-C_4 alkyl, C_2-C_4 alkenyl, C_2-C_4 alkynyl, C_3-C_4 cycloalkyl, C_4-C_7 alkylcycloalkyl or C_4-C_7 cycloalkylalkyl, each optionally substituted with one or more substituents independently selected from R^7.

Embodiment C. A compound of Embodiment B wherein
R^1 is C_1-C_3 alkyl optionally substituted with halogen;
each R^2 is independently H, CF_3, OCF_3, halogen or -CN;
each R^3 is independently H, C_1-C_4 alkyl, C_1-C_4 haloalkyl, C_3-C_6 cyclopropyl, C_1-C_4 alkoxy or -CN; and
each R^7 is independently halogen, C_1-C_4 alkyl, C_1-C_4 alkoxy, C_1-C_4 alkylthio, C_1-C_4 alkylsulfinyl, C_1-C_4 alkylsulfonyl, C_2-C_4 alkylcarbonyl, C_2-C_4 alkoxycarbonyl, C_2-C_5 alkylaminocarbonyl, C_2-C_5 haloalkylcarbonyl, C_2-C_5 haloalkoxycarbonyl, C_2-C_5 haloalkylaminocarbonyl, $-NH_2$, -CN or $-NO_2$; or Q^2.

Embodiment D. A compound of Embodiment C wherein
R^4 is H;
R^5 is C_1-C_4 alkyl optionally substituted with one or more substituents independently selected from R^7;
each R^7 is independently halogen or Q^2; and
each Q^2 is independently phenyl, pyridinyl or thiazolyl.

Embodiment E. A compound of Embodiment D wherein
R^1 is CF_3;
A^1, A^2, A^3, A^4, A^5 and A^6 are each CR^3;
B^2 is CR^2; and
each R^3 is independently H, C_1-C_4 alkyl or -CN.

Embodiment F. A compound of Embodiment E wherein
B^2 is CH;
each R^2 is independently halogen or C_1-C_3 haloalkyl;
R^3 is H;
R^5 is CH_2CF_3 or CH_2-2-pyridinyl; and
n is 0.

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

4-[5-(3,5-dichlorophenyl)-4,5-dihydro-5-(trifluoromethyl)-3-isoxazolyl]-*N*-(2,2,2-trifluoroethyl)-1-naphthalenecarboxamide,
4-[5-(3,5-dichlorophenyl)-4,5-dihydro-5-(trifluoromethyl)-3-isoxazolyl]-*N*-(2-pyridinylmethyl)-1-naphthalenecarboxamide,
4-[5-(3,5-dichlorophenyl)-4,5-dihydro-5-(trifluoromethyl)-3-isoxazolyl]-*N*-(2-pyridinylmethyl)-1-naphthalenecarbothioamide,
4-[5-(3,5-dichlorophenyl)-4,5-dihydro-5-(trifluoromethyl)-3-isoxazolyl]-*N*-ethyl-1-naphthalenecarboxamide,
4-[5-(3,5-dichlorophenyl)-4,5-dihydro-5-(trifluoromethyl)-3-isoxazolyl]-*N*-(2-methoxyethyl)-1-naphthalenecarboxamide,
4-[5-(3,5-dichlorophenyl)-4,5-dihydro-5-(trifluoromethyl)-3-isoxazolyl]-*N*-[2-(2,2,2-trifluoroethyl)-2-oxoethyl]-1-naphthalenecarboxamide,
5-[5-(3,5-dichlorophenyl)-4,5-dihydro-5-(trifluoromethyl)-3-isoxazolyl]-*N*-(2-pyridinylmethyl)-8-quinolinecarboxamide,
5-[5-(3,5-dichlorophenyl)-4,5-dihydro-5-(trifluoromethyl)-3-isoxazolyl]-*N*-(2-pyridinylmethyl)-8-isoquinolinecarboxamide, and
1-[5-(3,5-dichlorophenyl)-4,5-dihydro-5-(trifluoromethyl)-3-isoxazolyl]-*N*-(2-pyridinylmethyl)-4-isoquinolinecarboxamide.

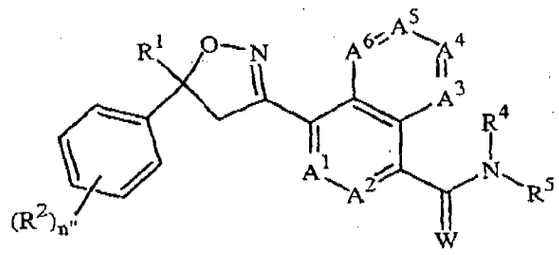
Of note are pecific embodiments include compounds of Formula 1 selected from the group consisting of:

4-[5-(3,5-dichlorophenyl)-4,5-dihydro-5-(trifluoromethyl)-3-isoxazolyl]-*N*-(2,2,2-trifluoroethyl)-1-naphthalenecarboxamide,
4-[5-(3,5-dichlorophenyl)-4,5-dihydro-5-(trifluoromethyl)-3-isoxazolyl]-*N*-(2-pyridinylmethyl)-1-naphthalenecarboxamide,
4-[5-(3,5-dichlorophenyl)-4,5-dihydro-5-(trifluoromethyl)-3-isoxazolyl]-*N*-(2-pyridinylmethyl)-1-naphthalenecarbothioamide,
5-[5-(3,5-dichlorophenyl)-4,5-dihydro-5-(trifluoromethyl)-3-isoxazolyl]-*N*-(2-pyridinylmethyl)-8-quinolinecarboxamide,
5-[5-(3,5-dichlorophenyl)-4,5-dihydro-5-(trifluoromethyl)-3-isoxazolyl]-*N*-(2-pyridinylmethyl)-8-isoquinolinecarboxamide, and
1-[5-(3,5-dichlorophenyl)-4,5-dihydro-5-(trifluoromethyl)-3-isoxazolyl]-*N*-(2-pyridinylmethyl)-4-isoquinolinecarboxamide.

Further specific embodiments include any combination of the compounds of Formula 1 selected from the group immediately above.

Embodiments of the present invention further include:

Embodiment AA. A compound of Formula **1q**, an *N*-oxide, or a salt thereof,



1q

wherein

A^1, A^2, A^3, A^4, A^5 and A^6 are independently selected from the group consisting of CR^3 and N, provided that at most 3 of A^1, A^2, A^3, A^4, A^5 and A^6 are N;

W is O or S;

R^1 is C_1-C_6 alkyl, C_2-C_6 alkenyl, C_2-C_6 alkynyl, C_3-C_6 cycloalkyl, C_4-C_7 alkylcycloalkyl or C_4-C_7 cycloalkylalkyl, each optionally substituted with one or more substituents independently selected from R^6;

each R^2 is independently H, halogen, C_1-C_6 alkyl, C_1-C_6 haloalkyl, C_1-C_6 alkoxy, C_1-C_6 haloalkoxy, C_1-C_6 alkylthio, C_1-C_6 haloalkylthio, C_1-C_6 alkylsulfinyl, C_1-C_6 haloalkylsulfinyl, C_1-C_6 alkylsulfonyl, C_1-C_6 haloalkylsulfonyl, C_1-C_6 alkylamino, C_2-C_6 dialkylamino, C_2-C_4 alkoxycarbonyl, -CN or -NO_2;

each R^3 is independently H, halogen, C_1-C_6 alkyl, C_1-C_6 haloalkyl, C_3-C_6 cycloalkyl, C_3-C_6 halocycloalkyl, C_1-C_6 alkoxy, C_1-C_6 haloalkoxy, C_1-C_6 alkylthio, C_1-C_6 haloalkylthio, C_1-C_6 alkylsulfinyl, C_1-C_6 haloalkylsulfinyl, C_1-C_6 alkylsulfonyl, C_1-C_6 haloalkylsulfonyl, C_1-C_6 alkylamino, C_2-C_6 dialkylamino, -CN or -NO_2;

R^4 is H, C_1-C_6 alkyl, C_2-C_6 alkenyl, C_2-C_6 alkynyl, C_3-C_6 cycloalkyl, C_4-C_7 alkylcycloalkyl, C_4-C_7 cycloalkylalkyl, C_2-C_7 alkylcarbonyl or C_2-C_7 alkoxycarbonyl;

R^5 is H, OR^{10}, $NR^{11}R^{12}$ or Q^1; or C_1-C_6 alkyl, C_2-C_6 alkenyl, C_2-C_6 alkynyl, C_3-C_6 cycloalkyl, C_4-C_7 alkylcycloalkyl or C_4-C_7 cycloalkylalkyl, each optionally substituted with one or more substituents independently selected from R^7; or

R^4 and R^5 are taken together with the nitrogen to which they are attached to form a ring containing 2 to 6 atoms of carbon and optionally one additional atom selected from the group consisting of N, S and O, said ring optionally substituted with 1 to 4 substituents independently selected from the group consisting of C_1-C_2 alkyl, halogen, -CN, -NO_2 and C_1-C_2 alkoxy;

each R^6 is independently halogen, C_1-C_6 alkyl, C_1-C_6 alkoxy, C_1-C_6 alkylthio, C_1-C_6 alkylsulfinyl, C_1-C_6 alkylsulfonyl, -CN or -NO_2;

each R^7 is independently halogen, C_1-C_6 alkyl, C_1-C_6 alkoxy, C_1-C_6 alkylthio, C_1-C_6 alkylsulfinyl, C_1-C_6 alkylsulfonyl, C_1-C_6 alkylamino, C_2-C_8 dialkylamino, C_3-C_6 cycloalkylamino, C_2-C_7 alkylcarbonyl, C_2-C_7 alkoxycarbonyl, C_2-C_7 alkylaminocarbonyl, C_3-C_9 dialkylaminocarbonyl, C_2-C_7 haloalkylcarbonyl,

C_2-C_7 haloalkoxycarbonyl, C_2-C_7 haloalkylaminocarbonyl, C_3-C_9 halodialkylaminocarbonyl, hydroxy, $-NH_2$, -CN or $-NO_2$; or Q^2;

each R^8 is independently halogen, C_1-C_6 alkoxy, C_1-C_6 haloalkoxy, C_1-C_6 alkylthio, C_1-C_6 haloalkylthio, C_1-C_6 alkylsulfinyl, C_1-C_6 haloalkylsulfinyl, C_1-C_6 alkylsulfonyl, C_1-C_6 haloalkylsulfonyl, C_1-C_6 alkylamino, C_2-C_6 dialkylamino, C_2-C_4 alkoxycarbonyl, -CN or $-NO_2$;

each R^9 is independently halogen, C_1-C_6 alkyl, C_1-C_6 haloalkyl, C_3-C_6 cycloalkyl, C_3-C_6 halocycloalkyl, C_1-C_6 alkoxy, C_1-C_6 haloalkoxy, C_1-C_6 alkylthio, C_1-C_6 haloalkylthio, C_1-C_6 alkylsulfinyl, C_1-C_6 haloalkylsulfinyl, C_1-C_6 alkylsulfonyl, C_1-C_6 haloalkylsulfonyl, C_1-C_6 alkylamino, C_2-C_6 dialkylamino, -CN, $-NO_2$, phenyl or pyridinyl;

R^{10} is H; or C_1-C_6 alkyl, C_2-C_6 alkenyl, C_2-C_6 alkynyl, C_3-C_6 cycloalkyl, C_4-C_7 alkylcycloalkyl or C_4-C_7 cycloalkylalkyl, each optionally substituted with one or more halogen;

R^{11} is H, C_1-C_6 alkyl, C_2-C_6 alkenyl, C_2-C_6 alkynyl, C_3-C_6 cycloalkyl, C_4-C_7 alkylcycloalkyl, C_4-C_7 cycloalkylalkyl, C_2-C_7 alkylcarbonyl or C_2-C_7 alkoxycarbonyl;

R^{12} is H; Q^3; or C_1-C_6 alkyl, C_2-C_6 alkenyl, C_2-C_6 alkynyl, C_3-C_6 cycloalkyl, C_4-C_7 alkylcycloalkyl or C_4-C_7 cycloalkylalkyl, each optionally substituted with one or more substituents independently selected from R^7; or

R^{11} and R^{12} are taken together with the nitrogen to which they are attached to form a ring containing 2 to 6 atoms of carbon and optionally one additional atom selected from the group consisting of N, S and O, said ring optionally substituted with 1 to 4 substituents independently selected from the group consisting of C_1-C_2 alkyl, halogen, -CN, $-NO_2$ and C_1-C_2 alkoxy;

Q^1 is a phenyl ring, a 5- or 6-membered heterocyclic ring, or an 8-, 9- or 10-membered fused bicyclic ring system optionally containing one to three heteroatoms selected from up to 1 O, up to 1 S and up to 3 N, each ring or ring system optionally substituted with one or more substituents independently selected from R^8;

each Q^2 is independently a phenyl ring or a 5- or 6-membered heterocyclic ring, each ring optionally substituted with one or more substituents independently selected from R^9;

Q^3 is a phenyl ring or a 5- or 6-membered heterocyclic ring, each ring optionally substituted with one or more substituents independently selected from R^9; and

n" is 1, 2, 3, 4 or 5.

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 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).

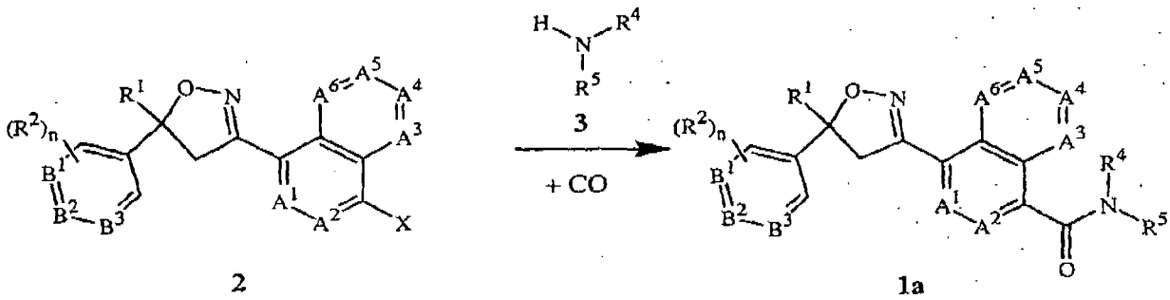
Embodiments of the invention also include a composition comprising a compound of any of the preceding Embodiments, in the form of a soil drench liquid formulation. Embodiments of the invention further include methods for controlling an invertebrate pest comprising contacting the soil with a liquid composition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

One or more of the following methods and variations as described in Schemes 1-12 can be used to prepare the compounds of Formula **1**. The definitions of R^1, R^2, R^4, R^5, A^1, A^2, A^3, A^4, A^5, A^6, B^1, B^2, B^3, n and W in the compounds of Formulae **1**–**15** below are as defined above in the Summary of the Invention unless indicated otherwise. Compounds of Formulae **1a** and **1b** are subsets of the compounds of Formula **1**, compounds of Formulae **12a** – **12c** are subsets of the compounds of Formula **12**, and the compound of Formula **15a** is a compound of Formula **15**.

Compounds of Formula **1a** (Formula **1** wherein W is O) can be prepared by aminocarbonylation of aryl bromides or iodides of Formula **2** wherein X is Br or I, with appropriately substituted amino compounds of Formula **3** as shown in Scheme 1.

Scheme 1



2
X is halides

1a

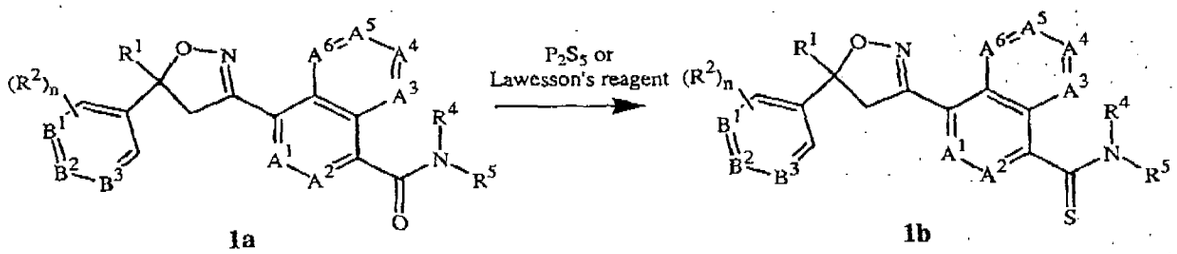
This reaction is typically carried out with an aryl bromide of Formula **2** wherein X is Br in the presence of a palladium catalyst under CO atmosphere. The palladium catalysts used for the present method typically comprises palladium in a formal oxidation state of either 0 (i.e. Pd(0)) or 2 (i.e. Pd(II)). A wide variety of such palladium-containing compounds and complexes are useful as catalysts for the present method. Examples of palladium-containing compounds and complexes useful as catalysts in the method of Scheme 1 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(diphenylphosphino)ferrocene]dichloropalladium(II). The method of Scheme 1 is 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, ethers such as 1,2-dimethoxyethane, amides such as *N*,*N*-dimethylacetamide, and non-halogenated aromatic hydrocarbons such as toluene.

The method of Scheme 1 can be conducted over a wide range of temperatures, ranging from about 25 to about 150 °C. Of note are temperatures from about 60 and about 110 °C, which typically provide fast reaction rates and high product yields. The general methods and procedures for aminocarbonylation with an aryl bromide and an amine are well known in the literature; see, for example, H. Horino et al., *Synthesis* **1989**, 715; and J. J. Li, G. W. Gribble,

editors, *Palladium in Heterocyclic Chemistry: A Guide for the Synthetic Chemist*, **2000**. The method of Scheme 1 is illustrated in Step C of Example 2 and Step E of Example 4.

As shown in Scheme 2, compounds of Formula **1b** (Formula **1** wherein W is S) can be prepared by treatment of corresponding amide compounds of Formula **1a** with a thio transfer reagent, such as P_2S_5 (see for example, E. Klingsberg et al., *J. Am. Chem. Soc.* **1951**, *72*, 4988; E. C. Taylor Jr. et al., *J. Am. Chem. Soc.* **1953**, *75*, 1904; R. Crossley et al., *J. Chem. Soc. Perkin Trans. 1* **1976**, 977) or Lawesson's reagent (2,5-bis(4-methoxyphenyl)-1,3-dithia-2,4-diphosphetane-2,4-disulfide; see, for example, S. Prabhakar et al. *Synthesis*, **1984**, 829).

Scheme 2

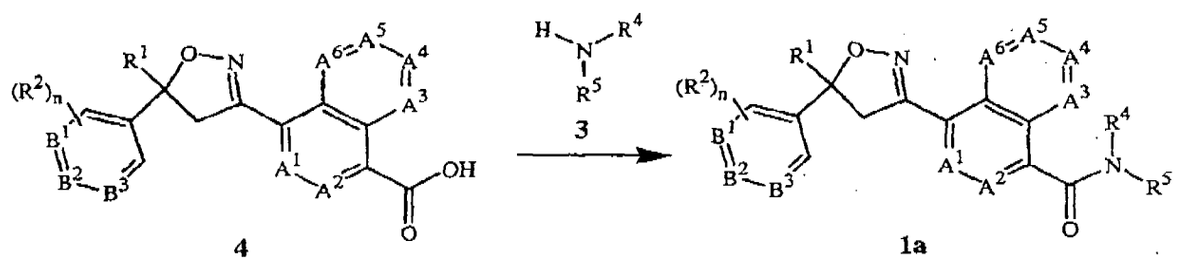


1a **1b**

The method of Scheme 2 can be conducted over a wide range of temperatures, including from about 50 to about 150 °C. Of note are temperatures from about 70 and about 120 °C, which typically provide fast reaction rates and high product yields. The method of Scheme 2 is illustrated in Example 3.

Compounds of Formula **1a** can also be prepared by coupling carboxylic acids of Formula **4** with appropriately substituted amino compounds of Formula **3** as shown in Scheme 3.

Scheme 3

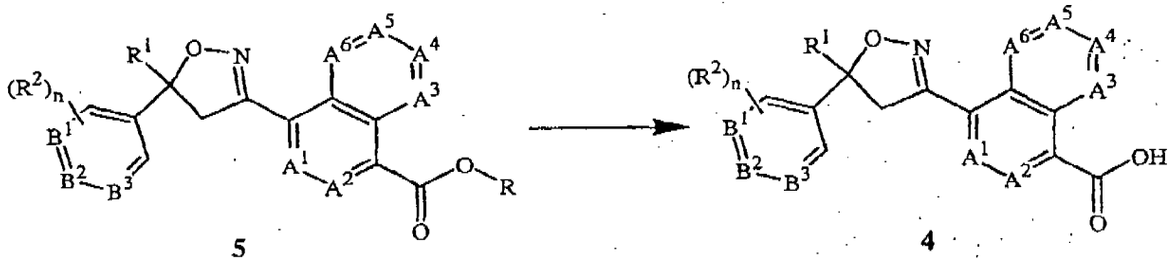


4 **1a**

This reaction is generally carried out in the presence of a dehydrating coupling reagent such as dicyclohexyl carbodiimide, 1-(3-dimethylaminopropyl)-3-ethylcarbodiimide, 1-propanephosphonic acid cyclic anhydride or carbonyl diimidazole in the presence of a base such as triethylamine, pyridine, 4-(dimethylamino)pyridine or *N*,*N*-diisopropylethylamine in an anhydrous aprotic solvent such as dichloromethane or tetrahydrofuran at a temperature typically between room temperature and 70 °C. The method of Scheme 3 is illustrated in Step E of Example 1.

Compounds of Formula **4** can be prepared by hydrolysis of the ester of Formula **5**, wherein R is methyl or ethyl, as shown in Scheme 4.

Scheme 4

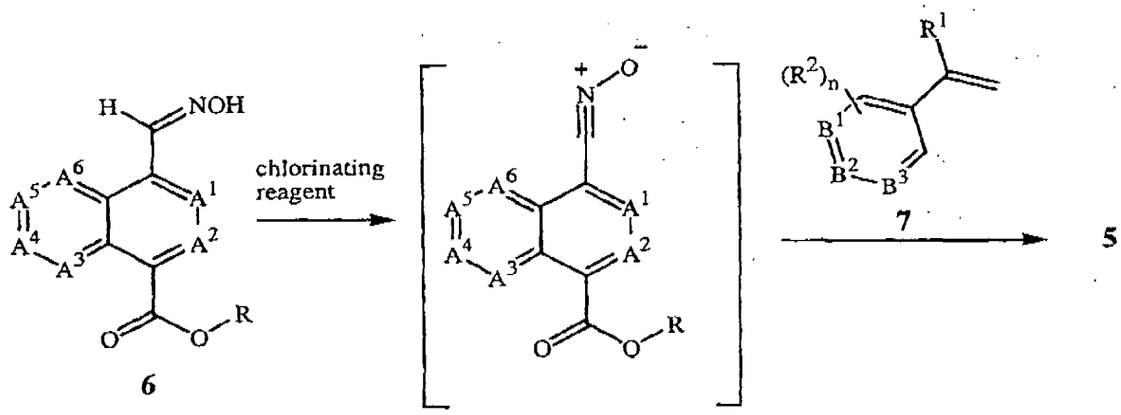


5 **4**

In this method, the ester compound of Formula **5** is converted to the corresponding carboxylic acid of Formula **4** by general procedures well known in the art. For example, treatment of a methyl or ethyl ester of Formula **5** with aqueous lithium hydroxide in tetrahydrofuran, followed by acidification yields the corresponding carboxylic acid of Formula **4**. The method of Scheme 4 is illustrated in Step D of Example 1.

Compounds of Formula **5** can be prepared by the 1,3-dipolar cycloaddition of styrenes of Formula **7** with nitrile oxides derived from oximes of Formula **6** as shown in Scheme 5.

Scheme 5



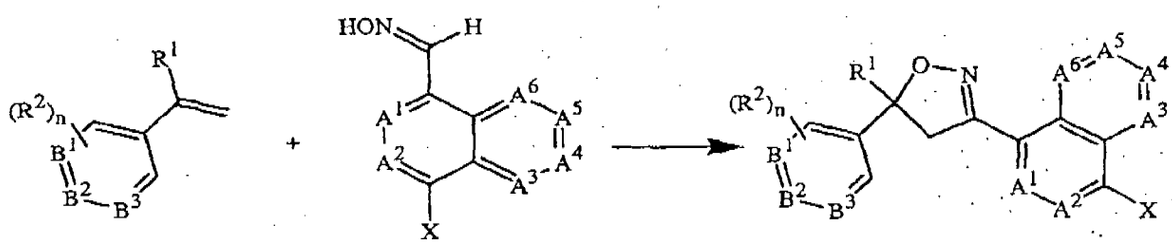
6 **7** **5**

This reaction typically proceeds through the intermediacy of an *in situ* generated hydroxamyl chloride, which is dehydrochlorinated to the nitrile oxide, which then undergoes 1,3-dipolar cycloaddition with the styrene **7** to afford compounds of Formula **5**. In a typical procedure, a chlorinating reagent such as sodium hypochlorite, *N*-chlorosuccinimide, or chloramine-T is combined with the oxime in the presence of the styrene. Depending on the conditions, amine bases such as pyridine or triethylamine may be necessary to facilitate the dehydrochlorination reaction. The reaction can be run in a wide variety of solvents including tetrahydrofuran, diethyl ether, methylene chloride, dioxane, and toluene with temperatures ranging from room temperature to the reflux temperature of the solvent. General procedures for cycloaddition of nitrile oxides with olefins are well documented in

the chemical literature; for example, see Lee, *Synthesis*, **1982,** *6*, 508-509; Kanemasa et al., *Tetrahedron*, **2000,** *56*, 1057-1064; EP 1,538,138-A1, as well as references cited within. The method of Scheme 4 is illustrated in Step C of Example 1.

Compounds of Formula **2** can also be prepared by the 1,3-dipolar cycloaddition of styrenes of Formula **7** with nitrile oxides derived from oximes of Formula **8** as shown in Scheme 6.

Scheme 6

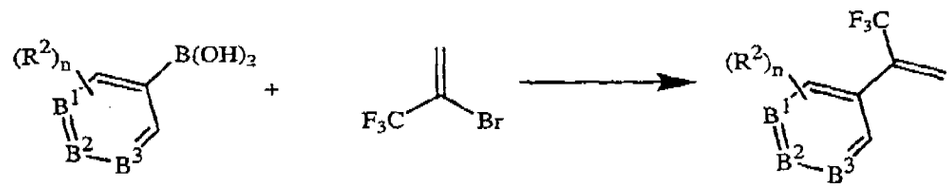


7 **8** **2**

In the method of Scheme 6, the compounds of Formula **2** wherein X is a halogen atom are generated by contacting the compound of Formula **8** with a chlorinating reagent followed by adding a compound of Formula **7**. The method of Scheme 6 is conducted analogously to the method of Scheme 5 already described. The method of Scheme 6 is illustrated in Step B of Example 2, Step D of Example 4 and Step C of Example 5.

An especially useful group of styrenes for the synthesis of compounds of Formula **1** are represented by Formula **7a** as shown in Scheme 7. These intermediates can be prepared by the palladium-catalyzed coupling of an aryl boronic acids of Formula **9** with the commercially available 2-bromo-3,3,3-trifluoropropene (Formula **10**). General procedures for this reaction are documented in the chemical literature; see Pan et al., *J. Fluorine Chemistry*, **1999,** *95*, 167-170. The method of Scheme 7 is illustrated in Step B of Example 1.

Scheme 7

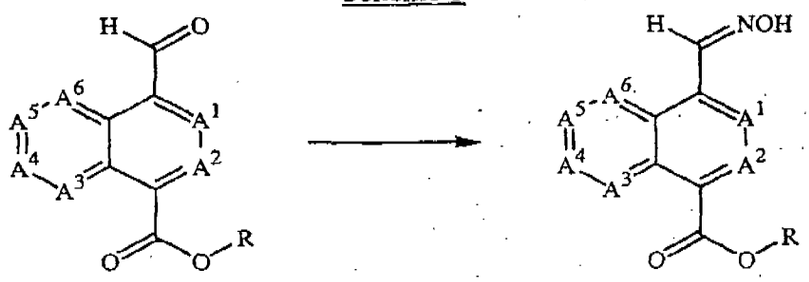


9 **10** **7a**

The oximes of Formula **6** can be prepared by the reaction of aldehydes **11** with hydroxylamine as shown in Scheme 8. For example, see, H. K. Jung et al. *Bioorg. Med. Chem.* **2004,** *12*, 3965.

The aldehydes of Formula **11** can be prepared by a wide variety of methods known in the art; some of the aldehydes are known compounds or commercially available. For example, preparation of the compound of Formula **11** wherein A^1, A^2, A^3, A^4, A^5 and A^6 are CH and R^9 is Me, is disclosed by P. Madenson et al. *J. Med. Chem.* **2002**, *45*, 5755. The method of Scheme 8 is illustrated in Step A of Example 1.

Scheme 8



11 **6**

As shown in Scheme 9, the oximes of Formula **8**, wherein X is a halogen atom, can be prepared from the corresponding aldehydes of Formula **12** analogous to the method of Scheme 8. The method of Scheme 9 is illustrated in Step A of Example 2, Step C of Example 4 and Step B of Example 5.

Scheme 9

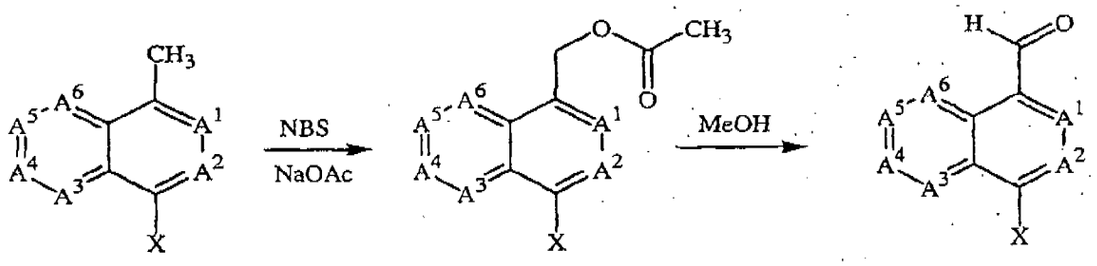
12 **8**

Compounds of Formula **12** are commercially available or known compounds, or they can be prepared by a wide variety of methods known in the art. For example, a compound of Formula **12** can be prepared by direct fomylation of the corresponding aryl halides, see G. E. Boswell et al. *J. Org. Chem.* **1995**, *65*, 6592; or by reduction of the corresponding aryl esters, see references P. R. Bernstein et al. *Bioorg. Med. Chem. Lett.* **2001**, 2769 and L. W. Deady et al. *Aust. J. Chem.* **1989**, *42*, 1029.

For a specific example, as shown in Scheme 10, aldehydes of Formula **12** can be prepared from the corresponding methyl-substitituted compounds of Formula **13** (wherein X is halogen) by reacting with *N*-bromosuccinimide (NBS) in the presence of 2,2'-azobis(2-methylpropionitrile) (AIBN) and sodium acetate to give acetates of Formula **14**, which are

then converted to the aldehydes of Formula **12** by esterification and oxidation. The method of Scheme 10 is illustrated in Example 4, Steps A and B.

Scheme 10

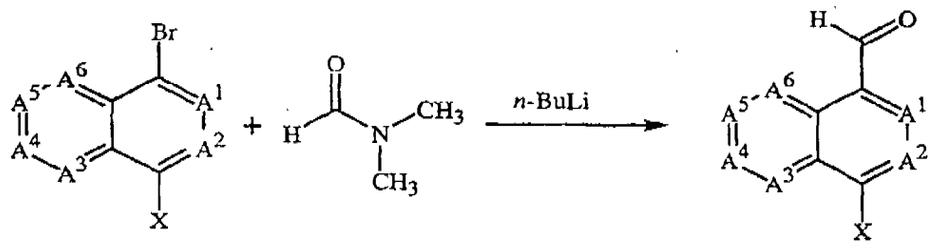


13 **14** **12**

The compounds of Formula **13** are commercially available or known compounds, or they can be prepared by a wide variety of methods known in the art. For example, a compound of Formula **13**, wherein A^3 is N, A^1, A^2, A^4, A^5 and A^6 are CH, can be prepared as disclosed in *Molecules*, **2004**, *9*, 178.

An alternative method for preparing aldehydes of Formula **12** (wherein X is a halogen atom) is shown in Scheme 11. The formyl group of Formula **12** can be introduced to the 10-membered aromatic ring system by displacing the bromo substituent of a compound of Formula **15**. For references of this general method, see *Synthesis*, **2006**, 293 and *Bioorg. Med. Chem.* **2004**, *12*, 715. The method of Scheme 11 is illustrated in Step A of Example 5.

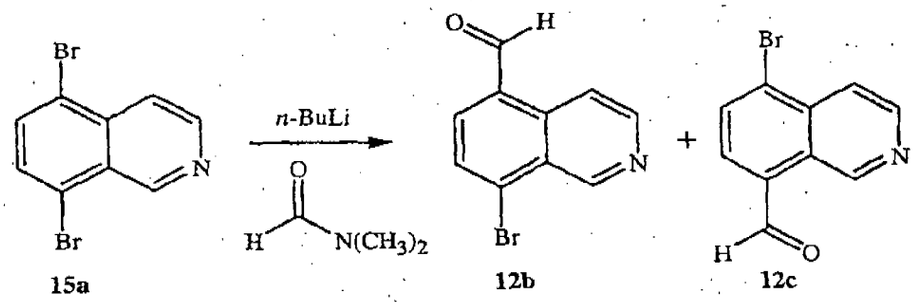
Scheme 11



15 **12**

As shown in Scheme 12, aldehydes of Formulae **12b** and **12c** can be prepared from 5,8-dibromoisoquinoline (Formula **15a**) by treating the compound of Formula **15a** with *n*-BuLi at -78 °C and quenching with *N,N*-dimethylformamide.

Scheme 12



The compound of Formula **15a** can be prepared by the method disclosed in *Synthesis*, **2002**, 83; ; see, for example, or by the method of G. E. Boswell et al. *J. Org. Chem.* **1995**, *65*, 6592. Alternatively, aryl aldehydes of Formula **12** can be prepared by a wide variety of other methods known in the art, e.g., by reduction of the corresponding aryl esters, see references P. R. Bernstein et al. *Bioorg. Med. Chem. Lett.* **2001**, 2769 and L. W. Deady et al. *Aust. J. Chem.* **1989**, *42*, 1029.

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

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

Without further elaboration, it is believed that one skilled in the art using the preceding description can utilize the present invention to its fullest extent. The following Examples are, therefore, to be construed as merely illustrative, and not limiting of the disclosure in any way whatsoever. ^{1}H NMR spectra are reported in ppm downfield from tetramethylsilane; "s" means singlet, "d" means doublet, "t" means triplet, "m" means multiplet, "dd" means doublet of doublets, and "br s" means broad singlet.

EXAMPLE 1

Preparation of 4-[5-(3,5-dichlorophenyl)-4,5-dihydro-5-(trifluoromethyl)-3-isoxazolyl]-*N*-(2,2,2-trifluoroethyl)-1-naphthalenecarboxamide

Step A: Preparation of methyl 4-[(hydroxyimino)methyl]-1-naphthalenecarboxylate

To a stirred solution of methyl 4-formyl-1-naphthalenecarboxylate (2.2 g, 10.3 mmol) in methanol (50 mL) was added a solution of hydroxylamine (1.33 mL, 50% in water). After stirring at room temperature for 2 h, the reaction mixture was concentrated under reduced pressure to provide the title compound as a pale yellow solid (2.55 g).

^{1}H NMR ($CDCl_3$): 8.93 (d, 1H), 8.86 (s, 1H), 8.41 (d, 1H), 8.14 (d, 1H), 7.82 (d, 1H), 7.63 (m, 2H), 4.02 (s, 3H).

Step B: Preparation of 1,3-dichloro-5-[1-(trimethylfluoromethyl)ethenyl]benzene

To a mixture of tetrahydrofuran (33 mL), 1,2-dimethoxyethane (33 mL), and 4 N aqueous potassium hydroxide (33 mL) in a 200 mL Fisher-Porter sealed tube was added 3,5-dichlorophenylboronic acid (8.72 g, 45.7 mmol) and 2-bromo-3,3,3-trifluoropropene (10.0 g, 57.2 mmol), followed by the addition of tetrakis(triphenylphosphine)palladium (0) (264 mg, 0.229 mmol). Then the mixture was heated to 75 °C for 3 h. The reaction mixture was partitioned between diethyl ether and water. The aqueous extract was washed with diethyl ether (2 x 20 mL). The organic extracts were combined, dried ($MgSO_4$), and concentrated under reduced pressure. The residue was purified by silica gel chromatography using hexanes/ethyl acetate as eluent to afford the title compound as a clear oil (4.421 g).

^{1}H NMR ($CDCl_3$): δ 7.41 (s, 2H), 7.33 (s, 1H), 6.04 (d, 1H), 5.82 (d, 1H).

Step C: Preparation of methyl 4-[5-(3,5-dichlorophenyl)-4,5-dihydro-5-(trifluoromethyl)-3-isoxazolyl]-1-naphthalenecarboxylate

To a stirred solution of methyl 4-[(hydroxyimino)methyl]-1-naphthalenecarboxylate (i.e. the product from Step A) (1.0 g, 4.36 mmol) in *N,N*-dimethylformamide (5.0 mL) was added *N*-chlorosuccinimide (1.16 g, 8.72 mmol). This mixture was stirred for 1.5 h at room temperature, and then a solution of 1,3-dichloro-5-[1-(trifluoromethyl)ethenyl]benzene (i.e. the product from Step B) (3.20 g, 13.1 mmol) and triethylamine (6.1 mL, 43.6 mmol) in *N,N*-dimethylformamide (4.0 mL) was added. After stirring for additional 2 h at room temperature, the reaction mixture was diluted with water and extracted with ethyl acetate. The organic layer was washed with brine, dried (Na_2SO_4), and concentrated under reduced pressure. The residue was purified by silica gel chromatography using hexanes/ethyl acetate as eluent to afford the title compound as a pale yellow oil (700 mg, 34% yield).

^{1}H NMR ($CDCl_3$): 8.88 (d, 1H), 8.80 (d, 1H), 8.10 (d, 1H), 7.68 (m, 2H), 7.55 (m, 3H), 7.46 (dd, 1H), 4.27 (d, 1H), 4.03 (s, 3H), 3.91 (d, 1H).

Step D: Preparation of 4-[5-(3,5-dichlorophenyl)-4,5-dihydro-5-(trifluoromethyl)-3-isoxazolyl]-1-naphthalenecarboxylic acid

To a stirred solution of methyl 4-[5-(3,5-dichlorophenyl)-4,5-dihydro-5-(trifluoromethyl)-3-isoxazolyl]-1-naphthalenecarboxylate (i.e. the product from Step C) (650 mg, 1.39 mmol) in tetrahydrofuran (10 mL) was added a solution of lithium hydroxide monohydrate (350 mg, 8.34 mmol) in water (10 mL), followed by methanol (10 mL). The resulting mixture was stirred overnight at room temperature. The reaction mixture was partitioned between water and diethyl ether. Then the aqueous layer was acidified with 6 N aqueous hydrochloric acid to pH 2 and extracted with ethyl acetate. The combined organic layers were washed with brine, dried and concentrated to provide the title compound as a white solid (450 mg).

^{1}H NMR ($CDCl_3$): 9.08 (d, 1H), 8.80 (d, 1H), 8.31 (d, 1H), 7.71 (m, 2H), 7.57 (m, 3H), 7.46 (dd, 1H), 4.28 (d, 1H), 3.91 (d, 1H).

Step E: Preparation of 4-[5-(3,5-dichlorophenyl)-4,5-dihydro-5-(trifluoromethyl)-3-isoxazolyl]-*N*-(2,2,2-trifluoroethyl)-1-naphthalenecarboxamide

A mixture of 4-[5-(3,5-dichlorophenyl)-4,5-dihydro-5-(trifluoromethyl)-3-isoxazolyl]-1-naphthalenecarboxylic acid (i.e. the product from Step C) (190 mg, 0.42 mmol), 4-(dimethylamino)pyridine (77 mg, 0.63 mmol), propylphosphonic anhydride (0.38 mL, 0.63 mmol, 50% in ethyl acetate) and 2,2,2-trifluoroethylamine (0.033 mL, 0.42 mL) in dichloromethane (5 mL) was stirred at room temperature overnight. The reaction mixture was concentrated, and the residue was purified by column chromatography on silica gel using hexanes/ethyl acetate as eluent to give the title product, a compound of the present invention, as a white solid (71 mg).

^{1}H NMR ($CDCl_3$): 8.78 (d, 1H), 8.18 (d, 1H), 7.63 (m, 2H), 7.56 (m, 2H), 7.52 (d, 1H), 7.46 (m, 1H), 7.44 (d, 1H), 6.41 (t, 1H), 4.23 (d, 1H), 4.20 (m, 2H), 3.87 (d, 1H).

EXAMPLE 2

Preparation of 4-[5-(3,5-dichlorophenyl)-4,5-dihydro-5-(trifluoromethyl)-3-isoxazolyl]-*N*-(2-pyridinylmethyl)-1-naphthalenecarboxamide

Step A: Preparation of 4-bromo-1-naphthalenecarboxylate oxime

To a stirred solution of 4-bromo-1-naphthalenecarboxaldehyde (3.7 g, 15.7 mmol) in ethanol (30 mL) was added an aqueous solution of hydroxylamine (1.25 mL, 50% in water). After stirring at room temperature for 3 h, the reaction mixture was concentrated under reduced pressure to provide the title compound as a pale yellow solid (3.8 g).

^{1}H NMR (DMSO-d_6): 11.60 (s, 1H), 8.81 (s, 1H), 8.71 (d, 1H), 8.24 (d, 1H), 7.95 (d, 1H), 7.74 (m, 3H).

Step B: Preparation of 3-(4-bromo-1-naphthalenyl)-5-(3,5-dichlorophenyl)-4,5-dihydro-5-(trifluoromethyl)isoxazole

To a stirred solution of 4-bromo-1-naphthalenecarboxylate oxime (i.e. the product from Step A) (2.33 g, 9.3 mmol) in *N*,*N*-dimethylformamide (6.0 mL) was added *N*-chlorosuccinimide (1.70 g, 12.7 mmol). The reaction mixture was stirred for 1 h at room

temperature, and then a solution of 1,3-dichloro-5-[1-(trifluoromethyl)ethenyl]benzene (i.e. the product from Step B of Example 1) (2.70 g, 11.2 mmol) and triethylamine (4.5 mL, 32.0 mmol) in *N,N*-dimethylformamide (9.0 mL) was added. After stirring for additional 2 h at room temperature, the reaction mixture was diluted with water and extracted with ethyl acetate. The organic layer was washed with brine, dried (Na_2SO_4), and concentrated under reduced pressure. The residue was purified by silica gel column chromatography using hexanes/ethyl acetate as eluent to afford the title compound as a white solid (2.9 g, 64% yield).

^{1}H NMR ($CDCl_3$): 8.87 (m, 1H), 8.32 (m, 1H), 7.77 (d, 1H), 7.66 (m, 2H), 7.55 (s, 2H), 7.46 (dd, 1H), 7.32 (d, 1H), 4.24 (d, 1H), 3.88 (d, 3H).

Step C: Preparation of 4-[5-(3,5-dichlorophenyl)-4,5-dihydro-5-(trifluoromethyl)-3-isoxazolyl]-*N*-(2-pyridinylmethyl)-1-naphthalenecarboxamide

A mixture of 3-(4-bromo-1-naphthalenyl)-5-(3,5-dichlorophenyl)-4,5-dihydro-5-(trifluoromethyl) isoxazole (i.e. the product from Step B) (1.0 g, 2.04 mmol), [1,1'-bis(diphenylphosphino)ferrocene]dichloropalladium(II) ($PdCl_2$(dppf)) (0.22 g, 0.30 mmol), 2-(aminomethyl)pyridine (0.86 g, 7.96 mmol) and triethylamine (5.6 mL, 40 mmol) in toluene (15 mL) was purged with carbon monoxide for 15 minutes. Then the reaction vial was maintained with carbon monoxide using a balloon. The reaction mixture was stirred at 70 °C under carbon monoxide atmosphere overnight. The mixture was cooled to room temperature, filtered through a short pad of Celite® diatomaceous filter aid and rinsed with small amount of ethyl acetate. The filtrate was concentrated, and the residue was purified by column chromatography on silica gel using hexanes/ethyl acetate as eluent to provide the title product, a compound of the present invention, as a white solid (0.72 g, 65% yield).

^{1}H NMR ($CDCl_3$): 8.81 (d, 1H), 8.55 (d, 1H), 8.38 (d, 1H), 7.80-7.27 (m, 10H), 4.89 (d, 2H), 4.22 (d, 1H), 3.86 (d, 1H).

EXAMPLE 3

Preparation of 4-[5-(3,5-dichlorophenyl)-4,5-dihydro-5-(trifluoromethyl)-3-isoxazolyl]-*N*-(2-pyridinylmethyl)-1-naphthalenecarbothioamide

A mixture of 4-[5-(3,5-dichlorophenyl)-4,5-dihydro-5-(trifluoromethyl)-3-isoxazolyl]-*N*-(2-pyridinylmethyl)-1-naphthalenecarboxamide (i.e. the product from Example 2) (40 mg, 0.073 mmol) and 2,5-bis(4-methoxyphenyl)-1,3-dithia-2,4-diphosphetane-2,4-disulfide (Lawesson's reagent) (18 mg, 0.044 mmol) in toluene (2 mL) was heated at reflux for 2 h. The reaction mixture was cooled to room temperature, and directly purified by silica gel column chromatography using hexanes/ethyl acetate as eluent to provide the title product, a compound of the present invention, as a yellow solid (29 mg, 71% yield).

^{1}H NMR ($CDCl_3$): 9.41 (br s 1H), 8.91 (dd, 1H), 8.70 (dd, 1H), 8.46 (d, 1H), 8.21 (d, 1H), 7.75 (dt, 1H), 7.64 (d, 1H), 7.57 (s, 2H), 7.47 (dd, 1H), 7.43 (t, 1H), 7.38 (d, 1H), 7.24 (dd, 1H), 5.14 (d, 2H), 4.68 (d, 1H), 4.39 (d, 1H).

EXAMPLE 4

Preparation of 5-[5-(3,5-dichlorophenyl)-4,5-dihydro-5-(trifluoromethyl)-3-isoxazolyl]-*N*-(2-pyridinylmethyl)-8-quinolinecarboxamide

Step A: Preparation of (8-bromo-5-quinolinyl)methyl acetate

A mixture of 8-bromo-5-methylquinoline (5.4 g, 24.3 mmol), *N*-bromosuccinimide (5.2 g, 29.2 mmol), and 2,2'-azobis(2-methylpropionitrile) (AIBN) (0.40 g, 24.3 mmol) in carbon tetrachloride (80 mL) was heated at reflux for 3 h under nitrogen atmosphere. The reaction mixture was cooled to room temperature and filtered, using hexane for rinsing. The filtrate was concentrated under reduced pressure. The residue was dissolved in *N,N*-dimethylformamide (50 mL), and then sodium acetate (4.0 g, 48.8 mmol) was added. The resulting mixture was stirred at 100 °C for 2 h under nitrogen atmosphere. The reaction mixture was cooled to room temperature, diluted with water and extracted with a mixture of ethyl acetate and hexane (3:7). The organic layer was washed with brine, dried (Na_2SO_4), and concentrated under reduced pressure. The crude product was purified by column chromatography on silica gel using hexanes/ethyl acetate as eluent to afford the title product as a pale yellow solid (4.8 g).

Step B: Preparation of 8-bromo-5-quinolinecarboxaldehyde

A mixture of the (8-bromo-5-quinolinyl)methyl acetate (i.e. the product from Step A) and methanol (50 mL) was heated at reflux for 1 h in the presence of trace amount of potassium carbonate (10 mg). Then the reaction mixture was cooled to room temperature and concentrated under reduced pressure to provide the corresponding alcohol in quantitative yield as a pale yellow solid.

To a stirred solution of the crude alcohol (2.0 g, 8.3 mmol) in dichloromethane (60 mL) was added slowly 1,1,1-tris(acetoxy)-1,1-dihydro-1,2-benziodoxol-3(1*H*)-one (Dess-Martin periodinane) (4.0 g, 9.4 mmol) at room temperature. After stirring for 0.5 h, the reaction mixture was diluted with dichloromethane, washed with saturated aqueous sodium bicarbonate and brine, dried (Na_2SO_4), and concentrated under reduced pressure. The crude product was purified by column chromatography on silica gel using hexanes/ethyl acetate as eluent to afford the title product as a white solid (1.8 g).

^{1}H NMR ($CDCl_3$): 10.31 (s, 1H), 9.65 (dd, 1H), 9.12 (dd, 1H), 8.26 (d, 1H), 7.88 (d, 1H), 7.66 (dd, 1H).

Step C: Preparation of 8-bromo-5-quinolinecarboxaldehyde oxime

To a stirred solution of 8-bromo-5-quinolinecarboxaldehyde (i.e. the product from Step B) (1.7 g, 7.1 mmol) in ethanol (30 mL) was added an aqueous solution of hydroxylamine (0.7 mL, 50% in water). After stirring at room temperature for 2 h, the reaction mixture was concentrated under reduced pressure to provide the title compound as a pale yellow solid (1.8 g).

^{1}H NMR (DMSO-d_6): 11.61 (s, 1H), 9.16 (dd, 1H), 9.07 (dd, 1H), 8.79 (s, 1H), 8.20 (d, 1H), 7.79 (d, 1H), 7.72 (dd, 1H).

Step D: Preparation of 8-bromo-5-[5-(3,5-dichlorophenyl)-4,5-dihydro-5-(trifluoromethyl)-3-isoxazolyl]quinoline

To a stirred solution of 8-bromo-5-quinolinecarboxaldehyde oxime (i.e. the product from Step C) (1.7 g, 6.8 mmol) in *N,N*-dimethylformamide (13.0 mL) was added *N*-chlorosuccinimide (1.24 g, 9.3 mmol). The reaction mixture was stirred for 1 h at room temperature, and then a solution of 1,3-dichloro-5-[1-(trifluoromethyl)ethenyl]benzene (i.e. the product from Example 1, Step B) (1.96 g, 8.1 mmol) and triethylamine (2.86 mL, 20.4 mmol) in *N,N*-dimethylformamide (7.0 mL) was added. After stirring for additional 12 h at room temperature, the reaction mixture was diluted with water and extracted with ethyl acetate. The organic layer was washed with brine, dried (Na_2SO_4), and concentrated under reduced pressure. The residue was purified by column chromatography on silica gel using hexanes/ethyl acetate as eluent to afford the title compound as a white solid (2.0 g, 61% yield).

^{1}H NMR ($CDCl_3$): 9.39 (dd, 1H), 9.08 (dd, 1H), 8.05 (d, 1H), 7.59 (dd, 1H), 7.55 (s, 2H), 7.44 (t, 1H), 7.40 (d, 1H), 4.27 (d, 1H), 3.92 (d, 1H).

Step E: Preparation of 5-[5-(3,5-dichlorophenyl)-4,5-dihydro-5-(trifluoromethyl)-3-isoxazolyl]-*N*-(2-pyridinylmethyl)-8-quinolinecarboxamide

A mixture of 8-bromo-5-[5-(3,5-dichlorophenyl)-4,5-dihydro-5-(trifluoromethyl)-3-isoxazolyl]quinoline (i.e. the product from Step D) (500 mg, 1.0 mmol), [1,1'-bis(diphenylphosphino)ferrocene]dichloropalladium(II) ($PdCl_2$(dppf)) (75 mg, 0.10 mmol), 2-(aminomethyl)pyridine (0.43 mL, 4.0 mmol) and triethylamine (2.8 mL, 20 mmol) in toluene (10 mL) was purged with carbon monoxide for 15 minutes. Then the reaction vial was maintained with carbon monoxide using a balloon. The reaction mixture was stirred at 70 °C under carbon monoxide atmosphere overnight. The mixture was cooled to room temperature, filtered through a short pad of Celite® diatomaceous filter aid and rinsed with small amount of ethyl acetate. The filtrate was concentrated, and the residue was purified by column chromatography on silica gel using hexanes/ethyl acetate as eluent to provide the title product, a compound of the present invention, as a brown foamy solid (60 mg, 11% yield).

^{1}H NMR ($CDCl_3$): 12.02 (br s 1H), 9.52 (d, 1H), 9.01 (s, 1H), 8.88 (d, 1H), 8.62 (d, 1H), 7.60-7.74 (m, 3H), 7.56 (s, 2H), 7.45 (br s 2H), 7.20 (dd, 1H), 4.96 (d, 2H), 4.32 (d, 1H), 3.98 (d, 1H).

EXAMPLE 5

Preparation of 5-[5-(3,5-dichlorophenyl)-4,5-dihydro-5-(trifluoromethyl)-3-isoxazolyl]-*N*-(2-pyridinylmethyl)-8-isoquinolinecarboxamide

Step A: Preparation of 8-bromo-5-isoquinolinecarboxaldehyde and 5-bromo-8-isoquinolinecarboxaldehyde

To a stirred mixture of 5,8-dibromoisoquinoline (4.0 g, 13.9 mmol) in tetrahydrofuran (120 mL) at -78 °C under nitrogen atmosphere was added dropwise a solution of *n*-butyllithium (2.3 M in hexane, 7.3 mL, 16.8 mmol). The reaction mixture turned dark. After stirring for 15 minutes, the reaction mixture was quenched by adding *N,N*-dimethylformamide (4.0 mL). After stirring at –78 °C for an additional 1 h, the reaction mixture was quenched with water, extracted with mixture of ethyl acetate/hexane (2:8), washed with water and brine, dried (Na_2SO_4), and concentrated under reduced pressure. The residue was purified by column chromatography on silica gel using hexanes/ethyl acetate as eluent to afford the 8-bromo-5-isoquinolinecarboxaldehyde (0.10 g), followed by 5-bromo-8-isoquinolinecarboxaldehyde (1.0 g) as white solids.

^{1}H NMR ($CDCl_3$) of 8-bromo-5-isoquinolinecarboxaldehyde: 10.36 (s, 1H), 9.72 (s, 1H), 9.00 (d, 1H), 8.79 (d, 1H), 8.04 (d, 1H), 8.01 (dd, 1H); and

^{1}H NMR ($CDCl_3$) of 5-bromo-8-isoquinolinecarboxaldehyde: 10.57 (s, 1H), 10.41 (s, 1H), 8.81 (d, 1H), 8.18 (d, 1H), 8.11 (d, 1H), 7.94 (d, 1H).

Step B: Preparation of 8-bromo-5-isoquinolinecarboxaldehyde oxime

To a stirred solution of 8-bromo-5-isoquinolinecarboxaldehyde (i.e. a product from Step A) (75 mg, 0.3 mmol) in ethanol (7 mL) was added an aqueous solution of hydroxylamine (0.5 mL, 50% in water). After stirring at room temperature overnight, the reaction mixture was concentrated under reduced pressure to provide the title compound as a yellow solid (70 mg).

^{1}H NMR (DMSO-d_6): 11.75 (s, 1H), 9.55 (s, 1H), 8.78 (s, 1H), 8.71 (d, 1H), 8.59 (d, 1H), 8.07 (d, 1H), 7.96 (d, 1H).

Step C: Preparation of 8-bromo-5-[5-(3,5-dichlorophenyl)-4,5-dihydro-5-(trifluoromethyl)-3-isoxazolyl]isoquinoline

To a stirred solution of 8-bromo-5-isoquinolinecarboxaldehyde oxime (i.e. the product from Step B) (70 mg, 0.28 mmol) in *N,N*-dimethylformamide (2.0 mL) was added *N*-chlorosuccinimide (64 g, 0.48 mmol). The reaction mixture was stirred for 0.5 h at room temperature, and then a solution of 1,3-dichloro-5-[1-(trifluoromethyl)ethenyl]benzene (135 mg, 0.56 mmol) (i.e. the product from Example 1, Step B) and triethylamine (0.12 mL, 0.86 mmol) in *N,N*-dimethylformamide (1.5 mL) was added. After stirring for an additional 12 h at room temperature, the reaction mixture was diluted with water and extracted with ethyl acetate. The organic layer was washed with brine, dried (Na_2SO_4), and concentrated under reduced pressure. The residue was purified by silica gel column chromatography using hexanes/ethyl acetate as eluent to afford the title compound (20 mg) contaminated with some impurity.

Step D: Preparation of 5-[5-(3,5-dichlorophenyl)-4,5-dihydro-5-(trifluoromethyl)-3-isoxazolyl]-*N*-(2-pyridinylmethyl)-8-isoquinolinecarboxamide

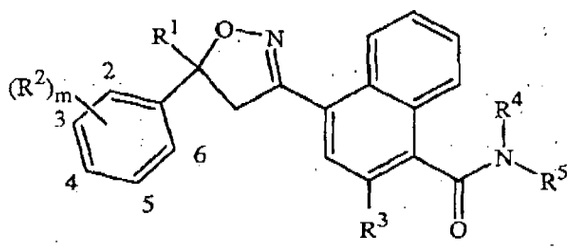
A mixture of 8-bromo-5-[5-(3,5-dichlorophenyl)-4,5-dihydro-5-(trifluoromethyl)-3-isoxazolyl]isoquinoline (i.e. the product from Step C) (20 mg, 0.04 mmol), [1,1'-

bis(diphenylphosphino)ferrocene]dichloropalladium(II) ($PdCl_2$(dppf)) (6 mg, 0.008 mmol), 2-(aminomethyl)pyridine (17 mg, 0.16 mmol) and triethylamine (0.1 mL, 0.7 mmol) in toluene (2 mL) was purged with carbon monoxide for 15 minutes. Then the reaction vial was maintained with carbon monoxide using a balloon. The reaction mixture was stirred at 70 °C under carbon monoxide atmosphere overnight. The mixture was cooled to room temperature, filtered through a short pad of Celite® diatomaceous filter and rinsed with small amount of ethyl acetate. The filtrate was concentrated and the residue was purified by column chromatography on silica gel using hexanes/ethyl acetate as eluent to provide the title product, a compound of the present invention, as a pale white solid (15 mg).

^{1}H NMR ($CDCl_3$): 9.77 (s, 1H), 8.80 (d, 1H), 8.70 (d, 1H), 8.52 (s, 1H), 7.81-7.23 (m, 9H), 4,88 (d, 2H), 4.28 (d, 1H), 3.92 (d, 1H).

By the procedures described herein together with methods known in the art, the following compounds of Tables 1 to 9 can be prepared. The following abbreviations are used in the Tables which follow: -CN means cyano, Ph means phenyl, Py means pyridinyl, Me means methyl, Et means ethyl and *i*-Pr means isopropyl.

Table 1



wherein m is 1, 2, 3, 4 or 5.

R^1	$(R^2)_m$	R^3	R^4	R^5	R^1	$(R^2)_m$	R^3	R^4	R^5
CF_3	H	H	H	CH_2CF_3	CF_3	H	Me	H	CH_2CF_3
CF_3	2-Cl	H	H	CH_2CF_3	CF_3	2-Cl	Me	H	CH_2CF_3
CF_3	3-Cl	H	H	CH_2CF_3	CF_3	3-Cl	Me	H	CH_2CF_3
CF_3	4-Cl	H	H	CH_2CF_3	CF_3	4-Cl	Me	H	CH_2CF_3
CF_3	2-Cl, 4-Cl	H	H	CH_2CF_3	CF_3	2-Cl, 4-Cl	Me	H	CH_2CF_3
CF_3	3-Cl, 4-Cl	H	H	CH_2CF_3	CF_3	3-Cl, 4-Cl	Me	H	CH_2CF_3
CF_3	3-Cl, 5-Cl	H	H	CH_2CF_3	CF_3	3-Cl, 5-Cl	Me	H	CH_2CF_3
CF_3	2-F	H	H	CH_2CF_3	CF_3	2-F	Me	H	CH_2CF_3
CF_3	3-F	H	H	CH_2CF_3	CF_3	3-F	Me	H	CH_2CF_3
CF_3	4-F	H	H	CH_2CF_3	CF_3	4-F	Me	H	CH_2CF_3
CF_3	2-F, 4-F	H	H	CH_2CF_3	CF_3	2-F, 4-F	Me	H	CH_2CF_3
CF_3	3-F, 4-F	H	H	CH_2CF_3	CF_3	3-F, 4-F	Me	H	CH_2CF_3
CF_3	3-F, 5-F	H	H	CH_2CF_3	CF_3	3-F, 5-F	Me	H	CH_2CF_3
CF_3	3-CF_3	H	H	CH_2CF_3	CF_3	3-CF_3	Me	H	CH_2CF_3

R^1	$(R^2)_m$	R^3	R^4	R^5
CF_3	4-CF_3	H	H	CH_2CF_3
CF_3	3-CF_3, 5-CF_3	H	H	CH_2CF_3
CF_3	3-Cl, 5-CF_3	H	H	CH_2CF_3
CF_3	3-Cl, 4-CF_3	H	H	CH_2CF_3
CF_3	3-Cl, 4-Br	H	H	CH_2CF_3
CF_3	3-Br, 5-Br	H	H	CH_2CF_3
CF_3	3-Br, 4-Br	H	H	CH_2CF_3
CF_3	3-Br	H	H	CH_2CF_3
CF_3	4-Br	H	H	CH_2CF_3
CF_3	3-I	H	H	CH_2CF_3
CF_3	4-I	H	H	CH_2CF_3
CF_3	3-CN	H	H	CH_2CF_3
CF_3	4-CN	H	H	CH_2CF_3
CF_3	3-Me	H	H	CH_2CF_3
CF_3	4-Me	H	H	CH_2CF_3
CF_3	3-OMe	H	H	CH_2CF_3
CF_3	4-OMe	H	H	CH_2CF_3
CF_3	3-OCF_3	H	H	CH_2CF_3
CF_3	4-OCF_3	H	H	CH_2CF_3
CF_3	H	Cl	H	CH_2CF_3
CF_3	2-Cl	Cl	H	CH_2CF_3
CF_3	3-Cl	Cl	H	CH_2CF_3
CF_3	4-Cl	Cl	H	CH_2CF_3
CF_3	2-Cl, 4-Cl	Cl	H	CH_2CF_3
CF_3	3-Cl, 4-Cl	Cl	H	CH_2CF_3
CF_3	3-Cl, 5-Cl	Cl	H	CH_2CF_3
CF_3	2-F	Cl	H	CH_2CF_3
CF_3	3-F	Cl	H	CH_2CF_3
CF_3	4-F	Cl	H	CH_2CF_3
CF_3	2-F, 4-F	Cl	H	CH_2CF_3
CF_3	3-F, 4-F	Cl	H	CH_2CF_3
CF_3	3-F, 5-F	Cl	H	CH_2CF_3
CF_3	3-CF_3	Cl	H	CH_2CF_3
CF_3	4-CF_3	Cl	H	CH_2CF_3
CF_3	3-CF_3, 5-CF_3	Cl	H	CH_2CF_3
CF_3	3-Cl, 5-CF_3	Cl	H	CH_2CF_3
CF_3	3-Cl, 4-CF_3	Cl	H	CH_2CF_3

R^1	$(R^2)_m$	R^3	R^4	R^5
CF_3	4-CF_3	Me	H	CH_2CF_3
CF_3	3-CF_3, 5-CF_3	Me	H	CH_2CF_3
CF_3	3-Cl, 5-CF_3	Me	H	CH_2CF_3
CF_3	3-Cl, 4-CF_3	Me	H	CH_2CF_3
CF_3	3-Cl, 4-Br	Me	H	CH_2CF_3
CF_3	3-Br, 5-Br	Me	H	CH_2CF_3
CF_3	3-Br, 4-Br	Me	H	CH_2CF_3
CF_3	3-Br	Me	H	CH_2CF_3
CF_3	4-Br	Me	H	CH_2CF_3
CF_3	3-I	Me	H	CH_2CF_3
CF_3	4-I	Me	H	CH_2CF_3
CF_3	3-CN	Me	H	CH_2CF_3
CF_3	4-CN	Me	H	CH_2CF_3
CF_3	3-Me	Me	H	CH_2CF_3
CF_3	4-Me	Me	H	CH_2CF_3
CF_3	3-OMe	Me	H	CH_2CF_3
CF_3	4-OMe	Me	H	CH_2CF_3
CF_3	3-OCF_3	Me	H	CH_2CF_3
CF_3	4-OCF_3	Me	H	CH_2CF_3
CF_3	H	H	H	CH_2-2-Py
CF_3	2-Cl	H	H	CH_2-2-Py
CF_3	3-Cl	H	H	CH_2-2-Py
CF_3	4-Cl	H	H	CH_2-2-Py
CF_3	2-Cl, 4-Cl	H	H	CH_2-2-Py
CF_3	3-Cl, 4-Cl	H	H	CH_2-2-Py
CF_3	3-Cl, 5-Cl	H	H	CH_2-2-Py
CF_3	2-F	H	H	CH_2-2-Py
CF_3	3-F	H	H	CH_2-2-Py
CF_3	4-F	H	H	CH_2-2-Py
CF_3	2-F, 4-F	H	H	CH_2-2-Py
CF_3	3-F, 4-F	H	H	CH_2-2-Py
CF_3	3-F, 5-F	H	H	CH_2-2-Py
CF_3	3-CF_3	H	H	CH_2-2-Py
CF_3	4-CF_3	H	H	CH_2-2-Py
CF_3	3-CF_3, 5-CF_3	H	H	CH_2-2-Py
CF_3	3-Cl, 5-CF_3	H	H	CH_2-2-Py
CF_3	3-Cl, 4-CF_3	H	H	CH_2-2-Py

R^1	$(R^2)_m$	R^3	R^4	R^5
CF_3	3-Cl, 4-Br	Cl	H	CH_2CF_3
CF_3	3-Br, 5-Br	Cl	H	CH_2CF_3
CF_3	3-Br, 4-Br	Cl	H	CH_2CF_3
CF_3	3-Br	Cl	H	CH_2CF_3
CF_3	4-Br	Cl	H	CH_2CF_3
CF_3	3-I	Cl	H	CH_2CF_3
CF_3	4-I	Cl	H	CH_2CF_3
CF_3	3-CN	Cl	H	CH_2CF_3
CF_3	4-CN	Cl	H	CH_2CF_3
CF_3	3-Me	Cl	H	CH_2CF_3
CF_3	4-Me	Cl	H	CH_2CF_3
CF_3	3-OMe	Cl	H	CH_2CF_3
CF_3	4-OMe	Cl	H	CH_2CF_3
CF_3	3-OCF_3	Cl	H	CH_2CF_3
CF_3	4-OCF_3	Cl	H	CH_2CF_3
CF_3	H	Me	H	CH_2-2-Py
CF_3	2-Cl	Me	H	CH_2-2-Py
CF_3	3-Cl	Me	H	CH_2-2-Py
CF_3	4-Cl	Me	H	CH_2-2-Py
CF_3	2-Cl, 4-Cl	Me	H	CH_2-2-Py
CF_3	3-Cl, 4-Cl	Me	H	CH_2-2-Py
CF_3	3-Cl, 5-Cl	Me	H	CH_2-2-Py
CF_3	2-F	Me	H	CH_2-2-Py
CF_3	3-F	Me	H	CH_2-2-Py
CF_3	4-F	Me	H	CH_2-2-Py
CF_3	2-F, 4-F	Me	H	CH_2-2-Py
CF_3	3-F, 4-F	Me	H	CH_2-2-Py
CF_3	3-F, 5-F	Me	H	CH_2-2-Py
CF_3	3-CF_3	Me	H	CH_2-2-Py
CF_3	4-CF_3	Me	H	CH_2-2-Py
CF_3	3-CF_3, 5-CF_3	Me	H	CH_2-2-Py
CF_3	3-Cl, 5-CF_3	Me	H	CH_2-2-Py
CF_3	3-Cl, 4-CF_3	Me	H	CH_2-2-Py
CF_3	3-Cl, 4-Br	Me	H	CH_2-2-Py
CF_3	3-Br, 5-Br	Me	H	CH_2-2-Py
CF_3	3-Br, 4-Br	Me	H	CH_2-2-Py
CF_3	3-Br	Me	H	CH_2-2-Py

R^1	$(R^2)_m$	R^3	R^4	R^5
CF_3	3-Cl, 4-Br	H	H	CH_2-2-Py
CF_3	3-Br, 5-Br	H	H	CH_2-2-Py
CF_3	3-Br, 4-Br	H	H	CH_2-2-Py
CF_3	3-Br	H	H	CH_2-2-Py
CF_3	4-Br	H	H	CH_2-2-Py
CF_3	3-I	H	H	CH_2-2-Py
CF_3	4-I	H	H	CH_2-2-Py
CF_3	3-CN	H	H	CH_2-2-Py
CF_3	4-CN	H	H	CH_2-2-Py
CF_3	3-Me	H	H	CH_2-2-Py
CF_3	4-Me	H	H	CH_2-2-Py
CF_3	3-OMe	H	H	CH_2-2-Py
CF_3	4-OMe	H	H	CH_2-2-Py
CF_3	3-OCF_3	H	H	CH_2-2-Py
CF_3	4-OCF_3	H	H	CH_2-2-Py
CF_3	H	Cl	H	CH_2-2-Py
CF_3	2-Cl	Cl	H	CH_2-2-Py
CF_3	3-Cl	Cl	H	CH_2-2-Py
CF_3	4-Cl	Cl	H	CH_2-2-Py
CF_3	2-Cl, 4-Cl	Cl	H	CH_2-2-Py
CF_3	3-Cl, 4-Cl	Cl	H	CH_2-2-Py
CF_3	3-Cl, 5-Cl	Cl	H	CH_2-2-Py
CF_3	2-F	Cl	H	CH_2-2-Py
CF_3	3-F	Cl	H	CH_2-2-Py
CF_3	4-F	Cl	H	CH_2-2-Py
CF_3	2-F, 4-F	Cl	H	CH_2-2-Py
CF_3	3-F, 4-F	Cl	H	CH_2-2-Py
CF_3	3-F, 5-F	Cl	H	CH_2-2-Py
CF_3	3-CF_3	Cl	H	CH_2-2-Py
CF_3	4-CF_3	Cl	H	CH_2-2-Py
CF_3	3-CF_3, 5-CF_3	Cl	H	CH_2-2-Py
CF_3	3-Cl, 5-CF_3	Cl	H	CH_2-2-Py
CF_3	3-Cl, 4-CF_3	Cl	H	CH_2-2-Py
CF_3	3-Cl, 4-Br	Cl	H	CH_2-2-Py
CF_3	3-Br, 5-Br	Cl	H	CH_2-2-Py
CF_3	3-Br, 4-Br	Cl	H	CH_2-2-Py
CF_3	3-Br	Cl	H	CH_2-2-Py

R^1	$(R^2)_m$	R^3	R^4	R^5
CF_3	4-Br	Me	H	CH_2-2-Py
CF_3	3-I	Me	H	CH_2-2-Py
CF_3	4-I	Me	H	CH_2-2-Py
CF_3	3-CN	Me	H	CH_2-2-Py
CF_3	4-CN	Me	H	CH_2-2-Py
CF_3	3-Me	Me	H	CH_2-2-Py
CF_3	4-Me	Me	H	CH_2-2-Py
CF_3	3-OMe	Me	H	CH_2-2-Py
CF_3	4-OMe	Me	H	CH_2-2-Py
CF_3	3-OCF_3	Me	H	CH_2-2-Py
CF_3	4-OCF_3	Me	H	CH_2-2-Py
CF_2CF_3	H	H	H	CH_2CF_3
CF_2CF_3	2-Cl	H	H	CH_2CF_3
CF_2CF_3	3-Cl	H	H	CH_2CF_3
CF_2CF_3	4-Cl	H	H	CH_2CF_3
CF_2CF_3	2-Cl, 4-Cl	H	H	CH_2CF_3
CF_2CF_3	3-Cl, 4-Cl	H	H	CH_2CF_3
CF_2CF_3	3-Cl, 5-Cl	H	H	CH_2CF_3
CF_2CF_3	2-F	H	H	CH_2CF_3
CF_2CF_3	3-F	H	H	CH_2CF_3
CF_2CF_3	4-F	H	H	CH_2CF_3
CF_2CF_3	2-F, 4-F	H	H	CH_2CF_3
CF_2CF_3	3-F, 4-F	H	H	CH_2CF_3
CF_2CF_3	3-F, 5-F	H	H	CH_2CF_3
CF_2CF_3	3-CF_3	H	H	CH_2CF_3
CF_2CF_3	4-CF_3	H	H	CH_2CF_3
CF_2CF_3	3-CF_3, 5-CF_3	H	H	CH_2CF_3
CF_2CF_3	3-Cl, 5-CF_3	H	H	CH_2CF_3
CF_2CF_3	3-Cl, 4-CF_3	H	H	CH_2CF_3
CF_2CF_3	3-Cl, 4-Br	H	H	CH_2CF_3
CF_2CF_3	3-Br, 5-Br	H	H	CH_2CF_3
CF_2CF_3	3-Br, 4-Br	H	H	CH_2CF_3
CF_2CF_3	3-Br	H	H	CH_2CF_3
CF_2CF_3	4-Br	H	H	CH_2CF_3
CF_2CF_3	3-I	H	H	CH_2CF_3
CF_2CF_3	4-I	H	H	CH_2CF_3
CF_2CF_3	3-CN	H	H	CH_2CF_3

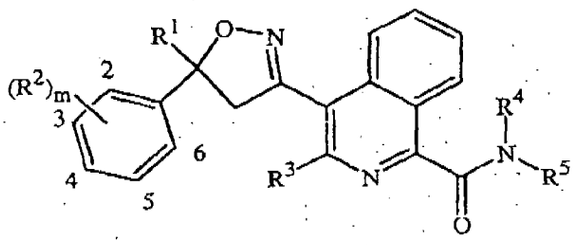
R^1	$(R^2)_m$	R^3	R^4	R^5
CF_3	4-Br	Cl	H	CH_2-2-Py
CF_3	3-I	Cl	H	CH_2-2-Py
CF_3	4-I	Cl	H	CH_2-2-Py
CF_3	3-CN	Cl	H	CH_2-2-Py
CF_3	4-CN	Cl	H	CH_2-2-Py
CF_3	3-Me	Cl	H	CH_2-2-Py
CF_3	4-Me	Cl	H	CH_2-2-Py
CF_3	3-OMe	Cl	H	CH_2-2-Py
CF_3	4-OMe	Cl	H	CH_2-2-Py
CF_3	3-OCF_3	Cl	H	CH_2-2-Py
CF_3	4-OCF_3	Cl	H	CH_2-2-Py
CF_2CF_3	H	Me	H	CH_2-2-Py
CF_2CF_3	2-Cl	Me	H	CH_2-2-Py
CF_2CF_3	3-Cl	Me	H	CH_2-2-Py
CF_2CF_3	4-Cl	Me	H	CH_2-2-Py
CF_2CF_3	2-Cl, 4-Cl	Me	H	CH_2-2-Py
CF_2CF_3	3-Cl, 4-Cl	Me	H	CH_2-2-Py
CF_2CF_3	3-Cl, 5-Cl	Me	H	CH_2-2-Py
CF_2CF_3	2-F	Me	H	CH_2-2-Py
CF_2CF_3	3-F	Me	H	CH_2-2-Py
CF_2CF_3	4-F	Me	H	CH_2-2-Py
CF_2CF_3	2-F, 4-F	Me	H	CH_2-2-Py
CF_2CF_3	3-F, 4-F	Me	H	CH_2-2-Py
CF_2CF_3	3-F, 5-F	Me	H	CH_2-2-Py
CF_2CF_3	3-CF_3	Me	H	CH_2-2-Py
CF_2CF_3	4-CF_3	Me	H	CH_2-2-Py
CF_2CF_3	3-CF_3, 5-CF_3	Me	H	CH_2-2-Py
CF_2CF_3	3-Cl, 5-CF_3	Me	H	CH_2-2-Py
CF_2CF_3	3-Cl, 4-CF_3	Me	H	CH_2-2-Py
CF_2CF_3	3-Cl, 4-Br	Me	H	CH_2-2-Py
CF_2CF_3	3-Br, 5-Br	Me	H	CH_2-2-Py
CF_2CF_3	3-Br, 4-Br	Me	H	CH_2-2-Py
CF_2CF_3	3-Br	Me	H	CH_2-2-Py
CF_2CF_3	4-Br	Me	H	CH_2-2-Py
CF_2CF_3	3-I	Me	H	CH_2-2-Py
CF_2CF_3	4-I	Me	H	CH_2-2-Py
CF_2CF_3	3-CN	Me	H	CH_2-2-Py

R^1	$(R^2)_m$	R^3	R^4	R^5
CF_2CF_3	4-CN	H	H	CH_2CF_3
CF_2CF_3	3-Me	H	H	CH_2CF_3
CF_2CF_3	4-Me	H	H	CH_2CF_3
CF_2CF_3	3-OMe	H	H	CH_2CF_3
CF_2CF_3	4-OMe	H	H	CH_2CF_3
CF_2CF_3	3-OCF_3	H	H	CH_2CF_3
CF_2CF_3	4-OCF_3	H	H	CH_2CF_3
$CF(CF_3)_2$	H	H	H	CH_2CF_3
$CF(CF_3)_2$	2-Cl	H	H	CH_2CF_3
$CF(CF_3)_2$	3-Cl	H	H	CH_2CF_3
$CF(CF_3)_2$	4-Cl	H	H	CH_2CF_3
$CF(CF_3)_2$	2-Cl, 4-Cl	H	H	CH_2CF_3
$CF(CF_3)_2$	3-Cl, 4-Cl	H	H	CH_2CF_3
$CF(CF_3)_2$	3-Cl, 5-Cl	H	H	CH_2CF_3
$CF(CF_3)_2$	2-F	H	H	CH_2CF_3
$CF(CF_3)_2$	3-F	H	H	CH_2CF_3
$CF(CF_3)_2$	4-F	H	H	CH_2CF_3
$CF(CF_3)_2$	2-F, 4-F	H	H	CH_2CF_3
$CF(CF_3)_2$	3-F, 4-F	H	H	CH_2CF_3
$CF(CF_3)_2$	3-F, 5-F	H	H	CH_2CF_3
$CF(CF_3)_2$	3-CF_3	H	H	CH_2CF_3
$CF(CF_3)_2$	4-CF_3	H	H	CH_2CF_3
$CF(CF_3)_2$	3-CF_3, 5-CF_3	H	H	CH_2CF_3
$CF(CF_3)_2$	3-Cl, 5-CF_3	H	H	CH_2CF_3
$CF(CF_3)_2$	3-Cl, 4-CF_3	H	H	CH_2CF_3
$CF(CF_3)_2$	3-Cl, 4-Br	H	H	CH_2CF_3
$CF(CF_3)_2$	3-Br, 5-Br	H	H	CH_2CF_3
$CF(CF_3)_2$	3-Br, 4-Br	H	H	CH_2CF_3
$CF(CF_3)_2$	3-Br	H	H	CH_2CF_3
$CF(CF_3)_2$	4-Br	H	H	CH_2CF_3
$CF(CF_3)_2$	3-I	H	H	CH_2CF_3
$CF(CF_3)_2$	4-I	H	H	CH_2CF_3
$CF(CF_3)_2$	3-CN	H	H	CH_2CF_3
$CF(CF_3)_2$	4-CN	H	H	CH_2CF_3
$CF(CF_3)_2$	3-Me	H	H	CH_2CF_3
$CF(CF_3)_2$	4-Me	H	H	CH_2CF_3
$CF(CF_3)_2$	3-OMe	H	H	CH_2CF_3

R^1	$(R^2)_m$	R^3	R^4	R^5
CF_2CF_3	4-CN	Me	H	CH_2-2-Py
CF_2CF_3	3-Me	Me	H	CH_2-2-Py
CF_2CF_3	4-Me	Me	H	CH_2-2-Py
CF_2CF_3	3-OMe	Me	H	CH_2-2-Py
CF_2CF_3	4-OMe	Me	H	CH_2-2-Py
CF_2CF_3	3-OCF_3	Me	H	CH_2-2-Py
CF_2CF_3	4-OCF_3	Me	H	CH_2-2-Py
$CF(CF_3)_2$	H	Me	H	CH_2-2-Py
$CF(CF_3)_2$	2-Cl	Me	H	CH_2-2-Py
$CF(CF_3)_2$	3-Cl	Me	H	CH_2-2-Py
$CF(CF_3)_2$	4-Cl	Me	H	CH_2-2-Py
$CF(CF_3)_2$	2-Cl, 4-Cl	Me	H	CH_2-2-Py
$CF(CF_3)_2$	3-Cl, 4-Cl	Me	H	CH_2-2-Py
$CF(CF_3)_2$	3-Cl, 5-Cl	Me	H	CH_2-2-Py
$CF(CF_3)_2$	2-F	Me	H	CH_2-2-Py
$CF(CF_3)_2$	3-F	Me	H	CH_2-2-Py
$CF(CF_3)_2$	4-F	Me	H	CH_2-2-Py
$CF(CF_3)_2$	2-F, 4-F	Me	H	CH_2-2-Py
$CF(CF_3)_2$	3-F, 4-F	Me	H	CH_2-2-Py
$CF(CF_3)_2$	3-F, 5-F	Me	H	CH_2-2-Py
$CF(CF_3)_2$	3-CF_3	Me	H	CH_2-2-Py
$CF(CF_3)_2$	4-CF_3	Me	H	CH_2-2-Py
$CF(CF_3)_2$	3-CF_3, 5-CF_3	Me	H	CH_2-2-Py
$CF(CF_3)_2$	3-Cl, 5-CF_3	Me	H	CH_2-2-Py
$CF(CF_3)_2$	3-Cl, 4-CF_3	Me	H	CH_2-2-Py
$CF(CF_3)_2$	3-Cl, 4-Br	Me	H	CH_2-2-Py
$CF(CF_3)_2$	3-Br, 5-Br	Me	H	CH_2-2-Py
$CF(CF_3)_2$	3-Br, 4-Br	Me	H	CH_2-2-Py
$CF(CF_3)_2$	3-Br	Me	H	CH_2-2-Py
$CF(CF_3)_2$	4-Br	Me	H	CH_2-2-Py
$CF(CF_3)_2$	3-I	Me	H	CH_2-2-Py
$CF(CF_3)_2$	4-I	Me	H	CH_2-2-Py
$CF(CF_3)_2$	3-CN	Me	H	CH_2-2-Py
$CF(CF_3)_2$	4-CN	Me	H	CH_2-2-Py
$CF(CF_3)_2$	3-Me	Me	H	CH_2-2-Py
$CF(CF_3)_2$	4-Me	Me	H	CH_2-2-Py
$CF(CF_3)_2$	3-OMe	Me	H	CH_2-2-Py

R^1	$(R^2)_m$	R^3	R^4	R^5	R^1	$(R^2)_m$	R^3	R^4	R^5
$CF(CF_3)_2$	4-OMe	H	H	CH_2CF_3	$CF(CF_3)_2$	4-OMe	Me	H	CH_2-2-Py
$CF(CF_3)_2$	3-OCF_3	H	H	CH_2CF_3	$CF(CF_3)_2$	3-OCF_3	Me	H	CH_2-2-Py
$CF(CF_3)_2$	4-OCF_3	H	H	CH_2CF_3	$CF(CF_3)_2$	4-OCF_3	Me	H	CH_2-2-Py

Table 2



wherein m is 1, 2, 3, 4 or 5.

R^1	$(R^2)_m$	R^3	R^4	R^5	R^1	$(R^2)_m$	R^3	R^4	R^5
CF_3	H	H	H	CH_2CF_3	CF_3	H	H	H	CH_2-2-Py
CF_3	2-Cl	H	H	CH_2CF_3	CF_3	2-Cl	H	H	CH_2-2-Py
CF_3	3-Cl	H	H	CH_2CF_3	CF_3	3-Cl	H	H	CH_2-2-Py
CF_3	4-Cl	H	H	CH_2CF_3	CF_3	4-Cl	H	H	CH_2-2-Py
CF_3	2-Cl, 4-Cl	H	H	CH_2CF_3	CF_3	2-Cl, 4-Cl	H	H	CH_2-2-Py
CF_3	3-Cl, 4-Cl	H	H	CH_2CF_3	CF_3	3-Cl, 4-Cl	H	H	CH_2-2-Py
CF_3	3-Cl, 5-Cl	H	H	CH_2CF_3	CF_3	3-Cl, 5-Cl	H	H	CH_2-2-Py
CF_3	2-F	H	H	CH_2CF_3	CF_3	2-F	H	H	CH_2-2-Py
CF_3	3-F	H	H	CH_2CF_3	CF_3	3-F	H	H	CH_2-2-Py
CF_3	4-F	H	H	CH_2CF_3	CF_3	4-F	H	H	CH_2-2-Py
CF_3	2-F, 4-F	H	H	CH_2CF_3	CF_3	2-F, 4-F	H	H	CH_2-2-Py
CF_3	3-F, 4-F	H	H	CH_2CF_3	CF_3	3-F, 4-F	H	H	CH_2-2-Py
CF_3	3-F, 5-F	H	H	CH_2CF_3	CF_3	3-F, 5-F	H	H	CH_2-2-Py
CF_3	3-CF_3	H	H	CH_2CF_3	CF_3	3-CF_3	H	H	CH_2-2-Py
CF_3	4-CF_3	H	H	CH_2CF_3	CF_3	4-CF_3	H	H	CH_2-2-Py
CF_3	3-CF_3, 5-CF_3	H	H	CH_2CF_3	CF_3	3-CF_3, 5-CF_3	H	H	CH_2-2-Py
CF_3	3-Cl, 5-CF_3	H	H	CH_2CF_3	CF_3	3-Cl, 5-CF_3	H	H	CH_2-2-Py
CF_3	3-Cl, 4-CF_3	H	H	CH_2CF_3	CF_3	3-Cl, 4-CF_3	H	H	CH_2-2-Py
CF_3	3-Cl, 4-Br	H	H	CH_2CF_3	CF_3	3-Cl, 4-Br	H	H	CH_2-2-Py
CF_3	3-Br, 5-Br	H	H	CH_2CF_3	CF_3	3-Br, 5-Br	H	H	CH_2-2-Py
CF_3	3-Br, 4-Br	H	H	CH_2CF_3	CF_3	3-Br, 4-Br	H	H	CH_2-2-Py
CF_3	3-Br	H	H	CH_2CF_3	CF_3	3-Br	H	H	CH_2-2-Py
CF_3	4-Br	H	H	CH_2CF_3	CF_3	4-Br	H	H	CH_2-2-Py
CF_3	3-I	H	H	CH_2CF_3	CF_3	3-I	H	H	CH_2-2-Py
CF_3	4-I	H	H	CH_2CF_3	CF_3	4-I	H	H	CH_2-2-Py

R^1	$(R^2)_m$	R^3	R^4	R^5
CF_3	3-CN	H	H	CH_2CF_3
CF_3	4-CN	H	H	CH_2CF_3
CF_3	3-Me	H	H	CH_2CF_3
CF_3	4-Me	H	H	CH_2CF_3
CF_3	3-OMe	H	H	CH_2CF_3
CF_3	4-OMe	H	H	CH_2CF_3
CF_3	3-OCF_3	H	H	CH_2CF_3
CF_3	4-OCF_3	H	H	CH_2CF_3
$CF(CF_3)_2$	H	H	H	CH_2CF_3
$CF(CF_3)_2$	2-Cl	H	H	CH_2CF_3
$CF(CF_3)_2$	3-Cl	H	H	CH_2CF_3
$CF(CF_3)_2$	4-Cl	H	H	CH_2CF_3
$CF(CF_3)_2$	2-Cl, 4-Cl	H	H	CH_2CF_3
$CF(CF_3)_2$	3-Cl, 4-Cl	H	H	CH_2CF_3
$CF(CF_3)_2$	3-Cl, 5-Cl	H	H	CH_2CF_3
$CF(CF_3)_2$	2-F	H	H	CH_2CF_3
$CF(CF_3)_2$	3-F	H	H	CH_2CF_3
$CF(CF_3)_2$	4-F	H	H	CH_2CF_3
$CF(CF_3)_2$	2-F, 4-F	H	H	CH_2CF_3
$CF(CF_3)_2$	3-F, 4-F	H	H	CH_2CF_3
$CF(CF_3)_2$	3-F, 5-F	H	H	CH_2CF_3
$CF(CF_3)_2$	3-CF_3	H	H	CH_2CF_3
$CF(CF_3)_2$	4-CF_3	H	H	CH_2CF_3
$CF(CF_3)_2$	3-CF_3, 5-CF_3	H	H	CH_2CF_3
$CF(CF_3)_2$	3-Cl, 5-CF_3	H	H	CH_2CF_3
$CF(CF_3)_2$	3-Cl, 4-CF_3	H	H	CH_2CF_3
$CF(CF_3)_2$	3-Cl, 4-Br	H	H	CH_2CF_3
$CF(CF_3)_2$	3-Br, 5-Br	H	H	CH_2CF_3
$CF(CF_3)_2$	3-Br, 4-Br	H	H	CH_2CF_3
$CF(CF_3)_2$	3-Br	H	H	CH_2CF_3
$CF(CF_3)_2$	4-Br	H	H	CH_2CF_3
$CF(CF_3)_2$	3-I	H	H	CH_2CF_3
$CF(CF_3)_2$	4-I	H	H	CH_2CF_3
$CF(CF_3)_2$	3-CN	H	H	CH_2CF_3
$CF(CF_3)_2$	4-CN	H	H	CH_2CF_3
$CF(CF_3)_2$	3-Me	H	H	CH_2CF_3
$CF(CF_3)_2$	4-Me	H	H	CH_2CF_3

R^1	$(R^2)_m$	R^3	R^4	R^5
CF_3	3-CN	H	H	CH_2-2-Py
CF_3	4-CN	H	H	CH_2-2-Py
CF_3	3-Me	H	H	CH_2-2-Py
CF_3	4-Me	H	H	CH_2-2-Py
CF_3	3-OMe	H	H	CH_2-2-Py
CF_3	4-OMe	H	H	CH_2-2-Py
CF_3	3-OCF_3	H	H	CH_2-2-Py
CF_3	4-OCF_3	H	H	CH_2-2-Py
$CF(CF_3)_2$	H	H	H	CH_2-2-Py
$CF(CF_3)_2$	2-Cl	H	H	CH_2-2-Py
$CF(CF_3)_2$	3-Cl	H	H	CH_2-2-Py
$CF(CF_3)_2$	4-Cl	H	H	CH_2-2-Py
$CF(CF_3)_2$	2-Cl, 4-Cl	H	H	CH_2-2-Py
$CF(CF_3)_2$	3-Cl, 4-Cl	H	H	CH_2-2-Py
$CF(CF_3)_2$	3-Cl, 5-Cl	H	H	CH_2-2-Py
$CF(CF_3)_2$	2-F	H	H	CH_2-2-Py
$CF(CF_3)_2$	3-F	H	H	CH_2-2-Py
$CF(CF_3)_2$	4-F	H	H	CH_2-2-Py
$CF(CF_3)_2$	2-F, 4-F	H	H	CH_2-2-Py
$CF(CF_3)_2$	3-F, 4-F	H	H	CH_2-2-Py
$CF(CF_3)_2$	3-F, 5-F	H	H	CH_2-2-Py
$CF(CF_3)_2$	3-CF_3	H	H	CH_2-2-Py
$CF(CF_3)_2$	4-CF_3	H	H	CH_2-2-Py
$CF(CF_3)_2$	3-CF_3, 5-CF_3	H	H	CH_2-2-Py
$CF(CF_3)_2$	3-Cl, 5-CF_3	H	H	CH_2-2-Py
$CF(CF_3)_2$	3-Cl, 4-CF_3	H	H	CH_2-2-Py
$CF(CF_3)_2$	3-Cl, 4-Br	H	H	CH_2-2-Py
$CF(CF_3)_2$	3-Br, 5-Br	H	H	CH_2-2-Py
$CF(CF_3)_2$	3-Br, 4-Br	H	H	CH_2-2-Py
$CF(CF_3)_2$	3-Br	H	H	CH_2-2-Py
$CF(CF_3)_2$	4-Br	H	H	CH_2-2-Py
$CF(CF_3)_2$	3-I	H	H	CH_2-2-Py
$CF(CF_3)_2$	4-I	H	H	CH_2-2-Py
$CF(CF_3)_2$	3-CN	H	H	CH_2-2-Py
$CF(CF_3)_2$	4-CN	H	H	CH_2-2-Py
$CF(CF_3)_2$	3-Me	H	H	CH_2-2-Py
$CF(CF_3)_2$	4-Me	H	H	CH_2-2-Py

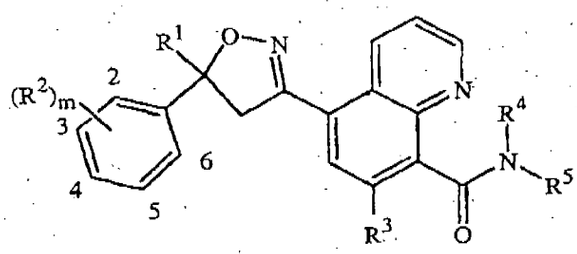
R^1	$(R^2)_m$	R^3	R^4	R^5
$CF(CF_3)_2$	3-OMe	H	H	CH_2CF_3
$CF(CF_3)_2$	4-OMe	H	H	CH_2CF_3
$CF(CF_3)_2$	3-OCF_3	H	H	CH_2CF_3
$CF(CF_3)_2$	4-OCF_3	H	H	CH_2CF_3
CF_3	H	Me	H	CH_2CF_3
CF_3	2-Cl	Me	H	CH_2CF_3
CF_3	3-Cl	Me	H	CH_2CF_3
CF_3	4-Cl	Me	H	CH_2CF_3
CF_3	2-Cl, 4-Cl	Me	H	CH_2CF_3
CF_3	3-Cl, 4-Cl	Me	H	CH_2CF_3
CF_3	3-Cl, 5-Cl	Me	H	CH_2CF_3
CF_3	2-F	Me	H	CH_2CF_3
CF_3	3-F	Me	H	CH_2CF_3
CF_3	4-F	Me	H	CH_2CF_3
CF_3	2-F, 4-F	Me	H	CH_2CF_3
CF_3	3-F, 4-F	Me	H	CH_2CF_3
CF_3	3-F, 5-F	Me	H	CH_2CF_3
CF_3	3-CF_3	Me	H	CH_2CF_3
CF_3	4-CF_3	Me	H	CH_2CF_3
CF_3	3-CF_3, 5-CF_3	Me	H	CH_2CF_3
CF_3	3-Cl, 5-CF_3	Me	H	CH_2CF_3
CF_3	3-Cl, 4-CF_3	Me	H	CH_2CF_3
CF_3	3-Cl, 4-Br	Me	H	CH_2CF_3
CF_3	3-Br, 5-Br	Me	H	CH_2CF_3
CF_3	3-Br, 4-Br	Me	H	CH_2CF_3
CF_3	3-Br	Me	H	CH_2CF_3
CF_3	4-Br	Me	H	CH_2CF_3
CF_3	3-I	Me	H	CH_2CF_3
CF_3	4-I	Me	H	CH_2CF_3
CF_3	3-CN	Me	H	CH_2CF_3
CF_3	4-CN	Me	H	CH_2CF_3
CF_3	3-Me	Me	H	CH_2CF_3
CF_3	4-Me	Me	H	CH_2CF_3
CF_3	3-OMe	Me	H	CH_2CF_3
CF_3	4-OMe	Me	H	CH_2CF_3
CF_3	3-OCF_3	Me	H	CH_2CF_3
CF_3	4-OCF_3	Me	H	CH_2CF_3

R^1	$(R^2)_m$	R^3	R^4	R^5
$CF(CF_3)_2$	3-OMe	H	H	CH_2-2-Py
$CF(CF_3)_2$	4-OMe	H	H	CH_2-2-Py
$CF(CF_3)_2$	3-OCF_3	H	H	CH_2-2-Py
$CF(CF_3)_2$	4-OCF_3	H	H	CH_2-2-Py
CF_3	H	Me	H	CH_2-2-Py
CF_3	2-Cl	Me	H	CH_2-2-Py
CF_3	3-Cl	Me	H	CH_2-2-Py
CF_3	4-Cl	Me	H	CH_2-2-Py
CF_3	2-Cl, 4-Cl	Me	H	CH_2-2-Py
CF_3	3-Cl, 4-Cl	Me	H	CH_2-2-Py
CF_3	3-Cl, 5-Cl	Me	H	CH_2-2-Py
CF_3	2-F	Me	H	CH_2-2-Py
CF_3	3-F	Me	H	CH_2-2-Py
CF_3	4-F	Me	H	CH_2-2-Py
CF_3	2-F, 4-F	Me	H	CH_2-2-Py
CF_3	3-F, 4-F	Me	H	CH_2-2-Py
CF_3	3-F, 5-F	Me	H	CH_2-2-Py
CF_3	3-CF_3	Me	H	CH_2-2-Py
CF_3	4-CF_3	Me	H	CH_2-2-Py
CF_3	3-CF_3, 5-CF_3	Me	H	CH_2-2-Py
CF_3	3-Cl, 5-CF_3	Me	H	CH_2-2-Py
CF_3	3-Cl, 4-CF_3	Me	H	CH_2-2-Py
CF_3	3-Cl, 4-Br	Me	H	CH_2-2-Py
CF_3	3-Br, 5-Br	Me	H	CH_2-2-Py
CF_3	3-Br, 4-Br	Me	H	CH_2-2-Py
CF_3	3-Br	Me	H	CH_2-2-Py
CF_3	4-Br	Me	H	CH_2-2-Py
CF_3	3-I	Me	H	CH_2-2-Py
CF_3	4-I	Me	H	CH_2-2-Py
CF_3	3-CN	Me	H	CH_2-2-Py
CF_3	4-CN	Me	H	CH_2-2-Py
CF_3	3-Me	Me	H	CH_2-2-Py
CF_3	4-Me	Me	H	CH_2-2-Py
CF_3	3-OMe	Me	H	CH_2-2-Py
CF_3	4-OMe	Me	H	CH_2-2-Py
CF_3	3-OCF_3	Me	H	CH_2-2-Py
CF_3	4-OCF_3	Me	H	CH_2-2-Py

R^1	$(R^2)_m$	R^3	R^4	R^5
$CF(CF_3)_2$	H	Me	H	CH_2CF_3
$CF(CF_3)_2$	2-Cl	Me	H	CH_2CF_3
$CF(CF_3)_2$	3-Cl	Me	H	CH_2CF_3
$CF(CF_3)_2$	4-Cl	Me	H	CH_2CF_3
$CF(CF_3)_2$	2-Cl, 4-Cl	Me	H	CH_2CF_3
$CF(CF_3)_2$	3-Cl, 4-Cl	Me	H	CH_2CF_3
$CF(CF_3)_2$	3-Cl, 5-Cl	Me	H	CH_2CF_3
$CF(CF_3)_2$	2-F	Me	H	CH_2CF_3
$CF(CF_3)_2$	3-F	Me	H	CH_2CF_3
$CF(CF_3)_2$	4-F	Me	H	CH_2CF_3
$CF(CF_3)_2$	2-F, 4-F	Me	H	CH_2CF_3
$CF(CF_3)_2$	3-F, 4-F	Me	H	CH_2CF_3
$CF(CF_3)_2$	3-F, 5-F	Me	H	CH_2CF_3
$CF(CF_3)_2$	3-CF_3	Me	H	CH_2CF_3
$CF(CF_3)_2$	4-CF_3	Me	H	CH_2CF_3
$CF(CF_3)_2$	3-CF_3, 5-CF_3	Me	H	CH_2CF_3
$CF(CF_3)_2$	3-Cl, 5-CF_3	Me	H	CH_2CF_3
$CF(CF_3)_2$	3-Cl, 4-CF_3	Me	H	CH_2CF_3
$CF(CF_3)_2$	3-Cl, 4-Br	Me	H	CH_2CF_3
$CF(CF_3)_2$	3-Br, 5-Br	Me	H	CH_2CF_3
$CF(CF_3)_2$	3-Br, 4-Br	Me	H	CH_2CF_3
$CF(CF_3)_2$	3-Br	Me	H	CH_2CF_3
$CF(CF_3)_2$	4-Br	Me	H	CH_2CF_3
$CF(CF_3)_2$	3-I	Me	H	CH_2CF_3
$CF(CF_3)_2$	4-I	Me	H	CH_2CF_3
$CF(CF_3)_2$	3-CN	Me	H	CH_2CF_3
$CF(CF_3)_2$	4-CN	Me	H	CH_2CF_3
$CF(CF_3)_2$	3-Me	Me	H	CH_2CF_3
$CF(CF_3)_2$	4-Me	Me	H	CH_2CF_3
$CF(CF_3)_2$	3-OMe	Me	H	CH_2CF_3
$CF(CF_3)_2$	4-OMe	Me	H	CH_2CF_3
$CF(CF_3)_2$	3-OCF_3	Me	H	CH_2CF_3
$CF(CF_3)_2$	4-OCF_3	Me	H	CH_2CF_3

R^1	$(R^2)_m$	R^3	R^4	R^5
$CF(CF_3)_2$	H	Me	H	CH_2-2-Py
$CF(CF_3)_2$	2-Cl	Me	H	CH_2-2-Py
$CF(CF_3)_2$	3-Cl	Me	H	CH_2-2-Py
$CF(CF_3)_2$	4-Cl	Me	H	CH_2-2-Py
$CF(CF_3)_2$	2-Cl, 4-Cl	Me	H	CH_2-2-Py
$CF(CF_3)_2$	3-Cl, 4-Cl	Me	H	CH_2-2-Py
$CF(CF_3)_2$	3-Cl, 5-Cl	Me	H	CH_2-2-Py
$CF(CF_3)_2$	2-F	Me	H	CH_2-2-Py
$CF(CF_3)_2$	3-F	Me	H	CH_2-2-Py
$CF(CF_3)_2$	4-F	Me	H	CH_2-2-Py
$CF(CF_3)_2$	2-F, 4-F	Me	H	CH_2-2-Py
$CF(CF_3)_2$	3-F, 4-F	Me	H	CH_2-2-Py
$CF(CF_3)_2$	3-F, 5-F	Me	H	CH_2-2-Py
$CF(CF_3)_2$	3-CF_3	Me	H	CH_2-2-Py
$CF(CF_3)_2$	4-CF_3	Me	H	CH_2-2-Py
$CF(CF_3)_2$	3-CF_3, 5-CF_3	Me	H	CH_2-2-Py
$CF(CF_3)_2$	3-Cl, 5-CF_3	Me	H	CH_2-2-Py
$CF(CF_3)_2$	3-Cl, 4-CF_3	Me	H	CH_2-2-Py
$CF(CF_3)_2$	3-Cl, 4-Br	Me	H	CH_2-2-Py
$CF(CF_3)_2$	3-Br, 5-Br	Me	H	CH_2-2-Py
$CF(CF_3)_2$	3-Br, 4-Br	Me	H	CH_2-2-Py
$CF(CF_3)_2$	3-Br	Me	H	CH_2-2-Py
$CF(CF_3)_2$	4-Br	Me	H	CH_2-2-Py
$CF(CF_3)_2$	3-I	Me	H	CH_2-2-Py
$CF(CF_3)_2$	4-I	Me	H	CH_2-2-Py
$CF(CF_3)_2$	3-CN	Me	H	CH_2-2-Py
$CF(CF_3)_2$	4-CN	Me	H	CH_2-2-Py
$CF(CF_3)_2$	3-Me	Me	H	CH_2-2-Py
$CF(CF_3)_2$	4-Me	Me	H	CH_2-2-Py
$CF(CF_3)_2$	3-OMe	Me	H	CH_2-2-Py
$CF(CF_3)_2$	4-OMe	Me	H	CH_2-2-Py
$CF(CF_3)_2$	3-OCF_3	Me	H	CH_2-2-Py
$CF(CF_3)_2$	4-OCF_3	Me	H	CH_2-2-Py

Table 3



wherein m is 1, 2, 3, 4 or 5.

R^1	$(R^2)_m$	R^3	R^4	R^5	R^1	$(R^2)_m$	R^3	R^4	R^5
CF_3	H	H	H	CH_2CF_3	CF_3	H	H	H	CH_2-2-Py
CF_3	2-Cl	H	H	CH_2CF_3	CF_3	2-Cl	H	H	CH_2-2-Py
CF_3	3-Cl	H	H	CH_2CF_3	CF_3	3-Cl	H	H	CH_2-2-Py
CF_3	4-Cl	H	H	CH_2CF_3	CF_3	4-Cl	H	H	CH_2-2-Py
CF_3	2-Cl, 4-Cl	H	H	CH_2CF_3	CF_3	2-Cl, 4-Cl	H	H	CH_2-2-Py
CF_3	3-Cl, 4-Cl	H	H	CH_2CF_3	CF_3	3-Cl, 4-Cl	H	H	CH_2-2-Py
CF_3	3-Cl, 5-Cl	H	H	CH_2CF_3	CF_3	3-Cl, 5-Cl	H	H	CH_2-2-Py
CF_3	2-F	H	H	CH_2CF_3	CF_3	2-F	H	H	CH_2-2-Py
CF_3	3-F	H	H	CH_2CF_3	CF_3	3-F	H	H	CH_2-2-Py
CF_3	4-F	H	H	CH_2CF_3	CF_3	4-F	H	H	CH_2-2-Py
CF_3	2-F, 4-F	H	H	CH_2CF_3	CF_3	2-F, 4-F	H	H	CH_2-2-Py
CF_3	3-F, 4-F	H	H	CH_2CF_3	CF_3	3-F, 4-F	H	H	CH_2-2-Py
CF_3	3-F, 5-F	H	H	CH_2CF_3	CF_3	3-F, 5-F	H	H	CH_2-2-Py
CF_3	3-CF_3	H	H	CH_2CF_3	CF_3	3-CF_3	H	H	CH_2-2-Py
CF_3	4-CF_3	H	H	CH_2CF_3	CF_3	4-CF_3	H	H	CH_2-2-Py
CF_3	3-CF_3, 5-CF_3	H	H	CH_2CF_3	CF_3	3-CF_3, 5-CF_3	H	H	CH_2-2-Py
CF_3	3-Cl, 5-CF_3	H	H	CH_2CF_3	CF_3	3-Cl, 5-CF_3	H	H	CH_2-2-Py
CF_3	3-Cl, 4-CF_3	H	H	CH_2CF_3	CF_3	3-Cl, 4-CF_3	H	H	CH_2-2-Py
CF_3	3-Cl, 4-Br	H	H	CH_2CF_3	CF_3	3-Cl, 4-Br	H	H	CH_2-2-Py
CF_3	3-Br, 5-Br	H	H	CH_2CF_3	CF_3	3-Br, 5-Br	H	H	CH_2-2-Py
CF_3	3-Br, 4-Br	H	H	CH_2CF_3	CF_3	3-Br, 4-Br	H	H	CH_2-2-Py
CF_3	3-Br	H	H	CH_2CF_3	CF_3	3-Br	H	H	CH_2-2-Py
CF_3	4-Br	H	H	CH_2CF_3	CF_3	4-Br	H	H	CH_2-2-Py
CF_3	3-I	H	H	CH_2CF_3	CF_3	3-I	H	H	CH_2-2-Py
CF_3	4-I	H	H	CH_2CF_3	CF_3	4-I	H	H	CH_2-2-Py
CF_3	3-CN	H	H	CH_2CF_3	CF_3	3-CN	H	H	CH_2-2-Py
CF_3	4-CN	H	H	CH_2CF_3	CF_3	4-CN	H	H	CH_2-2-Py
CF_3	3-Me	H	H	CH_2CF_3	CF_3	3-Me	H	H	CH_2-2-Py
CF_3	4-Me	H	H	CH_2CF_3	CF_3	4-Me	H	H	CH_2-2-Py
CF_3	3-OMe	H	H	CH_2CF_3	CF_3	3-OMe	H	H	CH_2-2-Py

R^1	$(R^2)_m$	R^3	R^4	R^5
CF_3	4-OMe	H	H	CH_2CF_3
CF_3	3-OCF_3	H	H	CH_2CF_3
CF_3	4-OCF_3	H	H	CH_2CF_3
$CF(CF_3)_2$	H	H	H	CH_2CF_3
$CF(CF_3)_2$	2-Cl	H	H	CH_2CF_3
$CF(CF_3)_2$	3-Cl	H	H	CH_2CF_3
$CF(CF_3)_2$	4-Cl	H	H	CH_2CF_3
$CF(CF_3)_2$	2-Cl, 4-Cl	H	H	CH_2CF_3
$CF(CF_3)_2$	3-Cl, 4-Cl	H	H	CH_2CF_3
$CF(CF_3)_2$	3-Cl, 5-Cl	H	H	CH_2CF_3
$CF(CF_3)_2$	2-F	H	H	CH_2CF_3
$CF(CF_3)_2$	3-F	H	H	CH_2CF_3
$CF(CF_3)_2$	4-F	H	H	CH_2CF_3
$CF(CF_3)_2$	2-F, 4-F	H	H	CH_2CF_3
$CF(CF_3)_2$	3-F, 4-F	H	H	CH_2CF_3
$CF(CF_3)_2$	3-F, 5-F	H	H	CH_2CF_3
$CF(CF_3)_2$	3-CF_3	H	H	CH_2CF_3
$CF(CF_3)_2$	4-CF_3	H	H	CH_2CF_3
$CF(CF_3)_2$	3-CF_3, 5-CF_3	H	H	CH_2CF_3
$CF(CF_3)_2$	3-Cl, 5-CF_3	H	H	CH_2CF_3
$CF(CF_3)_2$	3-Cl, 4-CF_3	H	H	CH_2CF_3
$CF(CF_3)_2$	3-Cl, 4-Br	H	H	CH_2CF_3
$CF(CF_3)_2$	3-Br, 5-Br	H	H	CH_2CF_3
$CF(CF_3)_2$	3-Br, 4-Br	H	H	CH_2CF_3
$CF(CF_3)_2$	3-Br	H	H	CH_2CF_3
$CF(CF_3)_2$	4-Br	H	H	CH_2CF_3
$CF(CF_3)_2$	3-I	H	H	CH_2CF_3
$CF(CF_3)_2$	4-I	H	H	CH_2CF_3
$CF(CF_3)_2$	3-CN	H	H	CH_2CF_3
$CF(CF_3)_2$	4-CN	H	H	CH_2CF_3
$CF(CF_3)_2$	3-Me	H	H	CH_2CF_3
$CF(CF_3)_2$	4-Me	H	H	CH_2CF_3
$CF(CF_3)_2$	3-OMe	H	H	CH_2CF_3
$CF(CF_3)_2$	4-OMe	H	H	CH_2CF_3
$CF(CF_3)_2$	3-OCF_3	H	H	CH_2CF_3
$CF(CF_3)_2$	4-OCF_3	H	H	CH_2CF_3
CF_3	H	Me	H	CH_2CF_3

R^1	$(R^2)_m$	R^3	R^4	R^5
CF_3	4-OMe	H	H	CH_2-2-Py
CF_3	3-OCF_3	H	H	CH_2-2-Py
CF_3	4-OCF_3	H	H	CH_2-2-Py
$CF(CF_3)_2$	H	H	H	CH_2-2-Py
$CF(CF_3)_2$	2-Cl	H	H	CH_2-2-Py
$CF(CF_3)_2$	3-Cl	H	H	CH_2-2-Py
$CF(CF_3)_2$	4-Cl	H	H	CH_2-2-Py
$CF(CF_3)_2$	2-Cl, 4-Cl	H	H	CH_2-2-Py
$CF(CF_3)_2$	3-Cl, 4-Cl	H	H	CH_2-2-Py
$CF(CF_3)_2$	3-Cl, 5-Cl	H	H	CH_2-2-Py
$CF(CF_3)_2$	2-F	H	H	CH_2-2-Py
$CF(CF_3)_2$	3-F	H	H	CH_2-2-Py
$CF(CF_3)_2$	4-F	H	H	CH_2-2-Py
$CF(CF_3)_2$	2-F, 4-F	H	H	CH_2-2-Py
$CF(CF_3)_2$	3-F, 4-F	H	H	CH_2-2-Py
$CF(CF_3)_2$	3-F, 5-F	H	H	CH_2-2-Py
$CF(CF_3)_2$	3-CF_3	H	H	CH_2-2-Py
$CF(CF_3)_2$	4-CF_3	H	H	CH_2-2-Py
$CF(CF_3)_2$	3-CF_3, 5-CF_3	H	H	CH_2-2-Py
$CF(CF_3)_2$	3-Cl, 5-CF_3	H	H	CH_2-2-Py
$CF(CF_3)_2$	3-Cl, 4-CF_3	H	H	CH_2-2-Py
$CF(CF_3)_2$	3-Cl, 4-Br	H	H	CH_2-2-Py
$CF(CF_3)_2$	3-Br, 5-Br	H	H	CH_2-2-Py
$CF(CF_3)_2$	3-Br, 4-Br	H	H	CH_2-2-Py
$CF(CF_3)_2$	3-Br	H	H	CH_2-2-Py
$CF(CF_3)_2$	4-Br	H	H	CH_2-2-Py
$CF(CF_3)_2$	3-I	H	H	CH_2-2-Py
$CF(CF_3)_2$	4-I	H	H	CH_2-2-Py
$CF(CF_3)_2$	3-CN	H	H	CH_2-2-Py
$CF(CF_3)_2$	4-CN	H	H	CH_2-2-Py
$CF(CF_3)_2$	3-Me	H	H	CH_2-2-Py
$CF(CF_3)_2$	4-Me	H	H	CH_2-2-Py
$CF(CF_3)_2$	3-OMe	H	H	CH_2-2-Py
$CF(CF_3)_2$	4-OMe	H	H	CH_2-2-Py
$CF(CF_3)_2$	3-OCF_3	H	H	CH_2-2-Py
$CF(CF_3)_2$	4-OCF_3	H	H	CH_2-2-Py
CF_3	H	Me	H	CH_2-2-Py

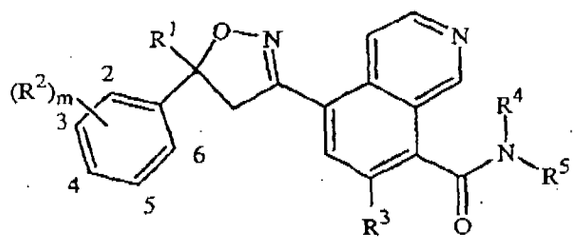
R^1	$(R^2)_m$	R^3	R^4	R^5
CF_3	2-Cl	Me	H	CH_2CF_3
CF_3	3-Cl	Me	H	CH_2CF_3
CF_3	4-Cl	Me	H	CH_2CF_3
CF_3	2-Cl, 4-Cl	Me	H	CH_2CF_3
CF_3	3-Cl, 4-Cl	Me	H	CH_2CF_3
CF_3	3-Cl, 5-Cl	Me	H	CH_2CF_3
CF_3	2-F	Me	H	CH_2CF_3
CF_3	3-F	Me	H	CH_2CF_3
CF_3	4-F	Me	H	CH_2CF_3
CF_3	2-F, 4-F	Me	H	CH_2CF_3
CF_3	3-F, 4-F	Me	H	CH_2CF_3
CF_3	3-F, 5-F	Me	H	CH_2CF_3
CF_3	3-CF_3	Me	H	CH_2CF_3
CF_3	4-CF_3	Me	H	CH_2CF_3
CF_3	3-CF_3, 5-CF_3	Me	H	CH_2CF_3
CF_3	3-Cl, 5-CF_3	Me	H	CH_2CF_3
CF_3	3-Cl, 4-CF_3	Me	H	CH_2CF_3
CF_3	3-Cl, 4-Br	Me	H	CH_2CF_3
CF_3	3-Br, 5-Br	Me	H	CH_2CF_3
CF_3	3-Br, 4-Br	Me	H	CH_2CF_3
CF_3	3-Br	Me	H	CH_2CF_3
CF_3	4-Br	Me	H	CH_2CF_3
CF_3	3-I	Me	H	CH_2CF_3
CF_3	4-I	Me	H	CH_2CF_3
CF_3	3-CN	Me	H	CH_2CF_3
CF_3	4-CN	Me	H	CH_2CF_3
CF_3	3-Me	Me	H	CH_2CF_3
CF_3	4-Me	Me	H	CH_2CF_3
CF_3	3-OMe	Me	H	CH_2CF_3
CF_3	4-OMe	Me	H	CH_2CF_3
CF_3	3-OCF_3	Me	H	CH_2CF_3
CF_3	4-OCF_3	Me	H	CH_2CF_3
$CF(CF_3)_2$	H	Me	H	CH_2CF_3
$CF(CF_3)_2$	2-Cl	Me	H	CH_2CF_3
$CF(CF_3)_2$	3-Cl	Me	H	CH_2CF_3
$CF(CF_3)_2$	4-Cl	Me	H	CH_2CF_3
$CF(CF_3)_2$	2-Cl, 4-Cl	Me	H	CH_2CF_3

R^1	$(R^2)_m$	R^3	R^4	R^5
CF_3	2-Cl	Me	H	CH_2-2-Py
CF_3	3-Cl	Me	H	CH_2-2-Py
CF_3	4-Cl	Me	H	CH_2-2-Py
CF_3	2-Cl, 4-Cl	Me	H	CH_2-2-Py
CF_3	3-Cl, 4-Cl	Me	H	CH_2-2-Py
CF_3	3-Cl, 5-Cl	Me	H	CH_2-2-Py
CF_3	2-F	Me	H	CH_2-2-Py
CF_3	3-F	Me	H	CH_2-2-Py
CF_3	4-F	Me	H	CH_2-2-Py
CF_3	2-F, 4-F	Me	H	CH_2-2-Py
CF_3	3-F, 4-F	Me	H	CH_2-2-Py
CF_3	3-F, 5-F	Me	H	CH_2-2-Py
CF_3	3-CF_3	Me	H	CH_2-2-Py
CF_3	4-CF_3	Me	H	CH_2-2-Py
CF_3	3-CF_3, 5-CF_3	Me	H	CH_2-2-Py
CF_3	3-Cl, 5-CF_3	Me	H	CH_2-2-Py
CF_3	3-Cl, 4-CF_3	Me	H	CH_2-2-Py
CF_3	3-Cl, 4-Br	Me	H	CH_2-2-Py
CF_3	3-Br, 5-Br	Me	H	CH_2-2-Py
CF_3	3-Br, 4-Br	Me	H	CH_2-2-Py
CF_3	3-Br	Me	H	CH_2-2-Py
CF_3	4-Br	Me	H	CH_2-2-Py
CF_3	3-I	Me	H	CH_2-2-Py
CF_3	4-I	Me	H	CH_2-2-Py
CF_3	3-CN	Me	H	CH_2-2-Py
CF_3	4-CN	Me	H	CH_2-2-Py
CF_3	3-Me	Me	H	CH_2-2-Py
CF_3	4-Me	Me	H	CH_2-2-Py
CF_3	3-OMe	Me	H	CH_2-2-Py
CF_3	4-OMe	Me	H	CH_2-2-Py
CF_3	3-OCF_3	Me	H	CH_2-2-Py
CF_3	4-OCF_3	Me	H	CH_2-2-Py
$CF(CF_3)_2$	H	Me	H	CH_2-2-Py
$CF(CF_3)_2$	2-Cl	Me	H	CH_2-2-Py
$CF(CF_3)_2$	3-Cl	Me	H	CH_2-2-Py
$CF(CF_3)_2$	4-Cl	Me	H	CH_2-2-Py
$CF(CF_3)_2$	2-Cl, 4-Cl	Me	H	CH_2-2-Py

R^1	$(R^2)_m$	R^3	R^4	R^5
$CF(CF_3)_2$	3-Cl, 4-Cl	Me	H	CH_2CF_3
$CF(CF_3)_2$	3-Cl, 5-Cl	Me	H	CH_2CF_3
$CF(CF_3)_2$	2-F	Me	H	CH_2CF_3
$CF(CF_3)_2$	3-F	Me	H	CH_2CF_3
$CF(CF_3)_2$	4-F	Me	H	CH_2CF_3
$CF(CF_3)_2$	2-F, 4-F	Me	H	CH_2CF_3
$CF(CF_3)_2$	3-F, 4-F	Me	H	CH_2CF_3
$CF(CF_3)_2$	3-F, 5-F	Me	H	CH_2CF_3
$CF(CF_3)_2$	3-CF_3	Me	H	CH_2CF_3
$CF(CF_3)_2$	4-CF_3	Me	H	CH_2CF_3
$CF(CF_3)_2$	3-CF_3, 5-CF_3	Me	H	CH_2CF_3
$CF(CF_3)_2$	3-Cl, 5-CF_3	Me	H	CH_2CF_3
$CF(CF_3)_2$	3-Cl, 4-CF_3	Me	H	CH_2CF_3
$CF(CF_3)_2$	3-Cl, 4-Br	Me	H	CH_2CF_3
$CF(CF_3)_2$	3-Br, 5-Br	Me	H	CH_2CF_3
$CF(CF_3)_2$	3-Br, 4-Br	Me	H	CH_2CF_3
$CF(CF_3)_2$	3-Br	Me	H	CH_2CF_3
$CF(CF_3)_2$	4-Br	Me	H	CH_2CF_3
$CF(CF_3)_2$	3-I	Me	H	CH_2CF_3
$CF(CF_3)_2$	4-I	Me	H	CH_2CF_3
$CF(CF_3)_2$	3-CN	Me	H	CH_2CF_3
$CF(CF_3)_2$	4-CN	Me	H	CH_2CF_3
$CF(CF_3)_2$	3-Me	Me	H	CH_2CF_3
$CF(CF_3)_2$	4-Me	Me	H	CH_2CF_3
$CF(CF_3)_2$	3-OMe	Me	H	CH_2CF_3
$CF(CF_3)_2$	4-OMe	Me	H	CH_2CF_3
$CF(CF_3)_2$	3-OCF_3	Me	H	CH_2CF_3
$CF(CF_3)_2$	4-OCF_3	Me	H	CH_2CF_3

R^1	$(R^2)_m$	R^3	R^4	R^5
$CF(CF_3)_2$	3-Cl, 4-Cl	Me	H	CH_2-2-Py
$CF(CF_3)_2$	3-Cl, 5-Cl	Me	H	CH_2-2-Py
$CF(CF_3)_2$	2-F	Me	H	CH_2-2-Py
$CF(CF_3)_2$	3-F	Me	H	CH_2-2-Py
$CF(CF_3)_2$	4-F	Me	H	CH_2-2-Py
$CF(CF_3)_2$	2-F, 4-F	Me	H	CH_2-2-Py
$CF(CF_3)_2$	3-F, 4-F	Me	H	CH_2-2-Py
$CF(CF_3)_2$	3-F, 5-F	Me	H	CH_2-2-Py
$CF(CF_3)_2$	3-CF_3	Me	H	CH_2-2-Py
$CF(CF_3)_2$	4-CF_3	Me	H	CH_2-2-Py
$CF(CF_3)_2$	3-CF_3, 5-CF_3	Me	H	CH_2-2-Py
$CF(CF_3)_2$	3-Cl, 5-CF_3	Me	H	CH_2-2-Py
$CF(CF_3)_2$	3-Cl, 4-CF_3	Me	H	CH_2-2-Py
$CF(CF_3)_2$	3-Cl, 4-Br	Me	H	CH_2-2-Py
$CF(CF_3)_2$	3-Br, 5-Br	Me	H	CH_2-2-Py
$CF(CF_3)_2$	3-Br, 4-Br	Me	H	CH_2-2-Py
$CF(CF_3)_2$	3-Br	Me	H	CH_2-2-Py
$CF(CF_3)_2$	4-Br	Me	H	CH_2-2-Py
$CF(CF_3)_2$	3-I	Me	H	CH_2-2-Py
$CF(CF_3)_2$	4-I	Me	H	CH_2-2-Py
$CF(CF_3)_2$	3-CN	Me	H	CH_2-2-Py
$CF(CF_3)_2$	4-CN	Me	H	CH_2-2-Py
$CF(CF_3)_2$	3-Me	Me	H	CH_2-2-Py
$CF(CF_3)_2$	4-Me	Me	H	CH_2-2-Py
$CF(CF_3)_2$	3-OMe	Me	H	CH_2-2-Py
$CF(CF_3)_2$	4-OMe	Me	H	CH_2-2-Py
$CF(CF_3)_2$	3-OCF_3	Me	H	CH_2-2-Py
$CF(CF_3)_2$	4-OCF_3	Me	H	CH_2-2-Py

Table 4



wherein m is 1, 2, 3, 4 or 5.

R^1	$(R^2)_m$	R^3	R^4	R^5
CF_3	H	H	H	CH_2CF_3
CF_3	2-Cl	H	H	CH_2CF_3
CF_3	3-Cl	H	H	CH_2CF_3
CF_3	4-Cl	H	H	CH_2CF_3
CF_3	2-Cl, 4-Cl	H	H	CH_2CF_3
CF_3	3-Cl, 4-Cl	H	H	CH_2CF_3
CF_3	3-Cl, 5-Cl	H	H	CH_2CF_3
CF_3	2-F	H	H	CH_2CF_3
CF_3	3-F	H	H	CH_2CF_3
CF_3	4-F	H	H	CH_2CF_3
CF_3	2-F, 4-F	H	H	CH_2CF_3
CF_3	3-F, 4-F	H	H	CH_2CF_3
CF_3	3-F, 5-F	H	H	CH_2CF_3
CF_3	3-CF_3	H	H	CH_2CF_3
CF_3	4-CF_3	H	H	CH_2CF_3
CF_3	3-CF_3, 5-CF_3	H	H	CH_2CF_3
CF_3	3-Cl, 5-CF_3	H	H	CH_2CF_3
CF_3	3-Cl, 4-CF_3	H	H	CH_2CF_3
CF_3	3-Cl, 4-Br	H	H	CH_2CF_3
CF_3	3-Br, 5-Br	H	H	CH_2CF_3
CF_3	3-Br, 4-Br	H	H	CH_2CF_3
CF_3	3-Br	H	H	CH_2CF_3
CF_3	4-Br	H	H	CH_2CF_3
CF_3	3-I	H	H	CH_2CF_3
CF_3	4-I	H	H	CH_2CF_3
CF_3	3-CN	H	H	CH_2CF_3
CF_3	4-CN	H	H	CH_2CF_3
CF_3	3-Me	H	H	CH_2CF_3
CF_3	4-Me	H	H	CH_2CF_3
CF_3	3-OMe	H	H	CH_2CF_3
CF_3	4-OMe	H	H	CH_2CF_3
CF_3	3-OCF_3	H	H	CH_2CF_3
CF_3	4-OCF_3	H	H	CH_2CF_3
$CF(CF_3)_2$	H	H	H	CH_2CF_3
$CF(CF_3)_2$	2-Cl	H	H	CH_2CF_3
$CF(CF_3)_2$	3-Cl	H	H	CH_2CF_3
$CF(CF_3)_2$	4-Cl	H	H	CH_2CF_3

R^1	$(R^2)_m$	R^3	R^4	R^5
CF_3	H	H	H	CH_2-2-Py
CF_3	2-Cl	H	H	CH_2-2-Py
CF_3	3-Cl	H	H	CH_2-2-Py
CF_3	4-Cl	H	H	CH_2-2-Py
CF_3	2-Cl, 4-Cl	H	H	CH_2-2-Py
CF_3	3-Cl, 4-Cl	H	H	CH_2-2-Py
CF_3	3-Cl, 5-Cl	H	H	CH_2-2-Py
CF_3	2-F	H	H	CH_2-2-Py
CF_3	3-F	H	H	CH_2-2-Py
CF_3	4-F	H	H	CH_2-2-Py
CF_3	2-F, 4-F	H	H	CH_2-2-Py
CF_3	3-F, 4-F	H	H	CH_2-2-Py
CF_3	3-F, 5-F	H	H	CH_2-2-Py
CF_3	3-CF_3	H	H	CH_2-2-Py
CF_3	4-CF_3	H	H	CH_2-2-Py
CF_3	3-CF_3, 5-CF_3	H	H	CH_2-2-Py
CF_3	3-Cl, 5-CF_3	H	H	CH_2-2-Py
CF_3	3-Cl, 4-CF_3	H	H	CH_2-2-Py
CF_3	3-Cl, 4-Br	H	H	CH_2-2-Py
CF_3	3-Br, 5-Br	H	H	CH_2-2-Py
CF_3	3-Br, 4-Br	H	H	CH_2-2-Py
CF_3	3-Br	H	H	CH_2-2-Py
CF_3	4-Br	H	H	CH_2-2-Py
CF_3	3-I	H	H	CH_2-2-Py
CF_3	4-I	H	H	CH_2-2-Py
CF_3	3-CN	H	H	CH_2-2-Py
CF_3	4-CN	H	H	CH_2-2-Py
CF_3	3-Me	H	H	CH_2-2-Py
CF_3	4-Me	H	H	CH_2-2-Py
CF_3	3-OMe	H	H	CH_2-2-Py
CF_3	4-OMe	H	H	CH_2-2-Py
CF_3	3-OCF_3	H	H	CH_2-2-Py
CF_3	4-OCF_3	H	H	CH_2-2-Py
$CF(CF_3)_2$	H	H	H	CH_2-2-Py
$CF(CF_3)_2$	2-Cl	H	H	CH_2-2-Py
$CF(CF_3)_2$	3-Cl	H	H	CH_2-2-Py
$CF(CF_3)_2$	4-Cl	H	H	CH_2-2-Py

R^1	$(R^2)_m$	R^3	R^4	R^5
$CF(CF_3)_2$	2-Cl, 4-Cl	H	H	CH_2CF_3
$CF(CF_3)_2$	3-Cl, 4-Cl	H	H	CH_2CF_3
$CF(CF_3)_2$	3-Cl, 5-Cl	H	H	CH_2CF_3
$CF(CF_3)_2$	2-F	H	H	CH_2CF_3
$CF(CF_3)_2$	3-F	H	H	CH_2CF_3
$CF(CF_3)_2$	4-F	H	H	CH_2CF_3
$CF(CF_3)_2$	2-F, 4-F	H	H	CH_2CF_3
$CF(CF_3)_2$	3-F, 4-F	H	H	CH_2CF_3
$CF(CF_3)_2$	3-F, 5-F	H	H	CH_2CF_3
$CF(CF_3)_2$	3-CF_3	H	H	CH_2CF_3
$CF(CF_3)_2$	4-CF_3	H	H	CH_2CF_3
$CF(CF_3)_2$	3-CF_3, 5-CF_3	H	H	CH_2CF_3
$CF(CF_3)_2$	3-Cl, 5-CF_3	H	H	CH_2CF_3
$CF(CF_3)_2$	3-Cl, 4-CF_3	H	H	CH_2CF_3
$CF(CF_3)_2$	3-Cl, 4-Br	H	H	CH_2CF_3
$CF(CF_3)_2$	3-Br, 5-Br	H	H	CH_2CF_3
$CF(CF_3)_2$	3-Br, 4-Br	H	H	CH_2CF_3
$CF(CF_3)_2$	3-Br	H	H	CH_2CF_3
$CF(CF_3)_2$	4-Br	H	H	CH_2CF_3
$CF(CF_3)_2$	3-I	H	H	CH_2CF_3
$CF(CF_3)_2$	4-I	H	H	CH_2CF_3
$CF(CF_3)_2$	3-CN	H	H	CH_2CF_3
$CF(CF_3)_2$	4-CN	H	H	CH_2CF_3
$CF(CF_3)_2$	3-Me	H	H	CH_2CF_3
$CF(CF_3)_2$	4-Me	H	H	CH_2CF_3
$CF(CF_3)_2$	3-OMe	H	H	CH_2CF_3
$CF(CF_3)_2$	4-OMe	H	H	CH_2CF_3
$CF(CF_3)_2$	3-OCF_3	H	H	CH_2CF_3
$CF(CF_3)_2$	4-OCF_3	H	H	CH_2CF_3
CF_3	H	Me	H	CH_2CF_3
CF_3	2-Cl	Me	H	CH_2CF_3
CF_3	3-Cl	Me	H	CH_2CF_3
CF_3	4-Cl	Me	H	CH_2CF_3
CF_3	2-Cl, 4-Cl	Me	H	CH_2CF_3
CF_3	3-Cl, 4-Cl	Me	H	CH_2CF_3
CF_3	3-Cl, 5-Cl	Me	H	CH_2CF_3
CF_3	2-F	Me	H	CH_2CF_3

R^1	$(R^2)_m$	R^3	R^4	R^5
$CF(CF_3)_2$	2-Cl, 4-Cl	H	H	CH_2-2-Py
$CF(CF_3)_2$	3-Cl, 4-Cl	H	H	CH_2-2-Py
$CF(CF_3)_2$	3-Cl, 5-Cl	H	H	CH_2-2-Py
$CF(CF_3)_2$	2-F	H	H	CH_2-2-Py
$CF(CF_3)_2$	3-F	H	H	CH_2-2-Py
$CF(CF_3)_2$	4-F	H	H	CH_2-2-Py
$CF(CF_3)_2$	2-F, 4-F	H	H	CH_2-2-Py
$CF(CF_3)_2$	3-F, 4-F	H	H	CH_2-2-Py
$CF(CF_3)_2$	3-F, 5-F	H	H	CH_2-2-Py
$CF(CF_3)_2$	3-CF_3	H	H	CH_2-2-Py
$CF(CF_3)_2$	4-CF_3	H	H	CH_2-2-Py
$CF(CF_3)_2$	3-CF_3, 5-CF_3	H	H	CH_2-2-Py
$CF(CF_3)_2$	3-Cl, 5-CF_3	H	H	CH_2-2-Py
$CF(CF_3)_2$	3-Cl, 4-CF_3	H	H	CH_2-2-Py
$CF(CF_3)_2$	3-Cl, 4-Br	H	H	CH_2-2-Py
$CF(CF_3)_2$	3-Br, 5-Br	H	H	CH_2-2-Py
$CF(CF_3)_2$	3-Br, 4-Br	H	H	CH_2-2-Py
$CF(CF_3)_2$	3-Br	H	H	CH_2-2-Py
$CF(CF_3)_2$	4-Br	H	H	CH_2-2-Py
$CF(CF_3)_2$	3-I	H	H	CH_2-2-Py
$CF(CF_3)_2$	4-I	H	H	CH_2-2-Py
$CF(CF_3)_2$	3-CN	H	H	CH_2-2-Py
$CF(CF_3)_2$	4-CN	H	H	CH_2-2-Py
$CF(CF_3)_2$	3-Me	H	H	CH_2-2-Py
$CF(CF_3)_2$	4-Me	H	H	CH_2-2-Py
$CF(CF_3)_2$	3-OMe	H	H	CH_2-2-Py
$CF(CF_3)_2$	4-OMe	H	H	CH_2-2-Py
$CF(CF_3)_2$	3-OCF_3	H	H	CH_2-2-Py
$CF(CF_3)_2$	4-OCF_3	H	H	CH_2-2-Py
CF_3	H	Me	H	CH_2-2-Py
CF_3	2-Cl	Me	H	CH_2-2-Py
CF_3	3-Cl	Me	H	CH_2-2-Py
CF_3	4-Cl	Me	H	CH_2-2-Py
CF_3	2-Cl, 4-Cl	Me	H	CH_2-2-Py
CF_3	3-Cl, 4-Cl	Me	H	CH_2-2-Py
CF_3	3-Cl, 5-Cl	Me	H	CH_2-2-Py
CF_3	2-F	Me	H	CH_2-2-Py

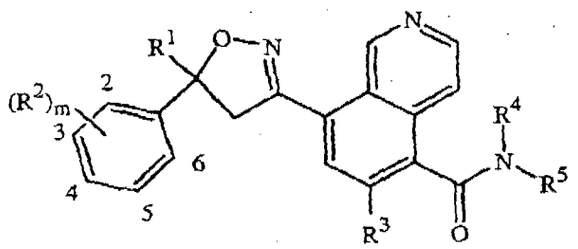
R^1	$(R^2)_m$	R^3	R^4	R^5
CF_3	3-F	Me	H	CH_2CF_3
CF_3	4-F	Me	H	CH_2CF_3
CF_3	2-F, 4-F	Me	H	CH_2CF_3
CF_3	3-F, 4-F	Me	H	CH_2CF_3
CF_3	3-F, 5-F	Me	H	CH_2CF_3
CF_3	3-CF_3	Me	H	CH_2CF_3
CF_3	4-CF_3	Me	H	CH_2CF_3
CF_3	3-CF_3, 5-CF_3	Me	H	CH_2CF_3
CF_3	3-Cl, 5-CF_3	Me	H	CH_2CF_3
CF_3	3-Cl, 4-CF_3	Me	H	CH_2CF_3
CF_3	3-Cl, 4-Br	Me	H	CH_2CF_3
CF_3	3-Br, 5-Br	Me	H	CH_2CF_3
CF_3	3-Br, 4-Br	Me	H	CH_2CF_3
CF_3	3-Br	Me	H	CH_2CF_3
CF_3	4-Br	Me	H	CH_2CF_3
CF_3	3-I	Me	H	CH_2CF_3
CF_3	4-I	Me	H	CH_2CF_3
CF_3	3-CN	Me	H	CH_2CF_3
CF_3	4-CN	Me	H	CH_2CF_3
CF_3	3-Me	Me	H	CH_2CF_3
CF_3	4-Me	Me	H	CH_2CF_3
CF_3	3-OMe	Me	H	CH_2CF_3
CF_3	4-OMe	Me	H	CH_2CF_3
CF_3	3-OCF_3	Me	H	CH_2CF_3
CF_3	4-OCF_3	Me	H	CH_2CF_3
$CF(CF_3)_2$	H	Me	H	CH_2CF_3
$CF(CF_3)_2$	2-Cl	Me	H	CH_2CF_3
$CF(CF_3)_2$	3-Cl	Me	H	CH_2CF_3
$CF(CF_3)_2$	4-Cl	Me	H	CH_2CF_3
$CF(CF_3)_2$	2-Cl, 4-Cl	Me	H	CH_2CF_3
$CF(CF_3)_2$	3-Cl, 4-Cl	Me	H	CH_2CF_3
$CF(CF_3)_2$	3-Cl, 5-Cl	Me	H	CH_2CF_3
$CF(CF_3)_2$	2-F	Me	H	CH_2CF_3
$CF(CF_3)_2$	3-F	Me	H	CH_2CF_3
$CF(CF_3)_2$	4-F	Me	H	CH_2CF_3
$CF(CF_3)_2$	2-F, 4-F	Me	H	CH_2CF_3
$CF(CF_3)_2$	3-F, 4-F	Me	H	CH_2CF_3

R^1	$(R^2)_m$	R^3	R^4	R^5
CF_3	3-F	Me	H	CH_2-2-Py
CF_3	4-F	Me	H	CH_2-2-Py
CF_3	2-F, 4-F	Me	H	CH_2-2-Py
CF_3	3-F, 4-F	Me	H	CH_2-2-Py
CF_3	3-F, 5-F	Me	H	CH_2-2-Py
CF_3	3-CF_3	Me	H	CH_2-2-Py
CF_3	4-CF_3	Me	H	CH_2-2-Py
CF_3	3-CF_3, 5-CF_3	Me	H	CH_2-2-Py
CF_3	3-Cl, 5-CF_3	Me	H	CH_2-2-Py
CF_3	3-Cl, 4-CF_3	Me	H	CH_2-2-Py
CF_3	3-Cl, 4-Br	Me	H	CH_2-2-Py
CF_3	3-Br, 5-Br	Me	H	CH_2-2-Py
CF_3	3-Br, 4-Br	Me	H	CH_2-2-Py
CF_3	3-Br	Me	H	CH_2-2-Py
CF_3	4-Br	Me	H	CH_2-2-Py
CF_3	3-I	Me	H	CH_2-2-Py
CF_3	4-I	Me	H	CH_2-2-Py
CF_3	3-CN	Me	H	CH_2-2-Py
CF_3	4-CN	Me	H	CH_2-2-Py
CF_3	3-Me	Me	H	CH_2-2-Py
CF_3	4-Me	Me	H	CH_2-2-Py
CF_3	3-OMe	Me	H	CH_2-2-Py
CF_3	4-OMe	Me	H	CH_2-2-Py
CF_3	3-OCF_3	Me	H	CH_2-2-Py
CF_3	4-OCF_3	Me	H	CH_2-2-Py
$CF(CF_3)_2$	H	Me	H	CH_2-2-Py
$CF(CF_3)_2$	2-Cl	Me	H	CH_2-2-Py
$CF(CF_3)_2$	3-Cl	Me	H	CH_2-2-Py
$CF(CF_3)_2$	4-Cl	Me	H	CH_2-2-Py
$CF(CF_3)_2$	2-Cl, 4-Cl	Me	H	CH_2-2-Py
$CF(CF_3)_2$	3-Cl, 4-Cl	Me	H	CH_2-2-Py
$CF(CF_3)_2$	3-Cl, 5-Cl	Me	H	CH_2-2-Py
$CF(CF_3)_2$	2-F	Me	H	CH_2-2-Py
$CF(CF_3)_2$	3-F	Me	H	CH_2-2-Py
$CF(CF_3)_2$	4-F	Me	H	CH_2-2-Py
$CF(CF_3)_2$	2-F, 4-F	Me	H	CH_2-2-Py
$CF(CF_3)_2$	3-F, 4-F	Me	H	CH_2-2-Py

R^1	$(R^2)_m$	R^3	R^4	R^5
$CF(CF_3)_2$	3-F, 5-F	Me	H	CH_2CF_3
$CF(CF_3)_2$	3-CF_3	Me	H	CH_2CF_3
$CF(CF_3)_2$	4-CF_3	Me	H	CH_2CF_3
$CF(CF_3)_2$	3-CF_3, 5-CF_3	Me	H	CH_2CF_3
$CF(CF_3)_2$	3-Cl, 5-CF_3	Me	H	CH_2CF_3
$CF(CF_3)_2$	3-Cl, 4-CF_3	Me	H	CH_2CF_3
$CF(CF_3)_2$	3-Cl, 4-Br	Me	H	CH_2CF_3
$CF(CF_3)_2$	3-Br, 5-Br	Me	H	CH_2CF_3
$CF(CF_3)_2$	3-Br, 4-Br	Me	H	CH_2CF_3
$CF(CF_3)_2$	3-Br	Me	H	CH_2CF_3
$CF(CF_3)_2$	4-Br	Me	H	CH_2CF_3
$CF(CF_3)_2$	3-I	Me	H	CH_2CF_3
$CF(CF_3)_2$	4-I	Me	H	CH_2CF_3
$CF(CF_3)_2$	3-CN	Me	H	CH_2CF_3
$CF(CF_3)_2$	4-CN	Me	H	CH_2CF_3
$CF(CF_3)_2$	3-Me	Me	H	CH_2CF_3
$CF(CF_3)_2$	4-Me	Me	H	CH_2CF_3
$CF(CF_3)_2$	3-OMe	Me	H	CH_2CF_3
$CF(CF_3)_2$	4-OMe	Me	H	CH_2CF_3
$CF(CF_3)_2$	3-OCF_3	Me	H	CH_2CF_3
$CF(CF_3)_2$	4-OCF_3	Me	H	CH_2CF_3

R^1	$(R^2)_m$	R^3	R^4	R^5
$CF(CF_3)_2$	3-F, 5-F	Me	H	CH_2-2-Py
$CF(CF_3)_2$	3-CF_3	Me	H	CH_2-2-Py
$CF(CF_3)_2$	4-CF_3	Me	H	CH_2-2-Py
$CF(CF_3)_2$	3-CF_3, 5-CF_3	Me	H	CH_2-2-Py
$CF(CF_3)_2$	3-Cl, 5-CF_3	Me	H	CH_2-2-Py
$CF(CF_3)_2$	3-Cl, 4-CF_3	Me	H	CH_2-2-Py
$CF(CF_3)_2$	3-Cl, 4-Br	Me	H	CH_2-2-Py
$CF(CF_3)_2$	3-Br, 5-Br	Me	H	CH_2-2-Py
$CF(CF_3)_2$	3-Br, 4-Br	Me	H	CH_2-2-Py
$CF(CF_3)_2$	3-Br	Me	H	CH_2-2-Py
$CF(CF_3)_2$	4-Br	Me	H	CH_2-2-Py
$CF(CF_3)_2$	3-I	Me	H	CH_2-2-Py
$CF(CF_3)_2$	4-I	Me	H	CH_2-2-Py
$CF(CF_3)_2$	3-CN	Me	H	CH_2-2-Py
$CF(CF_3)_2$	4-CN	Me	H	CH_2-2-Py
$CF(CF_3)_2$	3-Me	Me	H	CH_2-2-Py
$CF(CF_3)_2$	4-Me	Me	H	CH_2-2-Py
$CF(CF_3)_2$	3-OMe	Me	H	CH_2-2-Py
$CF(CF_3)_2$	4-OMe	Me	H	CH_2-2-Py
$CF(CF_3)_2$	3-OCF_3	Me	H	CH_2-2-Py
$CF(CF_3)_2$	4-OCF_3	Me	H	CH_2-2-Py

Table 5



wherein m is 1, 2, 3, 4 or 5.

R^1	$(R^2)_m$	R^3	R^4	R^5
CF_3	H	H	H	CH_2CF_3
CF_3	2-Cl	H	H	CH_2CF_3
CF_3	3-Cl	H	H	CH_2CF_3
CF_3	4-Cl	H	H	CH_2CF_3
CF_3	2-Cl, 4-Cl	H	H	CH_2CF_3
CF_3	3-Cl, 4-Cl	H	H	CH_2CF_3
CF_3	3-Cl, 5-Cl	H	H	CH_2CF_3

R^1	$(R^2)_m$	R^3	R^4	R^5
CF_3	H	H	H	CH_2-2-Py
CF_3	2-Cl	H	H	CH_2-2-Py
CF_3	3-Cl	H	H	CH_2-2-Py
CF_3	4-Cl	H	H	CH_2-2-Py
CF_3	2-Cl, 4-Cl	H	H	CH_2-2-Py
CF_3	3-Cl, 4-Cl	H	H	CH_2-2-Py
CF_3	3-Cl, 5-Cl	H	H	CH_2-2-Py

R^1	$(R^2)_m$	R^3	R^4	R^5
CF_3	2-F	H	H	CH_2CF_3
CF_3	3-F	H	H	CH_2CF_3
CF_3	4-F	H	H	CH_2CF_3
CF_3	2-F, 4-F	H	H	CH_2CF_3
CF_3	3-F, 4-F	H	H	CH_2CF_3
CF_3	3-F, 5-F	H	H	CH_2CF_3
CF_3	3-CF_3	H	H	CH_2CF_3
CF_3	4-CF_3	H	H	CH_2CF_3
CF_3	3-CF_3, 5-CF_3	H	H	CH_2CF_3
CF_3	3-Cl, 5-CF_3	H	H	CH_2CF_3
CF_3	3-Cl, 4-CF_3	H	H	CH_2CF_3
CF_3	3-Cl, 4-Br	H	H	CH_2CF_3
CF_3	3-Br, 5-Br	H	H	CH_2CF_3
CF_3	3-Br, 4-Br	H	H	CH_2CF_3
CF_3	3-Br	H	H	CH_2CF_3
CF_3	4-Br	H	H	CH_2CF_3
CF_3	3-I	H	H	CH_2CF_3
CF_3	4-I	H	H	CH_2CF_3
CF_3	3-CN	H	H	CH_2CF_3
CF_3	4-CN	H	H	CH_2CF_3
CF_3	3-Me	H	H	CH_2CF_3
CF_3	4-Me	H	H	CH_2CF_3
CF_3	3-OMe	H	H	CH_2CF_3
CF_3	4-OMe	H	H	CH_2CF_3
CF_3	3-OCF_3	H	H	CH_2CF_3
CF_3	4-OCF_3	H	H	CH_2CF_3
$CF(CF_3)_2$	H	H	H	CH_2CF_3
$CF(CF_3)_2$	2-Cl	H	H	CH_2CF_3
$CF(CF_3)_2$	3-Cl	H	H	CH_2CF_3
$CF(CF_3)_2$	4-Cl	H	H	CH_2CF_3
$CF(CF_3)_2$	2-Cl, 4-Cl	H	H	CH_2CF_3
$CF(CF_3)_2$	3-Cl, 4-Cl	H	H	CH_2CF_3
$CF(CF_3)_2$	3-Cl, 5-Cl	H	H	CH_2CF_3
$CF(CF_3)_2$	2-F	H	H	CH_2CF_3
$CF(CF_3)_2$	3-F	H	H	CH_2CF_3
$CF(CF_3)_2$	4-F	H	H	CH_2CF_3
$CF(CF_3)_2$	2-F, 4-F	H	H	CH_2CF_3

R^1	$(R^2)_m$	R^3	R^4	R^5
CF_3	2-F	H	H	CH_2-2-Py
CF_3	3-F	H	H	CH_2-2-Py
CF_3	4-F	H	H	CH_2-2-Py
CF_3	2-F, 4-F	H	H	CH_2-2-Py
CF_3	3-F, 4-F	H	H	CH_2-2-Py
CF_3	3-F, 5-F	H	H	CH_2-2-Py
CF_3	3-CF_3	H	H	CH_2-2-Py
CF_3	4-CF_3	H	H	CH_2-2-Py
CF_3	3-CF_3, 5-CF_3	H	H	CH_2-2-Py
CF_3	3-Cl, 5-CF_3	H	H	CH_2-2-Py
CF_3	3-Cl, 4-CF_3	H	H	CH_2-2-Py
CF_3	3-Cl, 4-Br	H	H	CH_2-2-Py
CF_3	3-Br, 5-Br	H	H	CH_2-2-Py
CF_3	3-Br, 4-Br	H	H	CH_2-2-Py
CF_3	3-Br	H	H	CH_2-2-Py
CF_3	4-Br	H	H	CH_2-2-Py
CF_3	3-I	H	H	CH_2-2-Py
CF_3	4-I	H	H	CH_2-2-Py
CF_3	3-CN	H	H	CH_2-2-Py
CF_3	4-CN	H	H	CH_2-2-Py
CF_3	3-Me	H	H	CH_2-2-Py
CF_3	4-Me	H	H	CH_2-2-Py
CF_3	3-OMe	H	H	CH_2-2-Py
CF_3	4-OMe	H	H	CH_2-2-Py
CF_3	3-OCF_3	H	H	CH_2-2-Py
CF_3	4-OCF_3	H	H	CH_2-2-Py
$CF(CF_3)_2$	H	H	H	CH_2-2-Py
$CF(CF_3)_2$	2-Cl	H	H	CH_2-2-Py
$CF(CF_3)_2$	3-Cl	H	H	CH_2-2-Py
$CF(CF_3)_2$	4-Cl	H	H	CH_2-2-Py
$CF(CF_3)_2$	2-Cl, 4-Cl	H	H	CH_2-2-Py
$CF(CF_3)_2$	3-Cl, 4-Cl	H	H	CH_2-2-Py
$CF(CF_3)_2$	3-Cl, 5-Cl	H	H	CH_2-2-Py
$CF(CF_3)_2$	2-F	H	H	CH_2-2-Py
$CF(CF_3)_2$	3-F	H	H	CH_2-2-Py
$CF(CF_3)_2$	4-F	H	H	CH_2-2-Py
$CF(CF_3)_2$	2-F, 4-F	H	H	CH_2-2-Py

R^1	$(R^2)_m$	R^3	R^4	R^5
$CF(CF_3)_2$	3-F, 4-F	H	H	CH_2CF_3
$CF(CF_3)_2$	3-F, 5-F	H	H	CH_2CF_3
$CF(CF_3)_2$	3-CF_3	H	H	CH_2CF_3
$CF(CF_3)_2$	4-CF_3	H	H	CH_2CF_3
$CF(CF_3)_2$	3-CF_3, 5-CF_3	H	H	CH_2CF_3
$CF(CF_3)_2$	3-Cl, 5-CF_3	H	H	CH_2CF_3
$CF(CF_3)_2$	3-Cl, 4-CF_3	H	H	CH_2CF_3
$CF(CF_3)_2$	3-Cl, 4-Br	H	H	CH_2CF_3
$CF(CF_3)_2$	3-Br, 5-Br	H	H	CH_2CF_3
$CF(CF_3)_2$	3-Br, 4-Br	H	H	CH_2CF_3
$CF(CF_3)_2$	3-Br	H	H	CH_2CF_3
$CF(CF_3)_2$	4-Br	H	H	CH_2CF_3
$CF(CF_3)_2$	3-I	H	H	CH_2CF_3
$CF(CF_3)_2$	4-I	H	H	CH_2CF_3
$CF(CF_3)_2$	3-CN	H	H	CH_2CF_3
$CF(CF_3)_2$	4-CN	H	H	CH_2CF_3
$CF(CF_3)_2$	3-Me	H	H	CH_2CF_3
$CF(CF_3)_2$	4-Me	H	H	CH_2CF_3
$CF(CF_3)_2$	3-OMe	H	H	CH_2CF_3
$CF(CF_3)_2$	4-OMe	H	H	CH_2CF_3
$CF(CF_3)_2$	3-OCF_3	H	H	CH_2CF_3
$CF(CF_3)_2$	4-OCF_3	H	H	CH_2CF_3
CF_3	H	Me	H	CH_2CF_3
CF_3	2-Cl	Me	H	CH_2CF_3
CF_3	3-Cl	Me	H	CH_2CF_3
CF_3	4-Cl	Me	H	CH_2CF_3
CF_3	2-Cl, 4-Cl	Me	H	CH_2CF_3
CF_3	3-Cl, 4-Cl	Me	H	CH_2CF_3
CF_3	3-Cl, 5-Cl	Me	H	CH_2CF_3
CF_3	2-F	Me	H	CH_2CF_3
CF_3	3-F	Me	H	CH_2CF_3
CF_3	4-F	Me	H	CH_2CF_3
CF_3	2-F, 4-F	Me	H	CH_2CF_3
CF_3	3-F, 4-F	Me	H	CH_2CF_3
CF_3	3-F, 5-F	Me	H	CH_2CF_3
CF_3	3-CF_3	Me	H	CH_2CF_3
CF_3	4-CF_3	Me	H	CH_2CF_3

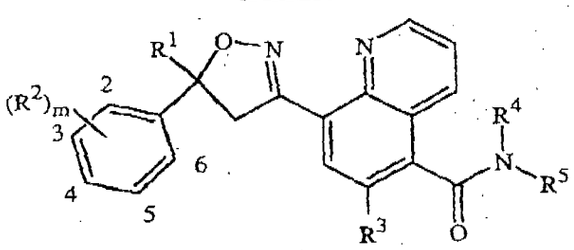
R^1	$(R^2)_m$	R^3	R^4	R^5
$CF(CF_3)_2$	3-F, 4-F	H	H	CH_2-2-Py
$CF(CF_3)_2$	3-F, 5-F	H	H	CH_2-2-Py
$CF(CF_3)_2$	3-CF_3	H	H	CH_2-2-Py
$CF(CF_3)_2$	4-CF_3	H	H	CH_2-2-Py
$CF(CF_3)_2$	3-CF_3, 5-CF_3	H	H	CH_2-2-Py
$CF(CF_3)_2$	3-Cl, 5-CF_3	H	H	CH_2-2-Py
$CF(CF_3)_2$	3-Cl, 4-CF_3	H	H	CH_2-2-Py
$CF(CF_3)_2$	3-Cl, 4-Br	H	H	CH_2-2-Py
$CF(CF_3)_2$	3-Br, 5-Br	H	H	CH_2-2-Py
$CF(CF_3)_2$	3-Br, 4-Br	H	H	CH_2-2-Py
$CF(CF_3)_2$	3-Br	H	H	CH_2-2-Py
$CF(CF_3)_2$	4-Br	H	H	CH_2-2-Py
$CF(CF_3)_2$	3-I	H	H	CH_2-2-Py
$CF(CF_3)_2$	4-I	H	H	CH_2-2-Py
$CF(CF_3)_2$	3-CN	H	H	CH_2-2-Py
$CF(CF_3)_2$	4-CN	H	H	CH_2-2-Py
$CF(CF_3)_2$	3-Me	H	H	CH_2-2-Py
$CF(CF_3)_2$	4-Me	H	H	CH_2-2-Py
$CF(CF_3)_2$	3-OMe	H	H	CH_2-2-Py
$CF(CF_3)_2$	4-OMe	H	H	CH_2-2-Py
$CF(CF_3)_2$	3-OCF_3	H	H	CH_2-2-Py
$CF(CF_3)_2$	4-OCF_3	H	H	CH_2-2-Py
CF_3	H	Me	H	CH_2-2-Py
CF_3	2-Cl	Me	H	CH_2-2-Py
CF_3	3-Cl	Me	H	CH_2-2-Py
CF_3	4-Cl	Me	H	CH_2-2-Py
CF_3	2-Cl, 4-Cl	Me	H	CH_2-2-Py
CF_3	3-Cl, 4-Cl	Me	H	CH_2-2-Py
CF_3	3-Cl, 5-Cl	Me	H	CH_2-2-Py
CF_3	2-F	Me	H	CH_2-2-Py
CF_3	3-F	Me	H	CH_2-2-Py
CF_3	4-F	Me	H	CH_2-2-Py
CF_3	2-F, 4-F	Me	H	CH_2-2-Py
CF_3	3-F, 4-F	Me	H	CH_2-2-Py
CF_3	3-F, 5-F	Me	H	CH_2-2-Py
CF_3	3-CF_3	Me	H	CH_2-2-Py
CF_3	4-CF_3	Me	H	CH_2-2-Py

R^1	$(R^2)_m$	R^3	R^4	R^5
CF_3	3-CF_3, 5-CF_3	Me	H	CH_2CF_3
CF_3	3-Cl, 5-CF_3	Me	H	CH_2CF_3
CF_3	3-Cl, 4-CF_3	Me	H	CH_2CF_3
CF_3	3-Cl, 4-Br	Me	H	CH_2CF_3
CF_3	3-Br, 5-Br	Me	H	CH_2CF_3
CF_3	3-Br, 4-Br	Me	H	CH_2CF_3
CF_3	3-Br	Me	H	CH_2CF_3
CF_3	4-Br	Me	H	CH_2CF_3
CF_3	3-I	Me	H	CH_2CF_3
CF_3	4-I	Me	H	CH_2CF_3
CF_3	3-CN	Me	H	CH_2CF_3
CF_3	4-CN	Me	H	CH_2CF_3
CF_3	3-Me	Me	H	CH_2CF_3
CF_3	4-Me	Me	H	CH_2CF_3
CF_3	3-OMe	Me	H	CH_2CF_3
CF_3	4-OMe	Me	H	CH_2CF_3
CF_3	3-OCF_3	Me	H	CH_2CF_3
CF_3	4-OCF_3	Me	H	CH_2CF_3
$CF(CF_3)_2$	H	Me	H	CH_2CF_3
$CF(CF_3)_2$	2-Cl	Me	H	CH_2CF_3
$CF(CF_3)_2$	3-Cl	Me	H	CH_2CF_3
$CF(CF_3)_2$	4-Cl	Me	H	CH_2CF_3
$CF(CF_3)_2$	2-Cl, 4-Cl	Me	H	CH_2CF_3
$CF(CF_3)_2$	3-Cl, 4-Cl	Me	H	CH_2CF_3
$CF(CF_3)_2$	3-Cl, 5-Cl	Me	H	CH_2CF_3
$CF(CF_3)_2$	2-F	Me	H	CH_2CF_3
$CF(CF_3)_2$	3-F	Me	H	CH_2CF_3
$CF(CF_3)_2$	4-F	Me	H	CH_2CF_3
$CF(CF_3)_2$	2-F, 4-F	Me	H	CH_2CF_3
$CF(CF_3)_2$	3-F, 4-F	Me	H	CH_2CF_3
$CF(CF_3)_2$	3-F, 5-F	Me	H	CH_2CF_3
$CF(CF_3)_2$	3-CF_3	Me	H	CH_2CF_3
$CF(CF_3)_2$	4-CF_3	Me	H	CH_2CF_3
$CF(CF_3)_2$	3-CF_3, 5-CF_3	Me	H	CH_2CF_3
$CF(CF_3)_2$	3-Cl, 5-CF_3	Me	H	CH_2CF_3
$CF(CF_3)_2$	3-Cl, 4-CF_3	Me	H	CH_2CF_3
$CF(CF_3)_2$	3-Cl, 4-Br	Me	H	CH_2CF_3

R^1	$(R^2)_m$	R^3	R^4	R^5
CF_3	3-CF_3, 5-CF_3	Me	H	CH_2-2-Py
CF_3	3-Cl, 5-CF_3	Me	H	CH_2-2-Py
CF_3	3-Cl, 4-CF_3	Me	H	CH_2-2-Py
CF_3	3-Cl, 4-Br	Me	H	CH_2-2-Py
CF_3	3-Br, 5-Br	Me	H	CH_2-2-Py
CF_3	3-Br, 4-Br	Me	H	CH_2-2-Py
CF_3	3-Br	Me	H	CH_2-2-Py
CF_3	4-Br	Me	H	CH_2-2-Py
CF_3	3-I	Me	H	CH_2-2-Py
CF_3	4-I	Me	H	CH_2-2-Py
CF_3	3-CN	Me	H	CH_2-2-Py
CF_3	4-CN	Me	H	CH_2-2-Py
CF_3	3-Me	Me	H	CH_2-2-Py
CF_3	4-Me	Me	H	CH_2-2-Py
CF_3	3-OMe	Me	H	CH_2-2-Py
CF_3	4-OMe	Me	H	CH_2-2-Py
CF_3	3-OCF_3	Me	H	CH_2-2-Py
CF_3	4-OCF_3	Me	H	CH_2-2-Py
$CF(CF_3)_2$	H	Me	H	CH_2-2-Py
$CF(CF_3)_2$	2-Cl	Me	H	CH_2-2-Py
$CF(CF_3)_2$	3-Cl	Me	H	CH_2-2-Py
$CF(CF_3)_2$	4-Cl	Me	H	CH_2-2-Py
$CF(CF_3)_2$	2-Cl, 4-Cl	Me	H	CH_2-2-Py
$CF(CF_3)_2$	3-Cl, 4-Cl	Me	H	CH_2-2-Py
$CF(CF_3)_2$	3-Cl, 5-Cl	Me	H	CH_2-2-Py
$CF(CF_3)_2$	2-F	Me	H	CH_2-2-Py
$CF(CF_3)_2$	3-F	Me	H	CH_2-2-Py
$CF(CF_3)_2$	4-F	Me	H	CH_2-2-Py
$CF(CF_3)_2$	2-F, 4-F	Me	H	CH_2-2-Py
$CF(CF_3)_2$	3-F, 4-F	Me	H	CH_2-2-Py
$CF(CF_3)_2$	3-F, 5-F	Me	H	CH_2-2-Py
$CF(CF_3)_2$	3-CF_3	Me	H	CH_2-2-Py
$CF(CF_3)_2$	4-CF_3	Me	H	CH_2-2-Py
$CF(CF_3)_2$	3-CF_3, 5-CF_3	Me	H	CH_2-2-Py
$CF(CF_3)_2$	3-Cl, 5-CF_3	Me	H	CH_2-2-Py
$CF(CF_3)_2$	3-Cl, 4-CF_3	Me	H	CH_2-2-Py
$CF(CF_3)_2$	3-Cl, 4-Br	Me	H	CH_2-2-Py

R^1	$(R^2)_m$	R^3	R^4	R^5
$CF(CF_3)_2$	3-Br, 5-Br	Me	H	CH_2CF_3
$CF(CF_3)_2$	3-Br, 4-Br	Me	H	CH_2CF_3
$CF(CF_3)_2$	3-Br	Me	H	CH_2CF_3
$CF(CF_3)_2$	4-Br	Me	H	CH_2CF_3
$CF(CF_3)_2$	3-I	Me	H	CH_2CF_3
$CF(CF_3)_2$	4-I	Me	H	CH_2CF_3
$CF(CF_3)_2$	3-CN	Me	H	CH_2CF_3
$CF(CF_3)_2$	4-CN	Me	H	CH_2CF_3
$CF(CF_3)_2$	3-Me	Me	H	CH_2CF_3
$CF(CF_3)_2$	4-Me	Me	H	CH_2CF_3
$CF(CF_3)_2$	3-OMe	Me	H	CH_2CF_3
$CF(CF_3)_2$	4-OMe	Me	H	CH_2CF_3
$CF(CF_3)_2$	3-OCF_3	Me	H	CH_2CF_3
$CF(CF_3)_2$	4-OCF_3	Me	H	CH_2CF_3
$CF(CF_3)_2$	3-Br, 5-Br	Me	H	CH_2-2-Py
$CF(CF_3)_2$	3-Br, 4-Br	Me	H	CH_2-2-Py
$CF(CF_3)_2$	3-Br	Me	H	CH_2-2-Py
$CF(CF_3)_2$	4-Br	Me	H	CH_2-2-Py
$CF(CF_3)_2$	3-I	Me	H	CH_2-2-Py
$CF(CF_3)_2$	4-I	Me	H	CH_2-2-Py
$CF(CF_3)_2$	3-CN	Me	H	CH_2-2-Py
$CF(CF_3)_2$	4-CN	Me	H	CH_2-2-Py
$CF(CF_3)_2$	3-Me	Me	H	CH_2-2-Py
$CF(CF_3)_2$	4-Me	Me	H	CH_2-2-Py
$CF(CF_3)_2$	3-OMe	Me	H	CH_2-2-Py
$CF(CF_3)_2$	4-OMe	Me	H	CH_2-2-Py
$CF(CF_3)_2$	3-OCF_3	Me	H	CH_2-2-Py
$CF(CF_3)_2$	4-OCF_3	Me	H	CH_2-2-Py

Table 6



wherein m is 1, 2, 3, 4 or 5.

R^1	$(R^2)_m$	R^3	R^4	R^5
CF_3	H	H	H	CH_2CF_3
CF_3	2-Cl	H	H	CH_2CF_3
CF_3	3-Cl	H	H	CH_2CF_3
CF_3	4-Cl	H	H	CH_2CF_3
CF_3	2-Cl, 4-Cl	H	H	CH_2CF_3
CF_3	3-Cl, 4-Cl	H	H	CH_2CF_3
CF_3	3-Cl, 5-Cl	H	H	CH_2CF_3
CF_3	2-F	H	H	CH_2CF_3
CF_3	3-F	H	H	CH_2CF_3
CF_3	4-F	H	H	CH_2CF_3
CF_3	2-F, 4-F	H	H	CH_2CF_3
CF_3	3-F, 4-F	H	H	CH_2CF_3
CF_3	3-F, 5-F	H	H	CH_2CF_3
CF_3	3-CF_3	H	H	CH_2CF_3
CF_3	H	H	H	CH_2-2-Py
CF_3	2-Cl	H	H	CH_2-2-Py
CF_3	3-Cl	H	H	CH_2-2-Py
CF_3	4-Cl	H	H	CH_2-2-Py
CF_3	2-Cl, 4-Cl	H	H	CH_2-2-Py
CF_3	3-Cl, 4-Cl	H	H	CH_2-2-Py
CF_3	3-Cl, 5-Cl	H	H	CH_2-2-Py
CF_3	2-F	H	H	CH_2-2-Py
CF_3	3-F	H	H	CH_2-2-Py
CF_3	4-F	H	H	CH_2-2-Py
CF_3	2-F, 4-F	H	H	CH_2-2-Py
CF_3	3-F, 4-F	H	H	CH_2-2-Py
CF_3	3-F, 5-F	H	H	CH_2-2-Py
CF_3	3-CF_3	H	H	CH_2-2-Py

R^1	$(R^2)_m$	R^3	R^4	R^5
$CF(CF_3)_2$	3-Cl, 4-Br	H	H	CH_2CF_3
$CF(CF_3)_2$	3-Br, 5-Br	H	H	CH_2CF_3
$CF(CF_3)_2$	3-Br, 4-Br	H	H	CH_2CF_3
$CF(CF_3)_2$	3-Br	H	H	CH_2CF_3
$CF(CF_3)_2$	4-Br	H	H	CH_2CF_3
$CF(CF_3)_2$	3-I	H	H	CH_2CF_3
$CF(CF_3)_2$	4-I	H	H	CH_2CF_3
$CF(CF_3)_2$	3-CN	H	H	CH_2CF_3
$CF(CF_3)_2$	4-CN	H	H	CH_2CF_3
$CF(CF_3)_2$	3-Me	H	H	CH_2CF_3
$CF(CF_3)_2$	4-Me	H	H	CH_2CF_3
$CF(CF_3)_2$	3-OMe	H	H	CH_2CF_3
$CF(CF_3)_2$	4-OMe	H	H	CH_2CF_3
$CF(CF_3)_2$	3-OCF_3	H	H	CH_2CF_3
$CF(CF_3)_2$	4-OCF_3	H	H	CH_2CF_3
CF_3	H	Me	H	CH_2CF_3
CF_3	2-Cl	Me	H	CH_2CF_3
CF_3	3-Cl	Me	H	CH_2CF_3
CF_3	4-Cl	Me	H	CH_2CF_3
CF_3	2-Cl, 4-Cl	Me	H	CH_2CF_3
CF_3	3-Cl, 4-Cl	Me	H	CH_2CF_3
CF_3	3-Cl, 5-Cl	Me	H	CH_2CF_3
CF_3	2-F	Me	H	CH_2CF_3
CF_3	3-F	Me	H	CH_2CF_3
CF_3	4-F	Me	H	CH_2CF_3
CF_3	2-F, 4-F	Me	H	CH_2CF_3
CF_3	3-F, 4-F	Me	H	CH_2CF_3
CF_3	3-F, 5-F	Me	H	CH_2CF_3
CF_3	3-CF_3	Me	H	CH_2CF_3
CF_3	4-CF_3	Me	H	CH_2CF_3
CF_3	3-CF_3, 5-CF_3	Me	H	CH_2CF_3
CF_3	3-Cl, 5-CF_3	Me	H	CH_2CF_3
CF_3	3-Cl, 4-CF_3	Me	H	CH_2CF_3
CF_3	3-Cl, 4-Br	Me	H	CH_2CF_3
CF_3	3-Br, 5-Br	Me	H	CH_2CF_3
CF_3	3-Br, 4-Br	Me	H	CH_2CF_3
CF_3	3-Br	Me	H	CH_2CF_3

R^1	$(R^2)_m$	R^3	R^4	R^5
$CF(CF_3)_2$	3-Cl, 4-Br	H	H	CH_2-2-Py
$CF(CF_3)_2$	3-Br, 5-Br	H	H	CH_2-2-Py
$CF(CF_3)_2$	3-Br, 4-Br	H	H	CH_2-2-Py
$CF(CF_3)_2$	3-Br	H	H	CH_2-2-Py
$CF(CF_3)_2$	4-Br	H	H	CH_2-2-Py
$CF(CF_3)_2$	3-I	H	H	CH_2-2-Py
$CF(CF_3)_2$	4-I	H	H	CH_2-2-Py
$CF(CF_3)_2$	3-CN	H	H	CH_2-2-Py
$CF(CF_3)_2$	4-CN	H	H	CH_2-2-Py
$CF(CF_3)_2$	3-Me	H	H	CH_2-2-Py
$CF(CF_3)_2$	4-Me	H	H	CH_2-2-Py
$CF(CF_3)_2$	3-OMe	H	H	CH_2-2-Py
$CF(CF_3)_2$	4-OMe	H	H	CH_2-2-Py
$CF(CF_3)_2$	3-OCF_3	H	H	CH_2-2-Py
$CF(CF_3)_2$	4-OCF_3	H	H	CH_2-2-Py
CF_3	H	Me	H	CH_2-2-Py
CF_3	2-Cl	Me	H	CH_2-2-Py
CF_3	3-Cl	Me	H	CH_2-2-Py
CF_3	4-Cl	Me	H	CH_2-2-Py
CF_3	2-Cl, 4-Cl	Me	H	CH_2-2-Py
CF_3	3-Cl, 4-Cl	Me	H	CH_2-2-Py
CF_3	3-Cl, 5-Cl	Me	H	CH_2-2-Py
CF_3	2-F	Me	H	CH_2-2-Py
CF_3	3-F	Me	H	CH_2-2-Py
CF_3	4-F	Me	H	CH_2-2-Py
CF_3	2-F, 4-F	Me	H	CH_2-2-Py
CF_3	3-F, 4-F	Me	H	CH_2-2-Py
CF_3	3-F, 5-F	Me	H	CH_2-2-Py
CF_3	3-CF_3	Me	H	CH_2-2-Py
CF_3	4-CF_3	Me	H	CH_2-2-Py
CF_3	3-CF_3, 5-CF_3	Me	H	CH_2-2-Py
CF_3	3-Cl, 5-CF_3	Me	H	CH_2-2-Py
CF_3	3-Cl, 4-CF_3	Me	H	CH_2-2-Py
CF_3	3-Cl, 4-Br	Me	H	CH_2-2-Py
CF_3	3-Br, 5-Br	Me	H	CH_2-2-Py
CF_3	3-Br, 4-Br	Me	H	CH_2-2-Py
CF_3	3-Br	Me	H	CH_2-2-Py

R^1	$(R^2)_m$	R^3	R^4	R^5
CF_3	4-Br	Me	H	CH_2CF_3
CF_3	3-I	Me	H	CH_2CF_3
CF_3	4-I	Me	H	CH_2CF_3
CF_3	3-CN	Me	H	CH_2CF_3
CF_3	4-CN	Me	H	CH_2CF_3
CF_3	3-Me	Me	H	CH_2CF_3
CF_3	4-Me	Me	H	CH_2CF_3
CF_3	3-OMe	Me	H	CH_2CF_3
CF_3	4-OMe	Me	H	CH_2CF_3
CF_3	3-OCF_3	Me	H	CH_2CF_3
CF_3	4-OCF_3	Me	H	CH_2CF_3
$CF(CF_3)_2$	H	Me	H	CH_2CF_3
$CF(CF_3)_2$	2-Cl	Me	H	CH_2CF_3
$CF(CF_3)_2$	3-Cl	Me	H	CH_2CF_3
$CF(CF_3)_2$	4-Cl	Me	H	CH_2CF_3
$CF(CF_3)_2$	2-Cl, 4-Cl	Me	H	CH_2CF_3
$CF(CF_3)_2$	3-Cl, 4-Cl	Me	H	CH_2CF_3
$CF(CF_3)_2$	3-Cl, 5-Cl	Me	H	CH_2CF_3
$CF(CF_3)_2$	2-F	Me	H	CH_2CF_3
$CF(CF_3)_2$	3-F	Me	H	CH_2CF_3
$CF(CF_3)_2$	4-F	Me	H	CH_2CF_3
$CF(CF_3)_2$	2-F, 4-F	Me	H	CH_2CF_3
$CF(CF_3)_2$	3-F, 4-F	Me	H	CH_2CF_3
$CF(CF_3)_2$	3-F, 5-F	Me	H	CH_2CF_3
$CF(CF_3)_2$	3-CF_3	Me	H	CH_2CF_3
$CF(CF_3)_2$	4-CF_3	Me	H	CH_2CF_3
$CF(CF_3)_2$	3-CF_3, 5-CF_3	Me	H	CH_2CF_3
$CF(CF_3)_2$	3-Cl, 5-CF_3	Me	H	CH_2CF_3
$CF(CF_3)_2$	3-Cl, 4-CF_3	Me	H	CH_2CF_3
$CF(CF_3)_2$	3-Cl, 4-Br	Me	H	CH_2CF_3
$CF(CF_3)_2$	3-Br, 5-Br	Me	H	CH_2CF_3
$CF(CF_3)_2$	3-Br, 4-Br	Me	H	CH_2CF_3
$CF(CF_3)_2$	3-Br	Me	H	CH_2CF_3
$CF(CF_3)_2$	4-Br	Me	H	CH_2CF_3
$CF(CF_3)_2$	3-I	Me	H	CH_2CF_3
$CF(CF_3)_2$	4-I	Me	H	CH_2CF_3
$CF(CF_3)_2$	3-CN	Me	H	CH_2CF_3

R^1	$(R^2)_m$	R^3	R^4	R^5
CF_3	4-Br	Me	H	CH_2-2-Py
CF_3	3-I	Me	H	CH_2-2-Py
CF_3	4-I	Me	H	CH_2-2-Py
CF_3	3-CN	Me	H	CH_2-2-Py
CF_3	4-CN	Me	H	CH_2-2-Py
CF_3	3-Me	Me	H	CH_2-2-Py
CF_3	4-Me	Me	H	CH_2-2-Py
CF_3	3-OMe	Me	H	CH_2-2-Py
CF_3	4-OMe	Me	H	CH_2-2-Py
CF_3	3-OCF_3	Me	H	CH_2-2-Py
CF_3	4-OCF_3	Me	H	CH_2-2-Py
$CF(CF_3)_2$	H	Me	H	CH_2-2-Py
$CF(CF_3)_2$	2-Cl	Me	H	CH_2-2-Py
$CF(CF_3)_2$	3-Cl	Me	H	CH_2-2-Py
$CF(CF_3)_2$	4-Cl	Me	H	CH_2-2-Py
$CF(CF_3)_2$	2-Cl, 4-Cl	Me	H	CH_2-2-Py
$CF(CF_3)_2$	3-Cl, 4-Cl	Me	H	CH_2-2-Py
$CF(CF_3)_2$	3-Cl, 5-Cl	Me	H	CH_2-2-Py
$CF(CF_3)_2$	2-F	Me	H	CH_2-2-Py
$CF(CF_3)_2$	3-F	Me	H	CH_2-2-Py
$CF(CF_3)_2$	4-F	Me	H	CH_2-2-Py
$CF(CF_3)_2$	2-F, 4-F	Me	H	CH_2-2-Py
$CF(CF_3)_2$	3-F, 4-F	Me	H	CH_2-2-Py
$CF(CF_3)_2$	3-F, 5-F	Me	H	CH_2-2-Py
$CF(CF_3)_2$	3-CF_3	Me	H	CH_2-2-Py
$CF(CF_3)_2$	4-CF_3	Me	H	CH_2-2-Py
$CF(CF_3)_2$	3-CF_3, 5-CF_3	Me	H	CH_2-2-Py
$CF(CF_3)_2$	3-Cl, 5-CF_3	Me	H	CH_2-2-Py
$CF(CF_3)_2$	3-Cl, 4-CF_3	Me	H	CH_2-2-Py
$CF(CF_3)_2$	3-Cl, 4-Br	Me	H	CH_2-2-Py
$CF(CF_3)_2$	3-Br, 5-Br	Me	H	CH_2-2-Py
$CF(CF_3)_2$	3-Br, 4-Br	Me	H	CH_2-2-Py
$CF(CF_3)_2$	3-Br	Me	H	CH_2-2-Py
$CF(CF_3)_2$	4-Br	Me	H	CH_2-2-Py
$CF(CF_3)_2$	3-I	Me	H	CH_2-2-Py
$CF(CF_3)_2$	4-I	Me	H	CH_2-2-Py
$CF(CF_3)_2$	3-CN	Me	H	CH_2-2-Py

R^1	$(R^2)_m$	R^3	R^4	R^5
CF_3	3-Br	H	H	CH_2CF_3
CF_3	4-Br	H	H	CH_2CF_3
CF_3	3-I	H	H	CH_2CF_3
CF_3	4-I	H	H	CH_2CF_3
CF_3	3-CN	H	H	CH_2CF_3
CF_3	4-CN	H	H	CH_2CF_3
CF_3	3-Me	H	H	CH_2CF_3
CF_3	4-Me	H	H	CH_2CF_3
CF_3	3-OMe	H	H	CH_2CF_3
CF_3	4-OMe	H	H	CH_2CF_3
CF_3	3-OCF_3	H	H	CH_2CF_3
CF_3	4-OCF_3	H	H	CH_2CF_3
$CF(CF_3)_2$	H	H	H	CH_2CF_3
$CF(CF_3)_2$	2-Cl	H	H	CH_2CF_3
$CF(CF_3)_2$	3-Cl	H	H	CH_2CF_3
$CF(CF_3)_2$	4-Cl	H	H	CH_2CF_3
$CF(CF_3)_2$	2-Cl, 4-Cl	H	H	CH_2CF_3
$CF(CF_3)_2$	3-Cl, 4-Cl	H	H	CH_2CF_3
$CF(CF_3)_2$	3-Cl, 5-Cl	H	H	CH_2CF_3
$CF(CF_3)_2$	2-F	H	H	CH_2CF_3
$CF(CF_3)_2$	3-F	H	H	CH_2CF_3
$CF(CF_3)_2$	4-F	H	H	CH_2CF_3
$CF(CF_3)_2$	2-F, 4-F	H	H	CH_2CF_3
$CF(CF_3)_2$	3-F, 4-F	H	H	CH_2CF_3
$CF(CF_3)_2$	3-F, 5-F	H	H	CH_2CF_3
$CF(CF_3)_2$	3-CF_3	H	H	CH_2CF_3
$CF(CF_3)_2$	4-CF_3	H	H	CH_2CF_3
$CF(CF_3)_2$	3-CF_3, 5-CF_3	H	H	CH_2CF_3
$CF(CF_3)_2$	3-Cl, 5-CF_3	H	H	CH_2CF_3
$CF(CF_3)_2$	3-Cl, 4-CF_3	H	H	CH_2CF_3
$CF(CF_3)_2$	3-Cl, 4-Br	H	H	CH_2CF_3
$CF(CF_3)_2$	3-Br, 5-Br	H	H	CH_2CF_3
$CF(CF_3)_2$	3-Br, 4-Br	H	H	CH_2CF_3
$CF(CF_3)_2$	3-Br	H	H	CH_2CF_3
$CF(CF_3)_2$	4-Br	H	H	CH_2CF_3
$CF(CF_3)_2$	3-I	H	H	CH_2CF_3
$CF(CF_3)_2$	4-I	H	H	CH_2CF_3

R^1	$(R^2)_m$	R^3	R^4	R^5
CF_3	3-Br	H	H	CH_2-2-Py
CF_3	4-Br	H	H	CH_2-2-Py
CF_3	3-I	H	H	CH_2-2-Py
CF_3	4-I	H	H	CH_2-2-Py
CF_3	3-CN	H	H	CH_2-2-Py
CF_3	4-CN	H	H	CH_2-2-Py
CF_3	3-Me	H	H	CH_2-2-Py
CF_3	4-Me	H	H	CH_2-2-Py
CF_3	3-OMe	H	H	CH_2-2-Py
CF_3	4-OMe	H	H	CH_2-2-Py
CF_3	3-OCF_3	H	H	CH_2-2-Py
CF_3	4-OCF_3	H	H	CH_2-2-Py
$CF(CF_3)_2$	H	H	H	CH_2-2-Py
$CF(CF_3)_2$	2-Cl	H	H	CH_2-2-Py
$CF(CF_3)_2$	3-Cl	H	H	CH_2-2-Py
$CF(CF_3)_2$	4-Cl	H	H	CH_2-2-Py
$CF(CF_3)_2$	2-Cl, 4-Cl	H	H	CH_2-2-Py
$CF(CF_3)_2$	3-Cl, 4-Cl	H	H	CH_2-2-Py
$CF(CF_3)_2$	3-Cl, 5-Cl	H	H	CH_2-2-Py
$CF(CF_3)_2$	2-F	H	H	CH_2-2-Py
$CF(CF_3)_2$	3-F	H	H	CH_2-2-Py
$CF(CF_3)_2$	4-F	H	H	CH_2-2-Py
$CF(CF_3)_2$	2-F, 4-F	H	H	CH_2-2-Py
$CF(CF_3)_2$	3-F, 4-F	H	H	CH_2-2-Py
$CF(CF_3)_2$	3-F, 5-F	H	H	CH_2-2-Py
$CF(CF_3)_2$	3-CF_3	H	H	CH_2-2-Py
$CF(CF_3)_2$	4-CF_3	H	H	CH_2-2-Py
$CF(CF_3)_2$	3-CF_3, 5-CF_3	H	H	CH_2-2-Py
$CF(CF_3)_2$	3-Cl, 5-CF_3	H	H	CH_2-2-Py
$CF(CF_3)_2$	3-Cl, 4-CF_3	H	H	CH_2-2-Py
$CF(CF_3)_2$	3-Cl, 4-Br	H	H	CH_2-2-Py
$CF(CF_3)_2$	3-Br, 5-Br	H	H	CH_2-2-Py
$CF(CF_3)_2$	3-Br, 4-Br	H	H	CH_2-2-Py
$CF(CF_3)_2$	3-Br	H	H	CH_2-2-Py
$CF(CF_3)_2$	4-Br	H	H	CH_2-2-Py
$CF(CF_3)_2$	3-I	H	H	CH_2-2-Py
$CF(CF_3)_2$	4-I	H	H	CH_2-2-Py

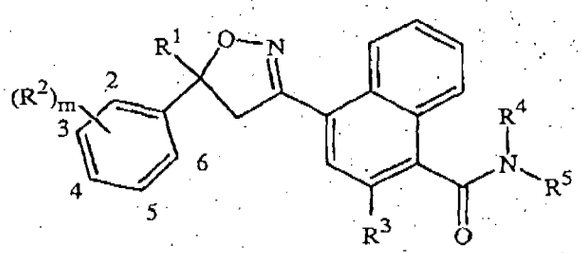
R^1	$(R^2)_m$	R^3	R^4	R^5
$CF(CF_3)_2$	3-CN	H	H	CH_2CF_3
$CF(CF_3)_2$	4-CN	H	H	CH_2CF_3
$CF(CF_3)_2$	3-Me	H	H	CH_2CF_3
$CF(CF_3)_2$	4-Me	H	H	CH_2CF_3
$CF(CF_3)_2$	3-OMe	H	H	CH_2CF_3
$CF(CF_3)_2$	4-OMe	H	H	CH_2CF_3
$CF(CF_3)_2$	3-OCF_3	H	H	CH_2CF_3
$CF(CF_3)_2$	4-OCF_3	H	H	CH_2CF_3
CF_3	H	Me	H	CH_2CF_3
CF_3	2-Cl	Me	H	CH_2CF_3
CF_3	3-Cl	Me	H	CH_2CF_3
CF_3	4-Cl	Me	H	CH_2CF_3
CF_3	2-Cl, 4-Cl	Me	H	CH_2CF_3
CF_3	3-Cl, 4-Cl	Me	H	CH_2CF_3
CF_3	3-Cl, 5-Cl	Me	H	CH_2CF_3
CF_3	2-F	Me	H	CH_2CF_3
CF_3	3-F	Me	H	CH_2CF_3
CF_3	4-F	Me	H	CH_2CF_3
CF_3	2-F, 4-F	Me	H	CH_2CF_3
CF_3	3-F, 4-F	Me	H	CH_2CF_3
CF_3	3-F, 5-F	Me	H	CH_2CF_3
CF_3	3-CF_3	Me	H	CH_2CF_3
CF_3	4-CF_3	Me	H	CH_2CF_3
CF_3	3-CF_3, 5-CF_3	Me	H	CH_2CF_3
CF_3	3-Cl, 5-CF_3	Me	H	CH_2CF_3
CF_3	3-Cl, 4-CF_3	Me	H	CH_2CF_3
CF_3	3-Cl, 4-Br	Me	H	CH_2CF_3
CF_3	3-Br, 5-Br	Me	H	CH_2CF_3
CF_3	3-Br, 4-Br	Me	H	CH_2CF_3
CF_3	3-Br	Me	H	CH_2CF_3
CF_3	4-Br	Me	H	CH_2CF_3
CF_3	3-I	Me	H	CH_2CF_3
CF_3	4-I	Me	H	CH_2CF_3
CF_3	3-CN	Me	H	CH_2CF_3
CF_3	4-CN	Me	H	CH_2CF_3
CF_3	3-Me	Me	H	CH_2CF_3
CF_3	4-Me	Me	H	CH_2CF_3

R^1	$(R^2)_m$	R^3	R^4	R^5
$CF(CF_3)_2$	3-CN	H	H	CH_2-2-Py
$CF(CF_3)_2$	4-CN	H	H	CH_2-2-Py
$CF(CF_3)_2$	3-Me	H	H	CH_2-2-Py
$CF(CF_3)_2$	4-Me	H	H	CH_2-2-Py
$CF(CF_3)_2$	3-OMe	H	H	CH_2-2-Py
$CF(CF_3)_2$	4-OMe	H	H	CH_2-2-Py
$CF(CF_3)_2$	3-OCF_3	H	H	CH_2-2-Py
$CF(CF_3)_2$	4-OCF_3	H	H	CH_2-2-Py
CF_3	H	Me	H	CH_2-2-Py
CF_3	2-Cl	Me	H	CH_2-2-Py
CF_3	3-Cl	Me	H	CH_2-2-Py
CF_3	4-Cl	Me	H	CH_2-2-Py
CF_3	2-Cl, 4-Cl	Me	H	CH_2-2-Py
CF_3	3-Cl, 4-Cl	Me	H	CH_2-2-Py
CF_3	3-Cl, 5-Cl	Me	H	CH_2-2-Py
CF_3	2-F	Me	H	CH_2-2-Py
CF_3	3-F	Me	H	CH_2-2-Py
CF_3	4-F	Me	H	CH_2-2-Py
CF_3	2-F, 4-F	Me	H	CH_2-2-Py
CF_3	3-F, 4-F	Me	H	CH_2-2-Py
CF_3	3-F, 5-F	Me	H	CH_2-2-Py
CF_3	3-CF_3	Me	H	CH_2-2-Py
CF_3	4-CF_3	Me	H	CH_2-2-Py
CF_3	3-CF_3, 5-CF_3	Me	H	CH_2-2-Py
CF_3	3-Cl, 5-CF_3	Me	H	CH_2-2-Py
CF_3	3-Cl, 4-CF_3	Me	H	CH_2-2-Py
CF_3	3-Cl, 4-Br	Me	H	CH_2-2-Py
CF_3	3-Br, 5-Br	Me	H	CH_2-2-Py
CF_3	3-Br, 4-Br	Me	H	CH_2-2-Py
CF_3	3-Br	Me	H	CH_2-2-Py
CF_3	4-Br	Me	H	CH_2-2-Py
CF_3	3-I	Me	H	CH_2-2-Py
CF_3	4-I	Me	H	CH_2-2-Py
CF_3	3-CN	Me	H	CH_2-2-Py
CF_3	4-CN	Me	H	CH_2-2-Py
CF_3	3-Me	Me	H	CH_2-2-Py
CF_3	4-Me	Me	H	CH_2-2-Py

R^1	$(R^2)_m$	R^3	R^4	R^5
CF_3	3-OMe	Me	H	CH_2CF_3
CF_3	4-OMe	Me	H	CH_2CF_3
CF_3	3-OCF_3	Me	H	CH_2CF_3
CF_3	4-OCF_3	Me	H	CH_2CF_3
$CF(CF_3)_2$	H	Me	H	CH_2CF_3
$CF(CF_3)_2$	2-Cl	Me	H	CH_2CF_3
$CF(CF_3)_2$	3-Cl	Me	H	CH_2CF_3
$CF(CF_3)_2$	4-Cl	Me	H	CH_2CF_3
$CF(CF_3)_2$	2-Cl, 4-Cl	Me	H	CH_2CF_3
$CF(CF_3)_2$	3-Cl, 4-Cl	Me	H	CH_2CF_3
$CF(CF_3)_2$	3-Cl, 5-Cl	Me	H	CH_2CF_3
$CF(CF_3)_2$	2-F	Me	H	CH_2CF_3
$CF(CF_3)_2$	3-F	Me	H	CH_2CF_3
$CF(CF_3)_2$	4-F	Me	H	CH_2CF_3
$CF(CF_3)_2$	2-F, 4-F	Me	H	CH_2CF_3
$CF(CF_3)_2$	3-F, 4-F	Me	H	CH_2CF_3
$CF(CF_3)_2$	3-F, 5-F	Me	H	CH_2CF_3
$CF(CF_3)_2$	3-CF_3	Me	H	CH_2CF_3
$CF(CF_3)_2$	4-CF_3	Me	H	CH_2CF_3
$CF(CF_3)_2$	3-CF_3, 5-CF_3	Me	H	CH_2CF_3
$CF(CF_3)_2$	3-Cl, 5-CF_3	Me	H	CH_2CF_3
$CF(CF_3)_2$	3-Cl, 4-CF_3	Me	H	CH_2CF_3
$CF(CF_3)_2$	3-Cl, 4-Br	Me	H	CH_2CF_3
$CF(CF_3)_2$	3-Br, 5-Br	Me	H	CH_2CF_3
$CF(CF_3)_2$	3-Br, 4-Br	Me	H	CH_2CF_3
$CF(CF_3)_2$	3-Br	Me	H	CH_2CF_3
$CF(CF_3)_2$	4-Br	Me	H	CH_2CF_3
$CF(CF_3)_2$	3-I	Me	H	CH_2CF_3
$CF(CF_3)_2$	4-I	Me	H	CH_2CF_3
$CF(CF_3)_2$	3-CN	Me	H	CH_2CF_3
$CF(CF_3)_2$	4-CN	Me	H	CH_2CF_3
$CF(CF_3)_2$	3-Me	Me	H	CH_2CF_3
$CF(CF_3)_2$	4-Me	Me	H	CH_2CF_3
$CF(CF_3)_2$	3-OMe	Me	H	CH_2CF_3
$CF(CF_3)_2$	4-OMe	Me	H	CH_2CF_3
$CF(CF_3)_2$	3-OCF_3	Me	H	CH_2CF_3
$CF(CF_3)_2$	4-OCF_3	Me	H	CH_2CF_3

R^1	$(R^2)_m$	R^3	R^4	R^5
CF_3	3-OMe	Me	H	CH_2-2-Py
CF_3	4-OMe	Me	H	CH_2-2-Py
CF_3	3-OCF_3	Me	H	CH_2-2-Py
CF_3	4-OCF_3	Me	H	CH_2-2-Py
$CF(CF_3)_2$	H	Me	H	CH_2-2-Py
$CF(CF_3)_2$	2-Cl	Me	H	CH_2-2-Py
$CF(CF_3)_2$	3-Cl	Me	H	CH_2-2-Py
$CF(CF_3)_2$	4-Cl	Me	H	CH_2-2-Py
$CF(CF_3)_2$	2-Cl, 4-Cl	Me	H	CH_2-2-Py
$CF(CF_3)_2$	3-Cl, 4-Cl	Me	H	CH_2-2-Py
$CF(CF_3)_2$	3-Cl, 5-Cl	Me	H	CH_2-2-Py
$CF(CF_3)_2$	2-F	Me	H	CH_2-2-Py
$CF(CF_3)_2$	3-F	Me	H	CH_2-2-Py
$CF(CF_3)_2$	4-F	Me	H	CH_2-2-Py
$CF(CF_3)_2$	2-F, 4-F	Me	H	CH_2-2-Py
$CF(CF_3)_2$	3-F, 4-F	Me	H	CH_2-2-Py
$CF(CF_3)_2$	3-F, 5-F	Me	H	CH_2-2-Py
$CF(CF_3)_2$	3-CF_3	Me	H	CH_2-2-Py
$CF(CF_3)_2$	4-CF_3	Me	H	CH_2-2-Py
$CF(CF_3)_2$	3-CF_3, 5-CF_3	Me	H	CH_2-2-Py
$CF(CF_3)_2$	3-Cl, 5-CF_3	Me	H	CH_2-2-Py
$CF(CF_3)_2$	3-Cl, 4-CF_3	Me	H	CH_2-2-Py
$CF(CF_3)_2$	3-Cl, 4-Br	Me	H	CH_2-2-Py
$CF(CF_3)_2$	3-Br, 5-Br	Me	H	CH_2-2-Py
$CF(CF_3)_2$	3-Br, 4-Br	Me	H	CH_2-2-Py
$CF(CF_3)_2$	3-Br	Me	H	CH_2-2-Py
$CF(CF_3)_2$	4-Br	Me	H	CH_2-2-Py
$CF(CF_3)_2$	3-I	Me	H	CH_2-2-Py
$CF(CF_3)_2$	4-I	Me	H	CH_2-2-Py
$CF(CF_3)_2$	3-CN	Me	H	CH_2-2-Py
$CF(CF_3)_2$	4-CN	Me	H	CH_2-2-Py
$CF(CF_3)_2$	3-Me	Me	H	CH_2-2-Py
$CF(CF_3)_2$	4-Me	Me	H	CH_2-2-Py
$CF(CF_3)_2$	3-OMe	Me	H	CH_2-2-Py
$CF(CF_3)_2$	4-OMe	Me	H	CH_2-2-Py
$CF(CF_3)_2$	3-OCF_3	Me	H	CH_2-2-Py
$CF(CF_3)_2$	4-OCF_3	Me	H	CH_2-2-Py

Table 8

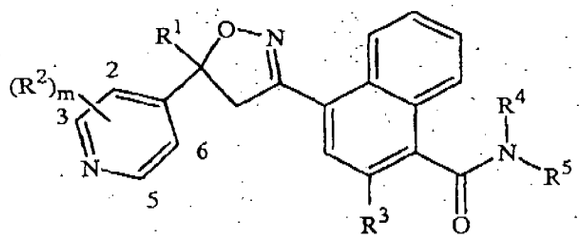


wherein m is 1, 2, 3, 4 or 5.

R^1	$(R^2)_m$	R^3	R^4	R^5	R^1	$(R^2)_m$	R^3	R^4	R^5
CF_3	3-Cl, 4-Cl	H	H	H	CF_3	3-Cl, 5-Cl	H	H	H
CF_3	3-Cl, 4-Cl	H	H	Me	CF_3	3-Cl, 5-Cl	H	H	Me
CF_3	3-Cl, 4-Cl	H	H	Et	CF_3	3-Cl, 5-Cl	H	H	Et
CF_3	3-Cl, 4-Cl	H	H	*i*-Pr	CF_3	3-Cl, 5-Cl	H	H	*i*-Pr
CF_3	3-Cl, 4-Cl	H	H	CH_2Ph	CF_3	3-Cl, 5-Cl	H	H	CH_2Ph
CF_3	3-Cl, 4-Cl	H	H	CH_2CO_2Me	CF_3	3-Cl, 5-Cl	H	H	CH_2CO_2Me
CF_3	3-Cl, 4-Cl	H	H	CH_2CN	CF_3	3-Cl, 5-Cl	H	H	CH_2CN
CF_3	3-Cl, 4-Cl	H	H	CH_2-2-thiazolyl	CF_3	3-Cl, 5-Cl	H	H	CH_2-2-thiazolyl
CF_3	3-Cl, 4-Cl	H	H	CH_2-4-thiazolyl	CF_3	3-Cl, 5-Cl	H	H	CH_2-4-thiazolyl
CF_3	3-Cl, 4-Cl	H	H	CH_2-5-thiazolyl	CF_3	3-Cl, 5-Cl	H	H	CH_2-5-thiazolyl
CF_3	3-Cl, 4-Cl	H	H	CH_2-3-Py	CF_3	3-Cl, 5-Cl	H	H	CH_2-3-Py
CF_3	3-Cl, 4-Cl	H	H	CH_2-4-Py	CF_3	3-Cl, 5-Cl	H	H	CH_2-4-Py
CF_3	3-Cl, 4-Cl	H	Me	CH_2CF_3	CF_3	3-Cl, 5-Cl	H	Me	CH_2CF_3
CF_3	3-Cl, 4-Cl	H	CO_2Me	CH_2CF_3	CF_3	3-Cl, 5-Cl	H	CO_2Me	CH_2CF_3
CF_3	3-Cl, 4-Cl	H	C(O)Me	CH_2CF_3	CF_3	3-Cl, 5-Cl	H	C(O)Me	CH_2CF_3
CF_3	3-Cl, 4-Cl	H	Me	CH_2-2-Py	CF_3	3-Cl, 5-Cl	H	Me	CH_2-2-Py
CF_3	3-Cl, 4-Cl	H	CO_2Me	CH_2-2-Py	CF_3	3-Cl, 5-Cl	H	CO_2Me	CH_2-2-Py
CF_3	3-Cl, 4-Cl	H	C(O)Me	CH_2-2-Py	CF_3	3-Cl, 5-Cl	H	C(O)Me	CH_2-2-Py
$CF(CF_3)_2$	3-Cl, 4-Cl	H	H	H	$CF(CF_3)_2$	3-Cl, 5-Cl	H	H	H
$CF(CF_3)_2$	3-Cl, 4-Cl	H	H	Me	$CF(CF_3)_2$	3-Cl, 5-Cl	H	H	Me
$CF(CF_3)_2$	3-Cl, 4-Cl	H	H	Et	$CF(CF_3)_2$	3-Cl, 5-Cl	H	H	Et
$CF(CF_3)_2$	3-Cl, 4-Cl	H	H	*i*-Pr	$CF(CF_3)_2$	3-Cl, 5-Cl	H	H	*i*-Pr
$CF(CF_3)_2$	3-Cl, 4-Cl	H	H	CH_2Ph	$CF(CF_3)_2$	3-Cl, 5-Cl	H	H	CH_2Ph
$CF(CF_3)_2$	3-Cl, 4-Cl	H	H	CH_2CO_2Me	$CF(CF_3)_2$	3-Cl, 5-Cl	H	H	CH_2CO_2Me
$CF(CF_3)_2$	3-Cl, 4-Cl	H	H	CH_2CN	$CF(CF_3)_2$	3-Cl, 5-Cl	H	H	CH_2CN
$CF(CF_3)_2$	3-Cl, 4-Cl	H	H	CH_2-2-thiazolyl	$CF(CF_3)_2$	3-Cl, 5-Cl	H	H	CH_2-2-thiazolyl
$CF(CF_3)_2$	3-Cl, 4-Cl	H	H	CH_2-4-thiazolyl	$CF(CF_3)_2$	3-Cl, 5-Cl	H	H	CH_2-4-thiazolyl
$CF(CF_3)_2$	3-Cl, 4-Cl	H	H	CH_2-5-thiazolyl	$CF(CF_3)_2$	3-Cl, 5-Cl	H	H	CH_2-5-thiazolyl
$CF(CF_3)_2$	3-Cl, 4-Cl	H	H	CH_2-3-Py	$CF(CF_3)_2$	3-Cl, 5-Cl	H	H	CH_2-3-Py
$CF(CF_3)_2$	3-Cl, 4-Cl	H	H	CH_2-4-Py	$CF(CF_3)_2$	3-Cl, 5-Cl	H	H	CH_2-4-Py

R^1	$(R^2)_m$	R^3	R^4	R^5	R^1	$(R^2)_m$	R^3	R^4	R^5
$CF(CF_3)_2$	3-Cl, 4-Cl	Me	CO_2Me	CH_2CF_3	$CF(CF_3)_2$	3-Cl, 5-Cl	Me	CO_2Me	CH_2CF_3
$CF(CF_3)_2$	3-Cl, 4-Cl	Me	C(O)Me	CH_2CF_3	$CF(CF_3)_2$	3-Cl, 5-Cl	Me	C(O)Me	CH_2CF_3
$CF(CF_3)_2$	3-Cl, 4-Cl	Me	Me	CH_2-2-Py	$CF(CF_3)_2$	3-Cl, 5-Cl	Me	Me	CH_2-2-Py
$CF(CF_3)_2$	3-Cl, 4-Cl	Me	CO_2Me	CH_2-2-Py	$CF(CF_3)_2$	3-Cl, 5-Cl	Me	CO_2Me	CH_2-2-Py
$CF(CF_3)_2$	3-Cl, 4-Cl	Me	C(O)Me	CH_2-2-Py	$CF(CF_3)_2$	3-Cl, 5-Cl	Me	C(O)Me	CH_2-2-Py

Table 9



wherein m is 1, 2, 3 or 4.

R^1	$(R^2)_m$	R^3	R^4	R^5	R^1	$(R^2)_m$	R^3	R^4	R^5
CF_3	3-Cl	H	H	H	CF_3	3-Cl, 5-Cl	H	H	H
CF_3	3-Cl	H	H	Me	CF_3	3-Cl, 5-Cl	H	H	Me
CF_3	3-Cl	H	H	Et	CF_3	3-Cl, 5-Cl	H	H	Et
CF_3	3-Cl	H	H	*i*-Pr	CF_3	3-Cl, 5-Cl	H	H	*i*-Pr
CF_3	3-Cl	H	H	CH_2Ph	CF_3	3-Cl, 5-Cl	H	H	CH_2Ph
CF_3	3-Cl	H	H	CH_2CO_2Me	CF_3	3-Cl, 5-Cl	H	H	CH_2CO_2Me
CF_3	3-Cl	H	H	CH_2CN	CF_3	3-Cl, 5-Cl	H	H	CH_2CN
CF_3	3-Cl	H	H	CH_2-2-thiazolyl	CF_3	3-Cl, 5-Cl	H	H	CH_2-2-thiazolyl
CF_3	3-Cl	H	H	CH_2-4-thiazolyl	CF_3	3-Cl, 5-Cl	H	H	CH_2-4-thiazolyl
CF_3	3-Cl	H	H	CH_2-5-thiazolyl	CF_3	3-Cl, 5-Cl	H	H	CH_2-5-thiazolyl
CF_3	3-Cl	H	H	CH_2-3-Py	CF_3	3-Cl, 5-Cl	H	H	CH_2-3-Py
CF_3	3-Cl	H	H	CH_2-4-Py	CF_3	3-Cl, 5-Cl	H	H	CH_2-4-Py
CF_3	3-Cl	H	Me	CH_2CF_3	CF_3	3-Cl, 5-Cl	H	Me	CH_2CF_3
CF_3	3-Cl	H	CO_2Me	CH_2CF_3	CF_3	3-Cl, 5-Cl	H	CO_2Me	CH_2CF_3
CF_3	3-Cl	H	C(O)Me	CH_2CF_3	CF_3	3-Cl, 5-Cl	H	C(O)Me	CH_2CF_3
CF_3	3-Cl	H	Me	CH_2-2-Py	CF_3	3-Cl, 5-Cl	H	Me	CH_2-2-Py
CF_3	3-Cl	H	CO_2Me	CH_2-2-Py	CF_3	3-Cl, 5-Cl	H	CO_2Me	CH_2-2-Py
CF_3	3-Cl	H	C(O)Me	CH_2-2-Py	CF_3	3-Cl, 5-Cl	H	C(O)Me	CH_2-2-Py
$CF(CF_3)_2$	3-Cl	H	H	H	$CF(CF_3)_2$	3-Cl, 5-Cl	H	H	H
$CF(CF_3)_2$	3-Cl	H	H	Me	$CF(CF_3)_2$	3-Cl, 5-Cl	H	H	Me

R^1	$(R^2)_m$	R^3	R^4	R^5
$CF(CF_3)_2$	3-Cl	H	H	Et
$CF(CF_3)_2$	3-Cl	H	H	*i*-Pr
$CF(CF_3)_2$	3-Cl	H	H	CH_2Ph
$CF(CF_3)_2$	3-Cl	H	H	CH_2CO_2Me
$CF(CF_3)_2$	3-Cl	H	H	CH_2CN
$CF(CF_3)_2$	3-Cl	H	H	CH_2-2-thiazolyl
$CF(CF_3)_2$	3-Cl	H	H	CH_2-4-thiazolyl
$CF(CF_3)_2$	3-Cl	H	H	CH_2-5-thiazolyl
$CF(CF_3)_2$	3-Cl	H	H	CH_2-3-Py
$CF(CF_3)_2$	3-Cl	H	H	CH_2-4-Py
$CF(CF_3)_2$	3-Cl	H	Me	CH_2CF_3
$CF(CF_3)_2$	3-Cl	H	CO_2Me	CH_2CF_3
$CF(CF_3)_2$	3-Cl	H	C(O)Me	CH_2CF_3
$CF(CF_3)_2$	3-Cl	H	Me	CH_2-2-Py
$CF(CF_3)_2$	3-Cl	H	CO_2Me	CH_2-2-Py
$CF(CF_3)_2$	3-Cl	H	C(O)Me	CH_2-2-Py
CF_3	3-Cl	Me	H	H
CF_3	3-Cl	Me	H	Me
CF_3	3-Cl	Me	H	Et
CF_3	3-Cl	Me	H	*i*-Pr
CF_3	3-Cl	Me	H	CH_2Ph
CF_3	3-Cl	Me	H	CH_2CO_2Me
CF_3	3-Cl	Me	H	CH_2CN
CF_3	3-Cl	Me	H	CH_2-2-thiazolyl
CF_3	3-Cl	Me	H	CH_2-4-thiazolyl
CF_3	3-Cl	Me	H	CH_2-5-thiazolyl
CF_3	3-Cl	Me	H	CH_2-3-Py
CF_3	3-Cl	Me	H	CH_2-4-Py
CF_3	3-Cl	Me	Me	CH_2CF_3
CF_3	3-Cl	Me	CO_2Me	CH_2CF_3
CF_3	3-Cl	Me	C(O)Me	CH_2CF_3

R^1	$(R^2)_m$	R^3	R^4	R^5
$CF(CF_3)_2$	3-Cl, 5-Cl	H	H	Et
$CF(CF_3)_2$	3-Cl, 5-Cl	H	H	*i*-Pr
$CF(CF_3)_2$	3-Cl, 5-Cl	H	H	CH_2Ph
$CF(CF_3)_2$	3-Cl, 5-Cl	H	H	CH_2CO_2Me
$CF(CF_3)_2$	3-Cl, 5-Cl	H	H	CH_2CN
$CF(CF_3)_2$	3-Cl, 5-Cl	H	H	CH_2-2-thiazolyl
$CF(CF_3)_2$	3-Cl, 5-Cl	H	H	CH_2-4-thiazolyl
$CF(CF_3)_2$	3-Cl, 5-Cl	H	H	CH_2-5-thiazolyl
$CF(CF_3)_2$	3-Cl, 5-Cl	H	H	CH_2-3-Py
$CF(CF_3)_2$	3-Cl, 5-Cl	H	H	CH_2-4-Py
$CF(CF_3)_2$	3-Cl, 5-Cl	H	Me	CH_2CF_3
$CF(CF_3)_2$	3-Cl, 5-Cl	H	CO_2Me	CH_2CF_3
$CF(CF_3)_2$	3-Cl, 5-Cl	H	C(O)Me	CH_2CF_3
$CF(CF_3)_2$	3-Cl, 5-Cl	H	Me	CH_2-2-Py
$CF(CF_3)_2$	3-Cl, 5-Cl	H	CO_2Me	CH_2-2-Py
$CF(CF_3)_2$	3-Cl, 5-Cl	H	C(O)Me	CH_2-2-Py
CF_3	3-Cl, 5-Cl	Me	H	H
CF_3	3-Cl, 5-Cl	Me	H	Me
CF_3	3-Cl, 5-Cl	Me	H	Et
CF_3	3-Cl, 5-Cl	Me	H	*i*-Pr
CF_3	3-Cl, 5-Cl	Me	H	CH_2Ph
CF_3	3-Cl, 5-Cl	Me	H	CH_2CO_2Me
CF_3	3-Cl, 5-Cl	Me	H	CH_2CN
CF_3	3-Cl, 5-Cl	Me	H	CH_2-2-thiazolyl
CF_3	3-Cl, 5-Cl	Me	H	CH_2-4-thiazolyl
CF_3	3-Cl, 5-Cl	Me	H	CH_2-5-thiazolyl
CF_3	3-Cl, 5-Cl	Me	H	CH_2-3-Py
CF_3	3-Cl, 5-Cl	Me	H	CH_2-4-Py
CF_3	3-Cl, 5-Cl	Me	Me	CH_2CF_3
CF_3	3-Cl, 5-Cl	Me	CO_2Me	CH_2CF_3
CF_3	3-Cl, 5-Cl	Me	C(O)Me	CH_2CF_3

R^1	$(R^2)_m$	R^3	R^4	R^5
CF_3	3-Cl	Me	Me	CH_2-2-Py
CF_3	3-Cl	Me	CO_2Me	CH_2-2-Py
CF_3	3-Cl	Me	C(O)Me	CH_2-2-Py
$CF(CF_3)_2$	3-Cl	Me	H	H
$CF(CF_3)_2$	3-Cl	Me	H	Me
$CF(CF_3)_2$	3-Cl	Me	H	Et
$CF(CF_3)_2$	3-Cl	Me	H	*i*-Pr
$CF(CF_3)_2$	3-Cl	Me	H	CH_2Ph
$CF(CF_3)_2$	3-Cl	Me	H	CH_2CO_2Me
$CF(CF_3)_2$	3-Cl	Me	H	CH_2CN
$CF(CF_3)_2$	3-Cl	Me	H	CH_2-2-thiazolyl
$CF(CF_3)_2$	3-Cl	Me	H	CH_2-4-thiazolyl
$CF(CF_3)_2$	3-Cl	Me	H	CH_2-5-thiazolyl
$CF(CF_3)_2$	3-Cl	Me	H	CH_2-3-Py
$CF(CF_3)_2$	3-Cl	Me	H	CH_2-4-Py
$CF(CF_3)_2$	3-Cl	Me	Me	CH_2CF_3
$CF(CF_3)_2$	3-Cl	Me	CO_2Me	CH_2CF_3
$CF(CF_3)_2$	3-Cl	Me	C(O)Me	CH_2CF_3
$CF(CF_3)_2$	3-Cl	Me	Me	CH_2-2-Py
$CF(CF_3)_2$	3-Cl	Me	CO_2Me	CH_2-2-Py
$CF(CF_3)_2$	3-Cl	Me	C(O)Me	CH_2-2-Py
CF_3	H	H	H	CH_2CF_3
CF_3	2-Cl	H	H	CH_2CF_3
CF_3	3-Cl	H	H	CH_2CF_3
CF_3	3-Cl, 5-Cl	H	H	CH_2CF_3
CF_3	2-F	H	H	CH_2CF_3
CF_3	3-F	H	H	CH_2CF_3
CF_3	3-F, 5-F	H	H	CH_2CF_3
CF_3	3-CF_3	H	H	CH_2CF_3
CF_3	3-CF_3, 5-CF_3	H	H	CH_2CF_3
CF_3	3-Cl, 5-CF_3	H	H	CH_2CF_3
CF_3	3-Br, 5-Br	H	H	CH_2CF_3
CF_3	3-Br	H	H	CH_2CF_3
CF_3	3-I	H	H	CH_2CF_3

R^1	$(R^2)_m$	R^3	R^4	R^5
CF_3	3-Cl, 5-Cl	Me	Me	CH_2-2-Py
CF_3	3-Cl, 5-Cl	Me	CO_2Me	CH_2-2-Py
CF_3	3-Cl, 5-Cl	Me	C(O)Me	CH_2-2-Py
$CF(CF_3)_2$	3-Cl, 5-Cl	Me	H	H
$CF(CF_3)_2$	3-Cl, 5-Cl	Me	H	Me
$CF(CF_3)_2$	3-Cl, 5-Cl	Me	H	Et
$CF(CF_3)_2$	3-Cl, 5-Cl	Me	H	*i*-Pr
$CF(CF_3)_2$	3-Cl, 5-Cl	Me	H	CH_2Ph
$CF(CF_3)_2$	3-Cl, 5-Cl	Me	H	CH_2CO_2Me
$CF(CF_3)_2$	3-Cl, 5-Cl	Me	H	CH_2CN
$CF(CF_3)_2$	3-Cl, 5-Cl	Me	H	CH_2-2-thiazolyl
$CF(CF_3)_2$	3-Cl, 5-Cl	Me	H	CH_2-4-thiazolyl
$CF(CF_3)_2$	3-Cl, 5-Cl	Me	H	CH_2-5-thiazolyl
$CF(CF_3)_2$	3-Cl, 5-Cl	Me	H	CH_2-3-Py
$CF(CF_3)_2$	3-Cl, 5-Cl	Me	H	CH_2-4-Py
$CF(CF_3)_2$	3-Cl, 5-Cl	Me	Me	CH_2CF_3
$CF(CF_3)_2$	3-Cl, 5-Cl	Me	CO_2Me	CH_2CF_3
$CF(CF_3)_2$	3-Cl, 5-Cl	Me	C(O)Me	CH_2CF_3
$CF(CF_3)_2$	3-Cl, 5-Cl	Me	Me	CH_2-2-Py
$CF(CF_3)_2$	3-Cl, 5-Cl	Me	CO_2Me	CH_2-2-Py
$CF(CF_3)_2$	3-Cl, 5-Cl	Me	C(O)Me	CH_2-2-Py
CF_3	H	Me	H	CH_2CF_3
CF_3	2-Cl	Me	H	CH_2CF_3
CF_3	3-Cl	Me	H	CH_2CF_3
CF_3	3-Cl, 5-Cl	Me	H	CH_2CF_3
CF_3	2-F	Me	H	CH_2CF_3
CF_3	3-F	Me	H	CH_2CF_3
CF_3	3-F, 5-F	Me	H	CH_2CF_3
CF_3	3-CF_3	Me	H	CH_2CF_3
CF_3	3-CF_3, 5-CF_3	Me	H	CH_2CF_3
CF_3	3-Cl, 5-CF_3	Me	H	CH_2CF_3
CF_3	3-Br, 5-Br	Me	H	CH_2CF_3
CF_3	3-Br	Me	H	CH_2CF_3
CF_3	3-I	Me	H	CH_2CF_3

R^1	$(R^2)_m$	R^3	R^4	R^5
CF_3	3-CN	H	H	CH_2CF_3
CF_3	3-Me	H	H	CH_2CF_3
CF_3	3-OMe	H	H	CH_2CF_3
CF_3	3-OCF_3	H	H	CH_2CF_3
CF_3	H	Cl	H	CH_2CF_3
CF_3	2-Cl	Cl	H	CH_2CF_3
CF_3	3-Cl	Cl	H	CH_2CF_3
CF_3	3-Cl, 5-Cl	Cl	H	CH_2CF_3
CF_3	2-F	Cl	H	CH_2CF_3
CF_3	3-F	Cl	H	CH_2CF_3
CF_3	3-F, 5-F	Cl	H	CH_2CF_3
CF_3	3-CF_3	Cl	H	CH_2CF_3
CF_3	3-CF_3, 5-CF_3	Cl	H	CH_2CF_3
CF_3	3-Cl, 5-CF_3	Cl	H	CH_2CF_3
CF_3	3-Br, 5-Br	Cl	H	CH_2CF_3
CF_3	3-Br	Cl	H	CH_2CF_3
CF_3	3-I	Cl	H	CH_2CF_3
CF_3	3-CN	Cl	H	CH_2CF_3
CF_3	3-Me	Cl	H	CH_2CF_3
CF_3	3-OMe	Cl	H	CH_2CF_3
CF_3	3-OCF_3	Cl	H	CH_2CF_3
CF_3	H	Me	H	CH_2-2-Py
CF_3	2-Cl	Me	H	CH_2-2-Py
CF_3	3-Cl	Me	H	CH_2-2-Py
CF_3	3-Cl, 5-Cl	Me	H	CH_2-2-Py
CF_3	2-F	Me	H	CH_2-2-Py
CF_3	3-F	Me	H	CH_2-2-Py
CF_3	3-F, 5-F	Me	H	CH_2-2-Py
CF_3	3-CF_3	Me	H	CH_2-2-Py
CF_3	3-CF_3, 5-CF_3	Me	H	CH_2-2-Py
CF_3	3-Cl, 5-CF_3	Me	H	CH_2-2-Py
CF_3	3-Br, 5-Br	Me	H	CH_2-2-Py
CF_3	3-Br	Me	H	CH_2-2-Py
CF_3	3-I	Me	H	CH_2-2-Py
CF_3	3-CN	Me	H	CH_2-2-Py
CF_3	3-Me	Me	H	CH_2-2-Py
CF_3	3-OMe	Me	H	CH_2-2-Py

R^1	$(R^2)_m$	R^3	R^4	R^5
CF_3	3-CN	Me	H	CH_2CF_3
CF_3	3-Me	Me	H	CH_2CF_3
CF_3	3-OMe	Me	H	CH_2CF_3
CF_3	3-OCF_3	Me	H	CH_2CF_3
CF_3	H	H	H	CH_2-2-Py
CF_3	2-Cl	H	H	CH_2-2-Py
CF_3	3-Cl	H	H	CH_2-2-Py
CF_3	3-Cl, 5-Cl	H	H	CH_2-2-Py
CF_3	2-F	H	H	CH_2-2-Py
CF_3	3-F	H	H	CH_2-2-Py
CF_3	3-F, 5-F	H	H	CH_2-2-Py
CF_3	3-CF_3	H	H	CH_2-2-Py
CF_3	3-CF_3, 5-CF_3	H	H	CH_2-2-Py
CF_3	3-Cl, 5-CF_3	H	H	CH_2-2-Py
CF_3	3-Br, 5-Br	H	H	CH_2-2-Py
CF_3	3-Br	H	H	CH_2-2-Py
CF_3	3-I	H	H	CH_2-2-Py
CF_3	3-CN	H	H	CH_2-2-Py
CF_3	3-Me	H	H	CH_2-2-Py
CF_3	3-OMe	H	H	CH_2-2-Py
CF_3	3-OCF_3	H	H	CH_2-2-Py
CF_3	H	Cl	H	CH_2-2-Py
CF_3	2-Cl	Cl	H	CH_2-2-Py
CF_3	3-Cl	Cl	H	CH_2-2-Py
CF_3	3-Cl, 5-Cl	Cl	H	CH_2-2-Py
CF_3	2-F	Cl	H	CH_2-2-Py
CF_3	3-F	Cl	H	CH_2-2-Py
CF_3	3-F, 5-F	Cl	H	CH_2-2-Py
CF_3	3-CF_3	Cl	H	CH_2-2-Py
CF_3	3-CF_3, 5-CF_3	Cl	H	CH_2-2-Py
CF_3	3-Cl, 5-CF_3	Cl	H	CH_2-2-Py
CF_3	3-Br, 5-Br	Cl	H	CH_2-2-Py
CF_3	3-Br	Cl	H	CH_2-2-Py
CF_3	3-I	Cl	H	CH_2-2-Py
CF_3	3-CN	Cl	H	CH_2-2-Py
CF_3	3-Me	Cl	H	CH_2-2-Py
CF_3	3-OMe	Cl	H	CH_2-2-Py

R^1	$(R^2)_m$	R^3	R^4	R^5
CF_3	3-OCF_3	Me	H	CH_2-2-Py
CF_2CF_3	H	H	H	CH_2CF_3
CF_2CF_3	2-Cl	H	H	CH_2CF_3
CF_2CF_3	3-Cl	H	H	CH_2CF_3
CF_2CF_3	3-Cl, 5-Cl	H	H	CH_2CF_3
CF_2CF_3	2-F	H	H	CH_2CF_3
CF_2CF_3	3-F	H	H	CH_2CF_3
CF_2CF_3	3-F, 5-F	H	H	CH_2CF_3
CF_2CF_3	3-CF_3	H	H	CH_2CF_3
CF_2CF_3	3-CF_3, 5-CF_3	H	H	CH_2CF_3
CF_2CF_3	3-Cl, 5-CF_3	H	H	CH_2CF_3
CF_2CF_3	3-Br, 5-Br	H	H	CH_2CF_3
CF_2CF_3	3-Br	H	H	CH_2CF_3
CF_2CF_3	3-I	H	H	CH_2CF_3
CF_2CF_3	3-CN	H	H	CH_2CF_3
CF_2CF_3	3-Me	H	H	CH_2CF_3
CF_2CF_3	3-OMe	H	H	CH_2CF_3
CF_2CF_3	3-OCF_3	H	H	CH_2CF_3
$CF(CF_3)_2$	H	H	H	CH_2CF_3
$CF(CF_3)_2$	2-Cl	H	H	CH_2CF_3
$CF(CF_3)_2$	3-Cl	H	H	CH_2CF_3
$CF(CF_3)_2$	3-Cl, 5-Cl	H	H	CH_2CF_3
$CF(CF_3)_2$	2-F	H	H	CH_2CF_3
$CF(CF_3)_2$	3-F	H	H	CH_2CF_3
$CF(CF_3)_2$	3-F, 5-F	H	H	CH_2CF_3
$CF(CF_3)_2$	3-CF_3	H	H	CH_2CF_3
$CF(CF_3)_2$	3-CF_3, 5-CF_3	H	H	CH_2CF_3
$CF(CF_3)_2$	3-Cl, 5-CF_3	H	H	CH_2CF_3
$CF(CF_3)_2$	3-Br, 5-Br	H	H	CH_2CF_3
$CF(CF_3)_2$	3-Br	H	H	CH_2CF_3
$CF(CF_3)_2$	3-I	H	H	CH_2CF_3
$CF(CF_3)_2$	3-CN	H	H	CH_2CF_3
$CF(CF_3)_2$	3-Me	H	H	CH_2CF_3
$CF(CF_3)_2$	3-OMe	H	H	CH_2CF_3
$CF(CF_3)_2$	3-OCF_3	H	H	CH_2CF_3

R^1	$(R^2)_m$	R^3	R^4	R^5
CF_3	3-OCF_3	Cl	H	CH_2-2-Py
CF_2CF_3	H	Me	H	CH_2-2-Py
CF_2CF_3	2-Cl	Me	H	CH_2-2-Py
CF_2CF_3	3-Cl	Me	H	CH_2-2-Py
CF_2CF_3	3-Cl, 5-Cl	Me	H	CH_2-2-Py
CF_2CF_3	2-F	Me	H	CH_2-2-Py
CF_2CF_3	3-F	Me	H	CH_2-2-Py
CF_2CF_3	3-F, 5-F	Me	H	CH_2-2-Py
CF_2CF_3	3-CF_3	Me	H	CH_2-2-Py
CF_2CF_3	3-CF_3, 5-CF_3	Me	H	CH_2-2-Py
CF_2CF_3	3-Cl, 5-CF_3	Me	H	CH_2-2-Py
CF_2CF_3	3-Br, 5-Br	Me	H	CH_2-2-Py
CF_2CF_3	3-Br	Me	H	CH_2-2-Py
CF_2CF_3	3-I	Me	H	CH_2-2-Py
CF_2CF_3	3-CN	Me	H	CH_2-2-Py
CF_2CF_3	3-Me	Me	H	CH_2-2-Py
CF_2CF_3	3-OMe	Me	H	CH_2-2-Py
CF_2CF_3	3-OCF_3	Me	H	CH_2-2-Py
$CF(CF_3)_2$	H	Me	H	CH_2-2-Py
$CF(CF_3)_2$	2-Cl	Me	H	CH_2-2-Py
$CF(CF_3)_2$	3-Cl	Me	H	CH_2-2-Py
$CF(CF_3)_2$	3-Cl, 5-Cl	Me	H	CH_2-2-Py
$CF(CF_3)_2$	2-F	Me	H	CH_2-2-Py
$CF(CF_3)_2$	3-F	Me	H	CH_2-2-Py
$CF(CF_3)_2$	3-F, 5-F	Me	H	CH_2-2-Py
$CF(CF_3)_2$	3-CF_3	Me	H	CH_2-2-Py
$CF(CF_3)_2$	3-CF_3, 5-CF_3	Me	H	CH_2-2-Py
$CF(CF_3)_2$	3-Cl, 5-CF_3	Me	H	CH_2-2-Py
$CF(CF_3)_2$	3-Br, 5-Br	Me	H	CH_2-2-Py
$CF(CF_3)_2$	3-Br	Me	H	CH_2-2-Py
$CF(CF_3)_2$	3-I	Me	H	CH_2-2-Py
$CF(CF_3)_2$	3-CN	Me	H	CH_2-2-Py
$CF(CF_3)_2$	3-Me	Me	H	CH_2-2-Py
$CF(CF_3)_2$	3-OMe	Me	H	CH_2-2-Py
$CF(CF_3)_2$	3-OCF_3	Me	H	CH_2-2-Py

Formulation/Utility

Compounds of this invention will generally be used as a formulation or composition with a suitable carrier comprising at least one of a liquid diluent, a solid diluent or a surfactant. 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 liquids such as solutions (including emulsifiable concentrates), suspensions, emulsions (including microemulsions and/or suspoemulsions) and the like which optionally can be thickened into gels. Useful formulations further include solids such as dusts, powders, granules, pellets, tablets, films (including seed coatings), and the like which can be water-dispersible ("wettable") or water-soluble. 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. Sprayable formulations can be extended in suitable media and used at spray volumes from about one to several hundred liters per hectare. High-strength compositions are primarily used as intermediates for further formulation.

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
Suspensions, Emulsions, Solutions (including Emulsifiable Concentrates)	1–50	40–99	0–50
Dusts	1–25	70–99	0–5
Granules and Pellets	0.001–99	5–99.999	0–15
High Strength Compositions	90–99	0–10	0–2

Typical solid diluents are described in Watkins, et al., *Handbook of Insecticide Dust Diluents and Carriers*, 2nd Ed., Dorland Books, Caldwell, New Jersey. Typical liquid diluents are described in Marsden, *Solvents Guide*, 2nd Ed., Interscience, New York, 1950. *McCutcheon's Detergents and Emulsifiers Annual*, Allured Publ. Corp., Ridgewood, New Jersey, as well as Sisely and Wood, *Encyclopedia of Surface Active Agents*, Chemical Publ. Co., Inc., New York, 1964, list surfactants and recommended uses. All formulations can contain minor amounts of additives to reduce foam, caking, corrosion, microbiological growth and the like, or thickeners to increase viscosity.

Surfactants include, for example, polyethoxylated alcohols, polyethoxylated alkylphenols, polyethoxylated sorbitan fatty acid esters, dialkyl sulfosuccinates, alkyl

sulfates, alkylbenzene sulfonates, organosilicones, *N,N*-dialkyltaurates, lignin sulfonates, naphthalene sulfonate formaldehyde condensates, polycarboxylates, glycerol esters, polyoxyethylene/polyoxypropylene block copolymers, and alkylpolyglycosides where the number of glucose units, referred to as degree of polymerization (D.P.), can range from 1 to 3 and the alkyl units can range from C_6 to C_{14} (see *Pure and Applied Chemistry 72*, 1255–1264). Solid diluents include, for example, clays such as bentonite, montmorillonite, attapulgite and kaolin, starch, sugar, silica, talc, diatomaceous earth, urea, calcium carbonate, sodium carbonate and bicarbonate, and sodium sulfate. Liquid diluents include, for example, water, *N,N*-dimethylformamide, dimethyl sulfoxide, *N*-alkylpyrrolidone, ethylene glycol, polypropylene glycol, propylene carbonate, dibasic esters, paraffins, alkylbenzenes, alkylnaphthalenes, glycerine, triacetine, oils of olive, castor, linseed, tung, sesame, corn, peanut, cotton-seed, soybean, rape-seed and coconut, fatty acid esters, ketones such as cyclohexanone, 2-heptanone, isophorone and 4-hydroxy-4-methyl-2-pentanone, acetates such as hexyl acetate, heptyl acetate and octyl acetate, and alcohols such as methanol, cyclohexanol, decanol, benzyl and tetrahydrofurfuryl alcohol.

Useful formulations of this invention may also contain materials well known to those skilled in the art as formulation aids such as antifoams, film formers and dyes. Antifoams can include water dispersible liquids comprising polyorganosiloxanes like Rhodorsil® 416. The film formers can include polyvinyl acetates, polyvinyl acetate copolymers, polyvinylpyrrolidone-vinyl acetate copolymer, polyvinyl alcohols, polyvinyl alcohol copolymers and waxes. Dyes can include water dispersible liquid colorant compositions like Pro-lzed® Colorant Red. One skilled in the art will appreciate that this is a non-exhaustive list of formulation aids. Suitable examples of formulation aids include those listed herein and those listed in *McCutcheon's 2001, Volume 2: Functional Materials* published by MC Publishing Company and PCT Publication WO 03/024222.

Solutions, including emulsifiable concentrates, can be prepared by simply mixing the ingredients. Dusts and powders can be prepared by blending and, usually, grinding as in a hammer mill or fluid-energy mill. Suspensions are usually prepared by wet-milling; see, for example, U.S. 3,060,084. Granules and pellets can be prepared by spraying the active material upon preformed granular carriers or by agglomeration techniques. See Browning, "Agglomeration", *Chemical Engineering*, December 4, 1967, pp 147–48, *Perry's Chemical Engineer's Handbook*, 4th Ed., McGraw-Hill, New York, 1963, pages 8–57 and following, and WO 91/13546. Pellets can be prepared as described in U.S. 4,172,714. Water-dispersible and water-soluble granules can be prepared as taught in U.S. 4,144,050, U.S. 3,920,442 and DE 3,246,493. Tablets can be prepared as taught in U.S. 5,180,587, U.S. 5,232,701 and U.S. 5,208,030. Films can be prepared as taught in GB 2,095,558 and U.S. 3,299,566.

For further information regarding the art of formulation, see T. S. Woods, "The Formulator's Toolbox – Product Forms for Modern Agriculture" in *Pesticide Chemistry and*

Bioscience, The Food–Environment Challenge, T. Brooks and T. R. Roberts, Eds., Proceedings of the 9th International Congress on Pesticide Chemistry, The Royal Society of Chemistry, Cambridge, 1999, pp. 120–133. See also U.S. 3,235,361, Col. 6, line 16 through Col. 7, line 19 and Examples 10–41; U.S. 3,309,192, Col. 5, line 43 through Col. 7, line 62 and Examples 8, 12, 15, 39, 41, 52, 53, 58, 132, 138–140, 162–164, 166, 167 and 169–182; U.S. 2,891,855, Col. 3, line 66 through Col. 5, line 17 and Examples 1–4; Klingman, *Weed Control as a Science*, John Wiley and Sons, Inc., New York, 1961, pp 81–96; Hance et al., *Weed Control Handbook*, 8th Ed., Blackwell Scientific Publications, Oxford, 1989; and *Developments in formulation technology*, PJB Publications, Richmond, UK, 2000.

In the following Examples, all percentages are by weight and all formulations are prepared in conventional ways. Compound numbers refer to compounds in Index Tables A-C. 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 constructed as merely illustrative, and not limiting of the disclosure in any way whatsoever. Percentages are by weight except where otherwise indicated.

Example A

Wettable Powder

Compound 1	65.0%
dodecylphenol polyethylene glycol ether	2.0%
sodium ligninsulfonate	4.0%
sodium silicoaluminate	6.0%
montmorillonite (calcined)	23.0%

Example B

Granule

Compound 2	10.0%
attapulgite granules (low volatile matter, 0.71/0.30 mm; U.S.S. No. 25–50 sieves)	90.0%

Example C

Extruded Pellet

Compound 8	25.0%
anhydrous sodium sulfate	10.0%
crude calcium ligninsulfonate	5.0%
sodium alkylnaphthalenesulfonate	1.0%
calcium/magnesium bentonite	59.0%

Example D

Emulsifiable Concentrate

Compound 20	20.0%

blend of oil soluble sulfonates and polyoxyethylene ethers	10.0%
isophorone	70.0%

Example E

Microemulsion

Compound 21	5.0%
polyvinylpyrrolidone-vinyl acetate copolymer	30.0%
alkylpolyglycoside	30.0%
glyceryl monooleate	15.0%
water	20.0%

Example F

Seed Treatment

Compound 101	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 G

Fertilizer Stick

Compound 201	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.

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 suitable for systemic and/or non-systemic control of infestation or infection by parasites on animals. 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 combating parasites that infest agricultural working animals, such as cattle, sheep, goats, horses, pigs, donkeys, camels, buffalos, rabbits, hens, turkeys, ducks, geese and bees; pet animals and domestic animals such as dogs, cats, pet birds and aquarium fish; as well as so-called experimental animals, such as hamsters, guinea pigs, rats and mice. By combating these parasites, fatalities and performance reduction (in term 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.

Examples of agronomic or nonagronomic invertebrate pests include eggs, larvae and adults of the order Lepidoptera, such as armyworms, cutworms, loopers, and heliothines in the family Noctuidae (e.g., pink stem borer (*Sesamia inferens* Walker), corn stalk borer (*Sesamia nonagrioides* Lefebvre), southern armyworm (*Spodoptera eridania* Cramer), fall armyworm (*Spodoptera fugiperda* J. E. Smith), beet armyworm (*Spodoptera exigua* Hübner), cotton leafworm (*Spodoptera littoralis* Boisduval), yellowstriped armyworm (*Spodoptera ornithogalli* Guenée), black cutworm (*Agrotis ipsilon* Hufnagel), velvetbean caterpillar (*Anticarsia gemmatalis* Hübner), green fruitworm (*Lithophane antennata* Walker), cabbage armyworm (*Barathra brassicae* Linnaeus), soybean looper (*Pseudoplusia includens* Walker), cabbage looper (*Trichoplusia ni* Hübner), tobacco budworm (*Heliothis virescens* Fabricius)); borers, casebearers, webworms, coneworms, cabbageworms and skeletonizers from the family Pyralidae (e.g., European corn borer (*Ostrinia nubilalis* Hübner), navel orangeworm (*Amyelois transitella* Walker), corn root webworm (*Crambus caliginosellus* Clemens), sod webworms (Pyralidae: *Crambinae*) such as sod worm (*Herpetogramma licarsisalis* Walker), sugarcane stem borer (*Chilo infuscatellus* Snellen), tomato small borer (*Neoleucinodes elegantalis* Guenée), green leafroller (*Cnaphalocerus medinalis*), grape leaffolder (*Desmia funeralis* Hübner), melon worm (*Diaphania nitidalis*

Stoll), cabbage center grub (*Helluala hydralis* Guenée), yellow stem borer (*Scirpophaga incertulas* Walker), early shoot borer (*Scirpophaga infuscatellus* Snellen), white stem borer (*Scirpophaga innotata* Walker), top shoot borer (*Scirpophaga nivella* Fabricius), dark-headed rice borer (*Chilo polychrysus* Meyrick), cabbage cluster caterpillar (*Crocidolomia binotalis* English)); leafrollers, budworms, seed worms, and fruit worms in the family Tortricidae (e.g., codling moth (*Cydia pomonella* Linnaeus), grape berry moth (*Endopiza viteana* Clemens), oriental fruit moth (*Grapholita molesta* Busck), citrus false codling moth (*Cryptophlebia leucotreta* Meyrick), citrus borer (*Ecdytolopha aurantiana* Lima), redbanded leafroller (*Argyrotaenia velutinana* Walker), obliquebanded leafroller (*Choristoneura rosaceana* Harris), light brown apple moth (*Epiphyas postvittana* Walker), European grape berry moth (*Eupoecilia ambiguella* Hübner), apple bud moth (*Pandemis pyrusana* Kearfott), omnivorous leafroller (*Platynota stultana* Walsingham), barred fruit-tree tortrix (*Pandemis cerasana* Hübner), apple brown tortrix (*Pandemis heparana* Denis & Schiffermüller)); and many other economically important lepidoptera (e.g., diamondback moth (*Plutella xylostella* Linnaeus), pink bollworm (*Pectinophora gossypiella* Saunders), gypsy moth (*Lymantria dispar* Linnaeus), peach fruit borer (*Carposina niponensis* Walsingham), peach twig borer (*Anarsia lineatella* Zeller), potato tuberworm (*Phthorimaea operculella* Zeller), spotted teniform leafminer (*Lithocolletis blancardella* Fabricius), Asiatic apple leafminer (*Lithocolletis ringoniella* Matsumura), rice leaffolder (*Lerodea eufala* Edwards), apple leafminer (*Leucoptera scitella* Zeller)); eggs, nymphs and adults of the order Blattodea including cockroaches from the families Blattellidae and Blattidae (e.g., oriental cockroach (*Blatta orientalis* Linnaeus), Asian cockroach (*Blatella asahinai* Mizukubo), German cockroach (*Blattella germanica* Linnaeus), brownbanded cockroach (*Supella longipalpa* Fabricius), American cockroach (*Periplaneta americana* Linnaeus), brown cockroach (*Periplaneta brunnea* Burmeister), Madeira cockroach (*Leucophaea maderae* Fabricius)), smoky brown cockroach (*Periplaneta fuliginosa* Service), Australian Cockroach (*Periplaneta australasiae* Fabr.), lobster cockroach (*Nauphoeta cinerea* Olivier) and smooth cockroach (*Symploce pallens* Stephens)); eggs, foliar feeding, fruit feeding, root feeding, seed feeding and vesicular tissue feeding larvae and adults of the order Coleoptera including weevils from the families Anthribidae, Bruchidae, and Curculionidae (e.g., boll weevil (*Anthonomus grandis* Boheman), rice water weevil (*Lissorhoptrus oryzophilus* Kuschel), granary weevil (*Sitophilus granarius* Linnaeus), rice weevil (*Sitophilus oryzae* Linnaeus)), annual bluegrass weevil (*Listronotus maculicollis* Dietz), bluegrass billbug (*Sphenophorus parvulus* Gyllenhal), hunting billbug (*Sphenophorus venatus vestitus*), Denver billbug (*Sphenophorus cicatristriatus* Fahraeus)); flea beetles, cucumber beetles, rootworms, leaf beetles, potato beetles, and leafminers in the family Chrysomelidae (e.g., Colorado potato beetle (*Leptinotarsa decemlineata* Say), western corn rootworm (*Diabrotica virgifera virgifera* LeConte)); chafers and other beetles from the family Scarabaeidae (e.g., Japanese beetle (*Popillia japonica* Newman), oriental beetle (*Anomala orientalis* Waterhouse,

Exomala orientalis (Waterhouse) Baraud), northern masked chafer (*Cyclocephala borealis* Arrow), southern masked chafer (*Cyclocephala immaculata* Olivier or *C. lurida* Bland) dung beetle and white grub (Aphodius spp.), black turfgrass ataenius (*Ataenius spretulus* Haldeman), green June beetle (*Cotinis nitida* Linnaeus), Asiatic garden beetle (*Maladera castanea* Arrow), May/June beetles (*Phyllophaga* spp.) and European chafer (*Rhizotrogus majalis* Razoumowsky)); carpet beetles from the family Dermestidae; wireworms from the family Elateridae; bark beetles from the family Scolytidae and flour beetles from the family Tenebrionidae. In addition, agronomic and nonagronomic pests include: eggs, adults and larvae of the order Dermaptera including earwigs from the family Forficulidae (e.g., European earwig (*Forficula auricularia* Linnaeus), black earwig (*Chelisoches morio* Fabricius)); eggs, immatures, adults and nymphs of the orders Hemiptera and Homoptera such as, plant bugs from the family Miridae, cicadas from the family Cicadidae, leafhoppers (e.g. *Empoasca* spp.) from the family Cicadellidae, bed bugs (e.g., *Cimex lectularius* Linnaeus) from the family Cimicidae, planthoppers from the families Fulgoroidae and Delphacidae, treehoppers from the family Membracidae, psyllids from the family Psyllidae, whiteflies from the family Aleyrodidae, aphids from the family Aphididae, phylloxera from the family Phylloxeridae, mealybugs from the family Pseudococcidae, scales from the families Coccidae, Diaspididae and Margarodidae, lace bugs from the family Tingidae, stink bugs from the family Pentatomidae, chinch bugs (e.g., hairy chinch bug (*Blissus leucopterus hirtus* Montandon) and southern chinch bug (*Blissus insularis* Barber)) and other seed bugs from the family Lygaeidae, spittlebugs from the family Cercopidae squash bugs from the family Coreidae, and red bugs and cotton stainers from the family Pyrrhocoridae. 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 order Ixodidae (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 scab and itch mites in the families Psoroptidae, Pyemotidae, and Sarcoptidae; eggs, adults and immatures of the order Orthoptera including grasshoppers, locusts and crickets (e.g., migratory grasshoppers (e.g., *Melanoplus sanguinipes* Fabricius, *M. differentialis* Thomas), American grasshoppers (e.g., *Schistocerca americana* Drury), desert locust (*Schistocerca gregaria* Forskal), migratory locust (*Locusta migratoria* Linnaeus), bush locust (*Zonocerus* spp.), house cricket (*Acheta domesticus* Linnaeus), mole crickets (e.g., tawny mole cricket (*Scapteriscus vicinus* Scudder) and southern mole cricket (*Scapteriscus borellii* Giglio-Tos)); eggs, adults and immatures of the

order Diptera including leafminers (e.g., *Liriomyza* spp. such as serpentine vegetable leafminer (*Liriomyza sativae* Blanchard)), midges, fruit flies (Tephritidae), frit flies (e.g., *Oscinella frit* Linnaeus), soil maggots, house flies (e.g., *Musca domestica* Linnaeus), lesser house flies (e.g., *Fannia canicularis* Linnaeus, *F. femoralis* Stein), stable flies (e.g., *Stomoxys calcitrans* Linnaeus), face flies, horn flies, blow flies (e.g., *Chrysomya* spp.; *Phormia* spp.), and other muscoid fly pests, horse flies (e.g., *Tabanus* spp.), bot flies (e.g., *Gastrophilus* spp., *Oestrus* spp.), cattle grubs (e.g., *Hypoderma* spp.), deer flies (e.g., *Chrysops* spp.), keds (e.g., *Melophagus ovinus* Linnaeus) and other Brachycera, mosquitoes (e.g., *Aedes* spp., *Anopheles* spp., *Culex* spp.), black flies (e.g., *Prosimulium* spp., *Simulium* spp.), biting midges, sand flies, sciarids, and other Nematocera; eggs, adults and immatures of the order Thysanoptera including onion thrips (*Thrips tabaci* Lindeman), flower thrips (*Frankliniella* spp.), and other foliar feeding thrips; insect pests of the order Hymenoptera including ants of the Family Formicidae including the Florida carpenter ant (*Camponotus floridanus* Buckley), red carpenter ant (*Camponotus ferrugineus* Fabricius), black carpenter ant (*Camponotus pennsylvanicus* De Geer), white-footed ant (*Technomyrmex albipes* fr. Smith), big headed ants (*Pheidole* sp.), ghost ant (*Tapinoma melanocephalum* Fabricius); Pharaoh ant (*Monomorium pharaonis* Linnaeus), little fire ant (*Wasmannia auropunctata* Roger), fire ant (*Solenopsis geminata* Fabricius), red imported fire ant (*Solenopsis invicta* Buren), Argentine ant (*Iridomyrmex humilis* Mayr), crazy ant (*Paratrechina longicornis* Latreille), pavement ant (*Tetramorium caespitum* Linnaeus), cornfield ant (*Lasius alienus* Förster) and odorous house ant (*Tapinoma sessile* Say). Other Hymenoptera including bees (including carpenter bees), hornets, yellow jackets, wasps, and sawflies (*Neodiprion* spp.; *Cephus* spp.); insect pests of the order Isoptera including termites in the Termitidae (e.g., *Macrotermes* sp., *Odontotermes obesus* Rambur), Kalotermitidae (e.g., *Cryptotermes* sp.), and Rhinotermitidae (e.g., *Reticulitermes* sp., *Coptotermes* sp., *Heterotermes tenuis* Hagen) families, the eastern subterranean termite (*Reticulitermes flavipes* Kollar), western subterranean termite (*Reticulitermes hesperus* Banks), Formosan subterranean termite (*Coptotermes formosanus* Shiraki), West Indian drywood termite (*Incisitermes immigrans* Snyder), powder post termite (*Cryptotermes brevis* Walker), drywood termite (*Incisitermes snyderi* Light), southeastern subterranean termite (*Reticulitermes virginicus* Banks), western drywood termite (*Incisitermes minor* Hagen), arboreal termites such as *Nasutitermes* sp. and other termites of economic importance; insect pests of the order Thysanura such as silverfish (*Lepisma saccharina* Linnaeus) and firebrat (*Thermobia domestica* Packard); insect pests of the order Mallophaga and including the head louse (*Pediculus humanus capitis* De Geer), body louse (*Pediculus humanus* Linnaeus), chicken body louse (*Menacanthus stramineus* Nitszch), dog biting louse (*Trichodectes canis* De Geer), fluff louse (*Goniocotes gallinae* De Geer), sheep body louse (*Bovicola ovis* Schrank), short-nosed cattle louse (*Haematopinus eurysternus* Nitzsch), long-nosed cattle louse (*Linognathus vituli* Linnaeus) and other sucking and chewing parasitic lice that attack man and animals; insect pests of the order

Siphonoptera including the oriental rat flea (*Xenopsylla cheopis* Rothschild), cat flea (*Ctenocephalides felis* Bouche), dog flea (*Ctenocephalides canis* Curtis), hen flea (*Ceratophyllus gallinae* Schrank), sticktight flea (*Echidnophaga gallinacea* Westwood), human flea (*Pulex irritans* Linnaeus) and other fleas afflicting mammals and birds. Additional arthropod pests covered include: spiders in the order Araneae such as the brown recluse spider (*Loxosceles reclusa* Gertsch & Mulaik) and the black widow spider (*Latrodectus mactans* Fabricius), and centipedes in the order Scutigeromorpha such as the house centipede (*Scutigera coleoptrata* Linnaeus). 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* Guenee (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: *Acyrthisiphon 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), *Macrosipum 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 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 thrip), *Scirthothrips citri* Moulton (citrus thrip), *Sericothrips variabilis* Beach (soybean thrip), and *Thrips tabaci* Lindeman (onion thrip); 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 silverleaf whitefly (*Bemisia argentifolii*). Of note is use of compounds of this invention for controlling western flower thrip (*Frankliniella occidentalis*). 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*).

Compounds of this invention can also be mixed with one or more other biologically active compounds or agents including insecticides, fungicides, nematicides, bactericides, acaricides, herbicides, growth regulators such as rooting stimulants, chemosterilants, semiochemicals, repellents, attractants, pheromones, feeding stimulants, other biologically active compounds or entomopathogenic bacteria, virus or fungi to form a multi-component pesticide giving an even broader spectrum of agronomic and nonagronomic utility. Thus the present invention also pertains to a composition comprising a biologically effective amount of a compound of Formula 1, an *N*-oxide or salt thereof, and an effective amount of at least one additional biologically active compound or agent and can further comprise at least one of a surfactant, a solid diluent or a liquid diluent. The other biologically active compounds or agents can be formulated in compositions comprising at least one of a surfactant, solid or liquid diluent. 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.

Other biologically active compounds or agents useful in the compositions of the present invention can be selected from invertebrate pest control agents having a different mode of action or a different chemical class sodium channel modulators, cholinesterase inhibitors, neonicotinoids, insecticidal macrocyclic lactones, GABA (γ–aminobutyric acid)-regulated chloride channel blockers, chitin synthesis inhibitors, juvenile hormone mimics, octopamine receptor ligands, ecdysone agonists, ryanodine receptor ligands, nereistoxin analogs, mitochondrial electron transport inhibitors, lipid biosynthesis inhibitors, cyclodiene insecticides, molting inhibitors and biological agents including nucleopolyhedrovirus (NPV), a member of *Bacillus thuringiensis*, an encapsulated delta-endotoxin of *Bacillus thuringiensis* and a naturally occurring or a genetically modified viral insecticide.

Of note is a composition of the present invention wherein at least one additional biologically active compound or agent is selected from insecticides of the group consisting of sodium channel modulators, cholinesterase inhibitors, neonicotinoids, insecticidal macrocyclic lactones, GABA-regulated chloride channel blockers, chitin synthesis inhibitors, juvenile hormone mimics, octopamine receptor ligands, ecdysone agonists, ryanodine receptor ligands, nereistoxin analogs, mitochondrial electron transport inhibitors, lipid biosynthesis inhibitors, cyclodiene insecticides; molting inhibitors and biological agents including nucleopolyhedrovirus, a member of *Bacillus thuringiensis*, an encapsulated delta-endotoxin of *Bacillus thuringiensis* and a naturally occurring or a genetically modified viral insecticide.

Of particular note are additional biologically active compounds or agents selected from insecticides of the group consisting of sodium channel modulators, cholinesterase inhibitors, neonicotinoids, insecticidal macrocyclic lactones, GABA-regulated chloride channel blockers, chitin synthesis inhibitors, juvenile hormone mimics, octopamine receptor ligands, ecdysone agonists, ryanodine receptor ligands, nereistoxin analogs, mitochondrial electron transport inhibitors, lipid biosynthesis inhibitors, cyclodiene insecticides, nucleopolyhedrovirus; a member of *Bacillus thuringiensis*, an encapsulated delta-endotoxin of *Bacillus thuringiensis*; and a naturally occurring or a genetically modified viral insecticide.

Of further note are additional biologically active compounds or agents selected from insecticides of the group consisting of pyrethroids, carbamates, neonicotinoids, neuronal sodium channel blockers, insecticidal macrocyclic lactones, γ-aminobutyric acid antagonists, insecticidal ureas and juvenile hormone mimics, a member of *Bacillus thuringiensis*, a *Bacillus thuringiensis* delta-endotoxin, and a naturally occurring or a genetically modified viral insecticide.

Examples of such biologically active compounds or agents with which compounds of this invention can be formulated are: insecticides such as abamectin, acephate, acetamiprid, acetoprole, amidoflumet (S-1955), avermectin, azadirachtin, azinphos-methyl, bifenthrin, bifenazate, buprofezin, bistrifluron, buprofezin, carbofuran, cartap, chlorfenapyr, chlorfluazuron, chlorantraniliprole (DPX-E2Y45), chlorpyrifos, chlorpyrifos-methyl, chromafenozide, clothianidin, cyflumetofen, cyfluthrin, beta-cyfluthrin, cyhalothrin, gamma-cyhalothrin lambda-cyhalothrin, cypermethrin, cyromazine, deltamethrin, diafenthiuron, diazinon, dieldrin, diflubenzuron, dimefluthrin, dimethoate, dinotefuran, diofenolan, emamectin, endosulfan, esfenvalerate, ethiprole, fenothiocarb, fenoxycarb, fenpropathrin, fenvalerate, fipronil, flonicamid, flubendiamide, flucythrinate, tau-fluvalinate, flufenerim (UR-50701), flufenoxuron, fonophos, halofenozide, hexaflumuron, hydramethylnon, imidacloprid, indoxacarb, isofenphos, lufenuron, malathion, metaflumizone, metaldehyde, methamidophos, methidathion, methomyl, methoprene, methoxychlor, metofluthrin, monocrotophos, methoxyfenozide, monocrotophos, nitenpyram, nithiazine, novaluron,

noviflumuron (XDE-007), oxamyl, parathion, parathion-methyl, permethrin, phorate, phosalone, phosmet, phosphamidon, pirimicarb, profenofos, profluthrin, protrifenbute, pymetrozine, pyrafluprole, pyrethrin, pyridalyl, pyrifluquinazon, pyriprole, pyriproxyfen, rotenone, ryanodine, spinetoram, spinosad, spirodiclofen, spiromesifen (BSN 2060), spirotetramat, sulprofos, tebufenozide, teflubenzuron, tefluthrin, terbufos, tetrachlorvinphos, thiacloprid, thiamethoxam, thiodicarb, thiosultap-sodium, tolfenpyrad, tralomethrin, triazamate, trichlorfon and triflumuron; fungicides such as acibenzolar, aldimorph, amisulbrom, azaconazole, azoxystrobin, benalaxyl, benomyl, benthiavalicarb, benthiavalicarb-isopropyl, binomial, biphenyl, bitertanol, blasticidin-S, Bordeaux mixture (Tribasic copper sulfate), boscalid/nicobifen, bromuconazole, bupirimate, buthiobate, carboxin, carpropamid, captafol, captan, carbendazim, chloroneb, chlorothalonil, chlozolinate, clotrimazole, copper oxychloride, copper salts such as copper sulfate and copper hydroxide, cyazofamid, cyflunamid, cymoxanil, cyproconazole, cyprodinil, dichlofluanid, diclocymet, diclomezine, dicloran, diethofencarb, difenoconazole, dimethomorph, dimoxystrobin, diniconazole, diniconazole-M, dinocap, discostrobin, dithianon, dodemorph, dodine, econazole, etaconazole, edifenphos, epoxiconazole, ethaboxam, ethirimol, ethridiazole, famoxadone, fenamidone, fenarimol, fenbuconazole, fencaramid, fenfuram, fenhexamide, fenoxanil, fenpiclonil, fenpropidin, fenpropimorph, fentin acetate, fentin hydroxide, ferbam, ferfurazoate, ferimzone, fluazinam, fludioxonil, flumetover, fluopicolide, fluoxastrobin, fluquinconazole, fluquinconazole, flusilazole, flusulfamide, flutolanil, flutriafol, folpet, fosetyl-aluminum, fuberidazole, furalaxyl, furametapyr, hexaconazole, hymexazole, guazatine, imazalil, imibenconazole, iminoctadine, iodicarb, ipconazole, iprobenfos, iprodione, iprovalicarb, isoconazole, isoprothiolane, kasugamycin, kresoxim-methyl, mancozeb, mandipropamid, maneb, mapanipyrin, mefenoxam, mepronil, metalaxyl, metconazole, methasulfocarb, metiram, metominostrobin/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; nematicides 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; and biological agents including entomopathogenic bacteria, such as *Bacillus thuringiensis* subsp. *aizawai*, *Bacillus thuringiensis* subsp. *kurstaki*, and the encapsulated delta-endotoxins of *Bacillus thuringiensis* (e.g., Cellcap, MPV, MPVII); entomopathogenic fungi, such as green muscardine fungus; and entomopathogenic virus including baculovirus, nucleopolyhedrovirus (NPV) such as *Helicoverpa zea* nucleopolyhedrovirus (HzNPV), *Anagrapha falcifera* nucleopolyhedrovirus (AfNPV); and granulosis virus (GV) such as *Cydia pomonella* granulosis virus (CpGV).

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). 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, nematicides, 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.

Of note is a composition of the present invention wherein at least one additional biologically active compound or agent is selected from the group consisting of abamectin, acephate, acetamiprid, acetoprole, aldicarb, amidoflumet, amitraz, avermectin, azadirachtin, azinphos-methyl, bifenthrin, bifenazate, bistrifluron, buprofezin, carbofuran, cartap, chinomethionat, chlorfenapyr, chlorfluazuron, chlorantraniliprole, chlorpyrifos, chlorpyrifos-methyl, chlorobenzilate, chromafenozide, clothianidin, cyflumetofen, cyfluthrin, beta-cyfluthrin, cyhalothrin, gamma-cyhalothrin, lambda-cyhalothrin, cyhexatin, cypermethrin, cyromazine, deltamethrin, diafenthiuron, diazinon, dicofol, dieldrin, dienochlor, diflubenzuron, dimefluthrin, dimethoate, dinotefuran, diofenolan, emamectin, endosulfan, esfenvalerate, ethiprole, etoxazole, fenamiphos, fenazaquin, fenbutatin oxide, fenothiocarb, fenoxycarb, fenpropathrin, fenpyroximate, fenvalerate, fipronil, flonicamid, flubendiamide, flucythrinate, tau-fluvalinate, flufenerim, flufenoxuron, fonophos, halofenozide, hexaflumuron, hexythiazox, hydramethylnon, imicyafos, imidacloprid, indoxacarb, isofenphos, lufenuron, malathion, metaflumizone, metaldehyde, methamidophos, methidathion, methomyl, methoprene, methoxychlor, methoxyfenozide, metofluthrin, monocrotophos, 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, spiridiclofen, spiromesifen, spirotetramat, sulprofos, tebufenozide, tebufenpyrad, teflubenzuron, tefluthrin, terbufos, tetrachlorvinphos, thiacloprid, thiamethoxam, thiodicarb, thiosultap-sodium, tolfenpyrad, tralomethrin,

triazamate, trichlorfon, triflumuron, *Bacillus thuringiensis* subsp. *aizawai*, *Bacillus thuringiensis* subsp. *kurstaki*, nucleopolyhedrovirus, an encapsulated delta-endotoxin of *Bacillus thuringiensis*, baculovirus, entomopathogenic bacteria, entomopathogenic virus and entomopathogenic fungi.

Also of note is a composition of the present invention wherein at least one additional biologically active compound or agent is selected from the group consisting of abamectin, acetamiprid, amitraz, avermectin, azadirachtin, bifenthrin, buprofezin, cartap, chlorantraniliprole, chlorfenapyr, chlorpyrifos, clothianidin, cyfluthrin, beta-cyfluthrin, cyhalothrin, lambda-cyhalothrin, cypermethrin, cyromazine, deltamethrin, dieldrin, dinotefuran, diofenolan, emamectin, endosulfan, esfenvalerate, ethiprole, fenothiocarb, fenoxycarb, fenvalerate, fipronil, flonicamid, flubendiamide, flufenoxuron, hexaflumuron, hydramethylnon, imidacloprid, indoxacarb, lufenuron, metaflumizone, methomyl, methoprene, methoxyfenozide, nitenpyram, nithiazine, novaluron, oxamyl, pymetrozine, pyrethrin, pyridaben, pyridalyl, pyriproxyfen, ryanodine, spinetoram, spinosad, spirodiclofen, spiromesifen, tebufenozide, thiacloprid, thiamethoxam, thiodicarb, thiosultap-sodium, tralomethrin, triazamate, triflumuron, *Bacillus thuringiensis* subsp. *aizawai*, *Bacillus thuringiensis* subsp. *kurstaki*, nucleopolyhedrovirus and an encapsulated delta-endotoxin of *Bacillus thuringiensis*.

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 may expand the spectrum of invertebrate pests controlled beyond the spectrum controlled by the compound of Formula 1 alone.

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.

Of note is a combination of a compound of Formula 1 with at least one other invertebrate pest control active ingredient. Of particular note is such a combination where the other invertebrate pest control active ingredient has different site of action from 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 a different site of action. Contacting a plant genetically modified to express an invertebrate pest compound (e.g., protein) or the locus of the plant with a biologically effective amount of a compound of this invention can also provide a broader spectrum of plant protection and be advantageous for resistance management.

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**, an *N*-oxide, or a salt thereof, (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
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

Invertebrate Pest Control Agent	Mode of Action or Chemical Class	Typical Weight Ratio
Cyfluthrin	sodium channel modulators	150:1 to 1:200
Cyhalothrin	sodium channel modulators	150:1 to 1:200
Cypermethrin	sodium channel modulators	150:1 to 1:200
Cyromazine	chitin synthesis inhibitors	400:1 to 1:50
Deltamethrin	sodium channel modulators	50:1 to 1:400
Dieldrin	cyclodiene insecticides	200:1 to 1:100
Dinotefuran	neonicotinoids	150:1 to 1:200
Diofenolan	molting inhibitor	150:1 to 1:200
Emamectin	macrocyclic lactones	50:1 to 1:10
Endosulfan	cyclodiene insecticides	200:1 to 1:100
Esfenvalerate	sodium channel modulators	100:1 to 1:400
Ethiprole	GABA-regulated chloride channel blockers	200:1 to 1:100
Fenothiocarb		150:1 to 1:200
Fenoxycarb	juvenile hormone mimics	500:1 to 1:100
Fenvalerate	sodium channel modulators	150:1 to 1:200
Fipronil	GABA-regulated chloride channel blockers	150:1 to 1:100
Flonicamid		200:1 to 1:100
Flubendiamide	ryanodine receptor ligands	100:1 to 1:120
Flufenoxuron	chitin synthesis inhibitors	200:1 to 1:100
Hexaflumuron	chitin synthesis inhibitors	300:1 to 1:50
Hydramethylnon	mitochondrial electron transport inhibitors	150:1 to 1:250
Imidacloprid	neonicotinoids	1000:1 to 1:1000
Indoxacarb	sodium channel modulators	200:1 to 1:50
Lambda-cyhalothrin	sodium channel modulators	50:1 to 1:250
Lufenuron	chitin synthesis inhibitors	500:1 to 1:250
Metaflumizone		200:1 to 1:200
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

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

One embodiment of invertebrate pest control agents (e.g., insecticides and acaricides) for mixing with compounds of this invention include 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)-regulated chloride channel blockers such as endosulfan, 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; 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; cyflumetofen; fenothiocarb; flonicamid; metaflumizone; pyrafluprole; pyridalyl; pyriprole; pymetrozine; spirotetramat; and thiosultap-sodium. One embodiment of biological agents for mixing with compounds of this invention include nucleopolyhedrovirus such as HzNPV and AfNPV; *Bacillus thuringiensis* and encapsulated delta-endotoxins of *Bacillus thuringiensis* such as Cellcap, MPV and MPVII; as well as naturally occurring and genetically modified viral insecticides including members of the family Baculoviridae as well as entomophagous fungi. 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. Also of note is the composition of the present invention wherein the at least one additional biologically active compound or agent is selected from the group consisting of cypermethrin, cyhalothrin, cyfluthrin, beta-cyfluthrin, esfenvalerate, fenvalerate, tralomethrin, fenothiocarb, methomyl, oxamyl, thiodicarb, acetamiprid, clothianidin, imidacloprid, thiamethoxam, thiacloprid, indoxacarb, spinosad, abamectin, avermectin, emamectin, endosulfan, ethiprole, fipronil, flufenoxuron, triflumuron, diofenolan, pyriproxyfen, pymetrozine, amitraz, *Bacillus thuringiensis aisawai*, *Bacillus thuringiensis kurstaki*, *Bacillus thuringiensis* delta endotoxin and entomophagous fungi.

The weight ratios of a compound, including a compound of Formula **1**, an *N*-oxide or a salt thereof, to the additional invertebrate pest control agent typically are between 1000:1 and 1:1000, with one embodiment being between 500:1 and 1:500, another embodiment being between 250:1 and 1:200 and another embodiment being between 100:1 and 1:50.

Listed below in Table B are embodiments of specific compositions comprising a compound of Formula **1** (compound numbers refer to compounds in Index Tables A-C) 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	1	and	Abamectin	B-1	2	and	Abamectin
A-2	1	and	Acetamiprid	B-2	2	and	Acetamiprid
A-3	1	and	Amitraz	B-3	2	and	Amitraz
A-4	1	and	Avermectin	B-4	2	and	Avermectin
A-5	1	and	Azadirachtin	B-5	2	and	Azadirachtin
A-6	1	and	Beta-cyfluthrin	B-6	2	and	Beta-cyfluthrin
A-7	1	and	Bifenthrin	B-7	2	and	Bifenthrin

Mixture No.	Comp. No.	and	Invertebrate Pest Control Agent
A-8	1	and	Buprofezin
A-9	1	and	Cartap
A-10	1	and	Chlorantraniliprole
A-11	1	and	Chlorfenapyr
A-12	1	and	Chlorpyrifos
A-13	1	and	Clothianidin
A-14	1	and	Cyfluthrin
A-15	1	and	Cyhalothrin
A-16	1	and	Cypermethrin
A-17	1	and	Cyromazine
A-18	1	and	Deltamethrin
A-19	1	and	Dieldrin
A-20	1	and	Dinotefuran
A-21	1	and	Diofenolan
A-22	1	and	Emamectin
A-23	1	and	Endosulfan
A-24	1	and	Esfenvalerate
A-25	1	and	Ethiprole
A-26	1	and	Fenothiocarb
A-27	1	and	Fenoxycarb
A-28	1	and	Fenvalerate
A-29	1	and	Fipronil
A-30	1	and	Flonicamid
A-31	1	and	Flubendiamide
A-32	1	and	Flufenoxuron
A-33	1	and	Hexaflumuron
A-34	1	and	Hydramethylnon
A-35	1	and	Imidacloprid
A-36	1	and	Indoxacarb
A-37	1	and	Lambda-cyhalothrin
A-38	1	and	Lufenuron
A-39	1	and	Metaflumizone
A-40	1	and	Methomyl
A-41	1	and	Methoprene
A-42	1	and	Methoxyfenozide
A-43	1	and	Nitenpyram
A-44	1	and	Nithiazine

Mixture No.	Comp. No.	and	Invertebrate Pest Control Agent
B-8	2	and	Buprofezin
B-9	2	and	Cartap
B-10	2	and	Chlorantraniliprole
B-11	2	and	Chlorfenapyr
B-12	2	and	Chlorpyrifos
B-13	2	and	Clothianidin
B-14	2	and	Cyfluthrin
B-15	2	and	Cyhalothrin
B-16	2	and	Cypermethrin
B-17	2	and	Cyromazine
B-18	2	and	Deltamethrin
B-19	2	and	Dieldrin
B-20	2	and	Dinotefuran
B-21	2	and	Diofenolan
B-22	2	and	Emamectin
B-23	2	and	Endosulfan
B-24	2	and	Esfenvalerate
B-25	2	and	Ethiprole
B-26	2	and	Fenothiocarb
B-27	2	and	Fenoxycarb
B-28	2	and	Fenvalerate
B-29	2	and	Fipronil
B-30	2	and	Flonicamid
B-31	2	and	Flubendiamide
B-32	2	and	Flufenoxuron
B-33	2	and	Hexaflumuron
B-34	2	and	Hydramethylnon
B-35	2	and	Imidacloprid
B-36	2	and	Indoxacarb
B-37	2	and	Lambda-cyhalothrin
B-38	2	and	Lufenuron
B-39	2	and	Metaflumizone
B-40	2	and	Methomyl
B-41	2	and	Methoprene
B-42	2	and	Methoxyfenozide
B-43	2	and	Nitenpyram
B-44	2	and	Nithiazine

Mixture No.	Comp. No.	and	Invertebrate Pest Control Agent
A-45	1	and	Novaluron
A-46	1	and	Oxamyl
A-47	1	and	Pymetrozine
A-48	1	and	Pyrethrin
A-49	1	and	Pyridaben
A-50	1	and	Pyridalyl
A-51	1	and	Pyriproxyfen
A-52	1	and	Ryanodine
A-53	1	and	Spinetoram
A-54	1	and	Spinosad
A-55	1	and	Spirodiclofen
A-56	1	and	Spiromesifen
A-57	1	and	Tebufenozide
A-58	1	and	Thiacloprid
A-59	1	and	Thiamethoxam
A-60	1	and	Thiodicarb
A-61	1	and	Thiosultap-sodium
A-62	1	and	Tralomethrin
A-63	1	and	Triazamate
A-64	1	and	Triflumuron
A-65	1	and	*Bacillus thuringiensis*
A-66	1	and	*Bacillus thuringiensis* delta-endotoxin
A-67	1	and	NPV (e.g., Gemstar)
C-1	101	and	Abamectin
C-2	101	and	Acetamiprid
C-3	101	and	Amitraz
C-4	101	and	Avermectin
C-5	101	and	Azadirachtin
C-6	101	and	Beta-cyfluthrin
C-7	101	and	Bifenthrin
C-8	101	and	Buprofezin
C-9	101	and	Cartap
C-10	101	and	Chlorantraniliprole
C-11	101	and	Chlorfenapyr
C-12	101	and	Chlorpyrifos
C-13	101	and	Clothianidin

Mixture No.	Comp. No.	and	Invertebrate Pest Control Agent
B-45	2	and	Novaluron
B-46	2	and	Oxamyl
B-47	2	and	Pymetrozine
B-48	2	and	Pyrethrin
B-49	2	and	Pyridaben
B-50	2	and	Pyridalyl
B-51	2	and	Pyriproxyfen
B-52	2	and	Ryanodine
B-53	2	and	Spinetoram
B-54	2	and	Spinosad
B-55	2	and	Spirodiclofen
B-56	2	and	Spiromesifen
B-57	2	and	Tebufenozide
B-58	2	and	Thiacloprid
B-59	2	and	Thiamethoxam
B-60	2	and	Thiodicarb
B-61	2	and	Thiosultap-sodium
B-62	2	and	Tralomethrin
B-63	2	and	Triazamate
B-64	2	and	Triflumuron
B-65	2	and	*Bacillus thuringiensis*
B-66	2	and	*Bacillus thuringiensis* delta-endotoxin
B-67	2	and	NPV (e.g., Gemstar)
D-1	104	and	Abamectin
D-2	104	and	Acetamiprid
D-3	104	and	Amitraz
D-4	104	and	Avermectin
D-5	104	and	Azadirachtin
D-6	104	and	Beta-cyfluthrin
D-7	104	and	Bifenthrin
D-8	104	and	Buprofezin
D-9	104	and	Cartap
D-10	104	and	Chlorantraniliprole
D-11	104	and	Chlorfenapyr
D-12	104	and	Chlorpyrifos
D-13	104	and	Clothianidin

Mixture No.	Comp. No.	and	Invertebrate Pest Control Agent
C-14	101	and	Cyfluthrin
C-15	101	and	Cyhalothrin
C-16	101	and	Cypermethrin
C-17	101	and	Cyromazine
C-18	101	and	Deltamethrin
C-19	101	and	Dieldrin
C-20	101	and	Dinotefuran
C-21	101	and	Diofenolan
C-22	101	and	Emamectin
C-23	101	and	Endosulfan
C-24	101	and	Esfenvalerate
C-25	101	and	Ethiprole
C-26	101	and	Fenothiocarb
C-27	101	and	Fenoxycarb
C-28	101	and	Fenvalerate
C-29	101	and	Fipronil
C-30	101	and	Flonicamid
C-31	101	and	Flubendiamide
C-32	101	and	Flufenoxuron
C-33	101	and	Hexaflumuron
C-34	101	and	Hydramethylnon
C-35	101	and	Imidacloprid
C-36	101	and	Indoxacarb
C-37	101	and	Lambda-cyhalothrin
C-38	101	and	Lufenuron
C-39	101	and	Metaflumizone
C-40	101	and	Methomyl
C-41	101	and	Methoprene
C-42	101	and	Methoxyfenozide
C-43	101	and	Nitenpyram
C-44	101	and	Nithiazine
C-45	101	and	Novaluron
C-46	101	and	Oxamyl
C-47	101	and	Pymetrozine
C-48	101	and	Pyrethrin
C-49	101	and	Pyridaben
C-50	101	and	Pyridalyl

Mixture No.	Comp. No.	and	Invertebrate Pest Control Agent
D-14	104	and	Cyfluthrin
D-15	104	and	Cyhalothrin
D-16	104	and	Cypermethrin
D-17	104	and	Cyromazine
D-18	104	and	Deltamethrin
D-19	104	and	Dieldrin
D-20	104	and	Dinotefuran
D-21	104	and	Diofenolan
D-22	104	and	Emamectin
D-23	104	and	Endosulfan
D-24	104	and	Esfenvalerate
D-25	104	and	Ethiprole
D-26	104	and	Fenothiocarb
D-27	104	and	Fenoxycarb
D-28	104	and	Fenvalerate
D-29	104	and	Fipronil
D-30	104	and	Flonicamid
D-31	104	and	Flubendiamide
D-32	104	and	Flufenoxuron
D-33	104	and	Hexaflumuron
D-34	104	and	Hydramethylnon
D-35	104	and	Imidacloprid
D-36	104	and	Indoxacarb
D-37	104	and	Lambda-cyhalothrin
D-38	104	and	Lufenuron
D-39	104	and	Metaflumizone
D-40	104	and	Methomyl
D-41	104	and	Methoprene
D-42	104	and	Methoxyfenozide
D-43	104	and	Nitenpyram
D-44	104	and	Nithiazine
D-45	104	and	Novaluron
D-46	104	and	Oxamyl
D-47	104	and	Pymetrozine
D-48	104	and	Pyrethrin
D-49	104	and	Pyridaben
D-50	104	and	Pyridalyl

Mixture No.	Comp. No.	and	Invertebrate Pest Control Agent
C-51	101	and	Pyriproxyfen
C-52	101	and	Ryanodine
C-53	101	and	Spinetoram
C-54	101	and	Spinosad
C-55	101	and	Spirodiclofen
C-56	101	and	Spiromesifen
C-57	101	and	Tebufenozide
C-58	101	and	Thiacloprid
C-59	101	and	Thiamethoxam
C-60	101	and	Thiodicarb
C-61	101	and	Thiosultap-sodium
C-62	101	and	Tralomethrin
C-63	101	and	Triazamate
C-64	101	and	Triflumuron
C-65	101	and	*Bacillus thuringiensis*
C-66	101	and	*Bacillus thuringiensis* delta-endotoxin
C-67	101	and	NPV (e.g., Gemstar)
E-1	8	and	Abamectin
E-2	8	and	Acetamiprid
E-3	8	and	Amitraz
E-4	8	and	Avermectin
E-5	8	and	Azadirachtin
E-6	8	and	Beta-cyfluthrin
E-7	8	and	Bifenthrin
E-8	8	and	Buprofezin
E-9	8	and	Cartap
E-10	8	and	Chlorantraniliprole
E-11	8	and	Chlorfenapyr
E-12	8	and	Chlorpyrifos
E-13	8	and	Clothianidin
E-14	8	and	Cyfluthrin
E-15	8	and	Cyhalothrin
E-16	8	and	Cypermethrin
E-17	8	and	Cyromazine
E-18	8	and	Deltamethrin
E-19	8	and	Dieldrin

Mixture No.	Comp. No.	and	Invertebrate Pest Control Agent
D-51	104	and	Pyriproxyfen
D-52	104	and	Ryanodine
D-53	104	and	Spinetoram
D-54	104	and	Spinosad
D-55	104	and	Spirodiclofen
D-56	104	and	Spiromesifen
D-57	104	and	Tebufenozide
D-58	104	and	Thiacloprid
D-59	104	and	Thiamethoxam
D-60	104	and	Thiodicarb
D-61	104	and	Thiosultap-sodium
D-62	104	and	Tralomethrin
D-63	104	and	Triazamate
D-64	104	and	Triflumuron
D-65	104	and	*Bacillus thuringiensis*
D-66	104	and	*Bacillus thuringiensis* delta-endotoxin
D-67	104	and	NPV (e.g., Gemstar)
F-1	10	and	Abamectin
F-2	10	and	Acetamiprid
F-3	10	and	Amitraz
F-4	10	and	Avermectin
F-5	10	and	Azadirachtin
F-6	10	and	Beta-cyfluthrin
F-7	10	and	Bifenthrin
F-8	10	and	Buprofezin
F-9	10	and	Cartap
F-10	10	and	Chlorantraniliprole
F-11	10	and	Chlorfenapyr
F-12	10	and	Chlorpyrifos
F-13	10	and	Clothianidin
F-14	10	and	Cyfluthrin
F-15	10	and	Cyhalothrin
F-16	10	and	Cypermethrin
F-17	10	and	Cyromazine
F-18	10	and	Deltamethrin
F-19	10	and	Dieldrin

Mixture No.	Comp. No.	and	Invertebrate Pest Control Agent
E-20	8	and	Dinotefuran
E-21	8	and	Diofenolan
E-22	8	and	Emamectin
E-23	8	and	Endosulfan
E-24	8	and	Esfenvalerate
E-25	8	and	Ethiprole
E-26	8	and	Fenothiocarb
E-27	8	and	Fenoxycarb
E-28	8	and	Fenvalerate
E-29	8	and	Fipronil
E-30	8	and	Flonicamid
E-31	8	and	Flubendiamide
E-32	8	and	Flufenoxuron
E-33	8	and	Hexaflumuron
E-34	8	and	Hydramethylnon
E-35	8	and	Imidacloprid
E-36	8	and	Indoxacarb
E-37	8	and	Lambda-cyhalothrin
E-38	8	and	Lufenuron
E-39	8	and	Metaflumizone
E-40	8	and	Methomyl
E-41	8	and	Methoprene
E-42	8	and	Methoxyfenozide
E-43	8	and	Nitenpyram
E-44	8	and	Nithiazine
E-45	8	and	Novaluron
E-46	8	and	Oxamyl
E-47	8	and	Pymetrozine
E-48	8	and	Pyrethrin
E-49	8	and	Pyridaben
E-50	8	and	Pyridalyl
E-51	8	and	Pyriproxyfen
E-52	8	and	Ryanodine
E-53	8	and	Spinetoram
E-54	8	and	Spinosad
E-55	8	and	Spirodiclofen
E-56	8	and	Spiromesifen

Mixture No.	Comp. No.	and	Invertebrate Pest Control Agent
F-20	10	and	Dinotefuran
F-21	10	and	Diofenolan
F-22	10	and	Emamectin
F-23	10	and	Endosulfan
F-24	10	and	Esfenvalerate
F-25	10	and	Ethiprole
F-26	10	and	Fenothiocarb
F-27	10	and	Fenoxycarb
F-28	10	and	Fenvalerate
F-29	10	and	Fipronil
F-30	10	and	Flonicamid
F-31	10	and	Flubendiamide
F-32	10	and	Flufenoxuron
F-33	10	and	Hexaflumuron
F-34	10	and	Hydramethylnon
F-35	10	and	Imidacloprid
F-36	10	and	Indoxacarb
F-37	10	and	Lambda-cyhalothrin
F-38	10	and	Lufenuron
F-39	10	and	Metaflumizone
F-40	10	and	Methomyl
F-41	10	and	Methoprene
F-42	10	and	Methoxyfenozide
F-43	10	and	Nitenpyram
F-44	10	and	Nithiazine
F-45	10	and	Novaluron
F-46	10	and	Oxamyl
F-47	10	and	Pymetrozine
F-48	10	and	Pyrethrin
F-49	10	and	Pyridaben
F-50	10	and	Pyridalyl
F-51	10	and	Pyriproxyfen
F-52	10	and	Ryanodine
F-53	10	and	Spinetoram
F-54	10	and	Spinosad
F-55	10	and	Spirodiclofen
F-56	10	and	Spiromesifen

Mixture No.	Comp. No.	and	Invertebrate Pest Control Agent
E-57	8	and	Tebufenozide
E-58	8	and	Thiacloprid
E-59	8	and	Thiamethoxam
E-60	8	and	Thiodicarb
E-61	8	and	Thiosultap-sodium
E-62	8	and	Tralomethrin
E-63	8	and	Triazamate
E-64	8	and	Triflumuron
E-65	8	and	*Bacillus thuringiensis*
E-66	8	and	*Bacillus thuringiensis* delta-endotoxin
E-67	8	and	NPV (e.g., Gemstar)
G-1	41	and	Abamectin
G-2	41	and	Acetamiprid
G-3	41	and	Amitraz
G-4	41	and	Avermectin
G-5	41	and	Azadirachtin
G-6	41	and	Beta-cyfluthrin
G-7	41	and	Bifenthrin
C-8	41	and	Buprofezin
G-9	41	and	Cartap
G-10	41	and	Chlorantraniliprole
G-11	41	and	Chlorfenapyr
G-12	41	and	Chlorpyrifos
G-13	41	and	Clothianidin
G-14	41	and	Cyfluthrin
G-15	41	and	Cyhalothrin
G-16	41	and	Cypermethrin
G-17	41	and	Cyromazine
G-18	41	and	Deltamethrin
G-19	41	and	Dieldrin
G-20	41	and	Dinotefuran
G-21	41	and	Diofenolan
G-22	41	and	Emamectin
G-23	41	and	Endosulfan
C-24	41	and	Esfenvalerate
G-25	41	and	Ethiprole

Mixture No.	Comp. No.	and	Invertebrate Pest Control Agent
F-57	10	and	Tebufenozide
F-58	10	and	Thiacloprid
F-59	10	and	Thiamethoxam
F-60	10	and	Thiodicarb
F-61	10	and	Thiosultap-sodium
F-62	10	and	Tralomethrin
F-63	10	and	Triazamate
F-64	10	and	Triflumuron
F-65	10	and	*Bacillus thuringiensis*
F-66	10	and	*Bacillus thuringiensis* delta-endotoxin
F-67	10	and	NPV (e.g., Gemstar)
H-1	51	and	Abamectin
H-2	51	and	Acetamiprid
H-3	51	and	Amitraz
H-4	51	and	Avermectin
H-5	51	and	Azadirachtin
H-6	51	and	Beta-cyfluthrin
H-7	51	and	Bifenthrin
H-8	51	and	Buprofezin
H-9	51	and	Cartap
H-10	51	and	Chlorantraniliprole
H-11	51	and	Chlorfenapyr
H-12	51	and	Chlorpyrifos
H-13	51	and	Clothianidin
H-14	51	and	Cyfluthrin
H-15	51	and	Cyhalothrin
H-16	51	and	Cypermethrin
H-17	51	and	Cyromazine
H-18	51	and	Deltamethrin
H-19	51	and	Dieldrin
H-20	51	and	Dinotefuran
H-21	51	and	Diofenolan
H-22	51	and	Emamectin
H-23	51	and	Endosulfan
H-24	51	and	Esfenvalerate
D-25	51	and	Ethiprole

Mixture No.	Comp. No.	and	Invertebrate Pest Control Agent
G-26	41	and	Fenothiocarb
C-27	41	and	Fenoxycarb
G-28	41	and	Fenvalerate
G-29	41	and	Fipronil
G-30	41	and	Flonicamid
G-31	41	and	Flubendiamide
G-32	41	and	Flufenoxuron
G-33	41	and	Hexaflumuron
G-34	41	and	Hydramethylnon
G-35	41	and	Imidacloprid
G-36	41	and	Indoxacarb
G-37	41	and	Lambda-cyhalothrin
G-38	41	and	Lufenuron
G-39	41	and	Metaflumizone
G-40	41	and	Methomyl
G-41	41	and	Methoprene
G-42	41	and	Methoxyfenozide
G-43	41	and	Nitenpyram
G-44	41	and	Nithiazine
G-45	41	and	Novaluron
G-46	41	and	Oxamyl
G-47	41	and	Pymetrozine
G-48	41	and	Pyrethrin
G-49	41	and	Pyridaben
G-50	41	and	Pyridalyl
G-51	41	and	Pyriproxyfen
G-52	41	and	Ryanodine
G-53	41	and	Spinetoram
G-54	41	and	Spinosad
G-55	41	and	Spirodiclofen
G-56	41	and	Spiromesifen
G-57	41	and	Tebufenozide
G-58	41	and	Thiacloprid
G-59	41	and	Thiamethoxam
G-60	41	and	Thiodicarb
G-61	41	and	Thiosultap-sodium
G-62	41	and	Tralomethrin

Mixture No.	Comp. No.	and	Invertebrate Pest Control Agent
H-26	51	and	Fenothiocarb
D-27	51	and	Fenoxycarb
H-28	51	and	Fenvalerate
H-29	51	and	Fipronil
H-30	51	and	Flonicamid
H-31	51	and	Flubendiamide
H-32	51	and	Flufenoxuron
H-33	51	and	Hexaflumuron
H-34	51	and	Hydramethylnon
H-35	51	and	Imidacloprid
H-36	51	and	Indoxacarb
H-37	51	and	Lambda-cyhalothrin
H-38	51	and	Lufenuron
H-39	51	and	Metaflumizone
H-40	51	and	Methomyl
H-41	51	and	Methoprene
H-42	51	and	Methoxyfenozide
H-43	51	and	Nitenpyram
H-44	51	and	Nithiazine
H-45	51	and	Novaluron
H-46	51	and	Oxamyl
H-47	51	and	Pymetrozine
H-48	51	and	Pyrethrin
H-49	51	and	Pyridaben
H-50	51	and	Pyridalyl
H-51	51	and	Pyriproxyfen
H-52	51	and	Ryanodine
H-53	51	and	Spinetoram
H-54	51	and	Spinosad
H-55	51	and	Spirodiclofen
H-56	51	and	Spiromesifen
H-57	51	and	Tebufenozide
H-58	51	and	Thiacloprid
H-59	51	and	Thiamethoxam
H-60	51	and	Thiodicarb
H-61	51	and	Thiosultap-sodium
H-62	51	and	Tralomethrin

Mixture No.	Comp. No.	and	Invertebrate Pest Control Agent	Mixture No.	Comp. No.	and	Invertebrate Pest Control Agent
G-63	41	and	Triazamate	H-63	51	and	Triazamate
G-64	41	and	Triflumuron	H-64	51	and	Triflumuron
G-65	41	and	*Bacillus thuringiensis*	H-65	51	and	*Bacillus thuringiensis*
G-66	41	and	*Bacillus thuringiensis* delta-endotoxin	H-66	51	and	*Bacillus thuringiensis* delta-endotoxin
G-67	41	and	NPV (e.g., Gemstar)	H-67	51	and	NPV (e.g., Gemstar)

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**, an *N*-oxide or salt thereof, and a film former or adhesive agent. Seed can be coated by spraying a flowable suspension concentrate directly into a tumbling bed of seeds and then drying the seeds. Alternatively, other formulation types such as wetted powders, solutions, suspoemulsions, emulsifiable concentrates and emulsions in water can be sprayed on the seed. This process is particularly useful for applying film coatings on seeds. Various coating machines and processes are available to one skilled in the art. Suitable processes include those listed in P. Kosters et al., *Seed Treatment: Progress and Prospects*, 1994 BCPC Mongraph No. 57, and references listed therein.

The treated seed typically comprises a compound of the present invention in an amount from about 0.1 g to 1 kg per 100 kg of seed (i.e. from about 0.0001 to 1% by weight of the seed before treatment). A flowable suspension formulated for seed treatment typically comprises from about 0.5 to about 70% of the active ingredient, from about 0.5 to about 30%

of a film-forming adhesive, from about 0.5 to about 20% of a dispersing agent, from 0 to about 5% of a thickener, from 0 to about 5% of a pigment and/or dye, from 0 to about 2% of an antifoaming agent, from 0 to about 1% of a preservative, and from 0 to about 75% of a volatile liquid diluent.

The compounds of this invention can be incorporated into a bait composition that is consumed by an invertebrate pest or used within a device such as a trap, bait station, and the like. Such a bait composition can be in the form of granules which comprise (a) active ingredients, namely a biologically effective amount of a compound of Formula 1, an *N*-oxide, or salt thereof; (b) one or more food materials; optionally (c) an attractant; and optionally (d) one or more humectants. Of note are granules or bait compositions which comprise between about 0.001-5% active ingredients, about 40-99% food material and/or attractant; and optionally about 0.05-10% humectants, which are effective in controlling soil invertebrate pests at very low application rates, particularly at doses of active ingredient that are lethal by ingestion rather than by direct contact. Some food materials can function both as a food source and an attractant. Food materials include carbohydrates, proteins and lipids. Examples of food materials are vegetable flour, sugar, starches, animal fat, vegetable oil, yeast extracts and milk solids. Examples of attractants are odorants and flavorants, such as fruit or plant extracts, perfume, or other animal or plant component, pheromones or other agents known to attract a target invertebrate pest. Examples of humectants, i.e. moisture retaining agents, are glycols and other polyols, glycerine and sorbitol. Of note is a bait composition (and a method utilizing such a bait composition) used to control at least one invertebrate pest selected from the group consisting of ants, termites and cockroaches. A device for controlling an invertebrate pest can comprise the present bait composition and a housing adapted to receive the bait composition, wherein the housing has at least one opening sized to permit the invertebrate pest to pass through the opening so the invertebrate pest can gain access to the bait composition from a location outside the housing, and wherein the housing is further adapted to be placed in or near a locus of potential or known activity for the invertebrate pest.

The compounds of this invention can be applied without other adjuvants, but most often application will be of a formulation comprising one or more active ingredients with suitable carriers, diluents, and surfactants and possibly in combination with a food depending on the contemplated end use. One method of application involves spraying a water dispersion or refined oil solution of a compound of the present invention. Combinations with spray oils, spray oil concentrations, spreader stickers, adjuvants, other solvents, and synergists such as piperonyl butoxide often enhance compound efficacy. For nonagronomic uses such sprays can be applied from spray containers such as a can, a bottle or other container, either by means of a pump or by releasing it from a pressurized container, e.g., a pressurized aerosol spray can. Such spray compositions can take various forms, for example, sprays, mists, foams, fumes or fog. Such spray compositions thus can further

comprise propellants, foaming agents, etc. as the case may be. 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 applications include protecting an animal, particularly a vertebrate, more particularly a homeothermic vertebrate (e.g., mammal or bird) and most particularly a mammal, 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. Therefore of note is a method for protecting an animal comprising administering to the animal a parasiticidally effective amount of a compound of the invention. 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. Examples of invertebrate parasitic pests controlled by administering a parasiticidally effective amount of a compound of the invention to an animal to be protected include ectoparasites (arthropods, acarines, etc) and endoparasites (helminths, e.g., nematodes, trematodes, cestodes, acanthocephalans, etc.). In particular, the compounds of this invention are effective against 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. (horese 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*; lices 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); ticks such as *Ixodes* spp., *Boophilus* spp., *Rhipicephalus* spp., *Amblyomma* spp., *Dermacentor* spp., *Hyalomma* spp. and *Haemaphysalis* spp.; fleas such as *Ctenocephalides felis* (cat flea) and *Ctenocephalides canis* (dog flea).

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, suppositories; or by parenteral administration, such as by injection (including intramuscular, subcutaneous, intravenous, intraperitoneal), 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.

Typically a parasiticidal composition according to the present invention comprises a mixture of a compound of Formula 1, an *N*-oxide or a salt thereof, with one or more pharmaceutically or veterinarily acceptable carriers comprising excipients and auxiliaries selected with regard to the intended route of administration (e.g., oral, topical or parenteral administration such as injection) and in accordance with standard practice. In addition, a suitable carrier is selected on the basis of compatibility with the one or more active ingredients in the composition, including such considerations as stability relative to pH and moisture content. Therefore of note is a composition for protecting an animal from an invertebrate parasitic pest comprising a parasitically effective amount of a compound of the invention and at least one carrier.

For parenteral administration including intravenous, intramuscular and subcutaneous injection, a compound of the present invention can be formulated in suspension, solution or emulsion in oily or aqueous vehicles, and may contain adjuncts such as suspending, stabilizing and/or dispersing agents. 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.

For oral administration including 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 (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, xanthangum, 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.

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).

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 is expected to migrate over the surface of the active 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. Solvents commonly used as carriers in such formulations include propylene glycol, paraffins, aromatics, esters such as isopropyl myristate, glycol ethers, and alcohols such as ethanol and *n*-propanol.

The rate of application required for effective control (i.e. "biologically effective amount") will depend on such factors as the species of invertebrate to be controlled, the pest's life cycle, life stage, its size, location, time of year, host crop or animal, feeding

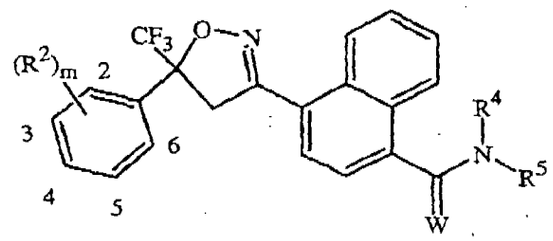
behavior, mating behavior, ambient moisture, temperature, and the like. Under normal circumstances, application rates of about 0.01 to 2 kg of active ingredients per hectare are sufficient to control pests in agronomic ecosystems, but as little as 0.0001 kg/hectare may be sufficient or as much as 8 kg/hectare may be required. For nonagronomic applications, effective use rates will range from about 1.0 to 50 mg/square meter but as little as 0.1 mg/square meter may be sufficient or as much as 150 mg/square meter may be required. One skilled in the art can easily determine the biologically effective amount necessary for the desired level of invertebrate pest control.

In general for veterinary use, a compound of Formula 1, an *N*-oxide or a salt thereof, is administered in a parasiticidally effective amount to an animal to be protected from invertebrate parasite pests. A parasiticidally effective amount is the amount of active ingredient needed to achieve an observable effect diminishing the occurrence or activity of the target invertebrate parasite pest. One skilled in the art will appreciate that the parasitically effective dose can vary for the various compounds and compositions of the present invention, the desired parasitical effect and duration, the target invertebrate pest species, the animal to be protected, the mode of application and the like, and the amount needed to achieve a particular result can be determined through simple experimentation.

For oral administration to homeothermic animals, the daily dosage of a compound of the present invention typically ranges from about 0.01 mg/kg to about 100 mg/kg, more typically from about 0.5 mg/kg to about 100 mg/kg, of animal body weight. For topical (e.g., dermal) administration, dips and sprays typically contain from about 0.5 ppm to about 5000 ppm, more typically from about 1 ppm to about 3000 ppm, of a compound of the present invention.

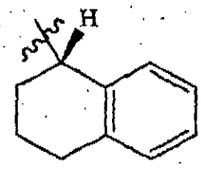
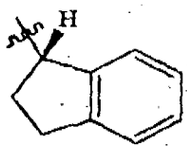
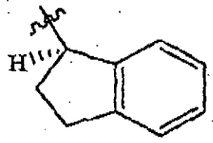
The following abbreviations are used in the Index Tables A-C which follow: *i* is iso, *t* is *tert*, *c* is cyclo, Me is methyl, Et is ethyl, *c*-Pr is cyclopropyl and *t*-Bu is *tert*-butyl. Naphthyl means naphthalenyl. *(R)* or *(S)* denotes the absolute chirality of the asymmetric carbon center. The abbreviation "Ex." stands for "Example" and is followed by a number indicating in which example the compound is prepared. In Index Table A, $(R^2)_m$ refers to the combination of $(R^2)_n$ with instances of CR^2 for B^1, B^2 and B^3.

INDEX TABLE A



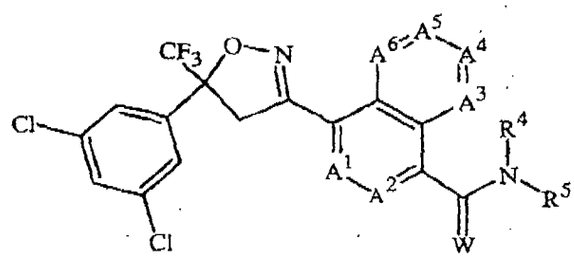
wherein m is 1, 2, 3, 4 or 5.

Compound	W	$(R^2)_m$	R^4	R^5	m.p. (°C)
1 (Ex. 1)	O	3-Cl, 5-Cl	H	CH_2CF_3	**
2 (Ex. 2)	O	3-Cl, 5-Cl	H	CH_2-2-pyridinyl	**
3	O	H	H	CH_2CF_3	*
4	O	H	H	CH_2-2-pyridinyl	*
5	O	3-Cl, 5-Cl	H	CH_2-phenyl	*
6	O	3-Cl, 5-Cl	H	CH_2-3-pyridinyl	*
7	O	3-Cl, 5-Cl	H	CH_2-4-pyridinyl	*
8 (Ex. 3)	S	3-Cl, 5-Cl	H	CH_2-2-pyridinyl	**
9	O	3-Cl, 5-Cl	Me	Et	*
10	O	3-Cl, 5-Cl	H	Et	*
11	O	3-Cl, 5-Cl	CO_2Me	CH_2-2-pyridinyl	*
12	O	3-Cl, 5-Cl	H	Me	*
13	O	3-Cl, 5-Cl	H	$CH_2CH_2N(CH_3)_2$	*
14	O	3-Cl, 5-Cl	H	$CH_2CH_2N(CH_3)_2$.HCl	*
15	O	3-Cl, 5-Cl	H	(*R*)-$CH(CH_3)$-phenyl	*
16	O	3-Cl, 5-Cl	H	$CH(CH_3)_2$	*
17	O	3-Cl, 5-Cl	H	(*S*)-$CH(CH_3)$-phenyl	*
18	O	3-Cl, 5-Cl	H	$CH_2CH=CH_2$	*
19	O	3-Cl, 5-Cl	H	$CH_2C\equiv CH$	*
20	O	3-Cl, 5-Cl	H	CH_2-*c*-Pr	*
21	O	3-Cl, 5-Cl	H	$CH(CH_3)$-2-pyridinyl	*
22	O	3-Me, 5-Me	H	CH_2-2-pyridinyl	*
23	O	3-Cl, 4-Cl	H	CH_2-2-pyridinyl	*
24	O	3-F, 5-F	H	CH_2-2-pyridinyl	*
25	O	3-Cl	H	CH_2-2-pyridinyl	*
26	O	3-Br, 5-Br	H	CH_2-2-pyridinyl	*
27	O	3-Cl, 5-Cl	H	(*R*)-$CH(CH_3)$-2-naphthyl	*
28	O	3-Cl, 5-Cl	H	(*R*)-$CH(CH_3)$-(4-NO_2-phenyl)	*
29	O	3-Cl, 5-Cl	H	(*S*)-$CH(CO_2CH_3)$-phenyl	*
30	O	3-Cl, 5-Cl	H	(*R*)-$CH(CH_3)$-(4-Cl-phenyl)	*
31	O	3-Cl, 5-Cl	H	(*R*)-$CH(CH_3)$-(4-F-phenyl)	*
32	O	3-Cl, 5-Cl	H	(*R*)-$CH(CH_3)CH_2CH_3$	*
33	O	3-Cl, 5-Cl	H	$CH(CH_3)CH_2CH_3$	*
34	O	3-Cl, 5-Cl	H	(*R*)-$CH(CH_3)$-*t*-Bu	*
35	O	3-Cl, 5-Cl	H	CH_2CH_2OH	*
36	O	3-Cl, 5-Cl	H	H	*
37	O	3-Cl, 5-Cl		-$CH_2CH_2N(CH_3)CH_2CH_2$-	*

Compound	W	$(R^2)_m$	R^4	R^5	m.p. (°C)
38	O	3-Cl, 5-Cl	H		*
39	O	3-Cl, 5-Cl	H		*
40	O	3-Cl, 5-Cl	H		*
41	O	3-Cl, 5-Cl	H	$CH_2CH_2OCH_3$	*
42	O	3-Cl, 5-Cl	H	CH_2CO_2Et	*
43	O	3-Cl, 5-Cl	H	CH_2CO_2H	*
44	O	3-Cl, 5-Cl	H	CH_2CO_2Na	*
45	O	3-Cl, 5-Cl	H	NHC(=O)Me	*
46	O	3-Cl, 5-Cl	H	NH-phenyl	*
47	O	3-Cl, 5-Cl	H	$CH_2CH_2NH_2$	*
48	O	3-Cl, 5-Cl	H	(*S*)-CH(Me)CO_2Me	*
49	O	3-Cl, 5-Cl	H	(*S*)-CH(*i*-Pr)CO_2Me	*
50	O	3-Cl, 5-Cl	H	$CH_2(CH_2)_5NH_2$	*
51	O	3-Cl, 5-Cl	H	$CH_2CONHCH_2CF_3$	*
52	O	3-Cl, 5-Cl	H	CH_2CN	*
53	O	3-Cl, 5-Cl	H	NH-2-pyridinyl	*

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

INDEX TABLE B

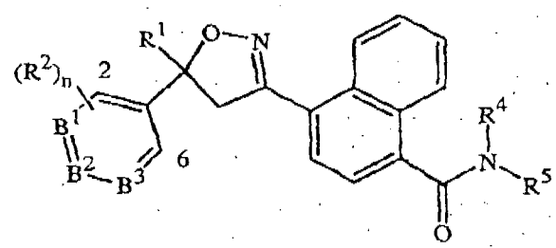


Compound	W	A^1	A^2	A^3	A^4	A^5	A^6	R^4	R^5	m.p. (°C)
101	O	N	CH	CH	CH	CH	CH	H	CH_2-2-pyridinyl	*
102	O	CH	N	CH	CH	CH	CH	H	CH_2-2-pyridinyl	*

Compound	W	A^1	A^2	A^3	A^4	A^5	A^6	R^4	R^5	m.p. (°C)
103 (Ex. 4)	O	CH	CH	N	CH	CH	CH	H	CH_2-2-pyridinyl	**
104 (Ex. 5)	O	CH	CH	CH	N	CH	CH	H	CH_2-2-pyridinyl	**
105	O	CH	CH	CH	CH	N	CH	H	CH_2-2-pyridinyl	*
106	O	CH	CH	CH	CH	CH	N	H	CH_2-2-pyridinyl	*
107	O	CH	CH	CH	CH	CH	N	H	CH_2CF_3	*
108	S	CH	CH	CH	CH	CH	N	H	CH_2-2-pyridinyl	*
109	S	CH	CH	CH	CH	CH	N	H	CH_2CF_3	*
110	O	CH	CCH_3	CH	CH	CH	CH	H	CH_2-2-pyridinyl	*
111	O	CH	CCH_3	CH	CH	CH	CH	H	CH_2CF_3	*

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

INDEX TABLE C



Compound	B^1	B^2	B^3	$(R^2)_n$	R^4	R^5	m.p. (°C)
201	C-Cl	N	CH	H	H	CH_2CF_3	*
202	C-Cl	N	CH	H	H	CH_2-2-pyridinyl	*

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

INDEX TABLE D

Compd. No.	^{1}H NMR Data ($CDCl_3$ solution unless indicated otherwise)[a]
3	δ 8.67 (d, 1H), 8.06 (d, 1H), 7.66-7.45 (m, 7H), 7.39 (d, 1H), 7.29 (d, 1H), 6.78 (br s 1H), 4.19 (d, 1H), 4.15 (m, 2H), 3.88 (d, 1H).
4	δ 8.82 (d, 1H), 8.50 (d, 1H), 8.35 (d, 1H), 7.71-7.44 (m, 11H), 7.35 (d, 1H), 7.20 (dd, 1H), 4.83 (d, 2H), 4.25 (d, 1H), 3.95 (d, 1H).
5	δ 8.78 (d, 1H), 8.27 (d, 1H), 7.64-7.30 (m, 12H), 6.40 (br t 1H), 4.71 (d, 2H), 4.22 (d, 1H), 3.86 (d, 1H).
6	δ 8.72 (d, 1H), 8.53 (d, 1H), 8.49 (dd, 1H), 8.18 (d, 1H), 7.72 (d, 1H), 7.60-7.52 (m, 4H), 7.45 (m, 2H), 7.36 (d, 1H), 7.27 (m, 1H), 6.93 (br t, 1H), 4.66 (d, 2H), 4.21 (d, 1H), 3.86 (d, 1H).
7	δ 8.72 (d, 1H), 8.50 (d, 2H), 8.19 (d, 1H), 7.61-7.54 (m, 4H), 7.47 (m, 2H), 7.37 (d, 1H), 7.23 (d, 2H), 7.02 (br t, 1H), 4.66 (d, 2H), 4.22 (d, 1H), 3.86 (d, 1H).
9	δ 8.88 (m, 1H), 7.83 (m, 1H), 7.66-7.40 (m, 7H), 4.27 (d, 1H), 3.92 (d, 1H), 3.80-3.66 and 3.09 (m, 2H), 3.22 and 2.74 (s, 3H), 1.01 and 1.36 (t, 3H).
10	δ 8.78 (d, 1H), 8.25 (d, 1H), 7.64-7.42 (m, 7H), 6.08 (br s, 1H), 4.24 (d, 1H), 3.88 (d, 1H), 3.58 (m, 2H), 1.31 (t, 3H).

11 δ 8.92 (d, 1H), 8.63 (d, 1H), 8.17 (d, 1H), 7.74-7.53 (m, 7H), 7.45 (t, 1H), 7.35 (d, 1H), 7.23 (dd, 1H), 5.33 (s, 2H), 4.29 (d, 1H), 3.92 (d, 1H), 3.43 (s, 3H).

12 δ 8.77 (d, 1H), 8.21 (d, 1H), 7.63-7.55 (m, 5H), 7.44 (d, 1H), 7.38 (d, 1H), 6.18 (br s, 1H), 4.23 (d, 1H), 3.87 (d, 1H), 3.07 (d, 3H).

13 δ 8.78 (d, 1H), 8.27 (d, 1H), 7.39-7.62 (m, H), 6.77 (br s, 1H), 4.22 (d, 1H), 3.88 (d, 1H), 3.58 (q, 2H), 2.53 (t, 2H), 2.25 (s, 6H).

15 δ 8.63 (dd, 1H), 8.00 (dd, 1H), 7.56 (s, 2H), 7.47-7.16 (m, 9H), 6.78 (dd, 1H), 5.34 (m, 1H), 4.14 (dd, 1H), 3.80 (dd, 1H), 1.60 (d, 3H).

16 δ 8.80 (d, 1H), 8.25 (d, 1H), 7.66-7.45 (m, 7H), 5.87 (d, 1H), 4.41 (m, 1H), 4.24 (d, 1H), 3.88 (d, 1H), 1.33 (d, 6H).

17 δ 8.77 (d, 1H), 8.19 (dd, 1H), 7.63-7.30 (m, 12H), 6.30 (d, 1H), 5.44 (m, 1H), 4.22 (d, 1H), 3.86 (d, 1H), 1.67 (d, 1H).

18 δ 8.80 (d, 1H), 8.27 (d, 1H), 7.67-7.45 (m, 7H), 6.15 (br t, 1H), 5.99 (m, 1H), 5.31 (d, 1H), 5.24 (d, 1H), 4.25 (d, 1H), 4.17 (m, 2H), 3.88 (d, 1H).

19 δ 8.77 (d, 1H), 8.24 (d, 1H), 7.64-7.56 (m, 4H), 7.50 (d, 1H), 7.46 (dd, 1H), 7.40 (d, 1H), 6.38 (br t, 1H), 4.33 (dd, 2H), 4.23 (d, 1H), 3.87 (d, 1H), 2.32 (t, 1H).

20 δ 8.82 (d, 1H), 8.29 (d, 1H), 7.67-7.45 (m, 7H), 6.14 (br s, 1H), 4.26 (d, 1H), 3.90 (d, 1H), 3.42 (dd, 2H), 1.12 (m, 1H), 0.59 (m, 2H), 0.32 (m, 2H).

21 δ 8.82 (d, 1H), 8.50 (d, 1H), 8.34 (d, 1H), 7.72 (dt, 1H), 7.67-7.57 (m, 6H), 7.50 (d, 1H), 7.45 (dd, 1H), 7.34 (d, 1H), 7.21 (dd, 1H), 5.45 (m, 1H), 4.26 (d, 1H), 3.90 (d, 1H), 1.66 (d, 3H).

22 δ 8.85 (d, 1H), 8.51 (d, 1H), 8.36 (d, 1H), 7.72-7.57 (m, 4H), 7.51 (d, 1H), 7.45 (br t, 1H), 7.36 (d, 1H), 7.25 (s, 2H), 7.21 (dd, 1H), 7.07 (s, 1H), 4.85 (d, 1H), 4.22 (d, 1H), 3.94 (d, 1H), 2.38 (s, 6H).

23 δ 8.81 (d, 1H), 8.51 (d, 1H), 8.37 (d, 1H), 7.78-7.46 (m, 9H), 7.36 (d, 1H), 7.22 (dd, 1H), 4.85 (d, 2H), 4.26 (d, 1H), 3.90 (d, 1H).

24 δ 8.83 (d, 1H), 8.52 (d, 1H), 8.39 (d, 1H), 7.74-7.22 (m, H), 6.91 (dt, 1H), 4.87 (d, 2H), 4.27 (d, 1H), 3.90 (d, 1H).

25 δ 8.83 (d, 1H), 8.51 (d, 1H), 8.37 (d, 1H), 7.73-7.41 (m, 10H), 7.37 (d, 1H), 7.22 (dd, 1H), 4.86 (d, 2H), 4.26 (d, 1H), 3.92 (d, 1H).

26 δ 8.82 (d, 1H), 8.52 (d, 1H), 8.38 (d, 1H), 7.76 (s, 2H), 7.74-7.59 (m, H), 7.52 (d, 1H), 7.44 (br t, 1H), 7.37 (d, 1H), 7.23 (dd, 1H), 4.87 (d, 2H), 4.26 (d, 1H), 3.90 (d, 1H).

27 δ 8.76 (d, 1H), 8.19 (dd, 1H), 7.87-7.36 (m, 14H), 6.46 (d, 1H), 5.59 (m, 1H), 4.20 (d, 1H), 3.84 (d, 1H), 1.73 (d, 3H).

28 δ 8.77 (d, 1H), 8.23 (d, 2H), 8.14 (dd, 1H), 7.66-7.44 (m, 9H), 6.45 (d, 1H), 5.47 (m, 1H), 4.24 (d, 1H), 3.88 (d, 1H), 1.66 (d, 3H).

29 δ 8.80 (d, 1H), 8.28 (d, 1H), 7.66-7.37 (m, H), 6.99 (d, 1H), 5.87 (d, 1H), 4.24 (d, 1H), 3.88 (d, 1H), 3.80 (s, 3H).

30 δ 8.76 (d, 1H), 8.14 (dd, 1H), 7.63-7.35 (m, 11H), 6.35 (d, 1H), 5.38 (m, 1H), 4.21 (d, 1H), 3.85 (d, 1H), 1.62 (d, 3H).

31 δ 8.76 (d, 1H), 8.16 (dd, 1H), 7.64-7.38 (m, 9H), 7.07(d, 1H), 7.05 (d, 1H), 6.30 (d, 1H), 5.41 (m, 1H), 4.22 (d, 1H), 3.86 (d, 1H), 1.64 (d, 3H).

32 δ 8.81 (d, 1H), 8.26 (d, 1H), 7.67-7.45 (m, 7H), 5.78 (d, 1H), 4.26 (d, 1H), 4.25 (m, 1H), 3.88 (d, 1H), 1.63 (m, 2H), 1.30 (d, 3H), 1.04 (t, 3H).

33 δ 8.81 (d, 1H), 8.26 (d, 1H), 7.67-7.45 (m, 7H), 5.78 (d, 1H), 4.26 (d, 1H), 4.25 (m, 1H), 3.88 (d, 1H), 1.63 (m, 2H), 1.30 (d, 3H), 1.04 (t, 3H).

34 δ 8.80 (d, 1H), 8.26 (d, 1H), 7.68-7.46 (m, 7H), 5.80 (d, 1H), 4.26 (d, 1H), 4.23 (m, 1H), 3.88 (d, 1H), 1.24 (d, 3H), 1.01 (s, 9H).

35 δ 8.65 (d, 1H), 8.08 (d, 1H), 7.55 (s, 2H), 7.52-7.44 (m, 7H), 7.27 (d, 1H), 7.19 (d, 1H), 6.93 (br t, 1H), 4.16 (d, 1H), 3.81 (d, 1H), 3.73 (s, br, 2H), 3.53 (m, 2H), 3.27 (br s, 1H).

36 δ 8.75 (d, 1H), 8.30 (d, 1H), 7.63-7.35 (m, 7H), 6.69 (br s, 1H), 6.32 (br s, 1H), 4.22 (d, 1H), 3.86 (d, 1H).

38 δ 8.79 (m, 1H), 8.34 (d, 1H), 7.64-7.12 (m, 11H), 6.29 (dd, 1H), 5.50 (m, 1H), 4.22 (d, 1H), 3.87 (d, 1H), 2.82 (m, 2H), 2.24 (m, 1H), 2.05 (m, 1H), 1.91 (m, 2H).

39 δ 8.80 (d, 1H), 8.34 (d, 1H), 7.65-7.25 (m, H), 6.28 (d, 1H), 5.79 (q, 1H), 4.24 (d, 1H), 3.87 (d, 1H), 3.92-3.07 (m, 2H), 2.77 (m, 1H), 1.99 (m, 1H).

40 δ 8.81 (d, 1H), 8.37 (d, 1H), 7.67-7.26 (m, H), 6.26 (d, 1H), 5.80 (q, 1H), 4.24 (d, 1H), 3.88 (d, 1H), 3.09-2.93 (m, 2H), 2.79 (m, 1H), 1.99 (m, 1H).

41 δ 8.82 (d, 1H), 8.30 (d, 1H), 7.67-7.46 (m, 7H), 6.40 (br t, 1H), 4.25 (d, 1H), 3.89 (d, 1H), 3.75 (q, 2H), 3.63 (dd, 2H), 3.39 (s, 3H).

42 δ 8.84 (d, 1H), 8.37 (d, 1H), 7.67-7.46 (m, 7H), 6.53 (br t, 1H), 4.33 (d, 2H), 4.29 (q, 2H), 4.26 (d, 1H), 3.90 (d, 1H), 1.34 (t, 3H).

43 DMSO-d_6: δ 9.02 (t, 1H), 8.81 (d, 1H), 8.37 (d, 1H), 7.92 (d, 1H), 7.83 (t, 1H), 7.74-7.65 (m, 5H), 4.58 (d, 1H), 4.54 (d, 1H), 4.02 (d, 2H).

44 DMSO- d_6: δ 8.86 (d, 1H), 8.50 (d, 1H), 7.96-7.67 (m, 8H), 4.61 (apparent s, 2H), 3.64 (d, 2H).

45 DMSO- d_6 δ 10.44 (s, 1H), 10.10 (s, 1H), 8.86 (d, 1H), 8.46 (d, 1H), 7.98 (d, 1H), 7.90 (t, 1H), 7.76 (m, 5H), 4.63 (d, 1H), 4.59 (d, 1H), 2.04 (s, 3H).

46 δ 10.45 (s, 1H), 8.80 (d, 1H), 8.24 (d, 1H), 7.95 (d, 1H), 7.85-7.63 (m, 7H), 7.22 (t, 2H), 6.90 (d, 2H), 6.78 (t, 1H), 4.57 (apparent s, 2H).

47 δ 8.83 (d, 1H), 8.33 (d, 1H), 7.69-7.46 (m, 9H), 6.54 (br s, 1H), 4.27 (d, 1H), 3.90 (d, 1H), 3.61 (q, 2H), 3.02 (t, 3H).

48 δ 8.83 (d, 1H), 8.35 (d, 1H), 7.68-7.46 (m, 7H), 6.54 (d, 1H), 4.91 (m, 1H), 4.26 (d, 1H), 3.90 (d, 1H), 3.83 (s, 3H), 1.60 (d, 3H).

49 δ 8.84 (d, 1H), 8.34 (d, 1H), 7.70-7.46 (m, 7H), 6.46 (d, 1H), 4.91 (dd, 1H), 4.26 (d, 1H), 3.90 (d, 1H), 3.83 (s, 3H), 2.36 (m, 1H), 1.10 (d, 3H), 0.99 (d, 3H).

50 DMSO- d_6 δ 8.79 (d, 1H), 8.71 (t, 1H), 8.19 (d, 1H), 8.08 (br s, 2H), 7.90 (d, 1H), 7.84 (dd, 1H), 7.73-7.66 (m, 4H), 7.62 (d, 1H), 4.54 (apparent s, 2H), 3.35 (m, 2H), 2.76 (m, 2H), 1.60 (m, 4H), 1.39 (m, 4H).

51 δ 8.82 (d, 1H), 8.26 (d, 1H), 7.67-7.46 (m, 7H), 7.09(m, 2H), 4.28 (d, 2H), 4.25 (d, 1H), 3.96 (m, 2H), 3.88 (d, 1H).

52 δ 8.79 (d, 1H), 8.23 (d, 1H), 7.68-7.46 (m, 7H), 6.53 (br t, 1H), 4.46 (d, 2H), 4.26 (d, 1H), 3.89 (d, 1H).

53	δ 8.83 (d, 1H), 8.42 (d, 1H), 8.18 (d, 1H), 7.73 (d, 1H), 7.70-7.55 (m, 7H), 7.50 (d, 1H), 7.46 (dd, 1H), 6.84 (dd, 1H), 6.80 (d, 1H), 4.26 (d, 1H), 3.90 (d, 1H).
101	δ 9.24 (d, 1H), 8.75 (s, 1H), 8.52 (d, 1H), 8.45 (d, 1H), 7.82-7.70 (m, 3H), 7.64 (br s, 1H), 7.58 (s, 2H), 7.44 (t, 1H), 7.37 (d, 1H), 7.24 (dd, 1H), 4.87 (d, 2H),
102	δ 9.63 (d, 1H), 9.04 (br t, 1H), 8.93 (d, 1H), 8.60 (d, 1H), 8.52 (s, 1H), 7.86 (dd, 1H), 7.74 (dd, 1H), 7.69 (dd, 1H), 7.57 (s, 2H), 7.46 (dd, 1H), 7.40 (d, 1H), 7.23 (dd, 1H), 4.85 (d, 2H), 4.31 (d, 1H), 3.94 (d, 1H).
105	δ 10.17 (s, 1H), 8.64 (d, 1H), 8.53 (d, 1H), 8.22 (d, 1H), 7.90 (d, 1H), 7.73 (dt, 1H), 7.68 (br t, 1H), 7.59 (d, 1H), 7.56 (s, 2H), 7.46 (t, 1H), 7.37 (d, 1H), 7.24 (dd, 1H), 4.85 (d, 2H), 4.27 (d, 1H), 3.92 (d, 1H).
106	δ 8.95 (dd, 1H), 8.88 (dd, 1H), 8.55 (d, 1H), 8.26 (d, 1H), 7.83 (d, 1H), 7.72 (dt, 1H), 7.60-7.50 (m, 4H), 7.43 (t, 1H), 7.36 (d, 1H), 7.24 (dd, 1H), 4.85 (d, 2H), 4.72 (d, 1H), 4.42 (d, 1H).
107	δ 8.89 (dd, 1H), 8.61 (d, 1H), 7.99 (d, 1H), 7.59 (d, 1H), 7.55 (s, 2H), 7.45 (m, 2H), 6.89 (br t, 1H), 4.68 (d, 1H), 4.32 (d, 1H), 4.18 (m, 2H).
108	δ 9.41 (br s, 1H), 8.91 (dd, 1H), 8.70 (dd, 1H), 8.46 (d, 1H), 8.21 (d, 1H), 7.75 (dt, 1H), 7.64 (d, 1H), 7.57 (s, 2H), 7.47 (dd, 1H), 7.43 (t, 1H), 7.38 (d, 1H), 7.24 (dd, 1H), 5.14 (d, 2H), 4.68 (d, 1H), 4.39 (d, 1H).
109	δ 8.88 (d, 1H), 8.47 (dd, 1H), 8.12 (br s, 1H), 7.97 (d, 1H), 7.53 (s, 2H), 7.47 (m, 3H), 4.74 (m, 2H), 4.59 (d, 1H), 4.25 (d, 1H).
110	δ 8.75 (d, 1H), 8.42 (d, 1H), 7.86 (d, 1H), 7.68 (dt, 1H), 7.57 (s, 2H), 7.55-7.35 (m, 5H), 7.31 (s, 1H), 7.18 (dd, 1H), 4.82 (d, 2H), 4.25 (d, 1H), 3.90 (d, 1H), 2.44 (s, 3H).
111	δ 8.59 (d, 1H), 7.58 (s, 2H), 7.54 (d, 1H), 7.47 (t, 1H), 7.36-7.44 (m, 2H), 7.10 (s, 1H), 6.80 (br t, 1H), 4.20 (d, 1H), 4.08 (m, 2H), 3.86 (d, 1H), 2.23 (s, 3H).
201	δ 8.71 (d, 1H), 8.48 (m, 1H), 8.13 (d, 1H), 7.55-7.64 (m, 3H), 7.49 (d, 1H), 7.44 (d, 1H), 7.35 (dd, 1H), 6.74 (t, 1H), 4.24 (d, 1H), 4.17 (m, 2H), 3.83 (d, 1H).
202	δ 8.79 (d, 1H), 8.50 (m, 2H), 8.35 (d, 1H), 7.50-7.72 (m, 7H), 7.47 (d, 1H), 7.36 (d, 1H), 7.22 (dd, 1H), 4.83 (d, 2H), 4.28 (d, 1H), 3.89 (d, 1H).

[a] ^{1}H NMR data are in *ppm* downfield from tetramethylsilane. Couplings are designated by (s)-singlet, (d)-doublet, (t)-triplet, (q)-quartet, (m)-multiplet, (dd)-doublet of doublets, (dt)-doublet of triplets, (br s)-broad singlet, (br t)-broad triplet.

BIOLOGICAL EXAMPLES OF THE INVENTION

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-C for compound descriptions.

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 about 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. All experimental compounds in these tests were sprayed at 250 and/or 50 ppm, and the test was 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 and a pest mortality rating was also counted and calculated for each test unit.

Of the compounds of Formula 1 tested the following provided very good to excellent levels of control efficacy (20% or less feeding damage or 80% or more mortality): 1, 2, 4, 5, 6, 7, 8, 9, 10, 11, 12, 13, 14, 15, 16, 18, 19, 20, 21, 22, 23, 26, 27, 28, 29, 30, 31, 32, 33, 34, 35, 36, 38, 39, 41, 42, 43, 45, 46, 47, 48, 49, 50, 51, 101, 102, 103, 104, 105, 106, 107*, 108*, 109*, 110, 111, 201 and 202.

* means very good to excellent levels of control efficacy observed only at 250 ppm.

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 corn (maize) 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 and/or 50 ppm as described for Test A and replicated three times. After spraying, the test units were maintained in a growth chamber and then the control efficacy was rated for each test unit as described for Test A.

Of the compounds of Formula 1 tested the following provided very good to excellent levels of control efficacy (20% or less feeding damage or 80% or more mortality): 1, 2, 5, 6, 7, 8, 9, 10, 11, 12, 13, 14, 15, 16, 18, 19, 20, 21, 22, 23, 25, 26, 28, 29, 30, 31, 32, 33, 34, 35, 36, 39, 41, 42, 46, 49, 51, 101, 102, 103, 104, 106*, 107*, 110*, 111*, 201 and 202.

* means very good to excellent levels of control efficacy observed only at 250 ppm.

TEST C

For evaluating control of potato leafhopper (*Empoasca fabae* Harris) 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/or 50 ppm, and the test was replicated three times as

described for Test A. After spraying, the test units were allowed to dry for 1 hour before they were post-infested with 5 potato leafhoppers (18- to 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 19–21 °C and 50–70% relative humidity. The control efficacy of each test unit was then visually assessed by the insect mortality.

Of the compounds of Formula **1** tested the following provided very good to excellent levels of control efficacy (80% or more mortality): 1, 2, 8, 10, 11, 14*, 15, 16, 18*, 19, 20, 21, 26, 28, 31, 32*, 34, 36, 38, 46*, 101, 102* and 106*.

* means very good to excellent levels of control efficacy observed only at 250 ppm.

TEST D

For evaluating control of the western flower thrips (*Frankliniella occidentalis*) through contact and/or systemic means, the test unit consisted of a small open container with a 5-7 day old Soleil Bean plant inside. Test compounds were formulated and sprayed at 250 and/or 50 ppm, and the test was 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 each unit and then a black, screened cap was placed on top. The test units were held for 6 days at 25 °C and 45-55% relative humidity. A mortality rating was assessed along with a plant damage rating for each test unit.

Of the compounds of Formula **1** tested the following provided very good to excellent levels of control efficacy (20% or less feeding damage or 80% or more mortality): 1, 2, 8, 10, 11, 13*, 14*, 15*, 16, 18, 19, 20*, 21, 26, 32, 33*, 34*, 35, 39*, 41, 42, 45*, 46*, 47*, 48*, 49, 51, 101 and 104.

* means very good to excellent levels of control efficacy observed only at 250 ppm.

TEST E

For evaluating control of the cat flea (*Ctenocephalides felis* Bouche), 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.

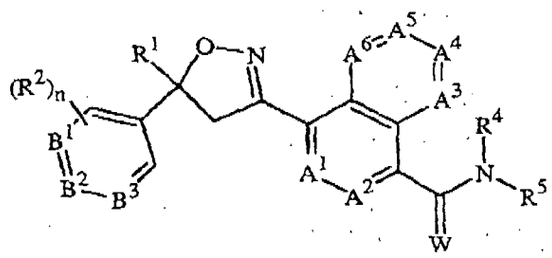
Of the compounds tested, the following compounds caused 30% or more mortality: 1*, 2, 10*, 41* and 51*.

* means the compound caused 50% or more mortality.

CLAIMS

What is claimed is:

1. A compound of Formula **1**, an *N*-oxide, or a salt thereof,



1

wherein

A^1, A^2, A^3, A^4, A^5 and A^6 are independently selected from the group consisting of CR^3 and N, provided that at most 3 of A^1, A^2, A^3, A^4, A^5 and A^6 are N;

B^1, B^2 and B^3 are independently selected from the group consisting of CR^2 and N;

W is O or S;

R^1 is C_1-C_6 alkyl, C_2-C_6 alkenyl, C_2-C_6 alkynyl, C_3-C_6 cycloalkyl, C_4-C_7 alkylcycloalkyl or C_4-C_7 cycloalkylalkyl, each optionally substituted with one or more substituents independently selected from R^6;

each R^2 is independently H, halogen, C_1-C_6 alkyl, C_1-C_6 haloalkyl, C_1-C_6 alkoxy, C_1-C_6 haloalkoxy, C_1-C_6 alkylthio, C_1-C_6 haloalkylthio, C_1-C_6 alkylsulfinyl, C_1-C_6 haloalkylsulfinyl, C_1-C_6 alkylsulfonyl, C_1-C_6 haloalkylsulfonyl, C_1-C_6 alkylamino, C_2-C_6 dialkylamino, C_2-C_4 alkoxycarbonyl, -CN or $-NO_2$;

each R^3 is independently H, halogen, C_1-C_6 alkyl, C_1-C_6 haloalkyl, C_3-C_6 cycloalkyl, C_3-C_6 halocycloalkyl, C_1-C_6 alkoxy, C_1-C_6 haloalkoxy, C_1-C_6 alkylthio, C_1-C_6 haloalkylthio, C_1-C_6 alkylsulfinyl, C_1-C_6 haloalkylsulfinyl, C_1-C_6 alkylsulfonyl, C_1-C_6 haloalkylsulfonyl, C_1-C_6 alkylamino, C_2-C_6 dialkylamino, -CN or $-NO_2$;

R^4 is H, C_1-C_6 alkyl, C_2-C_6 alkenyl, C_2-C_6 alkynyl, C_3-C_6 cycloalkyl, C_4-C_7 alkylcycloalkyl, C_4-C_7 cycloalkylalkyl, C_2-C_7 alkylcarbonyl or C_2-C_7 alkoxycarbonyl;

R^5 is H, OR^{10}, $NR^{11}R^{12}$ or Q^1; or C_1-C_6 alkyl, C_2-C_6 alkenyl, C_2-C_6 alkynyl, C_3-C_6 cycloalkyl, C_4-C_7 alkylcycloalkyl or C_4-C_7 cycloalkylalkyl, each optionally substituted with one or more substituents independently selected from R^7; or

R^4 and R^5 are taken together with the nitrogen to which they are attached to form a ring containing 2 to 6 atoms of carbon and optionally one additional atom selected from the group consisting of N, S and O, said ring optionally substituted with 1 to 4 substituents independently selected from the group consisting of C_1-C_2 alkyl, halogen, -CN, $-NO_2$ and C_1-C_2 alkoxy;

each R^6 is independently halogen, C_1-C_6 alkyl, C_1-C_6 alkoxy, C_1-C_6 alkylthio, C_1-C_6 alkylsulfinyl, C_1-C_6 alkylsulfonyl, -CN or $-NO_2$;

each R^7 is independently halogen; C_1-C_6 alkyl, C_3-C_6 cycloalkyl, C_1-C_6 alkoxy, C_1-C_6 alkylthio, C_1-C_6 alkylsulfinyl, C_1-C_6 alkylsulfonyl, C_1-C_6 alkylamino, C_2-C_8 dialkylamino, C_3-C_6 cycloalkylamino, C_2-C_7 alkylcarbonyl, C_2-C_7 alkoxycarbonyl, C_2-C_7 alkylaminocarbonyl, C_3-C_9 dialkylaminocarbonyl, C_2-C_7 haloalkylcarbonyl, C_2-C_7 haloalkoxycarbonyl, C_2-C_7 haloalkylaminocarbonyl, C_3-C_9 halodialkylaminocarbonyl, hydroxy, $-NH_2$, -CN or $-NO_2$; or Q^2;

each R^8 is independently halogen, C_1-C_6 alkoxy, C_1-C_6 haloalkoxy, C_1-C_6 alkylthio, C_1-C_6 haloalkylthio, C_1-C_6 alkylsulfinyl, C_1-C_6 haloalkylsulfinyl, C_1-C_6 alkylsulfonyl, C_1-C_6 haloalkylsulfonyl, C_1-C_6 alkylamino, C_2-C_6 dialkylamino, C_2-C_4 alkoxycarbonyl, -CN or $-NO_2$;

each R^9 is independently halogen, C_1-C_6 alkyl, C_1-C_6 haloalkyl, C_3-C_6 cycloalkyl, C_3-C_6 halocycloalkyl, C_1-C_6 alkoxy, C_1-C_6 haloalkoxy, C_1-C_6 alkylthio, C_1-C_6 haloalkylthio, C_1-C_6 alkylsulfinyl, C_1-C_6 haloalkylsulfinyl, C_1-C_6 alkylsulfonyl, C_1-C_6 haloalkylsulfonyl, C_1-C_6 alkylamino, C_2-C_6 dialkylamino, -CN, $-NO_2$, phenyl or pyridinyl;

R^{10} is H; or C_1-C_6 alkyl, C_2-C_6 alkenyl, C_2-C_6 alkynyl, C_3-C_6 cycloalkyl, C_4-C_7 alkylcycloalkyl or C_4-C_7 cycloalkylalkyl, each optionally substituted with one or more halogen;

R^{11} is H, C_1-C_6 alkyl, C_2-C_6 alkenyl, C_2-C_6 alkynyl, C_3-C_6 cycloalkyl, C_4-C_7 alkylcycloalkyl, C_4-C_7 cycloalkylalkyl, C_2-C_7 alkylcarbonyl or C_2-C_7 alkoxycarbonyl;

R^{12} is H; Q^3; or C_1-C_6 alkyl, C_2-C_6 alkenyl, C_2-C_6 alkynyl, C_3-C_6 cycloalkyl, C_4-C_7 alkylcycloalkyl or C_4-C_7 cycloalkylalkyl, each optionally substituted with one or more substituents independently selected from R^7; or

R^{11} and R^{12} are taken together with the nitrogen to which they are attached to form a ring containing 2 to 6 atoms of carbon and optionally one additional atom selected from the group consisting of N, S and O, said ring optionally substituted with 1 to 4 substituents independently selected from the group consisting of C_1-C_2 alkyl, halogen, -CN, $-NO_2$ and C_1-C_2 alkoxy;

Q^1 is a phenyl ring, a 5- or 6-membered heterocyclic ring, or an 8-, 9- or 10-membered fused bicyclic ring system optionally containing one to three heteroatoms selected from up to 1 O, up to 1 S and up to 3 N, each ring or ring system optionally substituted with one or more substituents independently selected from R^8;

each Q^2 is independently a phenyl ring or a 5- or 6-membered heterocyclic ring, each ring optionally substituted with one or more substituents independently selected from R^9;

Q^3 is a phenyl ring or a 5- or 6-membered heterocyclic ring, each ring optionally substituted with one or more substituents independently selected from R^9; and

n is 0, 1 or 2.

2. A compound of Claim 1 wherein

R^1 is C_1-C_3 alkyl optionally substituted with one or more substituents independently selected from R^6;

each R^2 is independently H, halogen, C_1-C_6 haloalkyl, C_1-C_6 haloalkoxy or -CN; and

each R^3 is independently H, halogen, C_1-C_6 alkyl, C_1-C_6 haloalkyl, C_3-C_6 cycloalkyl, C_3-C_6 halocycloalkyl, C_1-C_6 alkoxy, C_1-C_6 haloalkoxy, -CN or $-NO_2$.

3. A compound of Claim 2 wherein

B^1, B^2 and B^3 are independently CR^2;

W is O;

R^4 is H, C_1-C_6 alkyl, C_2-C_7 alkylcarbonyl or C_2-C_7 alkoxycarbonyl; and

R^5 is H, $NR^{11}R^{12}$ or Q^1; or C_1-C_4 alkyl, C_2-C_4 alkenyl, C_2-C_4 alkynyl, C_3-C_4 cycloalkyl, C_4-C_7 alkylcycloalkyl or C_4-C_7 cycloalkylalkyl, each optionally substituted with one or more substituents independently selected from R^7.

4. A compound of Claim 3 wherein

R^1 is C_1-C_3 alkyl optionally substituted with halogen;

each R^2 is independently H, CF_3, OCF_3, halogen or -CN;

each R^3 is independently H, C_1-C_4 alkyl, C_1-C_4 haloalkyl, C_3-C_6 cyclopropyl, C_1-C_4 alkoxy or -CN; and

each R^7 is independently halogen, C_1-C_4 alkyl, C_1-C_4 alkoxy, C_1-C_4 alkylthio, C_1-C_4 alkylsulfinyl, C_1-C_4 alkylsulfonyl, C_2-C_4 alkylcarbonyl, C_2-C_4 alkoxycarbonyl, C_2-C_5 alkylaminocarbonyl, C_2-C_5 haloalkylcarbonyl, C_2-C_5 haloalkoxycarbonyl, C_2-C_5 haloalkylaminocarbonyl, $-NH_2$, -CN or $-NO_2$; or Q^2.

5. A compound of Claim 4 wherein

R^4 is H;

R^5 is C_1-C_4 alkyl optionally substituted with one of more substituents independently selected from R^7;

each R^7 is independently halogen or Q^2; and

each Q^2 is independently phenyl, pyridinyl or thiazolyl.

6. A compound of Claim 5 wherein

R^1 is CF_3;

A^1, A^2, A^3, A^4, A^5 and A^6 are each CR^3;

B^2 is CR^2; and

each R^3 is independently H, C_1-C_4 alkyl or -CN.

7. A compound of Claim 6 wherein

B^2 is CH;

each R^2 is independently halogen or C_1-C_3 haloalkyl;

R^3 is H;

R^5 is CH_2CF_3 or CH_2-2-pyridinyl; and

n is 0.

8. A compound of Claim 1 that is selected from the group consisting of:

4-[5-(3,5-dichlorophenyl)-4,5-dihydro-5-(trifluoromethyl)-3-isoxazolyl]-*N*-(2,2,2-trifluoroethyl)-1-naphthalenecarboxamide,

4-[5-(3,5-dichlorophenyl)-4,5-dihydro-5-(trifluoromethyl)-3-isoxazolyl]-*N*-(2-pyridinylmethyl)-1-naphthalenecarboxamide,

4-[5-(3,5-dichlorophenyl)-4,5-dihydro-5-(trifluoromethyl)-3-isoxazolyl]-*N*-(2-pyridinylmethyl)-1-naphthalenecarbothioamide,

4-[5-(3,5-dichlorophenyl)-4,5-dihydro-5-(trifluoromethyl)-3-isoxazolyl]-*N*-ethyl-1-naphthalenecarboxamide,

4-[5-(3,5-dichlorophenyl)-4,5-dihydro-5-(trifluoromethyl)-3-isoxazolyl]-*N*-(2-methoxyethyl)-1-naphthalenecarboxamide,

4-[5-(3,5-dichlorophenyl)-4,5-dihydro-5-(trifluoromethyl)-3-isoxazolyl]-*N*-[2-(2,2,2-trifluoroethyl)-2-oxoethyl]-1-naphthalenecarboxamide,

5-[5-(3,5-dichlorophenyl)-4,5-dihydro-5-(trifluoromethyl)-3-isoxazolyl]-*N*-(2-pyridinylmethyl)-8-quinolinecarboxamide,

5-[5-(3,5-dichlorophenyl)-4,5-dihydro-5-(trifluoromethyl)-3-isoxazolyl]-*N*-(2-pyridinylmethyl)-8-isoquinolinecarboxamide, and

1-[5-(3,5-dichlorophenyl)-4,5-dihydro-5-(trifluoromethyl)-3-isoxazolyl]-*N*-(2-pyridinylmethyl)-4-isoquinolinecarboxamide.

9. A composition comprising a compound of Claim 1 and at least one additional component selected from the group consisting of a surfactant, a solid diluent and a liquid diluent, said composition optionally further comprising at least one additional biologically active compound or agent.

10. A composition for controlling an invertebrate pest comprising a biologically effective amount of a compound of Claim 1 and at least one additional component selected from the group consisting of a surfactant, a solid diluent and a liquid diluent, said composition optionally further comprising a biologically effective amount of at least one additional biologically active compound or agent.

11. The composition of Claim 10 wherein the at least one additional biologically active compound or agent is selected from insecticides of the group consisting of sodium channel modulators, cholinesterase inhibitors, neonicotinoids, insecticidal macrocyclic lactones, GABA-regulated chloride channel blockers, chitin synthesis inhibitors, juvenile hormone mimics, octopamine receptor ligands, ecdysone agonists, ryanodine receptor ligands, nereistoxin analogs, mitochondrial electron transport inhibitors, lipid biosynthesis inhibitors, cyclodiene insecticides, molting inhibitors, nucleopolyhedro virus, a member of

Bacillus thuringiensis, an encapsulated delta-endotoxin of *Bacillus thuringiensis* and a naturally occurring or a genetically modified viral insecticide.

12. The composition of Claim 10 wherein the at least one additional biologically active compound or agent is selected from the group consisting of abamectin, acephate, acetamiprid, acetoprole, aldicarb, amidoflumet, amitraz, avermectin, azadirachtin, azinphos-methyl, bifenthrin, bifenazate, bistrifluron, buprofezin, carbofuran, cartap, chinomethionat, chlorfenapyr, chlorfluazuron, chlorantraniliprole, chlorpyrifos, chlorpyrifos-methyl, chlorobenzilate, chromafenozide, clothianidin, cyflumetofen, cyfluthrin, beta-cyfluthrin, cyhalothrin, gamma-cyhalothrin, lambda-cyhalothrin, cyhexatin, cypermethrin, cyromazine, deltamethrin, diafenthiuron, diazinon, dicofol, dieldrin, dienochlor, diflubenzuron, dimefluthrin, dimethoate, dinotefuran, diofenolan, emamectin, endosulfan, esfenvalerate, ethiprole, etoxazole, fenamiphos, fenazaquin, fenbutatin oxide, fenothiocarb, fenoxycarb, fenpropathrin, fenpyroximate, fenvalerate, fipronil, flonicamid, flubendiamide, flucythrinate, tau-fluvalinate, flufenerim, flufenoxuron, fonophos, halofenozide, hexaflumuron, hexythiazox, hydramethylnon, imicyafos, imidacloprid, indoxacarb, isofenphos, lufenuron, malathion, metaflumizone, metaldehyde, methamidophos, methidathion, methomyl, methoprene, methoxychlor, methoxyfenozide, metofluthrin, monocrotophos, 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, tebufenozide, tebufenpyrad, teflubenzuron, tefluthrin, terbufos, tetrachlorvinphos, thiacloprid, thiamethoxam, thiodicarb, thiosultap-sodium, tolfenpyrad, tralomethrin, triazamate, trichlorfon, triflumuron, *Bacillus thuringiensis* subsp. *aizawai*, *Bacillus thuringiensis* subsp. *kurstaki*, nucleopolyhedrovirus, an encapsulated delta-endotoxin of *Bacillus thuringiensis*, baculovirus, entomopathogenic bacteria, entomopathogenic virus and entomopathogenic fungi.

13. The composition of Claim 12 wherein the at least one additional biologically active compound or agent is selected from the group consisting of abamectin, acetamiprid, amitraz, avermectin, azadirachtin, bifenthrin, buprofezin, cartap, chlorantraniliprole, chlorfenapyr, chlorpyrifos, clothianidin, cyfluthrin, beta-cyfluthrin, cyhalothrin, lambda-cyhalothrin, cypermethrin, cyromazine, deltamethrin, dieldrin, dinotefuran, diofenolan, emamectin, endosulfan, esfenvalerate, ethiprole, fenothiocarb, fenoxycarb, fenvalerate, fipronil, flonicamid, flubendiamide, flufenoxuron, hexaflumuron, hydramethylnon, imidacloprid, indoxacarb, lufenuron, metaflumizone, methomyl, methoprene, methoxyfenozide, nitenpyram, nithiazine, novaluron, oxamyl, pymetrozine, pyrethrin, pyridaben, pyridalyl, pyriproxyfen, ryanodine, spinetoram, spinosad, spirodiclofen, spiromesifen, tebufenozide, thiacloprid, thiamethoxam, thiodicarb, thiosultap-sodium,

tralomethrin, triazamate, triflumuron, *Bacillus thuringiensis* subsp. *aizawai*, *Bacillus thuringiensis* subsp. *kurstaki*, nucleopolyhedrovirus and an encapsulated delta-endotoxin of *Bacillus thuringiensis*.

14. The composition of Claim 10 in the form of a soil drench liquid formulation.

15. A spray composition for controlling an invertebrate pest, comprising:
(a) a biologically effective amount of the compound of Claim 1 or the composition of Claim 10; and
(b) a propellant.

16. A bait composition for controlling an invertebrate pest, comprising:
(a) a biologically effective amount of the compound of Claim 1 or the composition of Claim 10;
(b) one or more food materials;
(c) optionally an attractant; and
(d) optionally a humectant.

17. A trap device for controlling an invertebrate pest, comprising:
(a) the bait composition of Claim 16; and
(b) 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.

18. 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.

19. A method for controlling an invertebrate pest comprising contacting the invertebrate pest or its environment with a composition of Claim 10.

20. The method of Claim 19 wherein the environment is soil and the composition is applied to the soil as a soil drench formulation.

21. A method for controlling a cockroach, an ant or a termite, comprising contacting a cockroach, an ant, or a termite with the bait composition in a trap device of Claim 17.

22. A method for controlling a mosquito, a black fly, a stable, fly, a deer fly, a horse fly, a wasp, a yellow jacket, a hornet, a tick, a spider, an ant, or a gnat, comprising contacting a mosquito, a black fly, a stable, fly, a deer fly, a horse fly, a wasp, a yellow jacket, a hornet, a tick, a spider, an ant, or a gnat with the spray composition of Claim 15 dispensed from a spray container.

23. A method for protecting a seed from an invertebrate pest comprising contacting the seed with a biologically effective amount of a compound of Claim 1.

24. The method of Claim 23 wherein the seed is coated with the compound of Claim 1 formulated as a composition comprising a film former or adhesive agent.

25. 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.

26. 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.

27. The composition of Claim 26 in a form for oral administration.

28. A method for for protecting an animal from an invertebrate parasitic pest comprising administering to the animal a parasiticidally effective amount of a compound of Claim 1.

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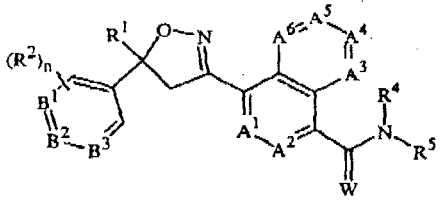
Para códigos de dos letras y otras abreviaturas, refiérase a la "Guía de Notas en Códigos y Abreviaturas" que aparece al inicio de cada artículo regular de la Gaceta de PCT.

(54) TÍTULO: ISOXAZOLINAS PARA CONTROLAR PLAGAS DE INVERTEBRADOS



(I)

(57) RESUMEN:

Se describen compuestos de la Fórmula (1), en los que se incluyen todas las formas geométricas y estereoisómeros, *N*-óxidos y sales de los mismos. Fórmula (I): en donde A^1, A^2, A^3, A^4, A^5 y A^6 son indistintamente seleccionadas del grupo que consiste de CR^3 y N; con la condición que en al menos 3 de A^1, A^2, A^3, A^4, A^5 y A^6 sean N; B^1, B^2 y B^3 son indistintamente seleccionados a partir del grupo que consiste de CR^2 y N; cada R^3 es indistintamente H, halógeno, alquilo C_1-C_6, haloalquilo C_1-C_6, cicloalquilo C_1-C_6, halocicloalquilo C_1-C_6, alcoxilo C_1-C_6, haloalcoxilo C_1-C_6, alquiltiol C_1-C_6, haloalquiltiol C_1-C_6, alquilsulfinilo C_1-C_6, haloalquilsulfinilo C_1-C_6, alquilsulfonilo C_1-C_6, haloalquilsulfonilo C_1-C_6, alquilamino C_1-C_6, dialquilamino C_2-C_6, -CN o NO_2; y R^1, R^2, R^4, R^5, W y n son definidos en la descripción. También se describen composiciones que contienen los compuestos de la Fórmula (I) y métodos para controlar una plaga de invertebrado que comprende poner en contacto la plaga de invertebrado o su ambiente con una cantidad biológicamente efectiva de un compuesto o una composición de la invención.

ISOXAZOLINAS PARA CONTROLAR PLAGAS DE INVERTEBRADOS

CAMPO DE LA INVENCIÓN

Esta invención se relaciona con ciertas isoxazolinas, su N-óxidos, sales y composiciones adecuadas para usos agronómicos y no agronómicos, incluyendo aquellos usos enumerados a continuación, y métodos de uso para controlar plagas de invertebrados como artrópodos tanto en ambientes agronómicos como en no agronómicos.

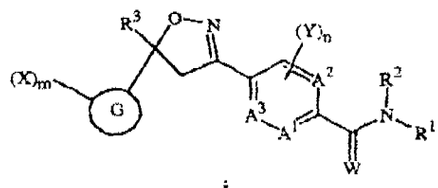
ANTECEDENTES DE LA INVENCIÓN

El control de plagas de invertebrados es extremadamente importante para lograr una alta eficiencia en los cultivos. El daño por plaga de invertebrados en cultivos agronómicos en crecimiento y almacenados puede causar una significativa reducción en cuanto a productividad y con ello dar como resultado un aumento de costos para el consumidor.

El control de plagas de invertebrados en la silvicultura, cultivos de invernadero, cultivos de ornato, en viveros, productos de fibra y alimentos almacenados, ganado, plantas domésticas, césped, productos de madera y salud pública y animal es también algo importante. Se encuentran comercialmente disponibles varios productos para estos propósitos, pero aún se necesitan nuevos compuestos que sean más efectivos y económicos, menos tóxicos, más seguros para

el ambiente o con diferentes modos de acción.

La Publicación de Patente de PCT WO 05/085216 describe derivados de isoxazolina de la Fórmula **i** conforme insecticidas de

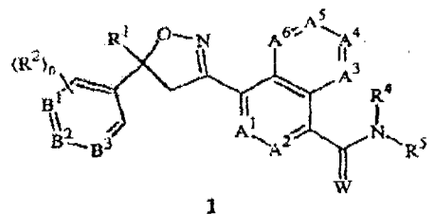


i

en donde, entre otras cosas, cada uno de A^1, A^2 y A^3 es indistintamente C o N; G es un anillo de benceno; W es O o S; y X es halógeno o haloalquilo C_1-C_4.

BREVE DESCRIPCIÓN DE LA INVENCIÓN

Esta invención concierne a los compuestos de la Fórmula 1 incluyendo a todas las formas geométricas y estereoisómeros, N-óxidos, y sales de eso, y composiciones que los contienen, y con su uso para controlar plagas de invertebrados:



1

en donde

A^1, A^2, A^3, A^4, A^5 y A^6 son indistintamente seleccionados a partir del grupo que comprende

CR^3 y N, a condición de que como máximo 3 de A^1, A^2, A^3, A^4, A^5 y A^6 sean N;

B^1, B^2 y B^3 son indistintamente seleccionados a partir del grupo que comprende CR^4 y N;

W es O o S;

R^1 es alquilo C_1-C_6, alquenilo de C_2-C_6, alquinilo de C_2-C_6, cicloalquilo de C_3-C_6, alquilcicloalquilo de C_4-C_7 o cicloalquilalquilo C_4-C_7, cada uno opcionalmente substituido con uno o más sustituyentes indistintamente seleccionados a partir de R^5;

cada R^2 es indistintamente H, halógeno, alquilo de C_1-C_6, haloalquilo de C_1-C_6, alcoxilo de C_1-C_6, haloalcoxilo de C_1-C_6, alquiltiol de C_1-C_6, haloalquiltiol de C_1-C_6, alquilsulfinilo de C_1-C_6, haloalquilsulfinilo de C_1-C_6, alquilsulfonilo de C_1-C_6, haloalquilsulfonilo de C_1-C_6, alquilamino de C_1-C_6, diaminalquilo de C_2-C_6, alcoxicarbonilo de C_2-C_6, -CN o, $-NO_2$;

cada R^3 es indistintamente H, halógeno, alquilo de C_1-C_6, haloalquilo de C_1-C_6, cicloalquilo de C_3-C_6, halocicloalquilo de C_3-C_6, alcoxilo de C_1-C_6, haloalcoxilo de C_1-C_6, alquiltiol de C_1-C_6, haloalquiltiol de C_1-C_6, alquilsulfinilo de C_1-C_6, haloalquilsulfinilo de C_1-C_6, alquilsulfonilo de C_1-C_6, haloalquilsulfonilo de C_1-C_6, alquilamino de C_1-C_6, dialquilamino de C_2-C_6, -CN o, $-NO_2$;

R^4 es H, alquilo de C_1-C_6, alquenilo de C_2-C_6, alquinilo

de C_2-C_6, cicloalquilo de C_3-C_6, alquilcicloalquilo de C_4-C_7, cicloalquilalquilo de C_4-C_7, alquilcarbonilo de C_2-C_4 o alcoxicarbonilo C_2-C_4;

R^5 es H, OR^{10}, $NR^{11}R^{12}$ o Q^-; o alquilo de C_1-C_6, alquenilo de C_2-C_6, alquinilo de C_2-C_6, cicloalquilo de C_3-C_6, alquilcicloalquilo de C_4-C_7 o cicloalquilalquilo C_4-C_7, cada uno opcionalmente substituido con uno o más sustituyentes indistintamente seleccionados a partir de R^7; o

R^4 y R^5 son considerados conjuntamente con el átomo de nitrógeno al que estos se unen para formar un anillo que contiene 2 a 6 átomos de carbono y opcionalmente un átomo adicional, seleccionado a partir del grupo que comprende N, S y O, el anillo opcionalmente substituido con 1 a 4 sustituyentes, indistintamente seleccionados a partir del grupo que comprende alquilo de C_1-C_2, halógeno,-CN,-NO_2 y alcoxilo C_1-C_2;

cada R^6 es indistintamente halógeno, alquilo de C_1-C_6, alcoxilo de C_1-C_6, alquiltiol de C_1-C_6, alquilsulfinilo de C_1-C_6, alquilsulfonilo de C_1-C_6,-CN o,-NO_2;

cada R^7 es indistintamente halógeno, alquilo de C_1-C_6, cicloalquilo de C_3-C_6, alcoxilo de C_1-C_6, alquiltiol de C_1-C_6, alquilsulfinilo de C_1-C_6, alquilsulfonilo de C_1-C_6, alquilamino de C_1-C_6, dialquilamino de C_2-C_8, cicloalquilamino de C_3-C_6, alquilcarbonilo de C_2-C_7, alcoxicarbonilo de C_2-C_7, alquilaminocarbonilo de C_2-C_7, dialquilaminocarbonilo de C_3-

C_3, haloalquilcarbonilo de C_2-C_7, haloalcoxicarbonilo de C_2-C_7, haloalquilaminocarbonilo de C_2-C_7, halodialquilaminocarbonilo de C_3-C_8, hidroxilo,-NH_2,-CN o,-NO_2; o Q^2;

cada R^8 es indistintamente halógeno, alcoxilo de C_1-C_6, haloalcoxilo de C_1-C6, alquiltiol de C1-C6, haloalquiltiol de C_1-C_6, alquilsulfinilo de C_1-C_6, haloalquilsulfinilo de C_1-C_6, alquilsulfonilo de C_1-C_6, haloalquilsulfonilo de C_1-C_6, alquilamino de C_1-C_6, dialquilamino de C_2-C_8, alcoxicarbonilo de C_2-C_4,-CN o,-NO_2;

cada R^9 es indistintamente halógeno, alquilo de C_1-C_6, haloalquilo de C_1-C_6, cicloalquilo de C_3-C_6, halocicloalquilo de C_3-C_6, alcoxilo de C_1-C_6, haloalcoxilo de C_1-C_6, alquiltiol de C_1-C_6, haloalquiltiol de C_1-C_6, alquilsulfinilo de C_1-C_6, haloalquilsulfinilo de C_1-C_6, alquilsulfonilo de C_1-C_6, haloalquilsulfonilo de C_1-C_6, alquilamino de C_1-C_6, dialquilamino de C_2-C_8,-CN,-NO_2, fenilo o piridinilo;

R^{10} son H; o alquilo de C_1-C_6, alquenilo de C_2-C_6, alquinilo de C_3-C_6, cicloalquilo de C_3-C_6, alquilcicloalquilo de C_4-C_7 o cicloalquilalquilo C_4-C_7, cada uno opcionalmente substituido con uno o más halógenos;

R^{11} son H, alquilo de C_1-C_6, alquenilo de C_2-C_6, alquinilo de C_3-C_6, cicloalquilo de C_3-C_6, alquilcicloalquilo de C_4-C_7, cicloalquilalquilo de C_4-C_7, alquilcarbonilo de C_2-C_7 o alcoxicarbonilo C_2-C_7;

R^{12} son H; Q^3; o alquilo de C_1-C_6, alquenilo de C_2-C_6,

alquinilo de C_2-C_6, cicloalquilo de C_3-C_8, alquilcicloalquilo de C_4-C_7 o cicloalquilalquilo C_4-C_7, cada uno opcionalmente substituido con uno o más sustituyentes indistintamente seleccionados a partir de R^7; o

R^{11} y R^{12} son considerados conjuntamente con el nitrógeno al que estos son unidos, para formar un anillo que contiene 2 a 6 átomos de carbono y opcionalmente un átomo adicional, seleccionado a partir del grupo que comprende N, S y O, el anillo opcionalmente substituido con 1 a 4 sustituyentes, indistintamente seleccionados a partir del grupo que comprende alquilo de C_1-C_2, halógeno, -CN, $-NO_2$ y alcoxilo C_1-C_2;

Q^1 es un anillo fenilo, un anillo heterocíclico de 5-6 miembros, o un sistema de anillo bicíclico fusionado de 8-, 9-o 10-miembros que opcionalmente contiene uno a tres heteroátomos, seleccionados a partir de hasta 1 O, hasta 1 S y hasta 3 N, cada anillo o sistema de anillo está opcionalmente substituido con uno o más sustituyentes indistintamente seleccionados a partir de R^8;

cada Q^2 es indistintamente un anillo de fenilo o anillo heterocíclico de 5-6-miembros, cada anillo opcionalmente substituido con uno o más sustituyentes indistintamente seleccionados a partir de R^9;

Q^3 es un anillo de fenilo o anillo heterocíclico de 5-6-miembros, cada anillo opcionalmente substituido con uno o

más sustituyentes indistintamente seleccionados a partir de R^9; y, n es 0, 1 o 2.

Esta invención también proporciona una composición que comprende un compuesto de la Fórmula 1, un N-óxido o una sal del mismo, y al menos un componente adicional, seleccionado a partir del grupo que comprende surfactante, un diluyente sólido y un diluyente líquido. En una modalidad, esta invención también proporciona una composición para controlar plaga de invertebrados, que comprende una cantidad biológicamente efectiva de un compuesto de la Fórmula 1, un N-óxido o una sal del mismo, y al menos un componente adicional, seleccionado a partir del grupo que comprende un surfactante, un diluyente sólido y un diluyente líquido, la composición opcionalmente, además, comprende una cantidad biológicamente efectiva de al menos un compuesto o agente adicional biológicamente activo.

Esta invención, además, proporciona una composición en aerosol para controlar una plaga de invertebrados que comprende una cantidad biológicamente efectiva de un compuesto de la Fórmula 1, un N-óxido o una sal del mismo, o la composición, descrita anteriormente y un agente propulsor. Esta invención también proporciona una composición de cebo para la controlar plaga de invertebrados que comprende una cantidad biológicamente efectiva de un compuesto de la Fórmula 1, un N-óxido o una sal del mismo, o la composición

descrita en la modalidad anterior, uno o más materiales alimenticios, opcionalmente un atrayente, y opcionalmente un humectante.

Esta invención, además, proporciona un dispositivo de trampa para controlar una plaga de invertebrados que comprende la composición de carnada y un alojamiento adaptado para recibir la composición de carnada, donde el alojamiento tiene al menos una abertura dimensionada para permitir que la plaga de invertebrados pase a través de la abertura para que la plaga de invertebrados pueda tener acceso a la composición de carnada a partir de una ubicación fuera del alojamiento y en donde el alojamiento, además, está adaptado para colocarse en o cerca de un lugar con actividad potencial o conocida de las plagas de invertebrados.

Esta invención también proporciona un método para controlar una plaga de invertebrados, que comprende poner en contacto la plaga de invertebrados, o su ambiente, con una cantidad biológicamente efectiva de un compuesto de la Fórmula 1, un N-oxido o una de sus sales, (por ejemplo, como una composición descrita aquí). Esta invención también y se relaciona con este método donde la plaga de invertebrados o su ambiente se ponen en contacto con una composición que comprende una cantidad biológicamente efectiva de un compuesto de la fórmula uno, un N-oxido o una de sus sales, y al menos un componente adicional seleccionado a partir del

grupo que comprende un surfactante, un diluyente sólido y un diluyente líquido, la composición, además, comprende una cantidad biológicamente efectiva de al menos un agente o compuesto biológicamente activo y adicional.

DESCRIPCIÓN DETALLADA DE LA INVENCIÓN

Como se utilizan aquí, los términos "comprende", "que comprende", "incluye", "que incluye", "tiene", "que tiene", o cualquier variación de éstos, pretende cubrir una inclusión no exclusiva. Por ejemplo, una composición, una mezcla, un proceso, método, artículo, o aparatos que comprende una lista de elementos no está necesariamente limitada sólo a aquellos elementos, pero puede incluir otros elementos que no se enumeran expresamente o que no son expresamente inherentes a esta composición, mezcla, proceso, método, artículo o aparato. Además, a menos que se indique expresamente lo contrario, el término "o" se refiere a un "o" inclusivo y no a un "o" exclusivo. Por ejemplo, una condición A o B se satisface mediante cualquiera de lo siguiente: A es verdadero (o está presente) y B es falso (o no está presente), A es falso (o no está presente) y B es verdadero (o está presente), y tanto A y B son verdaderos (o están presentes).

También, los artículos indefinidos "a" y "un" que preceden a un elemento o componente de la invención pretenden

no ser restrictivos con respecto al número de casos (es decir, ocurrencias) del elemento o componente. Por lo tanto "a" o "un" deberá interpretarse para incluir uno o al menos uno y la forma singular de la palabra o elemento o componente también incluye la forma plural a menos que el número obviamente signifique singular. .

Como se refiere en esta descripción, el término "plaga de invertebrados" incluye artrópodos, gasterópodos y nematodos de importancia económica como plagas. El término "artrópodos" incluye insectos, ácaros, arañas, escorpiones, ciempiés, milpiés, cochinilla de humedad y similares. El término "gasterópodo" incluye caracoles, babosas y otros del género Stylommatofora. El término "helmintos" incluye gusanos en el filo de Nematelmintos, platelmintos y Acantocéfalos, como: nematódeos, dirofilarias, y nematodos fitofagos (nematodos), trematodos (trematoda), tenias (cestodos) y acantocéfalos.

En el contexto de esta descripción el término "control de plaga de invertebrados" significa la inhibición del desarrollo de plaga de invertebrados (incluyendo; la mortalidad, la reducción alimenticia, y/o la interrupción de apareamiento), y expresiones relacionadas que son definidas análogamente.

El término "agronómico" se refiere a la producción de cultivos de campo como alimento y fibra e incluye el cultivo

de maíz, soyas y otras legumbres, arroz, cereal (p.ej, trigo, avena, cebada, centeno, arroz, maíz), vegetales frondosos (p.ej, lechuga, col, y otras cosechas de col rizada), verduras frutales (p.ej, jitomates, pimienta, berenjena, crucíferos y cucurbitáceos), papas, camotes, uvas, algodón, frutas de árbol (p.ej, manzana, piedra y cítricos), pequeñas frutas (bayas, cerezas) y otras cosechas de especialidad (p.ej, colza, girasol, aceitunas). El término "no agronómico" se refiere a otras cosechas hortícolas (p.ej, de invernadero, vivero o plantas ornamentales no cultivadas en un campo), estructuras residenciales y comerciales en lugares urbanos e industriales, césped (p.ej, criaderos de césped, pasto, campo de golf, pasto residencial, campos deportivos, recreativos, etc.) Los productos de madera, productos almacenados, la agro-silvicultura y el manejo de vegetación, salud pública (humana) y salud animal (p.ej, animales domesticados como los animales domésticos, ganado y volatería, y animales no domesticados como fauna silvestre).

En las menciones anteriores, el término "alquilo", usado solo o en palabras compuestas como "alquiltiol" o, "haloalquilo" incluye alquilo de cadena recta o ramificada, como, metilo, etilo, n-propilo, t-propilo, o diferentes isómeros de butilo, pentilo o hexilo. "Alquenilo" incluye, alquenos de cadena recta o ramificada, como etenilo, 1-propenilo, 2-propenilo, y diferentes isómeros de butenilo,

pentenilo y hexenilo. "Alquenilo" también incluye polienos como 1,2-propadienilo y 2,4-hexadienilo. "Alquinilo" incluye alquinos de cadena recta o ramificada como etinilo, 1-propinilo, 2-propinilo y diferentes isómeros de butinilo, pentenilo y hexenilo. "Alquinilo" también puede incluir porciones comprendidas de enlaces triples múltiples como 2,5-hexadinilo.

"Alcoxilo" incluye, por ejemplo, metoxilo, etoxilo, n-propiloxilo, isopropiloxilo y, diferentes isómeros butoxilo, pentoxilo y hexiloxilo. "Alquiltiol" incluye, porciones de alquiltiol de cadena recta o ramificada como metiltiol, etiltiol, y los isómeros diferentes de propiltiol, butiltiol, pentiltiol y hexiltiol. "Alquilsulfinilo" incluye ambos enantiómeros de un grupo de alquilsulfinilo. Ejemplos de "alquilsulfinilo" incluyen $CH_3S(O)$-$CH_3CH_2S(O)$-$CH_3CH_2CH_2S(O)$-$(CH_3)_2CHS(O)$- y los diferentes isómeros de butilsulfinilo, pentilsulfinilo y hexilsulfinilo. Ejemplos de "alquilsulfonilo" incluyen $CH_3S(O)_2$-, $CH_3CH_2S(O)_2$-, $CH_3CH_2CH_2S(O)_2$-, $(CH_3)_2CHS(O)_2$-, y los isómeros diferentes de butilsulfonilo, pentilsulfonilo y hexilsulfonilo. "Alquilamino", "dialquilamino", y lo similar, se definen análogamente a los ejemplos anteriores. "Cicloalquilo" incluye, por ejemplo, ciclopropilo, ciclobutilo, ciclopentilo y ciclohexilo. El término "alquilcicloalquilo" denota la substitución de alquilo en una porción de cicloalquilo e

incluye, por ejemplo, etilciclopropilo, j-propilciclobutilo, 3-metilciclopentilo y 4-metilciclohexilo. El término "cicloalquilalquilo" denota la substitución de cicloalquilo en una porción de alquilo. Ejemplos de "cicloalquilalquilo" incluyen ciclopropilmetilo, ciclopentiletilo, y otras porciones de cicloalquilo unidas a grupos alquilo de cadena recta o ramificada.

El término "halógeno", solo o en palabras compuestas como "haloalquilo", o cuando es usado en descripciones como "alquilo substituido con halógeno" incluye flúor, cloro, bromo o yodo. Además, cuando es usado en palabras compuestas como "haloalquilo", o cuando es usado en descripciones como "alquilo substituido con halógeno" alquilo puede ser parcialmente o completamente substituido con átomos de halógeno que puede ser el mismo o diferente. Los Ejemplos de "haloalquilo" o, "alquilo substituido con halógeno" incluyen F_3C-, $ClCH_2$-, CF_3CH_2- y $CF3CCl_2$-. Los términos "halocicloalquilo", "haloalcoxilo", "haloalquiltiol", y lo similar, son definidos análogamente al término "haloalquilo". Ejemplos de "haloalcoxilo" incluyen CF_3O-, CCl_3CH_2O-, $HCF_2CH_2CH_2O$- y CF_3ch2o-. Ejemplos de "haloalquiltiol" incluye CCl_3S-, CF_3S-, CCl_3CH_2S- y $ClCH_2CH_2CH_2S$-. Ejemplos de "haloalquilsulfinilo" incluyen $CF_3S(O)$-$CCl_3S(O)$-$CF_3CH_2S(O)$- y $CF_3CF_2S(O)$-. Ejemplos de "haloalquilsulfonilo" incluyen $CF_3S(O)_2$-, $CCl_3S(O)_2$-, $CF_3CH_2S(O)_2$- y $CF_3CF_2S(O)_2$-.

"Alquilcarbonilo" denota unas porciones de alquilo de cadena recta o ramificada unidas a una porción C(=O). Ejemplos de "alquilcarbonilo" incluyen $CH_3C(=O)-$, $CH_3CH_2CH_2C(=O)-$ y $(CH_3)_2CHC(=O)-$. Ejemplos de "alcoxicarbonilo" incluyen $CH_3OC(=O)-$, $CH_3CH_2OC(=O)$, $CH_3CH_2CH_2OC(=O)-$, $(CH_3)_2CHOC(=O)-$ y los diferentes isómeros de butoxilo o pentoxicarbonilo.

La cantidad total de átomos de carbono en un grupo sustituyente es indicada por el prefijo "Ci-Cj" donde i y j son cantidades con un valor de 1 a 8. Por ejemplo, alquilsulfonilo de C_2-C_4 designa metilsulfonilo a través de butilsulfonilo; alcoxialquilo de C_2 designa CH_3OCH_2; alcoxialquilo de C_3 designa, por ejemplo, $CH_3CH(OCH_3)$, $CH_3OCH_2CH_2$ o $CH_3CH_2OCH_2$; y alcoxialquilo de C_4 designa isómeros diversos de un grupo alquilo substituido con un grupo de alcoxilo que contiene un total de cuatro átomos de carbono, los ejemplos incluyen $CH_3CH_2CH_2OCH_2$ y $CH_3CH_2OCH_2CH_2$.

Cuando un compuesto es substituido con un sustituyente que lleva un subíndice que indica que la cantidad de los sustituyentes puede exceder 1, los sustituyentes (cuando estos exceden 1) son indistintamente seleccionados a partir del grupo de sustituyentes definidos, p.ej, $(R^2)_n$, n tiene un valor de 1, 2, 3, 4 o 5. Cuando un grupo contiene un sustituyente que puede ser hidrógeno, por ejemplo R^2, luego cuando este sustituyente es considerado conforme hidrógeno,

se reconoce que esto es equivalente al grupo no substituido. El término "anillo heterocíclico", "heterociclo" o, "el sistema de anillo heterocíclico" denota anillos o sistemas de anillo en donde al menos un átomo de anillo no es carbono, p.ej, nitrógeno, oxígeno o azufre. Comúnmente un anillo heterocíclico contiene no más que 4 nitrógenos, no más que 2 oxígenos y no más que 2 azufres. El anillo heterocíclico puede ser unido, a través de cualquier disponible, carbono o nitrógeno, mediante el reemplazo de hidrógeno en el átomo de nitrógeno o carbono. El anillo heterocíclico puede ser un anillo saturado parcialmente no saturado o completamente insaturado. Cuando un anillo heterocíclico completamente no saturado se une al anillo de níquel, entonces el anillo también es llamado "anillo heteroaromático" o "anillo heterocíclico aromático".

El término "anillo aromático" o "sistema de anillo aromático" denota carbociclos completamente no saturados y heterociclos en donde al menos un anillo del sistema de anillo policíclico es aromático (donde aromático indica que la primera regla es satisfecha para el sistema de anillo). El término "sistema de anillo bicíclico fusionado" incluye un sistema de anillo comprendido de dos anillos fusionados en donde el anillo puede ser saturado, parcialmente no saturado, o completamente insaturado. El término "sistema de anillo heterobicíclico fusionado" incluye un sistema de anillo

comprendido de dos anillos fusionados en donde al menos un átomo de anillo no es carbono y puede ser aromático o no aromático, como se define anteriormente.

El término "opcionalmente substituido" en relación con los anillos heterocíclicos se refiere a grupos que son insustituidos o cuentan con al menos un sustituyente que no es hidrógeno y que no anula la actividad biológica poseída por el análogo insustituido. Como se usan aquí, las definiciones siguientes deben aplicarse a menos que se indique otra cosa. El término "opcionalmente substituido" se usa de modo indistinto con la frase "substituida o insustituida" o con el término "(no) substituido." Al menos que se indique otra cosa, un grupo opcionalmente substituido puede contar con un sustituyente en cada posición sustituible del grupo, y cada substitución es independiente de la otra.

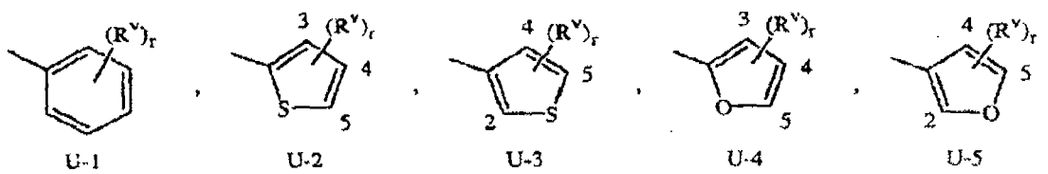
Cuando cada Q^1 es un anillo heterocíclico de 5-6 miembros que contiene nitrógeno, pueden unirse al resto de la Fórmula 1, a través de cualquier átomo anular de carbono o nitrógeno disponible, a menos que se describa otra cosa. Del mismo modo, cuando Q^2 o Q^3 son un heterociclo de 5 o 6 miembros que contiene nitrógeno, puede unirse a través de cualquier átomo de anillo de carbono o nitrógeno disponible, a menos que se describa otra cosa.

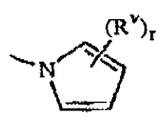
Conforme se observa anteriormente, Q^1, Q^2 o Q^3 pueden ser (entre otros) fenilo opcionalmente substituido con uno o

más sustituyentes, seleccionados a partir de un grupo de sustituyentes conforme se definen en Breve Descripción de la Invención. Un ejemplo de fenilo opcionalmente substituido con uno a cinco sustituyentes es el anillo ilustrado conforme U-I en Anexo 1, donde R^v son R^8 o R^9 conforme se define en Breve Descripción de la Invención para Q^1, Q^2 o Q^3 y, r es un número entero a partir de 0 a 5.

Conforme se observa anteriormente, Q^1, Q^2 o Q^3 pueden ser (entre otros), anillo heterocíclico de 5-6-miembros, que puede ser saturado o insaturado, opcionalmente substituido con uno o más sustituyentes, seleccionados a partir de un grupo de sustituyentes conforme se definen en Breve Descripción de la Invención. Ejemplos de anillo heterocíclico aromático insaturado de 5-6 miembros, opcionalmente substituido a partir de uno o más sustituyentes, incluyen los anillos U-2 a través de U-61 ilustrado en Anexo 1 donde cada R^v es cualquier sustituyente conforme se define en Breve Descripción de la Invención para Q^1, Q^2 o Q^3 (i.e. R^8 o R^9) y, r es un número entero con un valor entre 0 y 4.

Anexo 1

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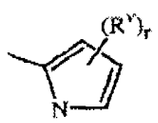
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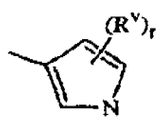
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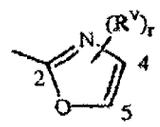
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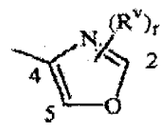
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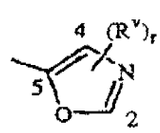
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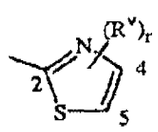
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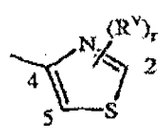
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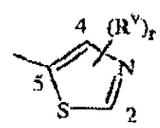
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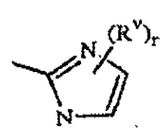
U-15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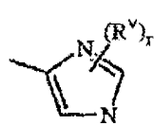
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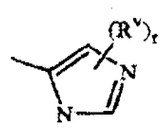
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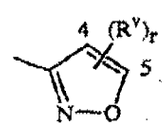
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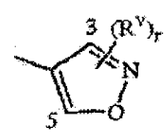
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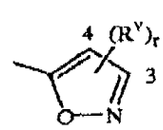
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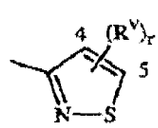
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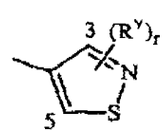
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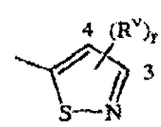
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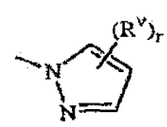
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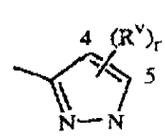
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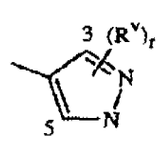
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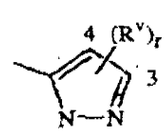
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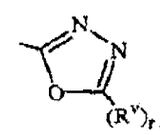
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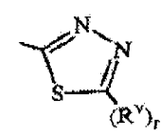
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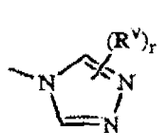
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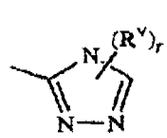
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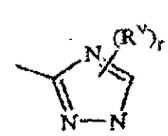
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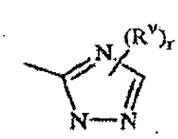
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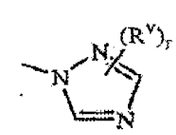
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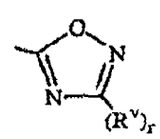
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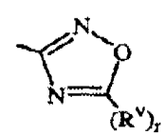
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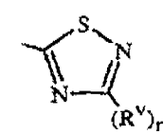
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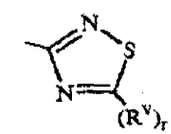
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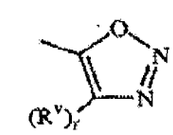
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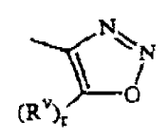
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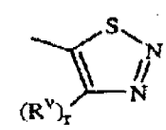
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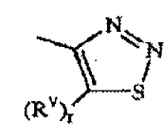
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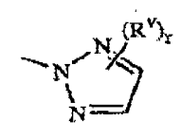
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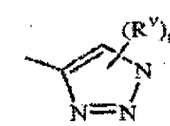
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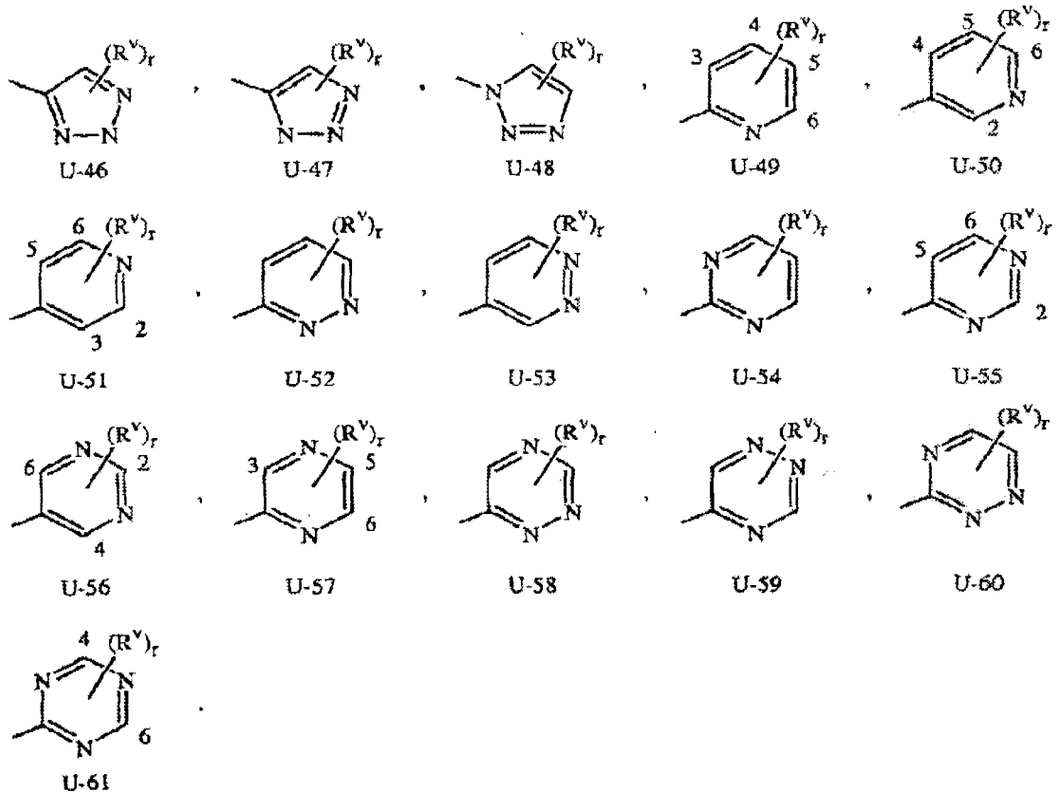


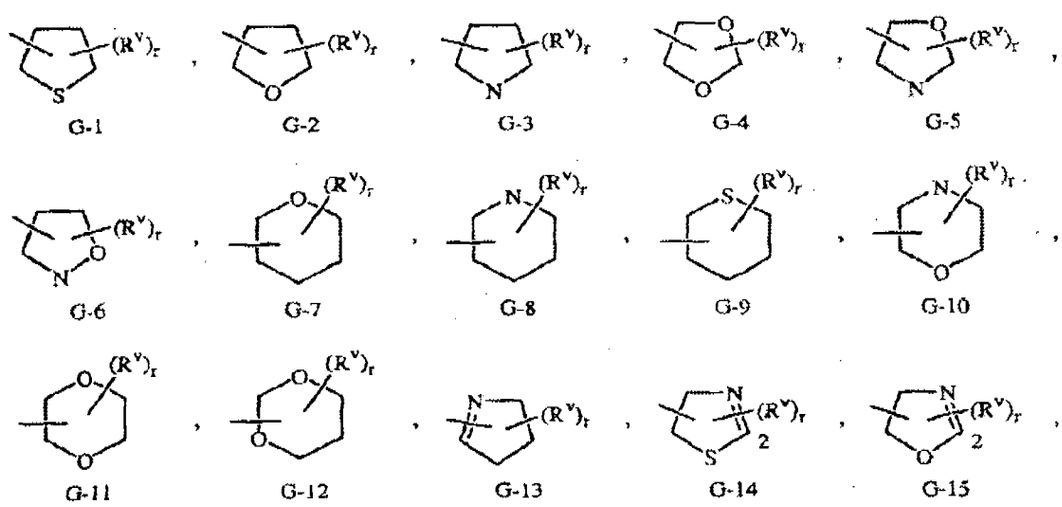
Observe que cuando Q^1, Q^2 o Q^3 son un anillo heterocíclico no aromático saturado o insaturado de 5-6 miembros opcionalmente substituidos con uno o más sustituyentes seleccionados a partir del grupo de sustituyentes conforme se definen en Breve Descripción de la Invención para Q^1, Q^2 o Q^3, uno o dos miembros anulares de carbono del heterociclo pueden estar opcionalmente en forma oxidada de una porción de carbonilo.

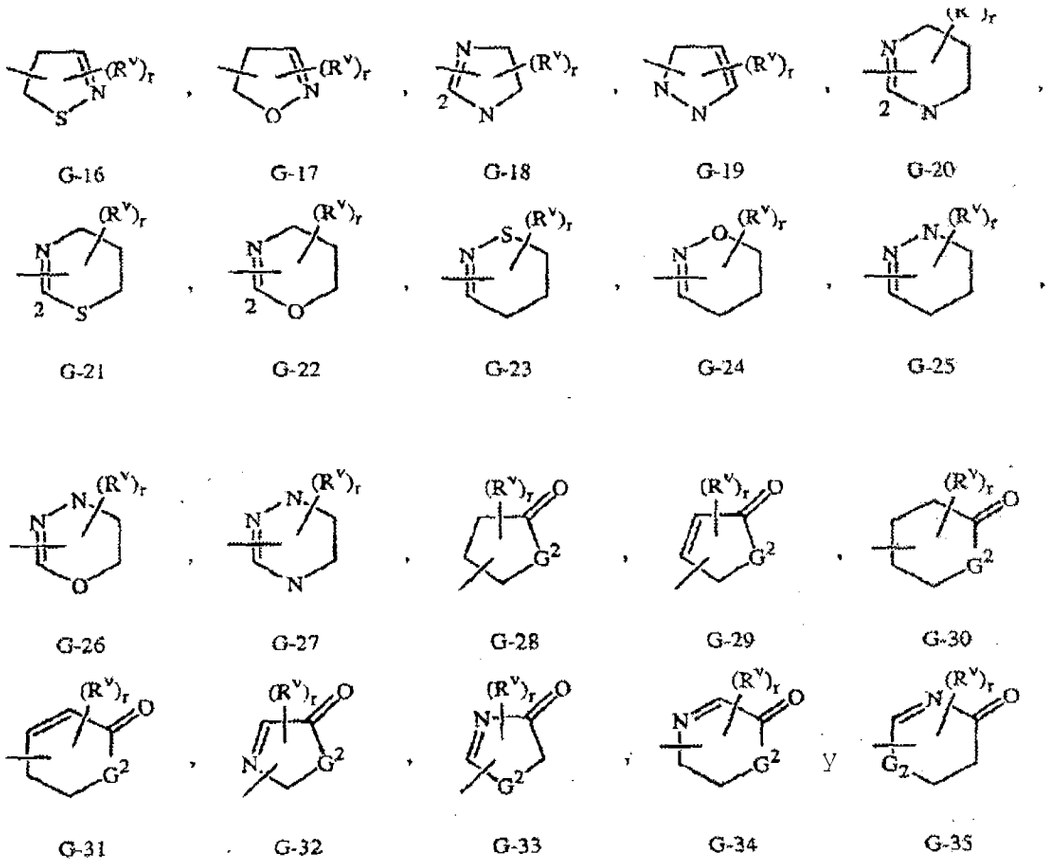
Los ejemplos de un anillo heterocíclico saturado o insaturado no aromático de 5-6 miembros incluyen anillos G-1 a G-35 conforme lo ilustrado en Anexo 2. Observe que cuando

el punto de unión en el grupo G se ilustra como flotante, el grupo G puede ser unido al resto de la Fórmula 1, a través de cualquier carbono o nitrógeno disponible del grupo G mediante el reemplazo de un átomo de hidrógeno. Sustituyentes opcionales pueden ser unidos a cualquier carbono o nitrógeno disponible sustituyendo un átomo de hidrógeno.

Observe que cuando Q^1, Q^2 o Q^3 comprenden un anillo, seleccionado a partir de G-28 a G-35, G^1 son seleccionados a partir de O, S o N. Observe que cuando G^1 es N, el átomo de nitrógeno puede completar su valencia por la substitución con H o con sustituyentes conforme son definidos en Breve Descripción de la Invención para Q^1, Q^2 o Q^3 (i.e. R^8 o R^9).

Anexo 2

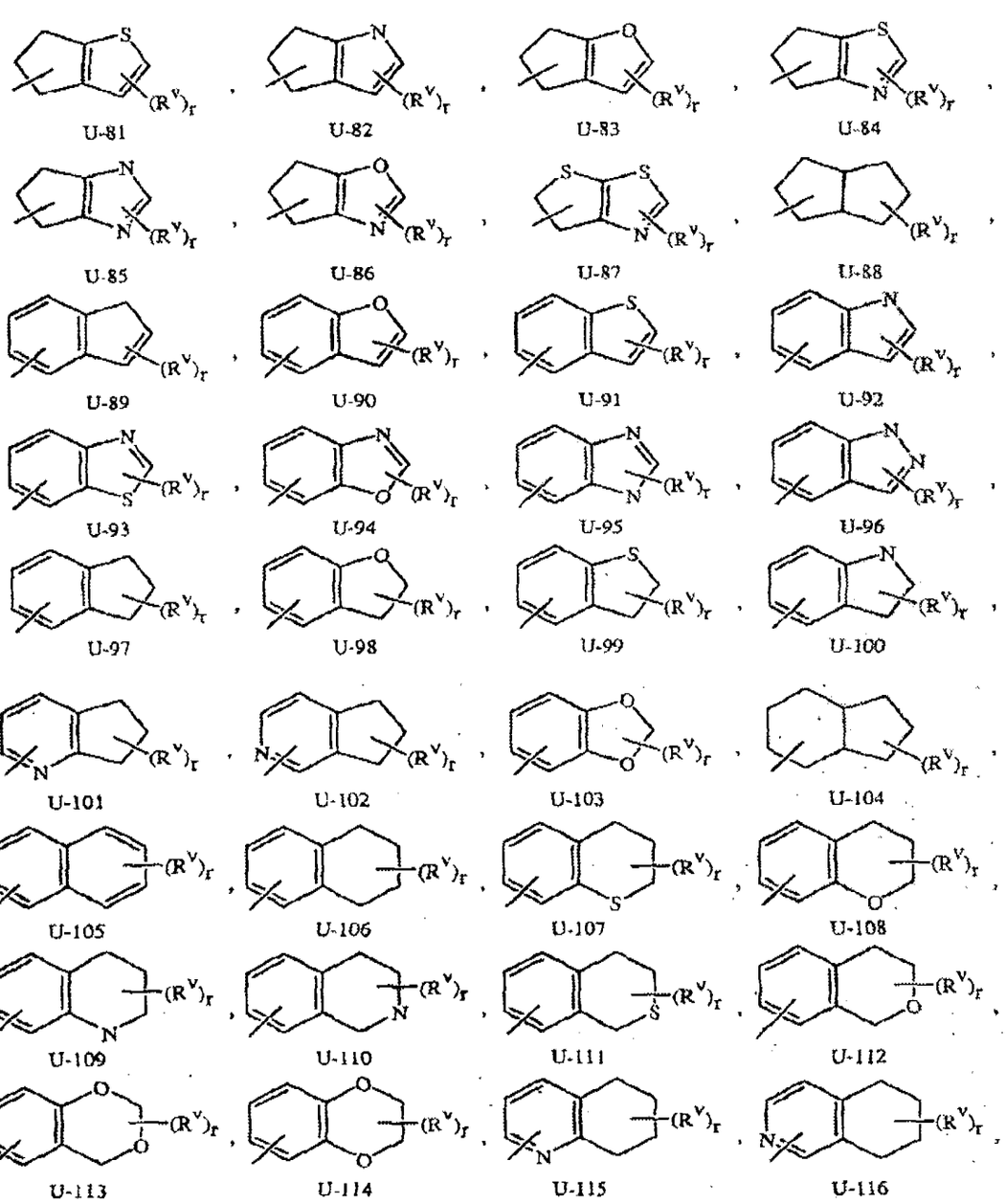


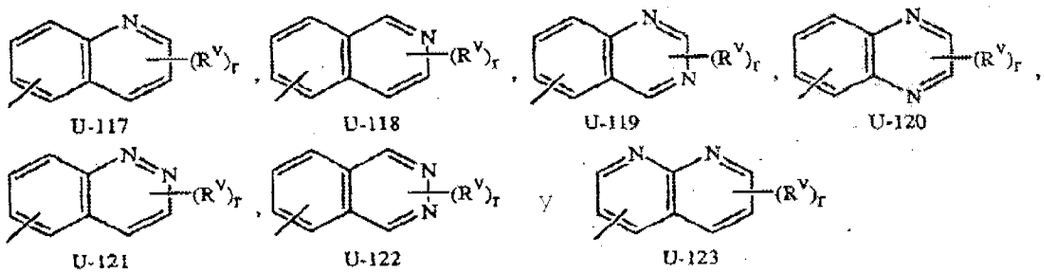


Conforme se observa anteriormente, Q puede ser (entre otros) un sistema de anillo bicíclico fusionado de 8-, 9-o 10 miembros opcionalmente substituido con uno o más sustituyentes, seleccionados a partir de un grupo de sustituyentes conforme están definidos en Breve Descripción de la Invención (i.e. R^6). Ejemplos de sistema de anillo bicíclico fusionado de 8-, 9-, o 10 miembros opcionalmente substituidos con a partir de uno o más sustituyentes incluyen el anillo U-81 a través de U-123 ilustrado en Anexo 3 donde R^v es cualquier sustituyente conforme se define en Breve

Descripción de la Invención para Q^- (i.e. R^8) y r son un número entero a partir de 0 a 4.

Anexo 3





Aunque los grupos R^v sean mostrados en las estructuras U-I a través de U-123, se puede observar que estos no necesitan estar presentes ya que estos son sustituyentes opcionales. Observe que cuando R^v es H cuando está unido a un átomo, esto es igual a como si el átomo fuera insustituido. Los átomos de nitrógeno que requieren que la substitución llene su valencia son substituidos con H o R^v. Observe que cuando el punto de unión entre $(R^v)_r$ y el grupo U es ilustrado como flotante, $(R^v)_r$ puede ser unido a cualquier átomo de carbono o átomo de nitrógeno disponible del grupo U. Observe que cuando el punto de unión en el grupo U se ilustra como flotante, el grupo U puede ser unido al resto de la Fórmula 1 a través del carbono o nitrógeno disponible del grupo U mediante el reemplazo de un átomo de hidrógeno. Observe que algunos grupos U sólo pueden ser substituidos con menos de 4 grupos R^v (p.ej, U-2 a través de U-5, U-7 a través de U-48, y U-52 a través de U-61).

Los compuestos de la invención pueden existir como uno o más estereoisómeros. Los diversos estereoisómeros se

incluyen enantiómeros, diastereómeros, atropisómeros e isómeros geométricos. El experto en la técnica aprecia que un estereoisómero puede ser más activo y/o puede exhibir efectos benéficos cuando se enriquece en relación con otros estereoisómeros o cuando se separa de los demás estereoisómeros. Además, el experto en la técnica sabe como separar, enriquecer y/o selectivamente preparar estos estereoisómeros. Estos compuestos o agentes incluidos en las mezclas y composiciones de la presente invención pueden estar presentes con una mezcla de estereoisómeros, estereoisómeros individuales o como una forma ópticamente activa.

Un experto en la técnica apreciará que no todos los anillos heterocíclicos que contienen nitrógeno pueden formar N-óxidos ya que nitrógeno requiere a un par solitario disponible para la oxidación al óxido; un experto en la técnica reconocerá aquellos anillos heterocíclicos que contienen nitrógeno que pueden formar N-óxidos. Un experto en la técnica también reconocerá que las aminas terciarias pueden formar N-óxidos. Los métodos sintéticos para la preparación de N-óxidos de heterociclos y aminas terciarias son muy conocidos por un experto en la técnica incluyendo la oxidación de heterociclos y aminas terciarias con peroxiácidos como peracético y ácido *m*-cloroperbenzoico (MCPBA, por sus siglas en ingles), peróxido de hidrógeno, hidroperóxidos de alquilo como hidroperóxido de *t*-butilo,

perborato de sodio, y dioxiranos como el dimetildioxirano. Estos métodos para la preparación de N-óxidos han sido exhaustivamente descritos y examinados en la literatura, ver por ejemplo: T. L. Gilchrist en *Comprehensive Organic Synthesis*, vol. 7, pp 748-750, S. V. Ley, Ed., Pergamon Press; M. Tisler y B. Stanovnik en *Comprehensive Heterocyclic Chemistry*, vol. 3, pp 18-20, A. J. Boulton y A. McKillop, Eds., Pergamon Press; M. R. Grimmett Y B. R. T. Keene en *Advances in Heterocyclic Chemistry*, vol. 43, pp 149-161, A. R. Katritzky, Ed., Academic Press; M-Tisler y B. Stanovnik en *Advances in Heterocyclic Chemistry*, vol. 9, pp 285-291, A. R. Katritzky y A. J. Boulton, Eds., Academic Press; Y G. W. H. Cheeseman Y E. S. G. Werstiuk en *Advances in Heterocyclic Chemistry*, vol. 22, pp 390-392, A. R. Katritzky y A. J. Boulton, Editores, Academic Press.

Las sales de los compuestos de la invención incluyen sales ácidas de adición con ácidos inorgánicos u orgánicos como ácido bromhídrico, clorhídrico, nítrico, fosfórico, sulfúrico, acético, butírico, fumárico, láctico, maléico, malónico, oxálico, propiónico, salicílico, tartárico, 4-toluensulfónico o valérico. Las sales de los compuestos de la invención también incluyen aquellos formados con bases orgánicas (p.ej, pirídina o trietilamina) o bases inorgánicas (p.ej, hidridos, hidróxidos, o carbonatos de sodio, potasio, litio, calcio, magnesio o bario) cuando el compuesto contiene

una porción ácida como cuando R^4 es alquilcarbonilo y R^5 es H.

En consecuencia, la presente invención comprende compuestos seleccionados a partir de la Fórmula 1, N-óxidos y sales agrícolamente adecuadas de eso.

Las modalidades de la presente invención como se describe en Breve Descripción de la Invención incluyen:

Modalidad 1. Un compuesto de la Fórmula 1 donde R^1 es alquilo C_1-C_3 opcionalmente substituido con uno o más sustituyentes indistintamente seleccionados a partir de R^6.

Modalidad 2. Un compuesto según la Modalidad 1 donde R^1 es alquilo C^1-C^3 opcionalmente substituido con halógeno.

Modalidad 3. Un compuesto según la Modalidad 2 donde R^1 es alquilo C_1-C_3 substituido con halógeno.

Modalidad 4. Un compuesto según la Modalidad 3 donde R^1 es alquilo C_1-C_3 substituido con F.

Modalidad 5. Un compuesto según la Modalidad 4 donde R^1 es alquilo C_1-C_3 completamente substituido con F.

Modalidad 6. Un compuesto según la Modalidad 5 donde R^1 es CF_3.

Modalidad 7. Un compuesto de la Fórmula 1 donde cada R^2 es indistintamente H, halógeno, haloalquilo de C_1-C_6, haloalcoxilo de C_1-C_4 o,-CN.

Modalidad 8. Un compuesto según la Modalidad 7 donde cada R^2 es indistintamente H, CF_3, OCF_3, halógeno o,-CN.

Modalidad 9. Un compuesto según la Modalidad 7 donde

cada R^2 es indistintamente halógeno o haloalquilo C_1-C_3.

Modalidad 10. Un compuesto de la Fórmula 1 donde cada R^3 es indistintamente H, halógeno, alquilo de C_1-C3, haloalquilo de C_1-C6, cicloalquilo de C_3-C6, halocicloalquilo de C_3-C6, alcoxilo de C_1-C_6, haloalcoxilo de C_1-C_6,-CN o,-NO_2.

Modalidad 11. Un compuesto según la Modalidad 10 donde cada R^3 es indistintamente H, alquilo de C_1-C_4, haloalquilo de C_1-C_4, cicloalquilo de C_3-C_6, alcoxilo de C_1-C_4 o,-CN.

Modalidad 12. Un compuesto según la Modalidad 11 donde cada R^3 es indistintamente H, alquilo de C_1-C_4 o,-CN.

Modalidad 13. Un compuesto según la Modalidad 12 donde cada R^3 es H.

Modalidad 14. Un compuesto de la Fórmula 1 donde R^4 es H, alquilo de C_1-C_6, alquilcarbonilo de C_2-C7 o alcoxicarbonilo C_2-C_7.

Modalidad 15. Un compuesto según la Modalidad 14 donde R^4 es H.

Modalidad 16. Un compuesto de la Fórmula 1 donde R^5 es H, OR^{15}, $NR^{14}R^{14}$ o Q^7; o alquilo de C_1-C_6, alquenilo de C_2-C_6, alquinilo de C_2-C_6, cicloalquilo de C_3-C_6, alquilcicloalquilo de C_4-C_7 o cicloalquilalquilo C_4-C_7, cada uno opcionalmente substituido con uno o más sustituyentes indistintamente seleccionados a partir de R^7.

Modalidad 17. Un compuesto según la Modalidad 16 donde R^5 es H; o alquilo de C_1-C_4, alquenilo de C_2-C_4, alquinilo de

C_2-C_4, cicloalquilo de C_3-C_7, alquilcicloalquilo de C_4-C_7 o cicloalquilalquilo C_4-C_7, cada uno opcionalmente substituido con uno o más sustituyentes indistintamente seleccionados a partir de R^7.

Modalidad 18. Un compuesto según la Modalidad 17 donde R^5 es H; o alquilo de C_1-C_4, opcionalmente substituido con uno o más sustituyentes indistintamente seleccionados a partir de R^7.

Modalidad 19. Un compuesto según la Modalidad 18 donde R es alquilo C_1-C_4, opcionalmente substituido con uno o más sustituyentes indistintamente seleccionados a partir de R^7.

Modalidad 20. Un compuesto según la Modalidad 19 donde R^5 es CH_2CF_3.

Modalidad 21. Un compuesto según la Modalidad 19 donde R^5 es el piridinilo CH_2-2-.

Modalidad 22. Un compuesto según la Modalidad 16 donde R^5 es OR^{10}, $NR^{11}R^{12}$ o Q^1.

Modalidad 23. Un compuesto según la Modalidad 22 donde R^5 es $NR^{11}R^{12}$.

Modalidad 24. Un compuesto según la Modalidad 22 donde R^5 es Q^1.

Modalidad 25. Un compuesto de la Fórmula 1 donde R^6 es halógeno.

Modalidad 26. Un compuesto de la Fórmula 1 donde cada R^7 es indistintamente halógeno, alquilo de C_1-C_4, alcoxilo de

C_1-C_4, alquiltiol de C_1-C_4, alquilsulfinilo de C_1-C_4, alquilsulfonilo de C_1-C_4, alquilcarbonilo de C_2-C_4, alcoxicarbonilo de C_2-C_4, alquilaminocarbonilo de C_2-C_5, haloalquilcarbonilo de C_2-C_5, haloalcoxicarbonilo de C_2-C_5, haloalquilaminocarbonilo de C_2-C_5, $-NH_2$, -CN o NO_2; o Q^2.

Modalidad 27. Un compuesto según la Modalidad 26 donde cada R^7 es indistintamente halógeno, alcoxicarbonilo de C_2-C_4, alquilaminocarbonilo de C_2-C_5, haloalcoxicarbonilo de C_2-C_5, haloalquilaminocarbonilo de C_2-C_5, $-NH_2$, -CN o $-NO_2$; o Q^2.

Modalidad 28. Un compuesto según la Modalidad 27 donde cada R^7 es indistintamente halógeno, alquilaminocarbonilo de C_2-C_5, haloalquilaminocarbonilo de C_2-C_5 o Q^2.

Modalidad 29. Un compuesto según la Modalidad 28 donde cada R^7 es indistintamente halógeno o Q^3.

Modalidad 30. Un compuesto según la Modalidad 29 donde cada R^7 es indistintamente F, Cl o Br.

Modalidad 31. Un compuesto según la Modalidad 30 donde cada R^7 es F.

Modalidad 32. Un compuesto según la Modalidad 29 donde cada R^7 es Q^2.

Modalidad 33. Un compuesto de la Fórmula 1 donde cada uno R^5 y R^6 es indistintamente halógeno, alquilo de C_1-C_4, haloalquilo de C_1-C_4 o -CN.

Modalidad 34. Un compuesto de la Fórmula 1 donde cada R^9 es halógeno, alquilo de C_1-C_4, haloalquilo de C_1-C_4, -CN,

fenilo o piridinilo.

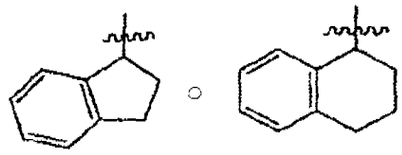
Modalidad 35. Un compuesto de la Fórmula 1 donde R^{10} es H; o alquilo de C_1-C_6, opcionalmente substituido con uno o más halógenos.

Modalidad 36. Un compuesto de la Fórmula 1 donde R^{11} es H, alquilo de C_1-C_6, alquilcarbonilo de C_2-C_7 o alcoxicarbonilo C_2-C_7.

Modalidad 37. Un compuesto según la Modalidad 34 donde R^{11} es H.

Modalidad 38. Un compuesto de la Fórmula 1 donde R^{12} es H o Q^3; o alquilo de C_1-C_4, opcionalmente substituido con uno o más sustituyentes indistintamente seleccionados a partir de R^7.

Modalidad 39. Un compuesto de la Fórmula 1 donde Q^1 es fenilo, piridinilo, tiazolilo,



cada uno opcionalmente substituido con uno o más sustituyentes indistintamente seleccionados a partir de R^8.

Modalidad 40. Un compuesto de la Fórmula 1 donde cada Q^2 es indistintamente fenilo, piridinilo o tiazolilo, cada uno opcionalmente substituido con uno o más sustituyentes indistintamente seleccionados a partir de R^9.

Modalidad 41. Un compuesto según la Modalidad 34 donde

cada Q^2 es indistintamente fenilo, piridinilo o tiazolilo.

Modalidad 42. Un compuesto de la Fórmula 1 donde Q^3 es fenilo, piridinilo o tiazolilo, cada uno opcionalmente substituido con uno o más sustituyentes indistintamente seleccionados a partir de R^9.

Modalidad 43. Un compuesto de la Fórmula 1 donde A^1, A^2, A^3, A^4, A^5 y A^6 son cada uno CR^3.

Modalidad 44. Un compuesto de la Fórmula 1 donde A^1 es N; y A^2, A^3, A^4, A^5 y A^6 son cada uno CR^3.

Modalidad 45. Un compuesto de la Fórmula 1 donde A^2 es N; y A^1, A^3, A^4, A^5 y A^6 son cada uno CR^3.

Modalidad 46. Un compuesto de la Fórmula 1 donde A^4 es N; y A^1, A^2, A^3, A^5 y A^6 son cada uno CR^3.

Modalidad 47. Un compuesto de la Fórmula 1 donde A^6 es N; y A^1, A^2, A^3, A^4 y A^5 son cada uno CR^3.

Modalidad 48. Un compuesto de la Fórmula 1 donde B^1, B^2 y B^3 es indistintamente CR^4.

Modalidad 49. Un compuesto según la Modalidad 48 donde B^2 es CH.

Modalidad 50. Un compuesto de la Fórmula 1 donde B^1 es N; y B^2 y B^3 son indistintamente CR^4.

Modalidad 51. Un compuesto de la Fórmula 1 donde B^2 es N; y B^1 y B^3 son indistintamente CR^4.

Modalidad 52. Un compuesto de la Fórmula 1 donde B^3 es CR^4; y B^1 y B^2 son N.

Modalidad 53. Un compuesto de la Fórmula 1 donde W es O.

Modalidad 54. Un compuesto de la Fórmula 1 donde n es 0.

Las modalidades de esta invención, incluyendo, Modalidades 1-54 y otras modalidades anteriores así como cualquieres descritas aquí, pueden ser combinadas en cualquier forma, y las descripciones de variables en las modalidades pertenecen no sólo a los compuestos de la Fórmula 1, sino también a los compuestos iniciales y compuestos intermediarios. Además, las modalidades de esta invención, incluyendo Modalidades 1-54 y otras modalidades anteriores así como cualquieres descritas aquí, y cualquier combinación de las mismas, pertenecen a las composiciones y métodos de la presente invención.

Las combinaciones de Modalidades 1-54 son ilustradas por:

Modalidad A. Un compuesto de la Fórmula 1 donde

R^1 es alquilo C_1-C_3 opcionalmente substituido con uno o más sustituyentes indistintamente seleccionados a partir de R^6;

cada R^2 es indistintamente H, halógeno, haloalquilo de C_1-C_6, haloalcoxilo de C_1-C_4 o -CN; y cada R^3 es indistintamente H, halógeno, alquilo de C_1-C_6, haloalquilo de C_1-C_6, cicloalquilo de C_3-C_6, halocicloalquilo de C_3-C_6,

alcoxilo de C_1-C_6, haloalcoxilo de C_1-C_6,-CN o,-NO_2.

Modalidad B. Un compuesto de la Modalidad A, donde

B^1, B^2 y B^3 son indistintamente CR^5;

W es O;

R^4 es H, alquilo de C_1-C6, alquilcarbonilo de C_2-C_7 o alcoxicarbonilo C_2-C_7; y

R^5 es H, $NRHR^{11}$ o Q^1; o alquilo de C_1-C_4, alquenilo de C_2-C_4, alquinilo de C_2-C_4, cicloalquilo de C_3-C_4, alquilcicloalquilo de C_4-C_7 o cicloalquilalquilo C_4-C_7, cada uno opcionalmente substituido con uno o más sustituyentes indistintamente seleccionados a partir de R^7.

Modalidad C. Un compuesto según la Modalidad B donde

R^1 es alquilo C_1-C_3 opcionalmente substituido con halógeno;

cada R^2 es indistintamente H, CF_3, OCF_3, halógeno o,-CN;

cada R^3 es indistintamente H, alquilo de C_1-C_4, haloalquilo de C_1-C_2, ciclopropilo de C_3-C_4, alcoxilo de C_1-C_2 o -CN; y

cada R^7 es indistintamente halógeno, alquilo de C_1-C_4, alcoxilo de C_1-C_4, alquiltiol de C_1-C_4, alquilsulfimilo de C_1-C_4, alquilsulfonilo de C_1-C_4, alquilcarbonilo de C_2-C_4, alcoxicarbonilo de C_2-C_4, alquilaminocarbonilo de C_2-C_5, haloalquilcarbonilo de C_2-C_5, haloalcoxicarbonilo de C_2-C_5, aminocarbonilo de haloalquilo de C_2-C_5,-NH_2,-CN o,-NO_2; o, Q^2.

Modalidad D. Un compuesto según la Modalidad C donde

R^4 es H;

R^5 es alquilo C_1-C_4 opcionalmente substituido con uno o más sustituyentes indistintamente seleccionados a partir de R^7;

cada R^7 es indistintamente halógeno o Q^2; y

cada Q^2 es indistintamente fenilo, piridinilo o tiazolilo.

Modalidad E. Un compuesto según la Modalidad D donde

R^1 es CF_3;

A^1, A^2, A^3, A^4, A^5 y A^6 son cada uno CR^3; B^2 son CR^2; y cada R^3 es indistintamente H, alquilo de C_1-C_4 o, -CN.

Modalidad F. Un compuesto según la Modalidad E donde

B^2 es CH;

cada R^2 es indistintamente halógeno o haloalquilo C_1-C_3;

R^3 es H;

R^6 es CH_2CF_3 o piridinilo CH_2-2-; y

n es 0.

Las modalidades específicas incluyen compuestos de la Fórmula I seleccionados a partir del grupo que comprende:

4-[5-(3,5-diclorofenil)-4,5-dihidro-5-(trifluorometil)-3-isoxazolil]-N-(2,2,2-trifluoroetil)-1-naftalencarboxamida,

4-[5-(3,5-diclorofenil)-4,5-dihidro-5-(trifluorometil)-3-isoxazolil]-N-(2-piridinilmetil)-1-naftalencarboxamida,

4-[5-(3,5-diclorofenil)-4,5-dihidro-5-(trifluorometil)-3-isoxazolil]-N-(2-piridinilmetil)-1-naftalenecarbotioamida,

4-[5-(3,5-diclorofenil)-4,5-dihidro-5-(trifluorometil)-3-isoxazolil]-N-etil-1-naftalencarboxamida,

4-[5-(3,5-diclorofenil)-4,5-dihidro-5-(trifluorometil)-3-isoxazolil]-N-(2-metoxietil)-1-naftalencarboxamida,

4-[5-(3,5-diclorofenil)-4,5-dihidro-5-(trifluorometil)-3-isoxazolil]-N-[2-(2,2,2-trifluoroetil)-2-oxoetil]-1-naftalencarboxamida,

5-[5-(3,5-diclorofenil)-4,5-dihidro-5-trifluorometil)-5-isoxazolil]-N-(2-piridinilmetil)-8-quinolincarboxamida,

5-[5-(3,5-diclorofenil)-5-dihidro-5-trifluorometil-5-isoxazolil]-N-(2-piridinilmetil)-8-isoquinolinecarboxamida, y

1-[5-(3,5-diclorofenil)-4,5-dihidro-5-(trifluorometil)-3-isoxazolil]-

N-(2-piridinilmetil)-4-isoquinolinecarboxamida.

Son importantes las modalidades específicas que incluyen compuestos de la Fórmula I seleccionados del grupo que comprende:

4-[5-(3,5-diclorofenil)-4,5-dihidro-5-(trifluorometil)-3-isoxazolil]-N-(2,2,2-trifluoroetil)-1-naftalencarboxamida,

4-[5-(3,5-diclorofenil)-4,5-dihidro-5-(trifluorometil)-3-isoxazolil]-N-(2-piridinilmetil)-1-naftalencarboxamida,

4-[5-(3,5-diclorofenil)-4,5-dihidro-5-(trifluorometil)-3-isoxazolil]-N-(2-pyridinilmetil)-1-naftalenecarbotioamida,

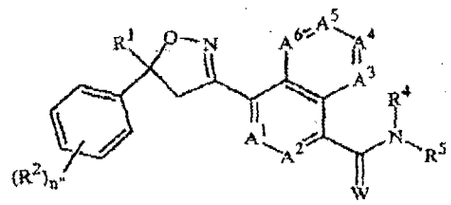
4-[5-(3,5-diclorofenil)-4,5-dihidro-5-(trifluorometil)-5-isoxazolil]-N-(2-piridinilmetil)-8-quinolinecarboxamida,

1-[5-(3,5-diclorofenil)-4,5-dihidro-5-(trifluorometi)-5-isoxazolil]-N-(2-pyridinilmeti])-8-isoquinolinecarboxamida, y

1-[5-(3,5-diclorofenil)-4,5-dihidro-5-(trifluorometil)-3-isoxazolil]-N-(2-piridinilmetil)-4-isoquinolinecarboxamida.

Además, las modalidades específicas incluyen la cualquier combinación de los compuestos de la Fórmula 1 seleccionada a partir del grupo inmediatamente anterior. Las modalidades de la presente invención, además incluyen:

Modalidad AA. Un compuesto de la Fórmula **1q**, N-óxido, o una sal del mismo,



1q

en donde

A^1, A^2, A^3, A^4, A^5 y A^6 son indistintamente seleccionados a partir del grupo que comprende CR^3 y N, a condición de que como máximo 3 de A^1, A^2, A^3, A^4, A^5 y A^6 sean N; W es O o S;

R^1 es alquilo C_1-C_6, alquenilo de C_2-C_6, alquinilo de C_2-C_6, cicloalquilo de C_3-C_7, alquilcicloalquilo de C_4-C_7 o cicloalquilalquilo C_4-C_7, cada uno opcionalmente substituido con uno o más sustituyentes indistintamente seleccionados a partir de R^7;

cada R^2 es indistintamente H, halógeno, alquilo de C_1-

C_6, haloalquilo de C_1-C_6, alcoxilo de C_1-C_6, haloalcoxilo de C_1-C_6, alquiltiol de C_1-C_6, haloalquiltiol de C_1-C_6, alquilsulfinilo de C_1-C_6, haloalquilsulfinilo de C_1-C_6, alquilsulfonilo de C_1-C_6, haloalquilsulfonilo de C_{1-C6}, alquilamino de C_1-C_6, amino de dialquilo de C_2-C_6, alcoxicarbonilo de C_2-C_4, -CN o, $-NO_2$;

cada R^3 es indistintamente H, halógeno, alquilo de C_1-C_6, haloalquilo de C_1-C_6, cicloalquilo de C_3-C_6, halocicloalquilo de C_3-C_6, alcoxilo de C_1-C_6, haloalcoxilo de C_1-C_6, alquiltiol de C_1-C_6, haloalquiltiol de C_1-C_6, alquilsulfinilo de C_1-C_6, haloalquilsulfinilo de C_1-C_6, alquilsulfonilo de C_1-C_6, haloalquilsulfonilo de C_1-C_6, alquilamino de C_1-C_4, dialquilamino de C_2-C_8, -CN o, $-NO_2$;

R^4 es H, alquilo de C_1-C_6, alquenilo de C_2-C_6, alquinilo de C_2-C_6, cicloalquilo de C_3-C_6, alquilcicloalquilo de C_4-C_7, cicloalquilalquilo de C_4-C_7, alquilcarbonilo de C_2-C_7 o alcoxicarbonilo C_2-C_7;

R^5 es H, $OR^{5a}O$, $NR^{5a}R^{5b}$ o Q^1; o alquilo de C_1-C_6, alquenilo de C_2-C_6, alquinilo de C_2-C_6, cicloalquilo de C_3-C_6, alquilcicloalquilo de C_4-C_7 o cicloalquilalquilo C_4-C_7, cada uno opcionalmente substituido con uno o más sustituyentes indistintamente seleccionados a partir de R^7; o

R^4 y R^5 son considerados conjuntamente con nitrógeno a que estos son unidos para formar un anillo que contiene 2 a 6 átomos de carbono y opcionalmente un átomo adicional,

seleccionado a partir del grupo que comprende N, S y O, el anillo opcionalmente substituido con 1 a 4 sustituyentes indistintamente, seleccionado a partir del grupo que comprende alquilo de C_1-C_2, halógeno, -CN, -NO_2 y alcoxilo C_1-C_2;

cada R^c es indistintamente halógeno, alquilo de C_1-C_6, alcoxilo de C_1-C_6, alquiltiol de C_1-C_6, alquilsulfinilo de C_1-C_6, alquilsulfonilo de C_1-C_6, -CN o, -NO_2;

cada R^d es indistintamente halógeno, alquilo de C_1-C_6, alcoxilo de C_1-C_6, alquiltiol de C_1-C_6, alquilsulfinilo de C_1-C_6, alquilsulfonilo de C_1-C_6, alquilamino de C_1-C_6, dialquilamino de C_2-C_6, cicloalquilamino de C_3-C_6, alquilcarbonilo de C_2-C_7, alcoxicarbonilo de C_2-C_7, alquilaminocarbonilo de C_2-C_7, dialquilaminocarbonilo de C_3-C_8, haloalquilcarbonilo de C_2-C_7, haloalcoxicarbonilo de C_2-C_7, haloalquilaminocarbonilo de C_2-C_7, halodialquilaminocarbonilo de C_3-C_8, hidroxilo, -NH_2, -CN o, -NO_2; o Q^4;

cada R^5 es indistintamente halógeno, alcoxilo de C_1-C_6, haloalcoxilo de C_1-C_6, alquiltiol de C_1-C_6, haloalquiltiol de C_1-C_6, alquilsulfinilo de C_1-C_6, haloalquilsulfinilo de C_1-C_6, alquilsulfonilo de C_1-C_6, haloalquilsulfonilo de C_1-C_6, alquilamino de C_1-C_6, dialquilamino de C_2-C_6, alcoxicarbonilo de C_2-C_6, -CN o, -NO_2;

cada R^6 es indistintamente halógeno, alquilo de C_1-C_6, haloalquilo de C_1-C_6, cicloalquilo de C_3-C_6, halocicloalquilo de C_3-C_6, alcoxilo de C_1-C_6, haloalcoxilo de C_1-C_6, alquiltiol

de C_1-C_6, haloalquiltiol de C_1-C_6, alquilsulfinilo de C_1-C_6, haloalquilsulfinilo de C_1-C_6, alquilsulfonilo de C_1-C_6, C_1-C_6 haloalquilsulfonilo, alquilamino de C_1-C_6, dialquilamino de C_2-C_6,-CN,-NO_2, fenilo o piridinilo;

R^{10} es H; o alquilo de C_1-C_6, alquenilo de C_2-C_6, alquinilo de C_2-C_6, cicloalquilo de C_3-C_6, alquilcicloalquilo de C_4-C_7 o alquilo de cicloalquilo C_4-C_7, cada uno opcionalmente substituido con uno o más halógenos;

R^{11} son H, alquilo de C_1-C_6, alquenilo de C_2-C_6, alquinilo de C_2-C_6, cicloalquilo de C_3-C_6, alquilcicloalquilo de C_4-C_7, cicloalquilalquilo de C_4-C_7, alquilcarbonilo de C_2-C_7 o alcoxicarbonilo C_2-C_7;

R^{12} son H; Q^3; o alquilo de C_1-C_6, alquenilo de C_2-C_6, alquinilo de C_2-C_6, cicloalquilo de C_3-C_6, alquilcicloalquilo de C_4-C_7 o cicloalquilalquilo C_4-C_7, cada uno opcionalmente substituido con uno o más sustituyentes indistintamente seleccionados a partir de R^7; o

R^{11} y R^{12} son considerados conjuntamente con el nitrógeno al que estos son unidos para formar un anillo que contiene 2 a 6 átomos de carbono y opcionalmente un átomo adicional, seleccionado a partir del grupo que comprende N, S y O, el anillo opcionalmente substituido con 1 a 4 sustituyentes, indistintamente seleccionados a partir del grupo que comprende alquilo de C_1-C_2, halógeno,-CN,-NO_2 y alcoxilo C_1-C_2;

Q^1 es un anillo de fenilo, un anillo heterocíclico de 5-6 miembros, o un sistema de anillo bicíclico fusionado de 8-, 9-o 10-miembros que opcionalmente contiene uno a tres heteroátomos, seleccionados a partir de hasta 1 O, hasta 1 S y hasta 3 N, cada anillo o sistema de anillo opcionalmente substituido con uno o más sustituyentes indistintamente seleccionados a partir de R^8;

cada Q^2 es indistintamente un anillo de fenilo o un anillo heterocíclico de 5-6 miembros, cada anillo opcionalmente substituido con uno o más sustituyentes indistintamente seleccionados a partir de R^8;

Q^3 es un anillo de fenilo o un anillo heterocíclico de 5-6 miembros, cada anillo opcionalmente substituido con uno o más sustituyentes indistintamente seleccionados a partir de R^9; y, n" es 1, 2, 3, 4 o 5.

De importancia es que los compuestos de esta invención son caracterizados por favorables patrones residuales metabólicos y/o de suelo y muestran actividad controlando un espectro de plagas de invertebrados agronómicas y no agronómicas.

De importancia particular, por motivos del espectro de control de plagas de invertebrados e importancia económica, la protección de cosechas agronómicas a partir del daño o lesión causada por plagas de invertebrados, al controlar plagas de invertebrados, son modalidades de la invención. Los

compuestos de esta invención, debido a sus propiedades de desplazamiento favorables o sistemicidad en plantas, también protegen las hojas u otras partes vegetales que no se ponen directamente en contacto con un compuesto de la Fórmula 1 o una composición que comprende el compuesto.

También es significativo, conforme a las modalidades de la presente invención, composiciones que comprenden un compuesto de cualquiera de las Modalidades precedentes así como otras modalidades cualquieres descritas aquí, y combinaciones de eso, y al menos un componente adicional, seleccionado a partir del grupo que comprende surfactante, diluyente sólido y un diluyente líquido, las composiciones opcionalmente además, comprenden al menos un compuesto o agente adicional biológicamente activo.

También es notable que las modalidades son composiciones de la presente invención para controlar una plaga de invertebrados, que comprenden una cantidad biológicamente efectiva de un compuesto de cualquiera de las Modalidades precedentes descritas aquí y cualquiera de sus combinaciones, y al menos un componente adicional seleccionado del grupo que comprende un surfactante, un diluyente sólido y un diluyente líquido, las composiciones comprenden además opcionalmente, una cantidad efectiva de al menos un compuesto o agente biológicamente activo. Las Modalidades de la invención además incluyen métodos para

controlar una plaga de invertebrados que comprende poner en contacto la plaga de invertebrado o su ambiente con una cantidad biológicamente efectiva de una mezcla de cualquiera de las Modalidades precedentes (por ejemplo, como una composición como se describe aquí).

Las modalidades de la invención también incluyen una composición que comprende un compuesto de cualquiera de las Modalidades precedentes, en forma de una formulación líquida de aplicación al suelo. Las modalidades de la invención, además incluyen métodos para controlar la plaga de invertebrados que comprende contactar el suelo con una composición líquida conforme una aplicación al suelo que comprende una cantidad biológicamente efectiva de un compuesto de cualquiera de las Modalidades precedentes.

Las modalidades de la invención también incluyen una composición en aerosol para controlar una plaga de invertebrados que comprende una cantidad biológicamente efectiva de un compuesto de cualquiera de las Modalidades precedentes y un propulsor. Las modalidades de la invención, además incluyen una composición de cebo para controlar una plaga de invertebrados que comprende una cantidad biológicamente efectiva de un compuesto de cualquiera de las Modalidades precedentes, uno o más materiales alimenticios, opcionalmente un atrayente, y opcionalmente un humectante. Las modalidades de la invención también incluyen un

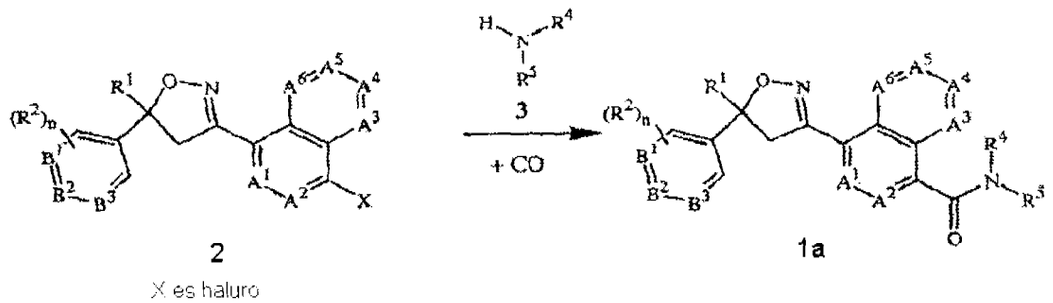
dispositivo para controlar una plaga de invertebrados que comprende la composición de cebo y un alojamiento adaptado para recibir la composición de cebo, donde el alojamiento tiene al menos una abertura dimensionada para permitirle a la plaga de invertebrados pasar por la abertura de modo que la plaga de invertebrados pueda obtener acceso a la composición de cebo a partir de una ubicación fuera del alojamiento, y donde el alojamiento está, además adaptado para ser colocado en o cerca de un lugar con actividad potencial o conocida de la plaga de invertebrados.

Uno o más de los siguientes métodos y variaciones como se describen en el Esquemas de Reacción 1-12 pueden utilizarse para preparar los compuestos de la Fórmula **1**. Las definiciones de R^1, R^2, R^4, R^5, A^1, A^2, A^3, A^4, A^5, A^6, B^1, B^2, B^3, n y W en los compuestos de Fórmulas **1-15** siguientes están como se define anteriormente en Breve Descripción de la Invención al menos que se indique otra cosa. Los compuestos de las Fórmulas 1a y **1b** son subconjuntos de los compuestos de la Fórmula **1**, los compuestos de Fórmulas **12a-12C** son subconjuntos de los compuestos de la Fórmula **12**, y el compuesto de la Fórmula **15a** es un compuesto de la Fórmula **15**.

Los compuestos de la Fórmula **1a** (Fórmula 1 donde W son O) pueden estar preparados por la aminocarbonilación de bromuros o ioduros de arilo de la Fórmula 2 donde X es Br o I, con compuestos de amino apropiadamente substituidos de la

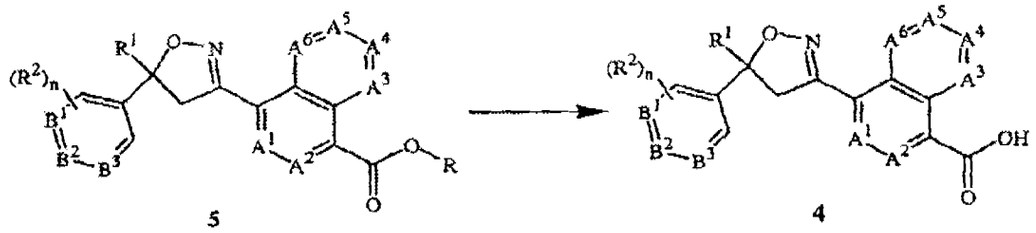
Fórmula 3 como se muestra en el Esquema de Reacción 1.

Esquema de Reacción 1



Esta reacción es comunmente realizada con un bromuro de arilo de la Fórmula 2 donde X es Br en la presencia de un catalizador de paladio bajo la atmósfera de CO. Los catalizadores de paladio usados para el método presente comunmente comprenden paladio en un estado de oxidación formal ya sea de 0 (i.e. Pd (0)) o, 2 (i.e. Pd (II)). Una amplia variedad de estos compuestos y complejos que contienen paladio son útiles como catalizadores para el método presente. Los ejemplos de compuestos y complejos que contienen paladio como catalizadores en el método de Esquema de Reacción 1 incluyen $PdCl_2(PPh3)_2$ (bis(trifenilfosfin)paladio (II) dicloruro), $Pd(PPh_3)_4$ (tetracis(trifenilfosfin)paladio (0)), $Pd(C_5H_7O_2)_2$(paladio(II) acetil-acetonato), $Pd_2(dba)_3$ (tris(dibencilidenacetona)dipaladio (0)), y [1,1'-bis(difenil-phosphin)ferrocen]dicloropaladio(II). El método

Esquema de Reacción 4

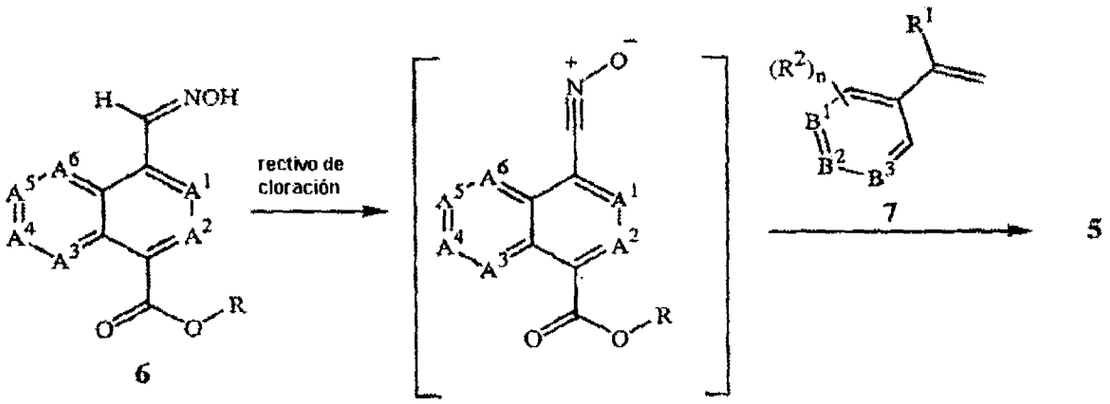


5 4

En este método, el compuesto de éster de la Fórmula 5 es convertido al ácido carboxílico correspondiente de la Fórmula 4 por procedimientos generales conocidos en la técnica. Por ejemplo, el tratamiento de un metilo o el éster de etilo de la Fórmula 5 con el hidróxido de litio acuoso en el tetrahidrofurano, seguido de la acidificación, producen el ácido carboxílico correspondiente de la Fórmula 4. El método de Esquema de Reacción 4 se ilustra en la Etapa D del Ejemplo 1.

Los compuestos de la Fórmula 5 pueden estar preparados por la cicloadición 1,3-dipolar de estirenos de la Fórmula 7 con óxidos de nitrilo derivados a partir de las oximas de la Fórmula 6, como se muestra en el Esquema de Reacción 5.

Esquema de Reacción 5



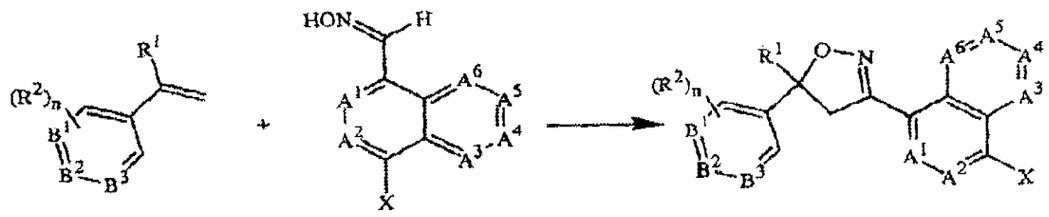
6 7 5

Esta reacción comúnmente se lleva a cabo a través de la mediación de un cloruro de hidroxamilo generado in situ, que se deshidroclora al óxido de nitrilo, que entonces se somete a la cicloadición 1,3-dipolar con el estireno 7 para proporcionar compuestos de la Fórmula 5. En un procedimiento típico, un reactivo de cloración, como hipoclorito de sodio, N-clorosuccinimida, o cloramina-T es combinado con la oxima en la presencia del estireno. Según condiciones, bases de amina como la piridina o la trietilamina pueden ser necesarias para facilitar la reacción de dehidrocloración. La reacción puede ser funcionada en una amplia variedad de solventes incluyendo tetrahidrofurano, éter de dietilo, cloruro de metileno, dioxano, y tolueno con temperaturas que abarcan desde temperatura ambiente a la temperatura de reflujo del solvente. Los procedimientos generales para la cicloadición de óxidos de nitrilo con olefinas están bien documentados en la literatura química; por ejemplo, ver Sotavento, Síntesis, 1982, 6, 508-509; Kanemasa et al., *Tetraedro*, 2000, 56, 1057-1064; EP 1,538,138 A1, así como referencias citadas. El método de Esquema de Reacción 4 se ilustra en la Etapa C del Ejemplo 1.

Los compuestos de la Fórmula 2 también pueden estar preparados por la cicloadición 1,3-dipolar de estirenos de la Fórmula 7 con óxidos de nitrilo derivados a partir de las oximas de la Fórmula 8, como se muestra en el Esquema de Reacción 6.

50

Esquema de Reacción 6

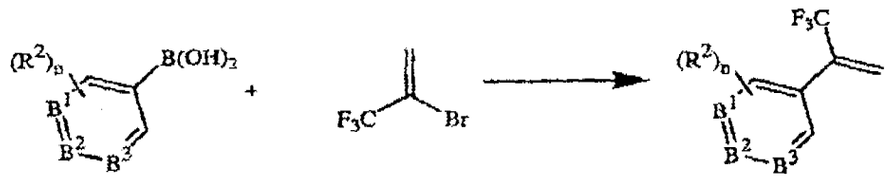


7 8 2

En el método del Esquema de Reacción 6, los compuestos de la Fórmula 2 donde X es un átomo de halógeno, son generados poniendo en contacto el compuesto de la Fórmula 8 con un reactivo de cloración y luego añadiendo un compuesto de la Fórmula 7. El método de Esquema de Reacción 6 es llevado a cabo análogamente al método de Esquema de Reacción 5 ya descrito. El método de Esquema de Reacción 6 se ilustra en la Etapa B del Ejemplo 2, Etapa D del Ejemplo 4 y Etapa C del Ejemplo 5.

Un grupo sobre todo útil de estirenos para la síntesis de compuestos de la Fórmula 1 es representado por la Fórmula 7a como se muestra en el Esquema de Reacción 7. Estos intermediarios pueden estar preparados por el acoplamiento catalizado por el paladio de unos ácidos de aril-borónicos de la Fórmula 9 con 2-bromo-3,3,3-trifluoropropeno comercialmente disponible (Fórmula 10). Los procedimientos generales para esta reacción son documentados en la literatura química; ver Pan et al., *J. Fluorine Chemistry*, 1999, 95, 167-170. El método de Esquema de Reacción 7 se ilustra en la Etapa B del Ejemplo 1.

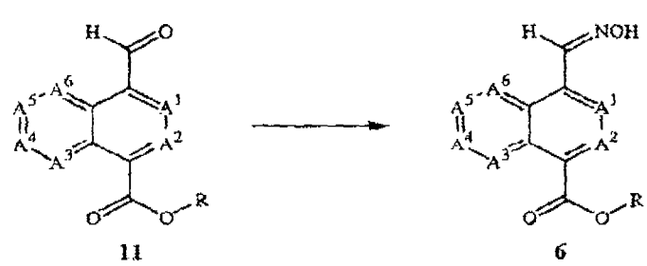
Esquema de Reacción 7



9 **10** **7a**

Las oximas de la Fórmula 6 pueden estar preparadas por la reacción de aldehídos 11 con la hidroxilamina como se muestra en el Esquema de Reacción 8. Por ejemplo, ver, H. K. Jung et al. *Bioorg. Med. Chem.* 2004, 12, 3965. Los aldehídos de la Fórmula 11 pueden estar preparados por una amplia variedad de métodos conocidos en la técnica; algunos de los aldehídos son conocidos compuestos o comercialmente disponibles. Por ejemplo, la preparación del compuesto de la Fórmula 11 donde A^1, A^2, A^3, A^4, A^5 y A^6 son CH y R^2 es I, son descritos por P. Madenson et al. *J. Med. Chem.* 2002, 45, 5755. El método de Esquema de Reacción 8 se ilustra en la Etapa A del Ejemplo 1.

Esquema de Reacción 8



11 **6**

Como se muestra en el Esquema de Reacción 9, las oximas de la Fórmula 8, donde X es un átomo de halógeno, pueden estar preparadas a partir de los aldehídos correspondientes de la Fórmula 12 análoga al método de Esquema de Reacción 8. El método de Esquema de Reacción 9 se ilustra en la Etapa A del Ejemplo 2, Etapa C del Ejemplo 4 y Etapa B del Ejemplo 5.

Esquema de Reacción 9

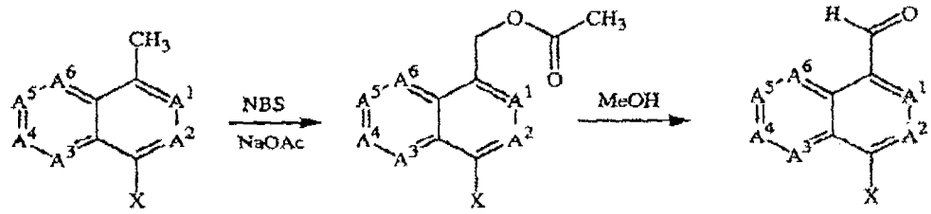
12 **8**

Los compuestos de la Fórmula 12 son compuestos comercialmente disponibles o conocidos, o estos pueden estar preparados por una amplia variedad de métodos conocidos en la técnica. Por ejemplo, un compuesto de la Fórmula 12 puede estar preparado por la formilación directa de los haluros de arilo correspondientes, ver G. E. Boswell et al. *J. Org. Chem.* 1995, 65, 6592; o por la reducción de los ésteres de arilo correspondientes, ver referencias P. R. Bernstein et al. *Bioorg. Med. Chem.* Lett. 2001, 2769 y L. W. Deady et al. *Aust. J. Chem.* 1989, 42, 1029.

Para un ejemplo específico, como se muestra en el Esquema de Reacción 10, los aldehídos de la Fórmula 12 pueden

estar preparados a partir de los compuestos de sustituidos con metilo correspondientes de la Fórmula 13 (donde X es halógeno) reaccionando con la N-bromosuccinimida (NBS) en la presencia de 2,2'-azobis(2-metilpropionitrilo) (AIBN) y acetato de sodio para proporcionar acetatos de la Fórmula 14, que son convertidos entonces a los aldehídos de la Fórmula 12 por esterificación y oxidación. El método de Esquema de Reacción 10 se ilustra en el Ejemplo 4, Etapas A y B.

Esquema de Reacción 10



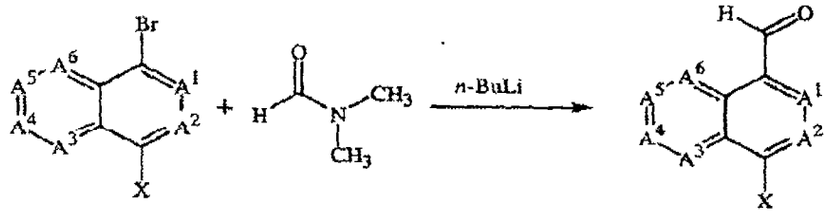
13 **14** **12**

Los compuestos de la Fórmula 13 son compuestos comercialmente disponibles o conocidos, o estos pueden estar preparados por una amplia variedad de métodos conocidos en la técnica. Por ejemplo, un compuesto de la Fórmula 13, donde A^3 es N, A^1, A^2, A^4, A^5 y A^6 son CH, puede estar preparado conforme se describe en *Molecules*, **2004**, 9, 178.

Un método alternativo para preparar aldehídos de la Fórmula 12 (donde X es un átomo de halógeno) se muestra en el Esquema de Reacción 11. El grupo de formilo de la Fórmula 12

puede ser presentado en el sistema de anillo aromático de 10 miembros desplazando un sustituyente bromo de un compuesto de la Fórmula 15. Para referencias de este método general, ver *Synthesis*, 2006, 293 y *Bioorg. Med. Chem.* **2004**, 12, 715. El método de Esquema de Reacción 11 se ilustra en la Etapa A del Ejemplo 5.

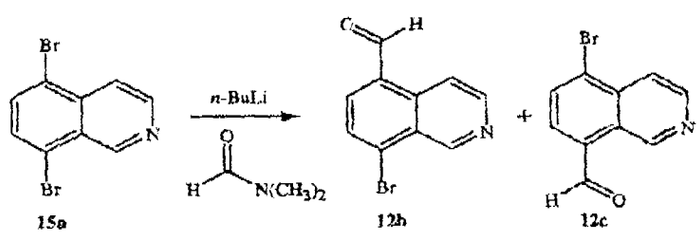
Esquema de Reacción 11



15 **12**

Como se muestra en el Esquema de Reacción 12, los aldehídos de las Fórmulas 12b y 12c pueden estar preparados a partir de 5,8-dibromoisoquinolina (Fórmula 15a) tratando el compuesto de la Fórmula 15a con n-butoxilo a -78 °C y extinguiendo la reacción con N, N-dimetilformamida.

Esquema de Reacción 12



15a **12b** **12c**

El compuesto de la Fórmula 15a puede estar preparado por el método descrito en *Synthesis*, **2002**, 83; ver, por ejemplo, o por el método de G. E. Boswell et al. *J. Org. Chem.* **1995**, 65, 6592. Alternativamente, los aldehídos de arilo de la Fórmula **12** pueden estar preparados por una amplia variedad de otros métodos conocidos en la técnica, p.ej, por la reducción de los ésteres de arilo correspondientes, ver referencias P. R. Bernstein et al. *Bioorg. Med. Chem. Letón.* **2001**, 2769 y L. W. Deady et al. Aust. *J. Chem.* **1989**, 42, 1029.

Se reconoce que algunos de los reactivos y condiciones de reacción descritas anteriormente para preparar compuestos de la Fórmula I pueden no ser compatibles con ciertas funcionalidades presentes en los intermediarios. En estos casos, la incorporación de secuencias de protección/desprotección o interconversiones de grupo funcionales hacia la síntesis ayudará en la obtención de los productos deseados. El uso y la opción de los grupos de protección serán evidentes a un experto en la síntesis química (ver, por ejemplo, a Greene, T. W.; Wuts, P. G. M *Protective Groups in Organic Synthesis*, 2a ed; Wiley: Nueva York, 1991). Un experto en la técnica reconocerá que, en algunas casos, después de la introducción de un reactivo dado, conforme es representado en cualquier esquema de reacción individual, puede ser necesario llevar a cabo etapas

sintéticas rutinarias adicionales no descritas detalladamente para completar la síntesis de compuestos de la Fórmula 1. Un experto en la técnica también reconocerá que puede ser necesario llevar a cabo una combinación de las etapas ilustradas en esquemas de reacción anteriores en una orden distinta, esto está implicado por la secuencia particular presente para preparar los compuestos de la Fórmula 1.

Un experto en la técnica también reconocerá que los compuestos de la Fórmula 1 y los intermediarios descritos aquí pueden ser sometidos a varias reacciones de electrófilas, nucleófilas, de radicales, organometálicas y de oxidación y reducción para añadir sustituyentes o modificar sustituyentes existentes

Sin mayor elaboración, se piensa que un experto en la técnica, usando la descripción precedente, puede utilizar la presente invención hasta su alcance más completo. Los siguientes Ejemplos deben estar, por lo tanto, interpretados como simplemente ilustrativos, y no limitar de la descripción de ninguna forma en absoluto. Los espectros de ^{1}RMN son indicados en ppm en desplazamiento a campo más bajo a partir de tetrametilsilano; el "s" significa singlete, "d" doblete, "t" triplete, "m" multiplete, "dd" doblete de dobletes, y "br s" significa singlete amplio.

EJEMPLO 1

Preparación de 4-[5-(3,5-diclorofenil)-4,5-dihidro-5-(trifluorometil)-3-isoxazolil]-*N*-(2,2,2-trifluoroetil)-1-naftalencarboxamida

Paso A: Preparación de 4-[(hidroxiimino)metil]-1-naftalencarboxilato de metilo

A una solución agitada de 4-formil-1-naftalencarboxilato de metilo (2.2 g, 10.3 mmol) en metanol (50 ml), se le agrega una solución de hidroxilamina (1.33 ml, 50% en agua). Luego de agitarse a temperatura ambiente durante un periodo de 2 horas, la mezcla de reacción se concentra a presión reducida para proporcionar el compuesto del título como un sólido amarillo claro (2.55 g).

RMN-^{1}H ($CDCl_3$): 8.93 (d, 1H), 8.86 (s, 1H), 8.41 (d, 1H), 8.14 (d, 1H), 7.82 (d, 1H), 7.63 (m, 2H), 4.02 (s, 3H).

Paso B: Preparación de 1,3-dicloro-5-[1-(trimetilfluorometil)etenil]benceno

A una mezcla de tetrahidrofurano (33 ml), 1,2-dimetoxietano (33 ml) y 4 N hidróxido de potasio acuoso (33 ml) en un tubo sellado Fisher-Porter con capacidad de 200 ml, se le agrega ácido 3,5-diclorofenilborónico (8.72 g, 45.7 mmol) y 2-bromo-3,3,3-trifluoropropeno (10.0 g, 57.2 mmol), seguido de la adición de tetracis(trifenilfosfino)paladio (0) (264 mg, 0.229 mmol). Luego la mezcla se calienta a 75°C

durante 3 horas. La mezcla de reacción se divide entre éter dietílico y agua. El extracto acuoso se lava con éter dietílico (2 x 20 ml). Los extractos orgánicos se combinan, se secan ($MgSO_4$) y se concentran a presión reducida. El residuo se purifica mediante cromatografía sobre gel de sílice utilizando hexanos/acetato de etilo como eluyente para proporcionar el compuesto del título como un aceite transparente (4.421 g).

RMN-^{1}H ($CDCl_3$): d 7.41 (s, 2H), 7.33 (s, 1H), 6.04 (d, 1H), 5.82 (d, 1H).

Paso C: Preparación de 4-[5-(3,5-diclorofenil)-4,5-dihidro-5-(trifluorometil)-3-isoxazolil]-1-naftalencarboxilato de metilo

A una solución agitada de 4-[(hidroxiimino)metil]-1-naftalencarboxilato de metilo (es decir, el producto del Paso A) (1.0 g, 4.36 mmol) en *N,N*-dimetilformamida (5.0 ml) se le agrega *N*-clorosuccinimida (1.16 g, 8.72 mmol). Esta mezcla se agita durante un periodo de 1.5 horas a temperatura ambiente, y luego se le agrega una solución de 1,3-dicloro-5-[1-(trifluorometil)etenil]benceno (es decir, el producto del Paso B) (3.20 g, 13.1 mmol) y trietilamina (6.1 ml, 43.6 mmol) en *N,N*-dimetilformamida (4.0 ml). Luego de agitarse durante 2 horas adicionales a temperatura ambiente, la mezcla de reacción se diluye con agua y se extrae con acetato de

etilo. La capa orgánica se lava con salmuera, se seca (Na_2SO_4) y se concentra a presión reducida. El residuo se purifica mediante cromatografía sobre gel de sílice utilizando hexanos/acetato de etilo como eluyente para producir el compuesto del título como un aceite amarillo claro (700 mg, 34% de producción).

RMN-^{1}H ($CDCl_3$): 8.88 (d, 1H), 8.80 (d, 1H), 8.10 (d, 1H), 7.68 (m, 2H), 7.55 (m, 3H), 7.46 (dd, 1H), 4.27 (d, 1H), 4.03 (s, 3H), 3.91 (d, 1H).

Paso D: Preparación de ácido 4-[5-(3,5-diclorofenil)-4,5-dihidro-5-(trifluorometil)-3-isoxazolil]-1-naftalencarboxílico

A una solución agitada de 4-[5-(3,5-diclorofenil)-4,5-dihidro-5-(trifluorometil)-3-isoxazolil]-1-naftalencarboxilato de metilo (es decir, el producto del Paso C) (650 mg, 1.39 mmol) en tetrahidrofurano (10 ml) se le agrega una solución de hidróxido de litio monohidratado (350 mg, 8.34 mmol) en agua (10 ml), seguido de metanol (10 ml). La mezcla resultante se agita durante la noche a temperatura ambiente. La mezcla de reacción se divide entre agua y éter dietílico. Luego, la capa acuosa se acidifica con 6 N ácido clorhídrico acuoso a un pH 2 y se extrae con acetato de etilo. Las capas orgánicas combinadas se lavan con salmuera, se secan y se concentran para proporcionar el compuesto del

título como un sólido color blanco (450 mg).

RMN-^{1}H ($CDCl_3$); 9.08 (d, 1H), 8.80 (d, 1H), 8.31 (d, 1H), 7.71 (m, 2H), 7.57 (m, 3H), 7.46 (dd, 1H), 4.28 (d, 1H), 3.91 (d, 1H).

Paso E: Preparación de 4-[5-(3,5-diclorofenil)-4,5-dihidro-5-(trifluorometil)-3-isoxazolil]-*N*-(2,2,2-trifluoroetil)-1-naftalencarboxamida

Se agita a temperatura ambiente durante la noche una mezcla de ácido 4-[5-(3,5-diclorofenil)-4,5-dihidro-5-(trifluorometil)-3-isoxazolil]-1-naftalencarboxílico (es decir, el producto del Paso C) (190 mg, 0.42 mmol), 4-(dimetilamino)piridina (77 mg, 0.63 mmol), anhidro propilfosfónico (0.38 ml, 0.63 mmol, 50% en acetato etílico) y 2,2,2-trifluoroetilamina (0.033 ml, 0.42 ml) en diclorometano (5 ml). La mezcla de reacción se concentra y el residuo se purifica mediante cromatografía en columna sobre gel de sílice utilizando hexanos/acetato de etilo como eluyente para proporcionar el producto del título, un compuesto de la presente invención, a manera de un sólido color blanco (71 mg).

RMN-^{1}H ($CDCl_3$); 8.78 (d, 1H), 8.18 (d, 1H), 7.63 (m, 2H), 7.56 (m, 2H), 7.52 (d, 1H), 7.46 (m, 1H), 7.44 (d, 1H), 6.41 (t, 1H), 4.23 (d, 1H), 4.20 (m, 2H), 3.87 (d, 1H).

EJEMPLO 2

Preparación de 4-[5-(3,5-diclorofenil)-4,5-dihidro-5-(trifluorometil)-3-isoxazolil]-*N*-(2-piridinilmetil)-1-naftalencarboxamida

Paso A: Preparación de oxima de 4-bromo-1-naftalencarboxilato

A una solución agitada de 4-bromo-1-naftalencarboxaldehído (3.7 g, 15.7 mmol) en etanol (30 ml), se le agrega una solución acuosa de hidroxilamina (1.25 ml, 50% en agua). Luego de agitarse a temperatura ambiente durante un periodo de 3 horas, la mezcla de reacción se concentra a presión reducida para proporcionar el compuesto del título como un sólido amarillo claro (3.8 g).

RMN-^{1}H (DMSO-d_6): 11.60 (s, 1H), 8.81 (s, 1H), 8.71 (d, 1H), 8.24 (d, 1H), 7.95 (d, 1H), 7.74 (m, 3H).

Paso B: Preparación de 3-(4-bromo-1-naftalenil)-5-(3,5-diclorofenil)-4,5-dihidro-5-(trifluorometil)isoxazol

A una solución agitada de oxima de 4-bromo-1-naftalencarboxilato (es decir, el producto del Paso A) (2.33 g, 9.3 mmol) en *N*,*N*-dimetilformamida (6.0 ml) se le agregan *N*-clorosuccinimida(1.70 g, 12.7 mmol). La mezcla de reacción se agita durante 1 hora a temperatura ambiente, y luego se le agrega una solución de 1,3-dicloro-5-[1-(trifluorometil)etenil]benceno (es decir, el producto del Paso B del Ejemplo **1**) (2.70 g, 11.2 mmol) y trietilamina (4.5

ml, 32.0 mmol) en *N*,*N*-dimetilformamida (9.0 ml). Luego de agitarse durante 2 horas adicionales a temperatura ambiente, la mezcla de reacción se diluye con agua y se extrae con acetato de etilo. La capa orgánica se lava con salmuera, se seca (Na_2SO_4) y se concentra a presión reducida. El residuo se purifica mediante cromatografía en columnas sobre gel de sílice utilizando hexanos/acetato de etilo como eluyente para proporcionar el compuesto del título como un sólido color blanco (2.9 g, 64% de producción).

RMN-^{1}H ($CDCl_3$): 8.87 (m, 1H), 8.32 (m, 1H), 7.77 (d, 1H), 7.66 (m, 2H), 7.55 (s, 2H), 7.46 (dd, 1H), 7.32 (d, 1H), 4.24 (d, 1H), 3.88 (d, 3H).

Paso C: Preparación de 4-[5-(3,5-diclorofenil)-4,5-dihidro-5-(trifluorometil)-3-isoxazolil]-*N*-(2-piridinilmetil)-1-naftalencarboxamida

Se purga con monóxido de carbono durante un periodo de 15 minutos, una mezcla de 3-(4-bromo-1-naftalenil)-5-(3,5-diclorofenil)-4,5-dihidro-5-(trifluorometil) isoxazol (es decir, el producto del Paso B) (1.0 g, 2.04 mmol), [1,1'-bis(difenilfosfino)ferrocen]dicloropaladio(II) ($PdCl_2$(dppf)) (0.22 g, 0.30 mmol), 2-(aminometil)piridina (0.86 g, 7.96 mmol) y trietilamina (5.6 ml, 40 mmol) en tolueno (15 ml). Luego, el vial de reacción se mantiene con monóxido de carbono utilizando un matraz esférico. La mezcla de reacción se agita a una temperatura de 70°C en una atmósfera de

monóxido de carbono durante la noche. La mezcla se enfría a temperatura ambiente, se filtra a través de una pequeña almohadilla de un dispositivo filtrador de diatomita Celite® y se enjuaga con una pequeña cantidad de acetato etílico. El producto filtrado se concentra y el residuo se purifica mediante cromatografía en columnas sobre gel de sílice utilizando hexanos/acetato de etilo como eluyente para proporcionar el producto del título, un compuesto de la presente invención, como un sólido color blanco (0.72 g, 65% de producción).

RMN-^{1}H ($CDCl_3$): 8.81 (d, 1H), 8.55 (d, 1H), 8.38 (d, 1H), 7.80-7.27 (m, 10H), 4.89 (d, 2H), 4.22 (d, 1H), 3.86 (d, 1H).

EJEMPLO 3

Preparación de 4-[5-(3,5-diclorofenil)-4,5-dihidro-5-(trifluorometil)-3-isoxazolil]-*N*-(2-piridinilmetil)-1-naftalencarbotioamida

Se calienta en reflujo durante un periodo de 2 horas, una mezcla de 4-[5-(3,5-diclorofenil)-4,5-dihidro-5-(trifluorometil)-3-isoxazolil]-*N*-(2-piridinilmetil)-1-naftalencarboxamida (es decir, el producto del Ejemplo 2) (40 mg, 0.073 mmol) y 2,5-bis(4-metoxifenil)-1,3-ditia-2,4-difosfetano-2,4-disulfido (reactivo de Lawesson) (18 mg, 0.044 mmol) en tolueno (2 ml). La mezcla de reacción se enfría a temperatura ambiente y se purifica directamente mediante cromatografía en columnas sobre gel de sílice

utilizando hexanos/acetato de etilo como eluyente para proporcionar el producto del título, un compuesto de la presente invención, a manera de un sólido color amarillo (29 mg, 71% de producción).

RMN-^{1}H ($CDCl_3$): 9.41 (br s 1H), 8.91 (dd, 1H), 8.70 (dd, 1H), 8.46 (d, 1H), 8.21 (d, 1H), 7.75 (dt, 1H), 7.64 (d, 1H), 7.57 (s, 2H), 7.47 (dd, 1H), 7.43 (t, 1H), 7.38 (d, 1H), 7.24 (dd, 1H), 5.14 (d, 2H), 4.68 (d, 1H), 4.39 (d, 1H).

EJEMPLO 4

Preparación de 5-[5-(3,5-diclorofenil)-4,5-dihidro-5-(trifluorometil)-3-isoxazolil]-*N*-(2-piridinilmetil)-8-quinolincarboxamida

Paso A: Preparación de acetato de (8-bromo-5-quinolinil)metilo

Se calienta en reflujo durante un periodo de 3 horas en una atmósfera de nitrógeno, una mezcla de 8-bromo-5-metilquinolina (5.4 g, 24.3 mmol), *N*-bromosuccinimida (5.2 g, 29.2 mmol) y 2,2'-azobis(2-metilpropionitrilo) (AIBN) (0.40 g, 24.3 mmol) en tetracloruro de carbono (80 ml). La mezcla de reacción se enfría a temperatura ambiente y se filtra, utilizando hexano para enjuagar. El producto filtrado se concentra a presión reducida. El residuo se disuelve en *N,N*-dimetilformamida (50 ml) y luego se agrega acetato de sodio (4.0 g, 48.8 mmol). La mezcla resultante se agita a una temperatura de 100°C durante un periodo de 2 horas en una

atmósfera de nitrógeno. La mezcla de reacción se enfría a temperatura ambiente, se diluye con agua y se extrae con una mezcla de acetato de etilo y hexano (3:7). La capa orgánica se lava con salmuera, se seca (Na_2SO_4) y se concentra a presión reducida. El producto crudo se purifica mediante cromatografía en columnas sobre gel de sílice utilizando hexanos/acetato de etilo como eluyente para producir el producto del título como un sólido color amarillo claro (4.8 g).

Paso B: Preparación de 8-bromo-5-quinolincarboxaldehído

Una mezcla de acetato de (8-bromo-5-quinolinil)metilo (es decir, el producto del Paso A) y metanol (50 ml) se calienta en reflujo durante un periodo de 1 hora en presencia de una cantidad traza de carbonato potásico (10 mg). La mezcla de reacción se enfría a temperatura ambiente y se concentra a presión reducida para proporcionar el alcohol correspondiente en una producción cuantitativa en forma de un sólido color amarillo claro.

A una solución agitada de alcohol crudo (2.0 g, 8.3 mmol) en diclorometano (60 ml) se le agrega lentamente 1,1,1-tris(acetoxi)-1,1-dihidro-1,2-benciodoxol-3(1*H*)-ona (periodinano de Dess-Martin) (4.0 g, 9.4 mmol) a temperatura ambiente. Luego de agitarse durante un periodo de 0.5 horas, la mezcla de reacción se diluye con diclorometano, se lava con bicarbonato de sodio acuoso saturado y salmuera, se seca

(Na_2SO_4) y se concentra a presión reducida. El producto crudo se purifica mediante cromatografía en columnas sobre gel de sílice utilizando hexanos/acetato de etilo como eluyente para producir el producto del título a manera de un sólido color blanco (1.8 g).

RMN-^{1}H ($CDCl_3$): 10.31 (s, 1H), 9.65 (dd, 1H), 9.12 (dd, 1H), 8.26 (d, 1H), 7.88 (d, 1H), 7.66 (dd, 1H).

Paso C: Preparación de oxima de 8-bromo-5-quinolincarboxaldehído

A una solución agitada de 8-bromo-5-quinolincarboxaldehído (es decir, el producto del Paso B) (1.7 g, 7.1 mmol) en etanol (30 ml), se le agrega una solución acuosa de hidroxilamina (0.7 ml, 50% en agua). Luego de agitarse a temperatura ambiente durante 2 horas, la mezcla de reacción se concentra a presión reducida para proporcionar el compuesto del título a manera de un sólido color amarillo claro (1.8 g).

RMN-^{1}H (DMSO-d_6): 11.61 (s, 1H), 9.16 (dd, 1H), 9.07 (dd, 1H), 8.79 (s, 1H), 8.20 (d, 1H), 7.79 (d, 1H), 7.72 (dd, 1H).

Paso D: Preparación de 8-bromo-5-[5-(3,5-diclorofenil)-4,5-dihidro-5-(trifluorometil)-3-isoxazolil]quinolina

A una solución agitada de oxima de 8-bromo-5-quinolincarboxaldehído (es decir, el producto del Paso C) (1.7 g, 6.8 mmol) en *N*,*N*-dimetilformamida (13.0 ml), se le agrega *N*-clorosuccinimida (1.24 g, 9.3 mmol). La mezcla de

reacción se agita durante un periodo de 1 hora a temperatura ambiente, y luego se le agrega una solución de 1,3-dicloro-5-[1-(trifluorometil)etenil]benceno (es decir, el producto a partir del Ejemplo 1, Paso B) (1.96 g, 8.1 mmol) y trietilamina (2.86 ml, 20.4 mmol) en *N,N*-dimetilformamida (7.0 ml). Luego de agitarse durante un periodo adicional de 12 horas a temperatura ambiente, la mezcla de reacción se diluye con agua y se extrae con acetato de etilo. La capa orgánica se lava con salmuera, se seca (Na_2SO_4) y se concentra a presión reducida. El residuo se purifica mediante cromatografía en columna sobre gel de sílice utilizando hexanos/acetato de etilo como eluyente para producir el compuesto del título como un sólido color blanco (2.0 g, 61% de producción).

RMN-^{1}H ($CDCl_3$): 9.39 (dd, 1H), 9.08 (dd, 1H), 8.05 (d, 1H), 7.59 (dd, 1H), 7.55 (s, 2H), 7.44 (t, 1H), 7.40 (d, 1H), 4.27 (d, 1H), 3.92 (d, 1H).

Paso E: Preparación de 5-[5-(3,5-diclorofenil)-4,5-dihidro-5-(trifluorometil)-3-isoxazolil]-*N*-(2-piridinilmetil)-8-quinolincarboxamida

Se purga con monóxido de carbono durante un periodo de 15 minutos una mezcla de 8-bromo-5-[5-(3,5-diclorofenil)-4,5-dihidro-5-(trifluorometil)-3-isoxazolil]quinolina (es decir, el producto del Paso D) (500 mg, 1.0 mmol), [1,1'-bis(difenilfosfino)ferroceno]dicloropaladio (II)

($PdCl_2(dppf)$) (75 mg, 0.10 mmol), 2-(aminometil)piridina (0.43 ml, 4.0 mmol) y trietilamina (2.8 ml, 20 mmol) en tolueno (10 ml). Luego se mantiene el vial de reacción con monóxido de carbono utilizando un matraz esférico. La mezcla de reacción se agita a una temperatura de 70°C en una atmósfera de monóxido de carbono durante la noche. La mezcla se enfría a temperatura ambiente, se filtra a través de una pequeña almohadilla de un dispositivo de filtro de diatomita Celite® y se enjuaga con una pequeña cantidad de acetato de etilo. El producto filtrado se concentra y el residuo se purifica mediante cromatografía en columna sobre gel de sílice utilizando hexanos/acetato de etilo como eluyente para proporcionar el producto del título, un compuesto de la presente invención, a manera de un sólido espumoso color café (60 mg, 11% de producción).

RMN-^{1}H ($CDCl_3$): 12.02 (br s 1H), 9.52 (d, 1H), 9.01 (s, 1H), 8.88 (d, 1H), 8.62 (d, 1H), 7.60-7.74 (m, 3H), 7.56 (s, 2H), 7.45 (br s 2H), 7.20 (dd, 1H), 4.96 (d, 2H), 4.32 (d, 1H), 3.98 (d, 1H).

EJEMPLO 5

Preparación de 5-[5-(3,5-diclorofenil)-4,5-dihidro-5-(trifluorometil)-3-isoxazolil]-*N*-(2-piridinilmetil)-8-isoquinolincarboxamida

Paso A: Preparación de 8-bromo-5-isoquinolincarboxaldehído y 5-bromo-8-isoquinolincarboxaldehído

A una mezcla agitada de 5,8-dibromoisoquinolina

(4.0 g, 13.9 mmol) en tetrahidrofurano (120 ml) a una temperatura de -78°C en una atmósfera de nitrógeno se le agrega gota a gota una solución de *n*-butillitio (2.3 M en hexano, 7.3 ml, 16.8 mmol). La mezcla de reacción se oscurece. Luego de agitarse durante 15 minutos, la mezcla de reacción se extingue al agregar *N,N*-dimetilformamida (4.0 ml). Luego de agitarse a -78°C durante 1 hora adicional, la mezcla de reacción se extingue con agua, se extrae con una mezcla de acetato de etilo/hexano (2:8), se lava con agua y salmuera, se seca (Na_2SO_4) y se concentra a presión reducida. El residuo se purifica mediante cromatografía en columna sobre gel de sílice utilizando hexanos/acetato de etilo como eluyente para proporcionar 8-bromo-5-isoquinolincarboxaldehído (0.10 g), seguido de 5-bromo-8-isoquinolincarboxaldehído (1.0 g) como un sólido color blanco.

RMN-^{1}H ($CDCl_3$) de 8-bromo-5-isoquinolincarboxaldehído: 10.36 (s, 1H), 9.72 (s, 1H), 9.00 (d, 1H), 8.79 (d, 1H), 8.04 (d, 1H), 8.01 (dd, 1H); y

RMN-^{1}H ($CDCl_3$) de 5-bromo-8-isoquinolincarboxaldehído: 10.57 (s, 1H), 10.41 (s, 1H), 8.81 (d, 1H), 8.18 (d, 1H), 8.11 (d, 1H), 7.94 (d, 1H).

Paso B: Preparación de oxima de 8-bromo-5-isoquinolincarboxaldehído

A una solución agitada de 8-bromo-5-isoquinolincarboxaldehído (es decir, el producto del Paso A)

(75 mg, 0.3 mmol) en etanol (7 ml) se le agrega una solución acuosa de hidroxilamina (0.5 ml, 50% en agua). Luego de agitarse a temperatura ambiente durante la noche, la mezcla de reacción se concentra a presión reducida para proporcionar el compuesto del título como un sólido color amarillo (70 mg).

RMN-^{1}H (DMSO-d_6): 11.75 (s, 1H), 9.55 (s, 1H), 8.78 (s, 1H), 8.71 (d, 1H), 8.59 (d, 1H), 8.07 (d, 1H), 7.96 (d, 1H).

Paso C: Preparación de 8-bromo-5-[5-(3,5-diclorofenil)-4,5-dihidro-5-(trifluorometil)-3-isoxazolil]isoquinolina

A una solución agitada de oxima de 8-bromo-5-isoquinolincarboxaldehído (es decir, el producto del Paso B) (70 mg, 0.28 mmol) en *N*,*N*-dimetilformamida (2.0 ml) se le agrega *N*-clorosuccinimida (64 g, 0.48 mmol). La mezcla de reacción se agita durante un periodo de 0.5 horas a temperatura ambiente, y luego se le agrega una solución de 1,3-dicloro-5-[1-(trifluorometil)etenil]benceno (135 mg, 0.56 mmol) (es decir, el producto del Ejemplo 1, Paso B) y trietilamina (0.12 ml, 0.86 mmol) en *N*,*N*-dimetilformamida (1.5 ml). Luego de agitarse durante un periodo adicional de 12 horas a temperatura ambiente, la mezcla de reacción se diluye con agua y se extrae con acetato etílico. La capa orgánica se lava con salmuera, se seca (Na_2SO_4) y se concentra a presión reducida. El residuo se purifica mediante cromatografía en columna sobre gel de sílice utilizando hexanos/acetato de etilo como eluyente para producir el