

PETITORIO

Industria y Comercio
SUPERINTENDENCIA

02 083309 00

SUPERINDUSTRIA Y COMERCIO

Radicación : 02043309 00000000 Folios: 694
Esp Fecha (AMB): 2002-09-17 16:50:49
Tramite : 011 PCT-PATENT 379 FASE HACI 411 PRESENTAC
Dependencia: 2020 DIVISION DE NUEVAS CREACIONESFORMULARIO ÚNICO DE SOLICITUD DE PATENTE
PCT
ENTRADA EN FASE NACIONAL

①

SOLICITUD DE :

☒

Patente de Invención

☐

Patente de Modelo de Utilidad

☐

Capítulo I.

☒

Capítulo II.

②

SOLICITANTE
(71)

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

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

Nacionalidad o Domicilio: ESTADOUNIDENSE

Lugar de Constitución:

Teléfono:

Fax:

E-Mail

IDENTIFICACION

C.C.

☐

NIT

☐

C.E.

☐

Otro

☐

Cual

Número

③

REPRESENTANTE
O APODERADO

Nombre: CARLOS R. OLARTE

Dirección: Avda 82 No. 10-62 Piso 6

Teléfono: 634-1500

Fax: 376-2211

E-Mail: patents.bogota@bakernet.com

IDENTIFICACION

C.C.

☒

NIT

☐

C.E.

☐

Otro

☐

Cual

Número

79.782.747 Bta TP 74.295

④

INVENTOR (ES)
(72)

Nombre: George P. Lahm; Brian J. Myers; Thomas P. Selby; Thomas M. Stevenson.

Dirección: 148 Fairhill Drive, Wilmington, DE 19808, U.S.A.; 102 East Ruddy Duck Circle, Oxford, PA 19363, U.S.A.;
116 Hunter Court, Wilmington, DE 19808, U.S.A.; 103 Iroquois Court, Newark, DE 19702, U.S.A.

Nacionalidad o Domicilio: ESTADOUNIDENSE

⑤

PCT (85)

Solicitud Internacional No. PCT/US01/09338

Fecha Marzo 20, 2001

Publicación Internacional No. WO 01/70671

Fecha Septiembre 27, 2001

⑥

Título (54)

ANTRANILAMIDAS INSECTICIDAS.

⑦

Clasificación Internacional (51)

C07C 235/00; A61K31/167

⑧

Prioridad

SI

☒

NO

☐

(33) País de Origen

US

US

US

US

(32) Fecha

Marzo 22, 2000

Julio 24, 2000

Diciembre 11, 2000

Enero 17, 2001

(31) Número de Solicitud

60/191,242

60/220,232

60/254,635

60/262,015

⑨

Comprobante de pago No. 2,386

Fecha 11-01-02

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

10

ANEXOS

2

- ☒ Comprobante de pago de la tasa de presentación de la solicitud
- ☐ Documento que acredita la existencia y representación legal cuando el solicitante sea persona jurídica
- ☐ Poderes, si fuere el caso
- ☒ Documento de cesión del inventor al solicitante o a su causante
- ☐ Declaraciones
- ☒ Copia de la solicitud internacional. (Resumen, descripción, reivindicaciones, dibujos)
- ☒ Traducción de la solicitud internacional al castellano. (Resumen, descripción, reivindicaciones, dibujos)
- ☒ Copia del examen preliminar 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.
- ☒ Otros: Documentos de Prioridad Nos. 60/191,242; 60/220,232; 60/254,635; 60/262,015

11

FIGURA CARACTERISTICA

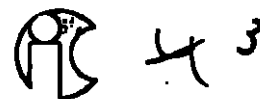
12

Solicito la concesión de la patente,

NOMBRE: CARLOS R. OLARTE

FIRMA:

G.C. 79.782.747 de Bta T.P. 74295



Industria y Comercio

SUPERINTENDENCIA

NIT : 800175089-2

RECIBO OFICIAL DE CAJA : 02 - 2,386
ECNA : ENERO 11 DE 2002

INDUSTRIA Y COMERCIO
Radicacion : 02003309 00000000 Folios: 694
Fecha (AMD): 2002-09-17 16:50:49
Tramite : 011 PCT-PATENT 379 FASE NACT 411 PRESENTAC
Dependencia: 2020 DIVISION DE NUEVAS CREACIONES

***** CONSIGNACION *****

DEPOSITANTE	TIPO PAGO	BANCO	CUENTA	No. PAGO	FECHA PGO	Vr. PAGO
JORGE LUIS GOMEZ	RECIBO DE CAJA			2380	11/01/2002	800,379.00
JORGE LUIS GOMEZ	RECIBO DE CAJA			5593	02/02/2001	356,640.00
JORGE LUIS GOMEZ	RECIBO DE CAJA			5594	02/02/2001	356,640.00
JORGE LUIS GOMEZ	RECIBO DE CAJA			5595	02/02/2001	356,640.00
JORGE LUIS GOMEZ	RECIBO DE CAJA			5596	02/02/2001	356,640.00
JORGE LUIS GOMEZ	RECIBO DE CAJA			5597	02/02/2001	356,640.00
JORGE LUIS GOMEZ	RECIBO DE CAJA			5598	02/02/2001	356,640.00
JORGE LUIS GOMEZ	RECIBO DE CAJA			5599	02/02/2001	356,640.00
JORGE LUIS GOMEZ	RECIBO DE CAJA			5600	02/02/2001	356,640.00
JORGE LUIS GOMEZ	RECIBO DE CAJA			5601	02/02/2001	356,640.00
JORGE LUIS GOMEZ	RECIBO DE CAJA			5602	02/02/2001	356,640.00
JORGE LUIS GOMEZ	RECIBO DE CAJA			17947	20/03/2001	533,250.00
JORGE LUIS GOMEZ	RECIBO DE CAJA			72667	20/11/2001	533,250.00
JORGE LUIS GOMEZ	RECIBO DE CAJA			72668	20/11/2001	533,250.00
JORGE LUIS GOMEZ	RECIBO DE CAJA			72669	20/11/2001	533,250.00
JORGE LUIS GOMEZ	RECIBO DE CAJA			72670	20/11/2001	533,250.00

***** CONCEPTO *****

CANT.	CONCEPTO	TOTAL CONCEPTO
1	50005-01-01 SOLICITUDES	
	1 TRAMITES DE SOL. DE PATENTE DE IN	378,000.00
	TOTAL :	\$ 378,000.00

SON: CIENTOS SETENTA Y OCHO MIL PESOS

RESPONSABLE :

RECIBO DE CAJA APLICADO AL EXPEDIENTE No.

Carrera 13 No. 27-00 Pisos 5, 7 y 10
Conmutador 382 0840
Fax 350 5220
E-mail: info@sic.gov.co
www.sic.gov.co
Bogotá, D.C., Colombia

APOSTILLA EN CESIÓN A E.I. DU PONT DE NEMOURS AND COMPANY

APOSTILLA

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

1. País : Estados Unidos de América
Este documento Público
2. ha sido firmado por Sharon Agnew
3. Quien ejerce funciones de Proto-Notario Público de la Corte Suprema del Estado de Delaware
4. lleva el sello de la Corte Suprema del Estado de Delaware, condado New Castle.

CERTIFICADO

5. En Dover, Delaware.
6. El 7 agosto 2002.
7. Por el Secretario de Estado - Departamento de Estado de Delaware.
- 8.No.0180935
9. Sello del Secretario de Estado de Delaware
10. Firma ilegible de Harriet Smith Windsor

CESIÓN

George P. Lahm, Brian J. Myers, Thomas P. Selby, Thomas M. Stevenson por medio del presente cedemos a E.I. DU PONT DE NEMOURS AND COMPANY, una compañía organizada bajo las leyes de Delaware, domiciliada en Wilmington, Delaware, Estados Unidos de América, (en adelante el Cesionario), todos nuestros derechos, título e interés sobre nuestra invención tal como se describe en:

80/191,242; 80/ 220,232; 80/254,835; 80/282,015

en lo concerniente a la República de Colombia

Marlén Neira Castro
MARLEN NEIRA CASTRO
Traductor e Intérprete Oficial
Inglés - Español - Inglés
Resolución No. 2117 del 7 Oci 1987

Resolución No. 2117 del 7 Oci 1987
Inglés - Español - Inglés
Traductor e Intérprete Oficial
MARLEN NEIRA CASTRO

Sitio: New Castle, DE, Estados Unidos

pag.2

Fecha: 17 de julio de 2002.

Firma de George P. Lahm - de Thomas P. Selby, y de Thomas M. Stevenson.

LEGALIZACIÓN NOTARIAL

Estados Unidos de América

Estado de Delaware

Condado de New Castle

El 17 de julio de 2002, comparecieron personalmente ante mí George P. Lahm - de Thomas P. Selby, y Thomas M. Stevenson, conocidos por mí por ser las personas descritas y quienes firmaron el instrumento anterior y quienes reconocieron haberlo suscrito.

Firma de Miriam T. Reed- Notario Público.

CERTIFICADO DE FUNCIONES DE NOTARIO

Estado de Delaware

Condado de New Castle} ss

Yo, Sharon Agnew, Protonotario del Tribunal Superior del Estado de Delaware, en y para el Condado de New Castle, que es corte de registro, por el presente certifico que Miriam T. Reed, cuyo nombre aparece en el Certificado o reconocimiento adjunto, es y en ese momento ejercía funciones de Notario Público para el Estado de Delaware con residencia en el condado de New Castle y está debidamente comisionada y juramentada para tomar reconocimientos de todas las escrituras e instrumentos escrito y para recibir juramentos y declaraciones juradas dentro de tal Estado; certifico además que estoy bien familiarizada con su escritura y que creo que la firma en este documento adjunto es auténtica.

En testimonio de lo anterior, firmo y sello con el sello oficial del tribunal el 24 de julio de 2002.

Firma de Sharon Agnew - Protonotario del Tribunal Superior del Estado de Delaware- sello redondo en alto relieve.

--

Traducción certificada del inglés con copia para confrontación. Bogotá, Agosto 10, 2002.

Marlen Neira C.
MARLEN NEIRA CASTRO
Traductor e Intérprete Oficial
Inglés - Español - Inglés
Resolución No. 2117 del 7 Oct 1987

Apostille

(Convention de La Haye du 5 Octobre 1961)

1. Country: United States of America

This public document:

2. has been signed by Sharon Agnew

3. acting in the capacity of Prothonotary of the Superior Court of the State of Delaware

4. bears the seal/stamp of Superior Court, State of Delaware, New Castle County

Certified

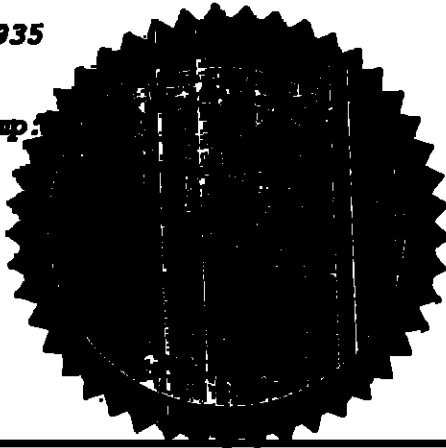
5. at Dover, Delaware

6. the seventh day of August, A.D. 2002

7. by Secretary of State, Delaware Department of State

8. No. 0180935

9. Seal/Stamp:



10. Signature:

Herriet Smith Windsor

Secretary of State

642 1111 2117

CESION

por medio de la presente cedo (cedemos) a

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

una corporación organizada bajo las leyes de

**domiciliada en
Wilmington,
Delaware,
Estados Unidos de América**

domiciled in 1007 Market Street
Wilmington,
Delaware, 19898
United States of America

(hereinafter referred to as the "ASSIGNEE"), all my (our) rights, title and interest in and to my (our) invention for a new and useful improvement entitled and as fully set forth and described in:

(de ahora en adelante el "CESIONARIO"),
 todos mis (nuestros) derechos, título e interés
 sobre mi (nuestra) invención tal como describe
 en:

**60/191,242; 60/220,232;
60/254,635; 60/262,015**

so far as the Republic of Colombia is concerned.

en lo que concierne a la República de Colombia.

Place: New Castle, DE USA

Lugar, fecha y firma

Date: July 17, 2002

George P. Zahm

Brian J. Myers

Thomas P. Selby

Thomas M. Stevenson

United States of America

State of Delaware

ss.

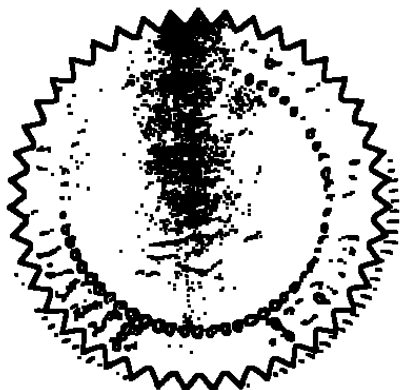
County of New Castle

On this 17th day of July, 2002
personally appeared before me George P. Lahm, Thomas P. Selby,
Thomas M. Stevenson

to me known and known to me to be the individual described in and who
executed the foregoing instrument, and who acknowledged to me that
he executed the same.

Miriam T. Leach
Notary Public

State of Delaware, } §§
New Castle County, }



I, SHARON AGNEW, Prothonotary of the Superior Court of the State of Delaware, in and for New Castle County, which Court is a Court of Record, DO HEREBY

CERTIFY, THAT Miriam T. Reed, by whom the foregoing affidavit or acknowledgment is certified, now is and was at the date of said affidavit or acknowledgment a Notary Public for the State of Delaware residing in New Castle County, and duly commissioned and qualified as such to take acknowledgment to all deeds and instruments in writing and administering oaths and take affidavits within said State, that I am well acquainted with the handwriting of said Notary Public and verily believe that the signature to said certificate of proof or acknowledgment is genuine.

IN TESTIMONY WHEREOF, I have hereunto set my hand and affixed the seal of said Court, the 24 day of July, 2002.

Sharon Agnew
Prothonotary of the Superior Court.

APOSTILLA EN CESIÓN A E.I. DU PONT DE NEMOURS AND COMPANY

APOSTILLA

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

1. País : Estados Unidos de América
Este documento Público
2. ha sido firmado por Sharon Agnew
3. Quien ejerce funciones de Proto-Notario Público de la Corte Suprema del Estado de Delaware
4. lleva el sello de la Corte Suprema del Estado de Delaware, condado New Castle.

CERTIFICADO

5. En Dover, Delaware.
6. El 7 agosto 2002.
7. Por el Secretario de Estado - Departamento de Estado de Delaware.
8. No.0180936
9. Sello del Secretario de Estado de Delaware
10. Firma ilegible de Harriet Smith Windsor

CESIÓN

George P. Lahm, Brian J. Myers, Thomas P. Selby, Thomas M. Stevenson por medio del presente cedemos a E.I. DU PONT DE NEMOURS AND COMPANY, una compañía organizada bajo las leyes de Delaware, domiciliada en Wilmington, Delaware, Estados Unidos de América, (en adelante el Cesionario), todos nuestros derechos, título e interés sobre nuestra invención tal como se describe en:

60/191,242; 60/ 220,232; 60/254,635; 60/262,015

en lo concerniente a la República de Colombia

Sitio: Wilmington, Delaware, Estados Unidos

Fecha: 16 de julio de 2002.

Firma de Brian J. Myers

Marlen Neira C
MARLEN NEIRA CASTRO
Traductor e Intérprete Oficial
Inglés - Español - Inglés
Resolución No. 2117 del 7 Oct 1987

Estados Unidos de América

Estado de Delaware

Condado de New Castle

El 16 de julio de 2002, compareció personalmente ante mi Brian J. Myers conocido por mi por ser la persona descrita y quien firmó el instrumento anterior y quien reconoció haberlo suscrito.

Firma de Deborah A. Martin- Notario Público.

CERTIFICADO DE FUNCIONES DE NOTARIO

Estado de Delaware

Condado de New Castle} ss

Yo, Sharon Agnew, Protonotario del Tribunal Superior del Estado de Delaware, en y para el Condado de New Castle, que es corte de registro, por el presente certifico que Deborah A. Martin, cuyo nombre aparece en el Certificado o reconocimiento adjunto, es y en ese momento ejercía funciones de Notario Público para el Estado de Delaware con residencia en el condado de New Castle y está debidamente comisionada y juramentada para tomar reconocimientos de todas las escrituras e instrumentos escrito y para recibir juramentos y declaraciones juradas dentro de tal Estado; certifico además que estoy bien familiarizada con su escritura y que creo que la firma en este documento adjunto es auténtica.

En testimonio de lo anterior, firmo y sello con el sello oficial del tribunal el 24 de julio de 2002.

Firma de Sharon Agnew - Protonotario del Tribunal Superior del Estado de Delaware- sello redondo en alto relieve.

--

Traducción certificada del inglés con copia para confrontación.

Bogotá, Agosto 16, 2002.

Kalutira
Marlen Neira C.

MARLEN NEIRA CASTRO
Traductor e Intérprete Oficial
Inglés - Español - Inglés
Resolución No. 2117 del 7 Oct 1987

Apostille

(Convention de La Haye du 5 Octobre 1961)

1. Country: United States of America

This public document:

2. has been signed by Sharon Agnew

3. acting in the capacity of Prothonotary of the Superior Court of the State of Delaware

4. bears the seal/stamp of Superior Court, State of Delaware, New Castle County

Certified

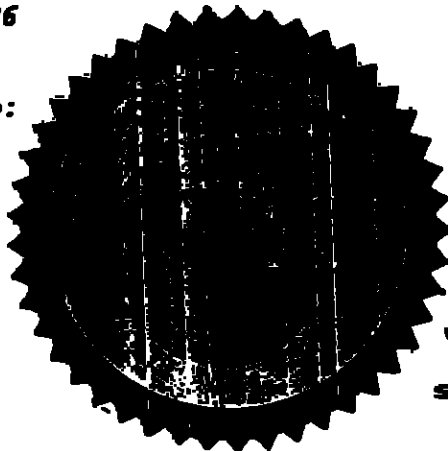
5. at Dover, Delaware

6. the seventh day of August, A.D. 2002

7. by Secretary of State, Delaware Department of State

8. No. 0180936

9. Seal/Stamp:



10. Signature:

Herriet Smith Winsor

Secretary of State

442 MF 2.4.7 277

CESION

por medio de la presente cedo (cedemos) a

**EL DU PONT DE NEMOURS AND
COMPANY**

una corporación organizada bajo las leyes de

**domiciliada en
Wilmington,
Delaware,
Estados Unidos de América**

domiciled in 1007 Market Street
Wilmington,
Delaware, 19898
United States of America

(de ahora en adelante el "CESIONARIO")., todos mis (nuestros) derechos, título e interés sobre mi (nuestra) invención tal como describe en:

en lo que concierne a la República de Colombia.

so far as the Republic of Colombia is concerned.

Place: Wilmington, Delaware, U.S.A.

Lugar, fecha y firma

Date: July 16, 2002

George P. Lahm

Brian J. Myers

Thomas P. Selby

Thomas M. Stevenson

United States of America

State of Delaware

ss.

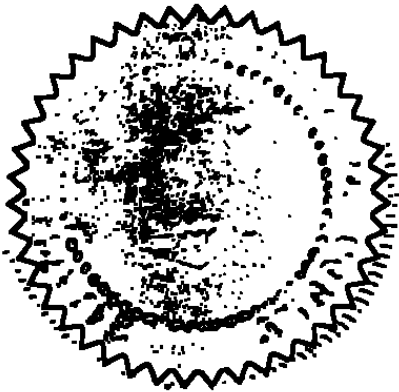
County of New Castle

On this 16 day of July, 2002,
personally appeared before me Brian J. Myers

to me known and known to me to be the individual described in and who
executed the foregoing instrument, and who acknowledged to me that
he executed the same.

Deborah A. Martin
Notary Public

State of Delaware, }
New Castle County, } §§



I, SHARON AGNEW, Prothonotary of the Superior Court of the State of Delaware, in and for New Castle County, which Court is a Court of Record, DO HEREBY ¹³

CERTIFY, THAT Deborah A. Martin, by whom the foregoing affidavit or acknowledgment is certified, now is and was at the date of said affidavit or acknowledgment a Notary Public for the State of Delaware residing in New Castle County, and duly commissioned and qualified as such to take acknowledgment to all deeds and instruments in writing and administering oaths and take affidavits within said State, that I am well acquainted with the handwriting of said Notary Public and verily believe that the signature to said certificate of proof or acknowledgment is genuine.

IN TESTIMONY WHEREOF, I have hereunto set my hand and affixed the seal of said Court, the 24 day of July, 2012.

Sharon Agnew
Prothonotary of the Superior Court.

(19) World Intellectual Property Organization
International Bureau



(43) International Publication Date
27 September 2001 (27.09.2001)

PCT

(10) International Publication Number
WO 01/70671 A2

(51) International Patent Classification⁷: C07C 235/00

(21) International Application Number: PCT/US01/09338

(22) International Filing Date: 20 March 2001 (20.03.2001)

(25) Filing Language: English

(26) Publication Language: English

(30) Priority Data:
60/191,242 22 March 2000 (22.03.2000) US
60/220,232 24 July 2000 (24.07.2000) US
60/254,635 11 December 2000 (11.12.2000) US
60/262,015 17 January 2001 (17.01.2001) 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).

(74) Agent: BIRCH, Linda, D.; E.I. Du Pont de Nemours and Company, Legal/Patent Records Center, 1007 Market Street, Wilmington, DE 19898 (US).

(81) Designated States (national): AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, TZ, UA, UG, US, UZ, VN, YU, ZA, ZW.

(84) Designated States (regional): ARIPO patent (GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZW), Eurasian patent (AM, AZ, BY, KG, KZ, MD, RU, TJ, TM), European patent (AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, TR), OAPI patent (BF, BJ, CF, CG, CI, CM, GA, GN, GW, ML, MR, NE, SN, TD, TG).

(72) Inventors; and

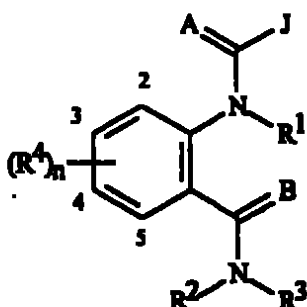
(75) Inventors/Applicants (for US only): LAHM, George, P. [US/US]; 148 Fairhill Drive, Wilmington, DE 19808 (US). MYERS, Brian, J. [US/US]; 102 East Ruddy Duck Circle, Oxford, PA 19363 (US). SELBY, Thomas, P. [US/US]; 116 Hunter Court, Wilmington, DE 19808 (US). STEVENSON, Thomas, M. [US/US]; 103 Iroquois Court, Newark, DE 19702 (US).

Published:

— without international search report and to be republished upon receipt of that report

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: INSECTICIDAL ANTHRANILAMIDES



(1)

(57) Abstract: This invention provides compounds of Formula (1), their N-oxides and agriculturally suitable salts wherein A, B, J, R¹, R², R³ and R⁴ and n are as defined in the disclosure. Also disclosed are methods for controlling arthropods comprising contacting the arthropods or their environment with an arthropodically effective amount of a compound of Formula (1) and compositions containing the compounds of Formula (1).

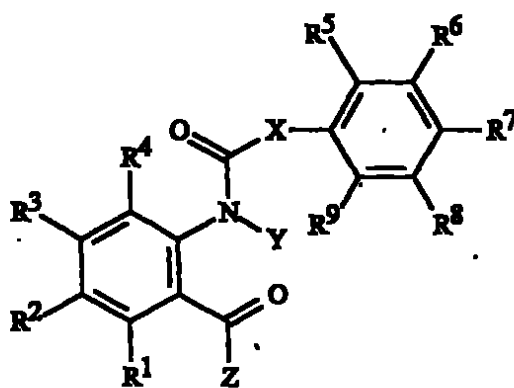
WO 01/70671 A2

TITLE
INSECTICIDAL ANTHRANILAMIDES
BACKGROUND OF THE INVENTION

This invention relates to certain anthranilamides, their *N*-oxides, agriculturally suitable salts and compositions, and methods of their use as arthropodicides in both

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

NL 9202078 discloses *N*-acyl anthranilic acid derivatives of Formula i as insecticides



i

wherein, *inter alia*,

X is a direct bond;

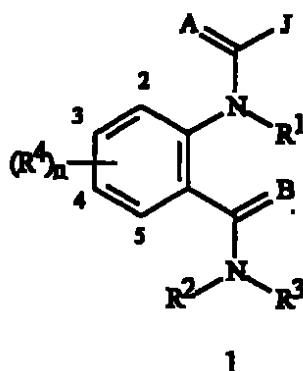
Y is H or C₁-C₆ alkyl;

Z is NH₂, NH(C₁-C₃ alkyl) or N(C₁-C₃ alkyl)₂; and

R¹ through R⁹ are independently H, halogen, C₁-C₆ alkyl, phenyl, hydroxy, C₁-C₆ alkoxy or C₁-C₇ acyloxy.

SUMMARY OF THE INVENTION

This invention pertains to a method for controlling arthropods comprising contacting the arthropods or their environment with an arthropodically effective amount of a compound of Formula 1, its *N*-oxide or agriculturally suitable salts



wherein

A and B are independently O or S;

each J is independently a phenyl or naphthyl group substituted with 1 to 2 R⁵ and optionally substituted with 1 to 3 R⁶;

or each J is independently a 5- or 6-membered heteroaromatic ring or an aromatic 8-, 9- or 10-membered fused heterobicyclic ring system wherein each ring or ring system is optionally substituted with 1 to 4 R⁷;

n is 1 to 4;

R¹ is H; or C₁-C₆ alkyl, C₂-C₆ alkenyl, C₂-C₆ alkynyl or C₃-C₆ cycloalkyl each optionally substituted with one or more substituents selected from the group consisting of halogen, CN, NO₂, hydroxy, C₁-C₄ alkoxy, C₁-C₄ alkylthio, C₁-C₄ alkylsulfinyl, C₁-C₄ alkylsulfonyl, C₂-C₄ alkoxycarbonyl, C₁-C₄ alkylamino, C₂-C₈ dialkylamino and C₃-C₆ cycloalkylamino; or

R¹ is C₂-C₆ alkylcarbonyl, C₂-C₆ alkoxycarbonyl, C₂-C₆ alkylaminocarbonyl, C₃-C₈ dialkylaminocarbonyl or C(=A)J;

R² is H, C₁-C₆ alkyl, C₂-C₆ alkenyl, C₂-C₆ alkynyl, C₃-C₆ cycloalkyl, C₁-C₄ alkoxy, C₁-C₄ alkylamino, C₂-C₈ dialkylamino, C₃-C₆ cycloalkylamino, C₂-C₆ alkoxycarbonyl or C₂-C₆ alkylcarbonyl;

R³ is H; G; C₁-C₆ alkyl, C₂-C₆ alkenyl, C₂-C₆ alkynyl, C₃-C₆ cycloalkyl, each optionally substituted with one or more substituents selected from the group consisting of halogen, G, CN, NO₂, hydroxy, C₁-C₄ alkoxy, C₁-C₄ haloalkoxy, C₁-C₄ alkylthio, C₁-C₄ alkylsulfinyl, C₁-C₄ alkylsulfonyl, C₂-C₆ alkoxycarbonyl, C₂-C₆ alkylcarbonyl, C₃-C₆ trialkylsilyl, or a phenyl, phenoxy or 5- or 6-membered heteroaromatic ring, each ring optionally substituted with one to three substituents independently selected from the group consisting of C₁-C₄ alkyl, C₂-C₄ alkenyl, C₂-C₄ alkynyl, C₃-C₆ cycloalkyl, C₁-C₄ haloalkyl, C₂-C₄ haloalkenyl, C₂-C₄ haloalkynyl, C₃-C₆ halocycloalkyl, halogen, CN, NO₂, C₁-C₄ alkoxy, C₁-C₄ haloalkoxy, C₁-C₄ alkylthio, C₁-C₄ alkylsulfinyl, C₁-C₄ alkylsulfonyl, C₁-C₄ alkylamino, C₂-C₈ dialkylamino, C₃-C₆ cycloalkylamino,

C₃-C₆ (alkyl)cycloalkylamino, C₂-C₄ alkylcarbonyl, C₂-C₆ alkoxy carbonyl, C₂-C₆ alkylaminocarbonyl, C₃-C₈ dialkylaminocarbonyl or C₃-C₆ trialkylsilyl; C₁-C₄ alkoxy; C₁-C₄ alkylamino; C₂-C₈ dialkylamino; C₃-C₆ cycloalkylamino; C₂-C₆ alkoxy carbonyl or C₂-C₆ alkylcarbonyl; or

R² and R³ can be 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 of nitrogen, sulfur or oxygen, said ring may be optionally substituted with 1 to 4 substituents selected from the group consisting of C₁-C₂ alkyl, halogen, CN, NO₂ and C₁-C₂ alkoxy;

G is a 5- or 6-membered nonaromatic carbocyclic or heterocyclic ring, optionally including one or two ring members selected from the group consisting of C(=O), SO or S(O)₂ and optionally substituted with 1 to 4 substituents selected from the group consisting of C₁-C₂ alkyl, halogen, CN, NO₂ and C₁-C₂ alkoxy;

each R⁴ is independently H, C₁-C₆ alkyl, C₂-C₆ alkenyl, C₂-C₆ alkynyl, C₃-C₆ cycloalkyl, C₁-C₆ haloalkyl, C₂-C₆ haloalkenyl, C₂-C₆ haloalkynyl, C₃-C₆ halocycloalkyl, halogen, CN, NO₂, hydroxy, C₁-C₄ alkoxy, C₁-C₄ haloalkoxy, C₁-C₄ alkylthio, C₁-C₄ alkylsulfinyl, C₁-C₄ alkylsulfonyl, C₁-C₄ haloalkylthio, C₁-C₄ haloalkylsulfinyl, C₁-C₄ haloalkylsulfonyl, C₁-C₄ alkylamino, C₂-C₈ dialkylamino, C₃-C₆ cycloalkylamino, or C₃-C₆ trialkylsilyl; or

each R⁴ is independently phenyl, benzyl or phenoxy, each optionally substituted with C₁-C₄ alkyl, C₂-C₄ alkenyl, C₂-C₄ alkynyl, C₃-C₆ cycloalkyl, C₁-C₄ haloalkyl, C₂-C₄ haloalkenyl, C₂-C₄ haloalkynyl, C₃-C₆ halocycloalkyl, halogen, CN, NO₂, C₁-C₄ alkoxy, C₁-C₄ haloalkoxy, C₁-C₄ alkylthio, C₁-C₄ alkylsulfinyl, C₁-C₄ alkylsulfonyl, C₁-C₄ alkylamino, C₂-C₈ dialkylamino, C₃-C₆ cycloalkylamino, C₃-C₆ (alkyl)cycloalkylamino, C₂-C₄ alkylcarbonyl, C₂-C₆ alkoxy carbonyl, C₂-C₆ alkylaminocarbonyl, C₃-C₈ dialkylaminocarbonyl or C₃-C₆ trialkylsilyl;

each R⁵ is independently C₁-C₆ alkyl, C₂-C₆ alkenyl, C₂-C₆ alkynyl, C₃-C₆ cycloalkyl, C₁-C₆ haloalkyl, C₂-C₆ haloalkenyl, C₂-C₆ haloalkynyl, C₃-C₆ halocycloalkyl, halogen, CN, CO₂H, CONH₂, NO₂, hydroxy, C₁-C₆ alkoxy, C₁-C₆ haloalkoxy, C₁-C₆ alkylthio, C₁-C₆ alkylsulfinyl, C₁-C₆ alkylsulfonyl, C₁-C₆ haloalkylthio, C₁-C₆ haloalkylsulfinyl, C₁-C₆ haloalkylsulfonyl, C₁-C₆ alkylamino, C₂-C₁₂ dialkylamino, or C₃-C₆ cycloalkylamino, C₂-C₆ alkylcarbonyl, C₂-C₆ alkoxy carbonyl, C₂-C₆ alkylaminocarbonyl, C₃-C₈ dialkylaminocarbonyl, C₃-C₆ trialkylsilyl; or

(R⁵)₂ when attached to adjacent carbon atoms can be taken together as -OCF₂O-, -CF₂CF₂O-, or -OCF₂CF₂O-;

each R⁶ is independently H, halogen, C₁-C₆ alkyl, C₂-C₆ alkenyl, C₂-C₆ alkynyl, C₃-C₆ cycloalkyl, C₁-C₄ alkoxy or C₂-C₄ alkoxycarbonyl; or

each R⁶ is independently a phenyl, benzyl, phenoxy, 5- or 6-membered

heteroaromatic ring or an aromatic 8-, 9- or 10-membered fused heterobicyclic

ring system, each ring optionally substituted with one to three substituents

independently selected from the group consisting of C₁-C₄ alkyl, C₂-C₄ alkenyl,

C₂-C₄ alkynyl, C₃-C₆ cycloalkyl, C₁-C₄ haloalkyl, C₂-C₄ haloalkenyl, C₂-C₄

haloalkynyl, C₃-C₆ halocycloalkyl, halogen, CN, NO₂, C₁-C₄ alkoxy, C₁-C₄

haloalkoxy, C₁-C₄ alkylthio, C₁-C₄ alkylsulfinyl, C₁-C₄ alkylsulfonyl, C₁-C₄

alkylamino, C₂-C₈ dialkylamino, C₃-C₆ cycloalkylamino, C₃-C₆

(alkyl)cycloalkylamino, C₂-C₄ alkylcarbonyl, C₂-C₆ alkoxycarbonyl, C₂-C₆

alkylaminocarbonyl, C₃-C₈ dialkylaminocarbonyl or C₃-C₆ trialkylsilyl;

each R⁷ is independently H, C₁-C₆ alkyl, C₂-C₆ alkenyl, C₂-C₆ alkynyl, C₃-C₆

cycloalkyl, C₁-C₆ haloalkyl, C₂-C₆ haloalkenyl, C₂-C₆ haloalkynyl, C₃-C₆

halocycloalkyl, halogen, CN, CO₂H, CONH₂, NO₂, hydroxy, C₁-C₄ alkoxy,

C₁-C₄ haloalkoxy, C₁-C₄ alkylthio, C₁-C₄ alkylsulfinyl, C₁-C₄ alkylsulfonyl,

C₁-C₄ haloalkylthio, C₁-C₄ haloalkylsulfinyl, C₁-C₄ haloalkylsulfonyl, C₁-C₄

alkylamino, C₂-C₈ dialkylamino, C₃-C₆ cycloalkylamino, C₂-C₆ alkylcarbonyl,

C₂-C₆ alkoxycarbonyl, C₂-C₆ alkylaminocarbonyl, C₃-C₈ dialkylaminocarbonyl,

C₃-C₆ trialkylsilyl; or

each R⁷ is independently a phenyl, benzyl, benzoyl, phenoxy, 5- or 6-membered

heteroaromatic ring or an aromatic 8-, 9- or 10-membered fused heterobicyclic

ring system, each ring optionally substituted with one to three substituents

independently selected from the group consisting of C₁-C₄ alkyl, C₂-C₄ alkenyl,

C₂-C₄ alkynyl, C₃-C₆ cycloalkyl, C₁-C₄ haloalkyl, C₂-C₄ haloalkenyl, C₂-C₄

haloalkynyl, C₃-C₆ halocycloalkyl, halogen, CN, NO₂, C₁-C₄ alkoxy, C₁-C₄

haloalkoxy, C₁-C₄ alkylthio, C₁-C₄ alkylsulfinyl, C₁-C₄ alkylsulfonyl, C₁-C₄

alkylamino, C₂-C₈ dialkylamino, C₃-C₆ cycloalkylamino, C₃-C₆

(alkyl)cycloalkylamino, C₂-C₄ alkylcarbonyl, C₂-C₆ alkoxycarbonyl, C₂-C₆

alkylaminocarbonyl, C₃-C₈ dialkylaminocarbonyl or C₃-C₆ trialkylsilyl;

provided that

(1) when A and B are both O, R² is H or C₁-C₃ alkyl, R³ is H or C₁-C₃ alkyl and R⁴

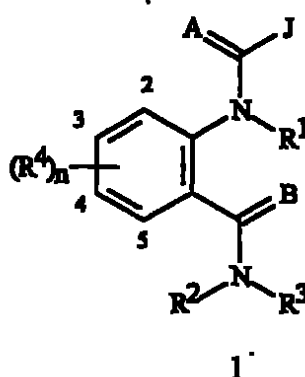
is H, halogen, C₁-C₆ alkyl, phenyl, hydroxy or C₁-C₆ alkoxy, then one R⁵ is

other than halogen, C₁-C₆ alkyl, hydroxy or C₁-C₆ alkoxy; or

(2) J is other than an optionally substituted 1,2,3-thiadiazole.

This invention also pertains to compounds of Formula 1, their N-oxides and

agriculturally suitable salts



wherein

A and B are independently O or S;

each J is independently a phenyl or naphthyl group substituted with 1 to 2 R⁵ and optionally substituted with 1 to 3 R⁶;

or each J is independently a 5- or 6-membered heteroaromatic ring or an aromatic 8-, 9- or 10-membered fused heterobicyclic ring system wherein each ring or ring system is optionally substituted with 1 to 4 R⁷;

n is 1 to 4;

R¹ is H; or C₁-C₆ alkyl, C₂-C₆ alkenyl, C₂-C₆ alkynyl or C₃-C₆ cycloalkyl each optionally substituted with one or more substituents selected from the group consisting of halogen, CN, NO₂, hydroxy, C₁-C₄ alkoxy, C₁-C₄ alkylthio, C₁-C₄ alkylsulfinyl, C₁-C₄ alkylsulfonyl, C₂-C₄ alkoxycarbonyl, C₁-C₄ alkylamino, C₂-C₈ dialkylamino and C₃-C₆ cycloalkylamino; or

R¹ is C₂-C₆ alkylcarbonyl, C₂-C₆ alkoxy carbonyl, C₂-C₆ alkylaminocarbonyl, C₃-C₈ dialkylaminocarbonyl or C(=A)J;

R² is H, C₁-C₆ alkyl, C₂-C₆ alkenyl, C₂-C₆ alkynyl, C₃-C₆ cycloalkyl, C₁-C₄ alkoxy, C₁-C₄ alkylamino, C₂-C₈ dialkylamino, C₃-C₆ cycloalkylamino, C₂-C₆ alkoxy carbonyl or C₂-C₆ alkylcarbonyl;

R³ is H; C₁-C₆ alkyl, C₂-C₆ alkenyl, C₂-C₆ alkynyl, C₃-C₆ cycloalkyl, each optionally substituted with one or more substituents selected from the group consisting of halogen, CN, NO₂, hydroxy, C₁-C₄ alkoxy, C₁-C₄ haloalkoxy, C₁-C₄ alkylthio, C₁-C₄ alkylsulfinyl, C₁-C₄ alkylsulfonyl, C₂-C₆ alkoxy carbonyl, C₂-C₆ alkylcarbonyl, C₃-C₆ trialkylsilyl, or a phenoxy ring optionally substituted with one to three substituents independently selected from the group consisting of C₁-C₄ alkyl, C₂-C₄ alkenyl, C₂-C₄ alkynyl, C₃-C₆ cycloalkyl, C₁-C₄ haloalkyl, C₂-C₄ haloalkenyl, C₂-C₄ haloalkynyl, C₃-C₆ halocycloalkyl, halogen, CN, NO₂, C₁-C₄ alkoxy, C₁-C₄ haloalkoxy, C₁-C₄ alkylthio, C₁-C₄ alkylsulfinyl, C₁-C₄ alkylsulfonyl, C₁-C₄ alkylamino, C₂-C₈ dialkylamino, C₃-C₆ cycloalkylamino, C₃-C₆ (alkyl)cycloalkylamino, C₂-C₄ alkylcarbonyl, C₂-C₆

alkoxycarbonyl, C₂-C₆ alkylaminocarbonyl, C₃-C₈ dialkylaminocarbonyl or C₃-C₆ trialkylsilyl; C₁-C₄ alkoxy, C₁-C₄ alkylamino; C₂-C₈ dialkylamino; C₃-C₆ cycloalkylamino; C₂-C₆ alkoxycarbonyl or C₂-C₆ alkylcarbonyl; or

R² and R³ can be 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 of nitrogen, sulfur or oxygen, said ring may be optionally substituted with 1 to 4 substituents selected from the group consisting of C₁-C₂ alkyl, halogen, CN, NO₂ and C₁-C₂ alkoxy;

each R⁴ is independently H, C₁-C₆ alkyl, C₂-C₆ alkenyl, C₂-C₆ alkynyl, C₃-C₆ cycloalkyl, C₁-C₆ haloalkyl, C₂-C₆ haloalkenyl, C₂-C₆ haloalkynyl, C₃-C₆ halocycloalkyl, halogen, CN, NO₂, hydroxy, C₁-C₄ alkoxy, C₁-C₄ haloalkoxy, C₁-C₄ alkylthio, C₁-C₄ alkylsulfinyl, C₁-C₄ alkylsulfonyl, C₁-C₄ haloalkylthio, C₁-C₄ haloalkylsulfinyl, C₁-C₄ haloalkylsulfonyl, C₁-C₄ alkylamino, C₂-C₈ dialkylamino, C₃-C₆ cycloalkylamino, or C₃-C₆ trialkylsilyl; or

each R⁴ is independently phenyl, benzyl or phenoxy, each optionally substituted with C₁-C₄ alkyl, C₂-C₄ alkenyl, C₂-C₄ alkynyl, C₃-C₆ cycloalkyl, C₁-C₄ haloalkyl, C₂-C₄ haloalkenyl, C₂-C₄ haloalkynyl, C₃-C₆ halocycloalkyl, halogen, CN, NO₂, C₁-C₄ alkoxy, C₁-C₄ haloalkoxy, C₁-C₄ alkylthio, C₁-C₄ alkylsulfinyl, C₁-C₄ alkylsulfonyl, C₁-C₄ alkylamino, C₂-C₈ dialkylamino, C₃-C₆ cycloalkylamino, C₃-C₆ (alkyl)cycloalkylamino, C₂-C₄ alkylcarbonyl, C₂-C₆ alkoxycarbonyl, C₂-C₆ alkylaminocarbonyl, C₃-C₈ dialkylaminocarbonyl or C₃-C₆ trialkylsilyl;

each R⁵ is independently C₁-C₆ haloalkyl, C₂-C₆ haloalkenyl, C₂-C₆ haloalkynyl, C₃-C₆ halocycloalkyl, C₁-C₄ haloalkoxy, C₁-C₄ alkylthio, C₁-C₄ alkylsulfinyl, C₁-C₄ alkylsulfonyl, C₁-C₄ haloalkylthio, C₁-C₄ haloalkylsulfinyl, C₁-C₄ haloalkylsulfonyl, CN, NO₂, C₁-C₄ alkoxycarbonyl, C₁-C₄ alkylamino, C₂-C₈ dialkylamino, C₃-C₆ cycloalkylamino, C₂-C₆ alkylcarbonyl, C₂-C₆ alkoxycarbonyl, C₂-C₆ alkylaminocarbonyl, or C₃-C₈ dialkylaminocarbonyl; or

(R⁵)₂ attached to adjacent carbon atoms can be taken together as -OCF₂O-, -CF₂CF₂O-, or -OCF₂CF₂O-;

each R⁶ is independently H, halogen, C₁-C₆ alkyl, C₂-C₆ alkenyl, C₂-C₆ alkynyl, C₃-C₆ cycloalkyl, C₁-C₄ alkoxy or C₂-C₄ alkoxycarbonyl; or

each R⁶ is independently a phenyl, benzyl, phenoxy, 5- or 6-membered

heteroaromatic ring or an aromatic 8-, 9- or 10-membered fused heterobicyclic ring system, each ring optionally substituted with one to three substituents independently selected from the group consisting of C₁-C₄ alkyl, C₂-C₄ alkenyl, C₂-C₄ alkynyl, C₃-C₆ cycloalkyl, C₁-C₄ haloalkyl, C₂-C₄ haloalkenyl, C₂-C₄ haloalkynyl, C₃-C₆ halocycloalkyl, halogen, CN, NO₂, C₁-C₄ alkoxy, C₁-C₄

haloalkoxy, C₁-C₄ alkylthio, C₁-C₄ alkylsulfinyl, C₁-C₄ alkylsulfonyl, C₁-C₄ alkylamino, C₂-C₈ dialkylamino, C₃-C₆ cycloalkylamino, C₃-C₆ (alkyl)cycloalkylamino, C₂-C₄ alkylcarbonyl, C₂-C₆ alkoxycarbonyl, C₂-C₆ alkylaminocarbonyl; C₃-C₈ dialkylaminocarbonyl or C₃-C₆ trialkylsilyl;

each R⁷ is independently H, C₁-C₆ alkyl, C₂-C₆ alkenyl, C₂-C₆ alkynyl, C₃-C₆ cycloalkyl, C₁-C₆ haloalkyl, C₂-C₆ haloalkenyl, C₂-C₆ haloalkynyl, C₃-C₆ halocycloalkyl, halogen, CN, CO₂H, CONH₂, NO₂, hydroxy, C₁-C₄ alkoxy, C₁-C₄ haloalkoxy, C₁-C₄ alkylthio, C₁-C₄ alkylsulfinyl, C₁-C₄ alkylsulfonyl, C₁-C₄ haloalkylthio, C₁-C₄ haloalkylsulfinyl, C₁-C₄ haloalkylsulfonyl, C₁-C₄ alkylamino, C₂-C₈ dialkylamino, C₃-C₆ cycloalkylamino, C₂-C₆ alkylcarbonyl, C₂-C₆ alkoxycarbonyl, C₂-C₆ alkylaminocarbonyl, C₃-C₈ dialkylaminocarbonyl, C₃-C₆ trialkylsilyl; or

each R⁷ is independently a phenyl, benzyl, benzoyl, phenoxy or 5- or 6-membered heteroaromatic ring 8-, 9- or 10-membered fused heterobicyclic ring system,

each ring optionally substituted with one to three substituents independently selected from the group consisting of C₁-C₄ alkyl, C₂-C₄ alkenyl, C₂-C₄ alkynyl, C₃-C₆ cycloalkyl, C₁-C₄ haloalkyl, C₂-C₄ haloalkenyl, C₂-C₄ haloalkynyl, C₃-C₆ halocycloalkyl, halogen, CN, NO₂, C₁-C₄ alkoxy, C₁-C₄ haloalkoxy, C₁-C₄ alkylthio, C₁-C₄ alkylsulfinyl, C₁-C₄ alkylsulfonyl, C₁-C₄ alkylamino, C₂-C₈ dialkylamino, C₃-C₆ cycloalkylamino, C₃-C₆ (alkyl)cycloalkylamino, C₂-C₄ alkylcarbonyl, C₂-C₆ alkoxycarbonyl, C₂-C₆ alkylaminocarbonyl, C₃-C₈ dialkylaminocarbonyl or C₃-C₆ trialkylsilyl;

provided that

(i) at least one R⁴ and at least one R⁷ are other than H;

(ii) J is other than an optionally substituted 1,2,3-thiadiazole;

(iii) when J is an optionally substituted pyridine and R² is H, R³ is other than H or CH₃;

(iv) when J is an optionally substituted pyridine, then R⁷ cannot be CONH₂, C₂-C₆ alkylaminocarbonyl or C₃-C₈ dialkylaminocarbonyl;

(v) when J is an optionally substituted pyrazole, tetrazole or pyrimidine, then R² and R³ cannot both be hydrogen.

This invention also pertains to arthropodicidal compositions comprising an arthropodically effective amount of a compound of Formula 1 and at least one additional component selected from the group consisting of surfactants, solid diluents and liquid diluents.

DETAILS OF THE INVENTION

In the above recitations, the term "alkyl", used either alone or in compound words such as "alkylthio" or "haloalkyl" includes straight-chain or branched alkyl, such as, methyl, ethyl, *n*-propyl, *i*-propyl, or the different butyl, pentyl or hexyl isomers. The term "1-2
5 alkyl" indicates that one or two of the available positions for that substituent may be alkyl. "Alkenyl" includes straight-chain or branched alkenes such as 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 1-propynyl, 2-propynyl and the different butynyl, pentynyl and hexynyl
10 isomers. "Alkynyl" can also include moieties comprised of multiple triple bonds such as 2,5-hexadiynyl. "Alkoxy" includes, for example, methoxy, ethoxy, *n*-propyloxy, isopropyloxy and the different butoxy, pentoxy and hexyloxy isomers. "Alkoxyalkyl" denotes alkoxy substitution on alkyl. Examples of "alkoxyalkyl" include CH_3OCH_2 , $\text{CH}_3\text{OCH}_2\text{CH}_2$, $\text{CH}_3\text{CH}_2\text{OCH}_2$, $\text{CH}_3\text{CH}_2\text{CH}_2\text{CH}_2\text{OCH}_2$ and $\text{CH}_3\text{CH}_2\text{OCH}_2\text{CH}_2$.
15 "Alkylthio" includes branched or straight-chain alkylthio moieties such as methylthio, ethylthio, and the different propylthio, butylthio, pentylthio and hexylthio isomers. "Cycloalkyl" includes, for example, cyclopropyl, cyclobutyl, cyclopentyl and cyclohexyl.

The term "heterocyclic ring" or heterocyclic ring system" denotes rings or ring systems in which at least one ring atom is not carbon and comprises 1 to 4 heteroatoms
20 independently selected from the group consisting of nitrogen, oxygen and sulfur, provided that each 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 term "aromatic ring system" denotes fully unsaturated carbocycles and heterocycles in which the polycyclic ring
25 system is aromatic (where aromatic indicates that the Hückel rule is satisfied for the ring system). The term "heteroaromatic ring" denotes fully aromatic rings in which at least one ring atom is not carbon and comprises 1 to 4 heteroatoms independently selected from the group consisting of nitrogen, oxygen and sulfur, provided that each heterocyclic ring contains no more than 4 nitrogens, no more than 2 oxygens and no more than 2 sulfurs
30 (where aromatic indicates that the Hückel rule is satisfied). The heterocyclic ring can be attached through any available carbon or nitrogen by replacement of hydrogen on said carbon or nitrogen. The term "aromatic heterocyclic ring system" includes fully aromatic heterocycles and heterocycles in which at least one ring of a polycyclic ring system is aromatic (where aromatic indicates that the Hückel rule is satisfied). The term "fused
35 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 "halogen", either alone or in compound words such as "haloalkyl", includes fluorine, chlorine, bromine or iodine. Further, when used in compound words such as

"haloalkyl", said alkyl may be partially or fully substituted with halogen atoms which may be the same or different. Examples of "haloalkyl" include F_3C , $ClCH_2$, CF_3CH_2 and CF_3CCl_2 . The terms "haloalkenyl", "haloalkynyl", "haloalkoxy", and the like, are defined analogously to the term "haloalkyl". Examples of "haloalkenyl" include $(Cl)_2C=CHCH_2$ and $CF_3CH_2CH=CHCH_2$. Examples of "haloalkynyl" include $HC\equiv CCHCl$, $CF_3C\equiv C$, $CCl_3C\equiv C$ and $FCH_2C\equiv CCH_2$. Examples of "haloalkoxy" include CF_3O , CCl_3CH_2O , $HCF_2CH_2CH_2O$ and CF_3CH_2O .

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 6. For example, C_1-C_3 alkylsulfonyl designates methylsulfonyl through propylsulfonyl; 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 $CH_3CH_2OCH_2CH_2$. In the above recitations, when a compound of Formula 1 contains a heterocyclic ring, all substituents are attached to this ring through any available carbon or nitrogen by replacement of a hydrogen on said carbon or nitrogen.

When a group contains a substituent which can be hydrogen, for example R^3 , then, when this substituent is taken as hydrogen, it is recognized that this is equivalent to said group being unsubstituted.

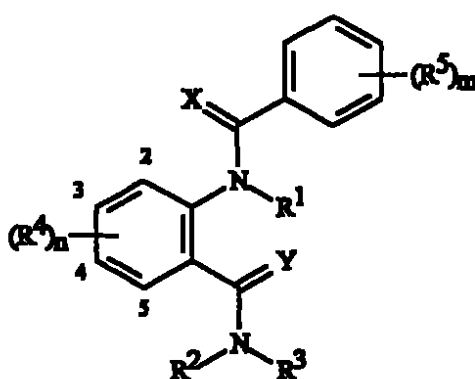
Compounds of this invention can exist as one or more stereoisomers. The various stereoisomers include enantiomers, diastereomers, atropisomers and geometric isomers. One skilled in the art will appreciate that one stereoisomer may be more active and/or may exhibit beneficial effects when enriched relative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

The present invention comprises compounds selected from Formula 1, *N*-oxides and agriculturally suitable salts thereof. One skilled in the art will appreciate that not all nitrogen containing heterocycles can form *N*-oxides since the nitrogen requires an available lone pair for oxidation to the oxide; one skilled in the art will recognize those nitrogen containing heterocycles which can form *N*-oxides. One skilled in the art will also recognize that tertiary amines can form *N*-oxides. Synthetic methods for the preparation of *N*-oxides of heterocycles and tertiary amines are very well known by one skilled in the art including the oxidation of heterocycles and tertiary amines with peroxy acids such as peracetic and *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 18-19, 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 139-151, 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.

Of note are certain compounds of Formula II



II

wherein

X and Y are O;

m is 1 to 5;

n is 1 to 4;

R¹ is H; or C₁-C₆ alkyl, C₂-C₆ alkenyl, C₂-C₆ alkynyl or C₃-C₆ cycloalkyl each optionally substituted with one or more substituents selected from the group consisting of halogen, CN, NO₂, hydroxy, C₁-C₄ alkoxy, C₁-C₄ alkylthio, C₁-C₄ alkylsulfinyl, C₁-C₄ alkylsulfonyl, C₂-C₄ alkoxycarbonyl, C₁-C₄ alkylamino, C₂-C₈ dialkylamino and C₃-C₆ cycloalkylamino; or

R¹ is C₂-C₆ alkylcarbonyl, C₂-C₆ alkoxycarbonyl, C₂-C₆ alkylaminocarbonyl or C₃-C₈ dialkylaminocarbonyl;

R^2 is H, C_1 - C_6 alkyl, C_2 - C_6 alkenyl, C_2 - C_6 alkynyl, C_3 - C_6 cycloalkyl, C_1 - C_4 alkoxy, C_1 - C_4 alkylamino, C_2 - C_8 dialkylamino, C_3 - C_6 cycloalkylamino, C_2 - C_6 alkoxycarbonyl or C_2 - C_6 alkylcarbonyl;

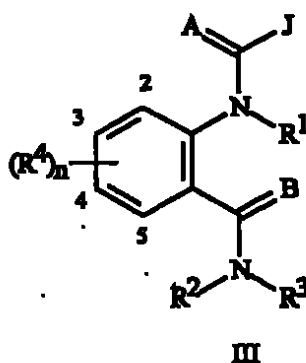
R^3 is *i*-propyl or *t*-butyl; and

5 each R^4 and R^5 are independently H, C_1 - C_6 alkyl, C_2 - C_6 alkenyl, C_2 - C_6 alkynyl, C_3 - C_6 cycloalkyl, C_1 - C_6 haloalkyl, C_2 - C_6 haloalkenyl, C_2 - C_6 haloalkynyl, C_3 - C_6 halocycloalkyl, halogen, CN, CO_2H , $CONH_2$, NO_2 , hydroxy, C_1 - C_4 alkoxy, C_1 - C_4 haloalkoxy, C_1 - C_4 alkylthio, C_1 - C_4 alkylsulfinyl, C_1 - C_4 alkylsulfonyl, C_1 - C_4 haloalkylthio, C_1 - C_4 haloalkylsulfinyl, C_1 - C_4 haloalkylsulfonyl, C_1 - C_4 alkoxycarbonyl, C_1 - C_4 alkylamino, C_2 - C_8 dialkylamino, C_3 - C_6 cycloalkylamino, C_2 - C_6 alkylcarbonyl, C_2 - C_6 alkoxycarbonyl, C_2 - C_6 alkylaminocarbonyl, C_3 - C_8 dialkylaminocarbonyl, C_3 - C_6 trialkylsilyl; or

15 each R^4 and R^5 are independently phenyl optionally substituted with C_1 - C_4 alkyl, C_2 - C_4 alkenyl, C_2 - C_4 alkynyl, C_3 - C_6 cycloalkyl, C_1 - C_4 haloalkyl, C_2 - C_4 haloalkenyl, C_2 - C_4 haloalkynyl, C_3 - C_6 halocycloalkyl, halogen, CN, NO_2 , C_1 - C_4 alkoxy, C_1 - C_4 haloalkoxy, C_1 - C_4 alkylthio, C_1 - C_4 alkylsulfinyl, C_1 - C_4 alkylsulfonyl, C_1 - C_4 alkoxycarbonyl, C_1 - C_4 alkylamino, C_2 - C_8 dialkylamino, C_3 - C_6 cycloalkylamino, C_3 - C_6 (alkyl)cycloalkylamino, C_2 - C_4 alkylcarbonyl, C_2 - C_6 alkoxycarbonyl, C_2 - C_6 alkylaminocarbonyl, C_3 - C_8 dialkylaminocarbonyl or C_3 - C_6 trialkylsilyl.

Also of note are methods for controlling arthropods comprising contacting the arthropods or their environment with an arthropodically effective amount of a compound of Formula II and insecticidal compositions thereof.

25 Also of note are certain compounds of Formula III



wherein

A and B are independently O or S;

J is a phenyl group substituted with 1 to 2 R⁵ and optionally substituted with 1 to 3 R⁶, or a 5- or 6-membered heteroaromatic ring optionally substituted with 1 to 4 R⁷;

n is 1 to 4;

5 R¹ is H; or C₁-C₆ alkyl, C₂-C₆ alkenyl, C₂-C₆ alkynyl or C₃-C₆ cycloalkyl each optionally substituted with one or more substituents selected from the group consisting of halogen, CN, NO₂, hydroxy, C₁-C₄ alkoxy, C₁-C₄ alkylthio, C₁-C₄ alkylsulfinyl, C₁-C₄ alkylsulfonyl, C₂-C₄ alkoxycarbonyl, C₁-C₄ alkylamino, C₂-C₈ dialkylamino and C₃-C₆ cycloalkylamino; or

10 R¹ is C₂-C₆ alkylcarbonyl, C₂-C₆ alkoxycarbonyl, C₂-C₆ alkylaminocarbonyl or C₃-C₈ dialkylaminocarbonyl;

R² is H, C₁-C₆ alkyl, C₂-C₆ alkenyl, C₂-C₆ alkynyl, C₃-C₆ cycloalkyl, C₁-C₄ alkoxy, C₁-C₄ alkylamino, C₂-C₈ dialkylamino, C₃-C₆ cycloalkylamino, C₂-C₆ alkoxycarbonyl or C₂-C₆ alkylcarbonyl;

15 R³ is H; or C₁-C₆ alkyl, C₂-C₆ alkenyl, C₂-C₆ alkynyl or C₃-C₆ cycloalkyl each optionally substituted with one or more substituents selected from the group consisting of halogen, CN, NO₂, hydroxy, C₁-C₄ alkoxy, C₁-C₄ alkylthio, C₁-C₄ alkylsulfinyl and C₁-C₄ alkylsulfonyl; or

20 R² and R³ can be 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 of nitrogen, sulfur or oxygen, said ring may be optionally substituted with 1 to 4 substituents selected from the group consisting of C₁-C₂ alkyl, halogen, CN, NO₂ and C₁-C₂ alkoxy;

25 each R⁴ is independently H, C₁-C₆ alkyl, C₂-C₆ alkenyl, C₂-C₆ alkynyl, C₃-C₆ cycloalkyl, C₁-C₆ haloalkyl, C₂-C₆ haloalkenyl, C₂-C₆ haloalkynyl, C₃-C₆ halocycloalkyl, halogen, CN, CO₂H, CONH₂, NO₂, hydroxy, C₁-C₄ alkoxy, C₁-C₄ haloalkoxy, C₁-C₄ alkylthio, C₁-C₄ alkylsulfinyl, C₁-C₄ alkylsulfonyl, C₁-C₄ haloalkylthio, C₁-C₄ haloalkylsulfinyl, C₁-C₄ haloalkylsulfonyl, C₁-C₄ alkylamino, C₂-C₈ dialkylamino, C₃-C₆ cycloalkylamino, C₂-C₆ alkylcarbonyl, C₂-C₆ alkoxycarbonyl, C₂-C₆ alkylaminocarbonyl, C₃-C₈ dialkylaminocarbonyl, C₃-C₆ trialkylsilyl; or

30 each R⁴ is independently phenyl, benzyl or phenoxy, each optionally substituted with C₁-C₄ alkyl, C₂-C₄ alkenyl, C₂-C₄ alkynyl, C₃-C₆ cycloalkyl, C₁-C₄ haloalkyl, C₂-C₄ haloalkenyl, C₂-C₄ haloalkynyl, C₃-C₆ halocycloalkyl, halogen, CN, NO₂, C₁-C₄ alkoxy, C₁-C₄ haloalkoxy, C₁-C₄ alkylthio, C₁-C₄ alkylsulfinyl, C₁-C₄ alkylsulfonyl, C₁-C₄ alkylamino, C₂-C₈ dialkylamino, C₃-C₆ cycloalkylamino, C₃-C₆ (alkyl)cycloalkylamino, C₂-C₄ alkylcarbonyl, C₂-C₆

alkoxycarbonyl, C₂-C₆ alkylaminocarbonyl, C₃-C₈ dialkylaminocarbonyl or C₃-C₆ trialkylsilyl;

each R⁵ is independently C₁-C₆ haloalkyl, C₂-C₆ haloalkenyl, C₂-C₆ haloalkynyl, C₃-C₆ halocycloalkyl, C₁-C₄ haloalkoxy, C₁-C₄ alkylthio, C₁-C₄ alkylsulfinyl, C₁-C₄ alkylsulfonyl, C₁-C₄ haloalkylthio, C₁-C₄ haloalkylsulfinyl, C₁-C₄ haloalkylsulfonyl, CN, NO₂, C₁-C₄ alkylamino, C₂-C₈ dialkylamino, C₃-C₆ cycloalkylamino, C₂-C₆ alkylcarbonyl, C₂-C₆ alkoxycarbonyl, C₂-C₆ alkylaminocarbonyl, or C₃-C₈ dialkylaminocarbonyl; or

(R⁵)₂ when attached to adjacent carbon atoms can be taken together as -OCF₂O-, -CF₂CF₂O-, or -OCF₂CF₂O-;

each R⁶ is independently H, halogen, C₁-C₆ alkyl, C₂-C₆ alkenyl, C₂-C₆ alkynyl, C₃-C₆ cycloalkyl, C₁-C₄ alkoxy; or

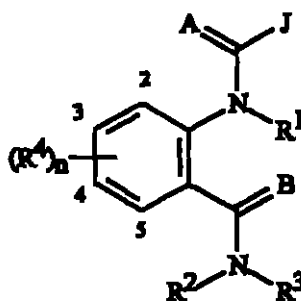
each R⁶ is independently phenyl, benzyl or phenoxy, each optionally substituted with C₁-C₄ alkyl, C₂-C₄ alkenyl, C₂-C₄ alkynyl, C₃-C₆ cycloalkyl, C₁-C₄ haloalkyl, C₂-C₄ haloalkenyl, C₂-C₄ haloalkynyl, C₃-C₆ halocycloalkyl, halogen, CN, NO₂, C₁-C₄ alkoxy, C₁-C₄ haloalkoxy, C₁-C₄ alkylthio, C₁-C₄ alkylsulfinyl, C₁-C₄ alkylsulfonyl, C₁-C₄ alkylamino, C₂-C₈ dialkylamino, C₃-C₆ cycloalkylamino, C₃-C₆ (alkyl)cycloalkylamino, C₂-C₄ alkylcarbonyl, C₂-C₆ alkoxycarbonyl, C₂-C₆ alkylaminocarbonyl, C₃-C₈ dialkylaminocarbonyl or C₃-C₆ trialkylsilyl;

each R⁷ is independently H, C₁-C₆ alkyl, C₂-C₆ alkenyl, C₂-C₆ alkynyl, C₃-C₆ cycloalkyl, C₁-C₆ haloalkyl, C₂-C₆ haloalkenyl, C₂-C₆ haloalkynyl, C₃-C₆ halocycloalkyl, halogen, CN, CO₂H, CONH₂, NO₂, hydroxy, C₁-C₄ alkoxy, C₁-C₄ haloalkoxy, C₁-C₄ alkylthio, C₁-C₄ alkylsulfinyl, C₁-C₄ alkylsulfonyl, C₁-C₄ haloalkylthio, C₁-C₄ haloalkylsulfinyl, C₁-C₄ haloalkylsulfonyl, C₁-C₄ alkylamino, C₂-C₈ dialkylamino, C₃-C₆ cycloalkylamino, C₂-C₆ alkylcarbonyl, C₂-C₆ alkoxycarbonyl, C₂-C₆ alkylaminocarbonyl, C₃-C₈ dialkylaminocarbonyl, C₃-C₆ trialkylsilyl; or

each R⁷ is independently phenyl, benzyl or phenoxy, each optionally substituted with C₁-C₄ alkyl, C₂-C₄ alkenyl, C₂-C₄ alkynyl, C₃-C₆ cycloalkyl, C₁-C₄ haloalkyl, C₂-C₄ haloalkenyl, C₂-C₄ haloalkynyl, C₃-C₆ halocycloalkyl, halogen, CN, NO₂, C₁-C₄ alkoxy, C₁-C₄ haloalkoxy, C₁-C₄ alkylthio, C₁-C₄ alkylsulfinyl, C₁-C₄ alkylsulfonyl, C₁-C₄ alkoxycarbonyl, C₁-C₄ alkylamino, C₂-C₈ dialkylamino, C₃-C₆ cycloalkylamino, C₃-C₆ (alkyl)cycloalkylamino, C₂-C₄ alkylcarbonyl, C₂-C₆ alkoxycarbonyl, C₂-C₆ alkylaminocarbonyl, C₃-C₈ dialkylaminocarbonyl or C₃-C₆ trialkylsilyl.

Also of note are methods for controlling arthropods comprising contacting the arthropods or their environment with an arthropodically effective amount of a compound of Formula III and insecticidal compositions thereof.

Also of note are certain compounds of Formula IV



IV

wherein

A and B are independently O or S;

J is a phenyl group substituted with 1 to 2 R^5 and optionally substituted with 1 to 3 R^6 , or a 5- or 6-membered heteroaromatic ring optionally substituted with 1 to 4 R^7 ;

n is 1 to 4;

R^1 is H; or C_1 - C_6 alkyl, C_2 - C_6 alkenyl, C_2 - C_6 alkynyl or C_3 - C_6 cycloalkyl each optionally substituted with one or more substituents selected from the group consisting of halogen, CN, NO_2 , hydroxy, C_1 - C_4 alkoxy, C_1 - C_4 alkylthio, C_1 - C_4 alkylsulfinyl, C_1 - C_4 alkylsulfonyl, C_2 - C_4 alkoxycarbonyl, C_1 - C_4 alkylamino, C_2 - C_8 dialkylamino and C_3 - C_6 cycloalkylamino; or

R^1 is C_2 - C_6 alkylcarbonyl, C_2 - C_6 alkoxycarbonyl, C_2 - C_6 alkylaminocarbonyl or C_3 - C_8 dialkylaminocarbonyl;

R^2 is H, C_1 - C_6 alkyl, C_2 - C_6 alkenyl, C_2 - C_6 alkynyl, C_3 - C_6 cycloalkyl, C_1 - C_4 alkoxy, C_1 - C_4 alkylamino, C_2 - C_8 dialkylamino, C_3 - C_6 cycloalkylamino, C_2 - C_6 alkoxycarbonyl or C_2 - C_6 alkylcarbonyl;

R^3 is H; C_1 - C_6 alkyl, C_2 - C_6 alkenyl, C_2 - C_6 alkynyl, C_3 - C_6 cycloalkyl, each optionally substituted with one or more substituents selected from the group consisting of halogen, CN, NO_2 , hydroxy, C_1 - C_4 alkoxy, C_1 - C_4 alkylthio, C_1 - C_4 alkylsulfinyl and C_1 - C_4 alkylsulfonyl; C_1 - C_4 alkoxy; C_1 - C_4 alkylamino; C_2 - C_8 dialkylamino; C_3 - C_6 cycloalkylamino; C_2 - C_6 alkoxycarbonyl or C_2 - C_6 alkylcarbonyl; or

R^2 and R^3 can be 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 of nitrogen sulfur or oxygen said ring may be optionally substituted with 1 to 4

substituents selected from the group consisting of C₁-C₂ alkyl, halogen, CN, NO₂ and C₁-C₂ alkoxy;

each R⁴ is independently H, C₁-C₆ alkyl, C₂-C₆ alkenyl, C₂-C₆ alkynyl, C₃-C₆ cycloalkyl, C₁-C₆ haloalkyl, C₂-C₆ haloalkenyl, C₂-C₆ haloalkynyl, C₃-C₆ halocycloalkyl, halogen, CN, CO₂H, CONH₂, NO₂, hydroxy, C₁-C₄ alkoxy, C₁-C₄ haloalkoxy, C₁-C₄ alkylthio, C₁-C₄ alkylsulfinyl, C₁-C₄ alkylsulfonyl, C₁-C₄ haloalkylthio, C₁-C₄ haloalkylsulfinyl, C₁-C₄ haloalkylsulfonyl, C₁-C₄ alkylamino, C₂-C₈ dialkylamino, C₃-C₆ cycloalkylamino, C₂-C₆ alkylcarbonyl, C₂-C₆ alkoxy carbonyl, C₂-C₆ alkylaminocarbonyl, C₃-C₈ dialkylaminocarbonyl, C₃-C₆ trialkylsilyl; or

each R⁴ is independently phenyl, benzyl or phenoxy, each optionally substituted with C₁-C₄ alkyl, C₂-C₄ alkenyl, C₂-C₄ alkynyl, C₃-C₆ cycloalkyl, C₁-C₄ haloalkyl, C₂-C₄ haloalkenyl, C₂-C₄ haloalkynyl, C₃-C₆ halocycloalkyl, halogen, CN, NO₂, C₁-C₄ alkoxy, C₁-C₄ haloalkoxy, C₁-C₄ alkylthio, C₁-C₄ alkylsulfinyl, C₁-C₄ alkylsulfonyl, C₁-C₄ alkylamino, C₂-C₈ dialkylamino, C₃-C₆ cycloalkylamino, C₃-C₆ (alkyl)cycloalkylamino, C₂-C₄ alkylcarbonyl, C₂-C₆ alkoxy carbonyl, C₂-C₆ alkylaminocarbonyl, C₃-C₈ dialkylaminocarbonyl or C₃-C₆ trialkylsilyl;

each R⁵ is independently C₁-C₆ alkyl, C₂-C₆ alkenyl, C₂-C₆ alkynyl, C₃-C₆ cycloalkyl, C₁-C₆ haloalkyl, C₂-C₆ haloalkenyl, C₂-C₆ haloalkynyl, C₃-C₆ halocycloalkyl, halogen, CN, CO₂H, CONH₂, NO₂, hydroxy, C₁-C₄ alkoxy, C₁-C₄ haloalkoxy, C₁-C₄ alkylthio, C₁-C₄ alkylsulfinyl, C₁-C₄ alkylsulfonyl, C₁-C₄ haloalkylthio, C₁-C₄ haloalkylsulfinyl, C₁-C₄ haloalkylsulfonyl, C₁-C₄ alkylamino, C₂-C₈ dialkylamino, C₃-C₆ cycloalkylamino, C₂-C₆ alkylcarbonyl, C₂-C₆ alkoxy carbonyl, C₂-C₆ alkylaminocarbonyl, C₃-C₈ dialkylaminocarbonyl, C₃-C₆ trialkylsilyl; or

(R⁵)₂ when attached to adjacent carbon atoms can be taken together as -OCF₂O-, -CF₂CF₂O-, or -OCF₂CF₂O-;

each R⁶ is independently H, halogen, C₁-C₆ alkyl, C₂-C₆ alkenyl, C₂-C₆ alkynyl, C₃-C₆ cycloalkyl, C₁-C₄ alkoxy; or

each R⁶ is independently a phenyl, benzyl, phenoxy or a 5- or 6-membered heteroaromatic ring, each ring optionally substituted with C₁-C₄ alkyl, C₂-C₄ alkenyl, C₂-C₄ alkynyl, C₃-C₆ cycloalkyl, C₁-C₄ haloalkyl, C₂-C₄ haloalkenyl, C₂-C₄ haloalkynyl, C₃-C₆ halocycloalkyl, halogen, CN, NO₂, C₁-C₄ alkoxy, C₁-C₄ haloalkoxy, C₁-C₄ alkylthio, C₁-C₄ alkylsulfinyl, C₁-C₄ alkylsulfonyl, C₁-C₄ alkylamino, C₂-C₈ dialkylamino, C₃-C₆ cycloalkylamino, C₃-C₆ (alkyl)cycloalkylamino, C₂-C₄ alkylcarbonyl, C₂-C₆ alkoxy carbonyl, C₂-C₆ alkylaminocarbonyl, C₃-C₈ dialkylaminocarbonyl or C₃-C₆ trialkylsilyl;

each R^7 is independently H, C_1 - C_6 alkyl, C_2 - C_6 alkenyl, C_2 - C_6 alkynyl, C_3 - C_6 cycloalkyl, C_1 - C_6 haloalkyl, C_2 - C_6 haloalkenyl, C_2 - C_6 haloalkynyl, C_3 - C_6 halocycloalkyl, halogen, CN, CO_2H , $CONH_2$, NO_2 , hydroxy, C_1 - C_4 alkoxy, C_1 - C_4 haloalkoxy, C_1 - C_4 alkylthio, C_1 - C_4 alkylsulfinyl, C_1 - C_4 alkylsulfonyl, C_1 - C_4 haloalkylthio, C_1 - C_4 haloalkylsulfinyl, C_1 - C_4 haloalkylsulfonyl, C_1 - C_4 alkylamino, C_2 - C_8 dialkylamino, C_3 - C_6 cycloalkylamino, C_2 - C_6 alkylcarbonyl, C_2 - C_6 alkoxy carbonyl, C_2 - C_6 alkylaminocarbonyl, C_3 - C_8 dialkylaminocarbonyl, C_3 - C_6 trialkylsilyl; or

each R^7 is independently a phenyl, benzyl, benzoyl, phenoxy or a 5- or 6-membered heteroaromatic ring, each ring optionally substituted with C_1 - C_4 alkyl, C_2 - C_4 alkenyl, C_2 - C_4 alkynyl, C_3 - C_6 cycloalkyl, C_1 - C_4 haloalkyl, C_2 - C_4 haloalkenyl, C_2 - C_4 haloalkynyl, C_3 - C_6 halocycloalkyl, halogen, CN, NO_2 , C_1 - C_4 alkoxy, C_1 - C_4 haloalkoxy, C_1 - C_4 alkylthio, C_1 - C_4 alkylsulfinyl, C_1 - C_4 alkylsulfonyl, C_1 - C_4 alkylamino, C_2 - C_8 dialkylamino, C_3 - C_6 cycloalkylamino, C_3 - C_6 (alkyl)cycloalkylamino, C_2 - C_4 alkylcarbonyl, C_2 - C_6 alkoxy carbonyl, C_2 - C_6 alkylaminocarbonyl, C_3 - C_8 dialkylaminocarbonyl or C_3 - C_6 trialkylsilyl;

provided that when A and B are both O, R^2 is H or C_1 - C_3 alkyl, R^3 is H or C_1 - C_3 alkyl and R^4 is H, halogen, C_1 - C_6 alkyl, phenyl, hydroxy or C_1 - C_6 alkoxy, then one R^5 is other than halogen, C_1 - C_6 alkyl, hydroxy or C_1 - C_6 alkoxy.

Also of note are methods for controlling arthropods comprising contacting the arthropods or their environment with an arthropodically effective amount of a compound of Formula IV and insecticidal compositions thereof.

Preferred methods for reasons of better activity are:

Preferred 1. Methods comprising compounds of Formula 1 wherein J is a phenyl group substituted with 1 to 2 R^5 and optionally substituted with 1 to 3 R^6 .

Preferred 2. Methods of Preferred 1 wherein

A and B are both O;

n is 1 to 2;

R^1 is H, C_1 - C_4 alkyl, C_2 - C_4 alkenyl, C_2 - C_4 alkynyl, C_3 - C_6 cycloalkyl, C_2 - C_6 alkylcarbonyl or C_2 - C_6 alkoxy carbonyl;

R^2 is H, C_1 - C_4 alkyl, C_2 - C_4 alkenyl, C_2 - C_4 alkynyl, C_3 - C_6 cycloalkyl, C_2 - C_6 alkylcarbonyl or C_2 - C_6 alkoxy carbonyl;

R^3 is C_1 - C_6 alkyl, C_2 - C_6 alkenyl, C_2 - C_6 alkynyl or C_3 - C_6 cycloalkyl each optionally substituted with one or more substituents selected from the group consisting of halogen, CN, C_1 - C_2 alkoxy, C_1 - C_2 alkylthio, C_1 - C_2 alkylsulfinyl and C_1 - C_2 alkylsulfonyl;

one of the R^4 groups is attached to the phenyl ring at the 2-position or 5-position, and said R^4 is C_1 - C_4 alkyl, C_1 - C_4 haloalkyl, halogen, CN, NO_2 , C_1 - C_4

alkoxy, C₁-C₄ haloalkoxy, C₁-C₄ alkylthio, C₁-C₄ alkylsulfinyl, C₁-C₄ alkylsulfonyl, C₁-C₄ haloalkylthio, C₁-C₄ haloalkylsulfinyl or C₁-C₄ haloalkylsulfonyl;

each R⁵ is independently C₁-C₄ haloalkyl, CN, NO₂, C₁-C₄ haloalkoxy, C₁-C₄ alkylthio, C₁-C₄ alkylsulfinyl, C₁-C₄ alkylsulfonyl, C₁-C₄ haloalkylthio, C₁-C₄ haloalkylsulfinyl, C₁-C₄ haloalkylsulfonyl or C₂-C₄ alkoxycarbonyl; or

(R⁵)₂ when attached to adjacent carbon atoms can be taken together as -OCF₂O-, -CF₂CF₂O- or -OCF₂CF₂O-; and

each R⁶ is independently H, halogen, C₁-C₄ alkyl, C₁-C₂ alkoxy or C₂-C₄ alkoxycarbonyl, or

each R⁶ is independently a phenyl or a 5- or 6-membered heteroaromatic ring, each ring optionally substituted with C₁-C₄ alkyl, C₂-C₄ alkenyl, C₂-C₄ alkynyl, C₃-C₆ cycloalkyl, C₁-C₄ haloalkyl, C₂-C₄ haloalkenyl, C₂-C₄ haloalkynyl, C₃-C₆ halocycloalkyl, halogen, CN, NO₂, C₁-C₄ alkoxy, C₁-C₄ haloalkoxy, C₁-C₄ alkylthio, C₁-C₄ alkylsulfinyl, C₁-C₄ alkylsulfonyl, C₁-C₄ alkylamino, C₂-C₈ dialkylamino, C₃-C₆ cycloalkylamino, C₃-C₆ (alkyl)cycloalkylamino, C₂-C₄ alkylcarbonyl, C₂-C₆ alkoxycarbonyl, C₂-C₆ alkylaminocarbonyl, C₃-C₈ dialkylaminocarbonyl or C₃-C₆ trialkylsilyl.

Preferred 3. Methods of Preferred 2 wherein

R¹ and R² are both H;

R³ is C₁-C₄ alkyl optionally substituted with halogen, CN, OCH₃, S(O)_pCH₃;

each R⁴ is independently H, CH₃, CF₃, OCF₃, OCHF₂, S(O)_pCF₃, S(O)_pCHF₂, CN or halogen;

each R⁵ is independently CF₃, OCF₃, OCHF₂, S(O)_pCF₃, S(O)_pCHF₂, OCH₂CF₃, OCF₂CHF₂, S(O)_pCH₂CF₃ or S(O)_pCF₂CHF₂;

each R⁶ is independently H, halogen or methyl; or phenyl, pyrazole, imidazole, triazole, pyridine or pyrimidine, each ring optionally substituted with C₁-C₄ alkyl, C₁-C₄ haloalkyl, halogen or CN; and

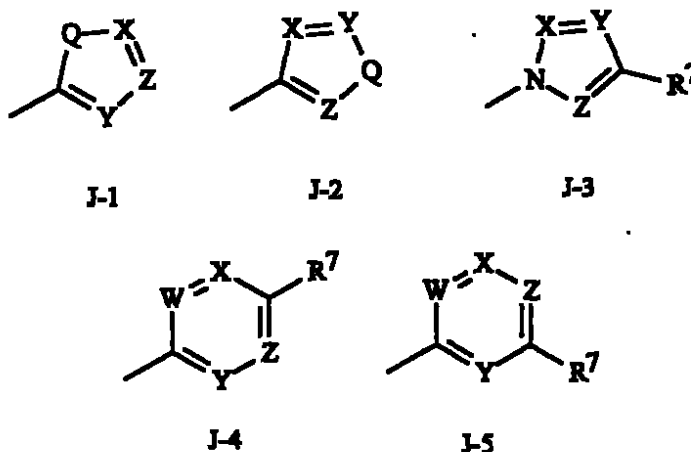
p is 0, 1 or 2.

Preferred 4. Methods of Preferred 3 wherein R³ is *l*-propyl or *t*-butyl.

Preferred 5: Methods comprising compounds of Formula 1 wherein J is a 5- or 6-membered heteroaromatic ring optionally substituted with 1 to 4 R⁷.

Preferred 6. Methods of Preferred 5 wherein

J is a 5- or 6-membered heteroaromatic ring selected from the group consisting of J-1, J-2, J-3, J-4 and J-5, each J optionally substituted with 1 to 3 R⁷



.O is O, S or NR⁷; and

W, X, Y and Z are independently N or CR⁷, provided that in J-4 and J-5 at least one of W, X, Y or Z is N.

5 Preferred 7. Methods of Preferred 5 or Preferred 6 wherein

A and B are 0:

n is 1 to 2:

R¹ is H, C₁-C₄ alkyl, C₂-C₄ alkenyl, C₂-C₄ alkynyl, C₂-C₆ alkylcarbonyl or C₂-C₆ alkoxy carbonyl;

10 $\cdot R^2$ is H, C₁-C₄ alkyl, C₂-C₄ alkenyl, C₂-C₄ alkynyl, C₃-C₆ cycloalkyl, C₂-C₆ alkylcarbonyl or C₇-C₆ alkoxy carbonyl;

R³ is H; or C₁-C₆ alkyl, C₂-C₆ alkenyl, C₂-C₆ alkynyl or C₃-C₆ cycloalkyl each optionally substituted with one or more substituents selected from the group consisting of halogen, CN, C₁-C₂ alkoxy, C₁-C₂ alkylthio, C₁-C₂ alkylsulfinyl and C₁-C₂ alkylsulfonyl;

one of the R⁴ groups is attached to the phenyl ring at the 2-position, and said R⁴ is C₁-C₄ alkyl, C₁-C₄ haloalkyl, halogen, CN, NO₂, C₁-C₄ alkoxy, C₁-C₄ haloalkoxy, C₁-C₄ alkylthio, C₁-C₄ alkylsulfinyl, C₁-C₄ alkylsulfonyl, C₁-C₄ haloalkylthio, C₁-C₄ haloalkylsulfinyl, or C₁-C₄ haloalkylsulfonyl; and

each R⁷ is independently H, C₁-C₄ alkyl, C₁-C₄ haloalkyl, halogen, CN, NO₂, C₁-C₄ haloalkoxy, C₁-C₄ alkylthio, C₁-C₄ alkylsulfinyl, C₁-C₄ alkylsulfonyl, C₁-C₄ haloalkylthio, C₁-C₄ haloalkylsulfinyl, C₁-C₄ haloalkylsulfonyl or C₂-C₄ alkoxy carbonyl; or a phenyl or a 5- or 6-membered heteroaromatic ring, each ring optionally substituted with C₁-C₄ alkyl, C₂-C₄ alkenyl, C₂-C₄ alkynyl, C₃-C₆ cycloalkyl, C₁-C₄ haloalkyl, C₂-C₄ haloalkenyl, C₂-C₄ haloalkynyl, C₃-C₆ halocycloalkyl, halogen, CN, NO₂, C₁-C₄ alkoxy, C₁-C₄ haloalkoxy, C₁-C₄ alkylthio, C₁-C₄ alkylsulfinyl, C₁-C₄ alkylsulfonyl, C₁-C₄ alkylamino, C₂-C₆

dialkylamino, C₃-C₆ cycloalkylamino, C₃-C₆ (alkyl)cycloalkylamino, C₂-C₄ alkylcarbonyl, C₂-C₆ alkoxy carbonyl, C₂-C₆ alkylaminocarbonyl, C₃-C₈ dialkylaminocarbonyl or C₃-C₆ trialkylsilyl.

Preferred 8. Methods of Preferred 7 wherein

5 J is selected from the group consisting of pyridine, pyrimidine, pyrazole, imidazole, triazole, thiophene, thiazole and oxazole, furan, isothiazole and isoxazole, each optionally substituted with 1 to 3 R⁷.

Preferred 9. Methods of Preferred 8 wherein

10 J is selected from the group consisting of pyridine, pyrimidine, pyrazole, thiophene and thiazole, each optionally substituted with 1 to 3 R⁷;
 R¹ and R² are both H;
 R³ is C₁-C₄ alkyl optionally substituted with halogen, CN, OCH₃, S(O)_pCH₃; each R⁴ is independently H, CH₃, CF₃, OCF₃, OCHF₂, S(O)_pCF₃, S(O)_pCHF₂, CN or halogen;
 15 each R⁷ is independently H, halogen, CH₃, CF₃, OCHF₂, S(O)_pCF₃, S(O)_pCHF₂, OCH₂CF₃, OCF₂CHF₂, S(O)_pCH₂CF₃, S(O)_pCF₂CHF₂; or phenyl, pyrazole, imidazole, triazole, pyridine or pyrimidine, each ring optionally substituted with C₁-C₄ alkyl, C₁-C₄ haloalkyl, C₁-C₄ alkoxy, C₁-C₄ haloalkoxy, C₁-C₄ alkylthio, C₁-C₄ alkylsulfinyl, C₁-C₄ alkylsulfonyl, halogen or CN; and
 20 p is 0, 1 or 2.

Preferred 10. Methods of Preferred 9 wherein J is a pyridine optionally substituted with 1 to 3 R⁷.

25 Preferred 11. Methods of Preferred 10 wherein one R⁷ is a phenyl optionally substituted with C₁-C₄ alkyl, C₁-C₄ haloalkyl, halogen or CN.

Preferred 12. Methods of Preferred 10 wherein one R⁷ is a pyrazole, imidazole, triazole, pyridine or pyrimidine, each ring optionally substituted with C₁-C₄ alkyl, C₁-C₄ haloalkyl, halogen or CN.

30 Preferred 13. Methods of Preferred 9 wherein J is a pyrimidine optionally substituted with 1 to 3 R⁷.

Preferred 14. Methods of Preferred 13 wherein one R⁷ is a phenyl optionally substituted with C₁-C₄ alkyl, C₁-C₄ haloalkyl, halogen or CN.

35 Preferred 15. Methods of Preferred 13 wherein one R⁷ is a pyrazole, imidazole, triazole, pyridine or pyrimidine, each ring optionally substituted with C₁-C₄ alkyl, C₁-C₄ haloalkyl, halogen or CN.

Preferred 16. Methods of Preferred 9 wherein J is a pyrazole optionally substituted with 1 to 3 R⁷.

Preferred 17. Methods of Preferred 16 wherein one R⁷ is a phenyl optionally substituted with C₁-C₄ alkyl, C₁-C₄ haloalkyl, halogen or CN.

Preferred 18. Methods of Preferred 16 wherein one R⁷ is a pyrazole, imidazole, triazole, pyridine or pyrimidine, each ring optionally substituted with C₁-C₄ alkyl, C₁-C₄ haloalkyl, halogen or CN.

Preferred 19. Methods of Preferred 18 wherein R⁷ is a pyridine optionally substituted with C₁-C₄ alkyl, C₁-C₄ haloalkyl, halogen or CN.

Most preferred is the method comprising a compound of Formula 1 selected from the group consisting of:

3-methyl-N-(1-methylethyl)-2-[[4-(trifluoromethyl)benzoyl]amino]-benzamide,
2-methyl-N-[2-methyl-6-[[[(1-methylethyl)amino]carbonyl]phenyl]-4-(trifluoromethyl)benzamide,

2-methyl-N-[2-methyl-6-[[[(1-methylethyl)amino]carbonyl]phenyl]-6-(trifluoromethyl)-3-pyridinecarboxamide,

1-ethyl-N-[2-methyl-6-[[[(1-methylethyl)amino]carbonyl]phenyl]-3-(trifluoromethyl)-1H-pyrazole-5-carboxamide,

1-(2-fluorophenyl)-N-[2-methyl-6-[[[(1-methylethyl)amino]carbonyl]phenyl]-3-(trifluoromethyl)-1H-pyrazole-5-carboxamide,

1-(3-chloro-2-pyridinyl)-N-[2-methyl-6-[[[(1-methylethyl)amino]carbonyl]phenyl]-3-(trifluoromethyl)-1H-pyrazole-5-carboxamide,

N-[2-chloro-6-[[[(1-methylethyl)amino]carbonyl]phenyl]-1-(3-chloro-2-pyridinyl)-3-(trifluoromethyl)-1H-pyrazole-5-carboxamide,

3-bromo-1-(2-chlorophenyl)-N-[2-methyl-6-[[[(1-methylethyl)amino]carbonyl]phenyl]-1H-pyrazole-5-carboxamide, and

3-bromo-N-[2-chloro-6-[[[(1-methylethyl)amino]carbonyl]phenyl]-1-(2-chlorophenyl)-1H-pyrazole-5-carboxamide.

Preferred compounds for reasons of better activity and/or ease of synthesis are:

Preferred A. Compounds of Formula 1 wherein J is a phenyl group substituted with 1 to 2 R⁵ and optionally substituted with 1 to 3 R⁶.

Preferred B. Compounds of Preferred A wherein

A and B are both O;

n is 1 to 2;

R¹ is H, C₁-C₄ alkyl, C₂-C₄ alkenyl, C₂-C₄ alkynyl, C₃-C₆ cycloalkyl, C₂-C₆ alkylcarbonyl or C₂-C₆ alkoxy carbonyl;

R² is H, C₁-C₄ alkyl, C₂-C₄ alkenyl, C₂-C₄ alkynyl, C₃-C₆ cycloalkyl, C₂-C₆ alkylcarbonyl or C₂-C₆ alkoxy carbonyl;

R³ is C₁-C₆ alkyl, C₂-C₆ alkenyl, C₂-C₆ alkynyl or C₃-C₆ cycloalkyl each optionally substituted with one or more substituents selected from the

group consisting of halogen, CN, C₁-C₂ alkoxy, C₁-C₂ alkylthio, C₁-C₂ alkylsulfinyl and C₁-C₂ alkylsulfonyl;

one of the R⁴ groups is attached to the phenyl ring at the 2-position or 5-position, and said R⁴ is C₁-C₄ alkyl, C₁-C₄ haloalkyl, halogen, CN, NO₂, C₁-C₄ alkoxy, C₁-C₄ haloalkoxy, C₁-C₄ alkylthio, C₁-C₄ alkylsulfinyl, C₁-C₄ alkylsulfonyl, C₁-C₄ haloalkylthio, C₁-C₄ haloalkylsulfinyl or C₁-C₄ haloalkylsulfonyl;

each R⁵ is independently C₁-C₄ haloalkyl, CN, NO₂, C₁-C₄ haloalkoxy, C₁-C₄ alkylthio, C₁-C₄ alkylsulfinyl, C₁-C₄ alkylsulfonyl, C₁-C₄ haloalkylthio, C₁-C₄ haloalkylsulfinyl, C₁-C₄ haloalkylsulfonyl or C₂-C₄ alkoxycarbonyl; or

(R⁵)₂ when attached to adjacent carbon atoms can be taken together as -OCF₂O-, -CF₂CF₂O- or -OCF₂CF₂O-; and

each R⁶ is independently H, halogen, C₁-C₄ alkyl, C₁-C₂ alkoxy or C₂-C₄ alkoxycarbonyl, or

each R⁶ is independently a phenyl or a 5- or 6-membered heteroaromatic ring, each ring optionally substituted with C₁-C₄ alkyl, C₂-C₄ alkenyl, C₂-C₄ alkynyl, C₃-C₆ cycloalkyl, C₁-C₄ haloalkyl, C₂-C₄ haloalkenyl, C₂-C₄ haloalkynyl, C₃-C₆ halocycloalkyl, halogen, CN, NO₂, C₁-C₄ alkoxy, C₁-C₄ haloalkoxy, C₁-C₄ alkylthio, C₁-C₄ alkylsulfinyl, C₁-C₄ alkylsulfonyl, C₁-C₄ alkylamino, C₂-C₈ dialkylamino, C₃-C₆ cycloalkylamino, C₃-C₆ (alkyl)cycloalkylamino, C₂-C₄ alkylcarbonyl, C₂-C₆ alkoxycarbonyl, C₂-C₆ alkylaminocarbonyl, C₃-C₈ dialkylaminocarbonyl or C₃-C₆ trialkylsilyl.

Preferred C. Compounds of Preferred B wherein

R¹ and R² are both H;

R³ is C₁-C₄ alkyl optionally substituted with halogen, CN, OCH₃, S(O)_pCH₃;

each R⁴ is independently H, CH₃, CF₃, OCF₃, OCHF₂, S(O)_pCF₃,

S(O)_pCHF₂, CN or halogen;

each R⁵ is independently CF₃, OCF₃, OCHF₂, S(O)_pCF₃, S(O)_pCHF₂,

OCH₂CF₃, OCF₂CHF₂, S(O)_pCH₂CF₃ or S(O)_pCF₂CHF₂;

each R⁶ is independently H, halogen or methyl; or phenyl, pyrazole,

imidazole, triazole, pyridine or pyrimidine, each ring optionally

substituted with C₁-C₄ alkyl, C₁-C₄ haloalkyl, halogen or CN; and

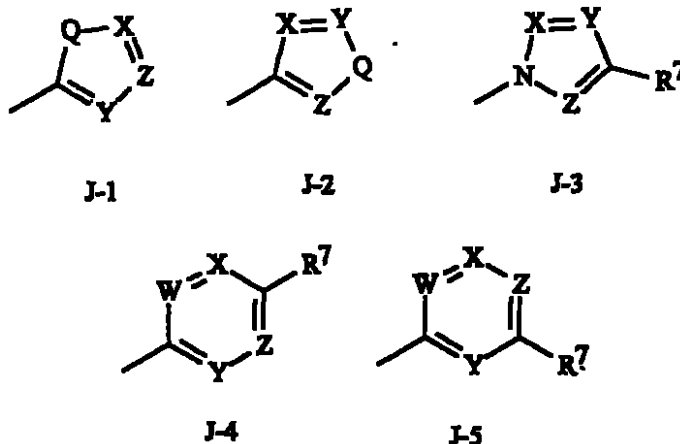
p is 0, 1 or 2.

Preferred D. Compounds of Preferred C wherein R³ is *t*-propyl or *t*-butyl.

Preferred E. Compounds of Formula 1 wherein J is a 5- or 6-membered heteroaromatic ring optionally substituted with 1 to 4 R⁷.

Preferred F. Compounds of Preferred E wherein

J is a 5- or 6-membered heteroaromatic ring selected from the group consisting of J-1, J-2, J-3, J-4 and J-5, each J optionally substituted with 1 to 3 R⁷



Q is O, S or NR⁷; and

W, X, Y and Z are independently N or CR⁷, provided that in J-4 and J-5 at least one of W, X, Y or Z is N.

Preferred G. Compounds of Preferred E or Preferred F wherein

A and B are O;

n is 1 to 2;

R¹ is H, C₁-C₄ alkyl, C₂-C₄ alkenyl, C₂-C₄ alkynyl, C₂-C₆ alkylcarbonyl or C₂-C₆ alkoxy carbonyl;

R² is H, C₁-C₄ alkyl, C₂-C₄ alkenyl, C₂-C₄ alkynyl, C₃-C₆ cycloalkyl, C₂-C₆ alkylcarbonyl or C₂-C₆ alkoxy carbonyl;

R³ is H; or C₁-C₆ alkyl, C₂-C₆ alkenyl, C₂-C₆ alkynyl or C₃-C₆ cycloalkyl each optionally substituted with one or more substituents selected from the group consisting of halogen, CN, C₁-C₂ alkoxy, C₁-C₂ alkylthio, C₁-C₂ alkylsulfinyl and C₁-C₂ alkylsulfonyl;

one of the R⁴ groups is attached to the phenyl ring at the 2-position, and said R⁴ is C₁-C₄ alkyl, C₁-C₄ haloalkyl, halogen, CN, NO₂, C₁-C₄ alkoxy, C₁-C₄ haloalkoxy, C₁-C₄ alkylthio, C₁-C₄ alkylsulfinyl, C₁-C₄ alkylsulfonyl, C₁-C₄ haloalkylthio, C₁-C₄ haloalkylsulfinyl or C₁-C₄ haloalkylsulfonyl; and

each R⁷ is independently H, C₁-C₄ alkyl, C₁-C₄ haloalkyl, halogen, CN, NO₂, C₁-C₄ haloalkoxy, C₁-C₄ alkylthio, C₁-C₄ alkylsulfinyl, C₁-C₄ alkylsulfonyl, C₁-C₄ haloalkylthio, C₁-C₄ haloalkylsulfinyl, C₁-C₄ haloalkylsulfonyl or C₂-C₄ alkoxy carbonyl; or a phenyl or a 5- or

6-membered heteroaromatic ring, each ring optionally substituted with C₁-C₄ alkyl, C₂-C₄ alkenyl, C₂-C₄ alkynyl, C₃-C₆ cycloalkyl, C₁-C₄ haloalkyl, C₂-C₄ haloalkenyl, C₂-C₄ haloalkynyl, C₃-C₆ halocycloalkyl, halogen, CN, NO₂, C₁-C₄ alkoxy, C₁-C₄ haloalkoxy, C₁-C₄ alkylthio, C₁-C₄ alkylsulfinyl, C₁-C₄ alkylsulfonyl, C₁-C₄ alkylamino, C₂-C₈ dialkylamino, C₃-C₆ cycloalkylamino, C₃-C₆ (alkyl)cycloalkylamino, C₂-C₄ alkylcarbonyl, C₂-C₆ alkoxycarbonyl, C₂-C₆ alkylaminocarbonyl, C₃-C₈ dialkylaminocarbonyl or C₃-C₆ trialkylsilyl.

Preferred H. Compounds of Preferred G wherein

J is selected from the group consisting of pyridine, pyrimidine, pyrazole, imidazole, triazole, thiophene, thiazole and oxazole, furan, isothiazole and isoxazole, each optionally substituted with 1 to 3 R⁷.

Preferred I. Compounds of Preferred H wherein

J is selected from the group consisting of pyridine, pyrimidine, pyrazole, thiophene and thiazole, each optionally substituted with 1 to 3 R⁷;

R¹ and R² are both H;

R³ is C₁-C₄ alkyl optionally substituted with halogen, CN, OCH₃, S(O)_pCH₃;

each R⁴ is independently H, CH₃, CF₃, OCF₃, OCHF₂, S(O)_pCF₃,

S(O)_pCHF₂, CN or halogen;

each R⁷ is independently H, halogen, CH₃, CF₃, OCHF₂, S(O)_pCF₃,

S(O)_pCHF₂, OCH₂CF₃, OCF₂CHF₂, S(O)_pCH₂CF₃, S(O)_pCF₂CHF₂;

or phenyl, pyrazole, imidazole, triazole, pyridine or pyrimidine, each

ring optionally substituted with C₁-C₄ alkyl, C₁-C₄ haloalkyl, C₁-C₄

alkoxy, C₁-C₄ haloalkoxy, C₁-C₄ alkylthio, C₁-C₄ alkylsulfinyl, C₁-C₄

alkylsulfonyl, halogen or CN; and

p is 0, 1 or 2.

Preferred J. Compounds of Preferred I wherein J is a pyridine optionally substituted with 1 to 3 R⁷.

Preferred K. Compounds of Preferred J wherein one R⁷ is a phenyl optionally substituted with C₁-C₄ alkyl, C₁-C₄ haloalkyl, halogen or CN.

Preferred L. Compounds of Preferred J wherein one R⁷ is a pyrazole, imidazole, triazole, pyridine or pyrimidine, each ring optionally substituted with C₁-C₄ alkyl, C₁-C₄ haloalkyl, halogen or CN.

Preferred M. Compounds of Preferred I wherein J is a pyrimidine optionally substituted with 1 to 3 R⁷.

Preferred N. Compounds of Preferred M wherein one R⁷ is a phenyl optionally substituted with C₁-C₄ alkyl, C₁-C₄ haloalkyl, halogen or CN.

Preferred O. Compounds of Preferred M wherein one R⁷ is a pyrazole, imidazole, triazole, pyridine or pyrimidine, each ring optionally substituted with C₁-C₄ alkyl, C₁-C₄ haloalkyl, halogen or CN.

Preferred P. Compounds of Preferred I wherein J is a pyrazole optionally substituted with 1 to 3 R⁷.

Preferred Q. Compounds of Preferred P wherein one R⁷ is a phenyl optionally substituted with C₁-C₄ alkyl, C₁-C₄ haloalkyl, halogen or CN.

Preferred R. Compounds of Preferred P wherein one R⁷ is a pyrazole, imidazole, triazole, pyridine or pyrimidine, each ring optionally substituted with C₁-C₄ alkyl, C₁-C₄ haloalkyl, halogen or CN.

Preferred S. Compounds of Preferred R wherein R⁷ is a pyridine optionally substituted with C₁-C₄ alkyl, C₁-C₄ haloalkyl, halogen or CN.

Most preferred is the compound of Formula 1 selected from the group consisting of:

3-methyl-N-(1-methylethyl)-2-[[4-(trifluoromethyl)benzoyl]amino]-benzamide,

2-methyl-N-[2-methyl-6-[[[(1-methylethyl)amino]carbonyl]phenyl]-4-(trifluoromethyl)benzamide,

2-methyl-N-[2-methyl-6-[[[(1-methylethyl)amino]carbonyl]phenyl]-6-(trifluoromethyl)-3-pyridinecarboxamide,

1-ethyl-N-[2-methyl-6-[[[(1-methylethyl)amino]carbonyl]phenyl]-3-(trifluoromethyl)-1H-pyrazole-5-carboxamide,

1-(2-fluorophenyl)-N-[2-methyl-6-[[[(1-methylethyl)amino]carbonyl]phenyl]-3-(trifluoromethyl)-1H-pyrazole-5-carboxamide,

1-(3-chloro-2-pyridinyl)-N-[2-methyl-6-[[[(1-methylethyl)amino]carbonyl]phenyl]-3-(trifluoromethyl)-1H-pyrazole-5-carboxamide,

N-[2-chloro-6-[[[(1-methylethyl)amino]carbonyl]phenyl]-1-(3-chloro-2-pyridinyl)-3-(trifluoromethyl)-1H-pyrazole-5-carboxamide,

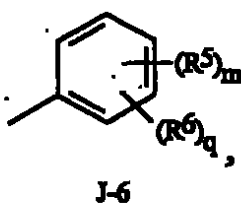
3-bromo-1-(2-chlorophenyl)-N-[2-methyl-6-[[[(1-methylethyl)amino]carbonyl]phenyl]-1H-pyrazole-5-carboxamide, and

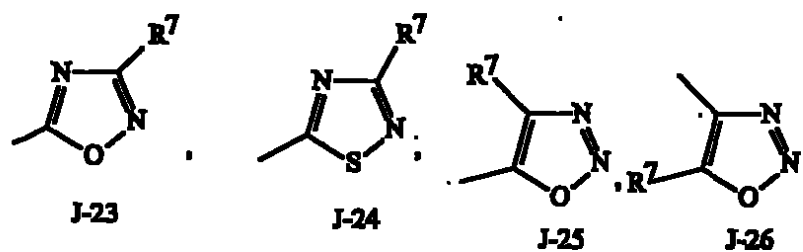
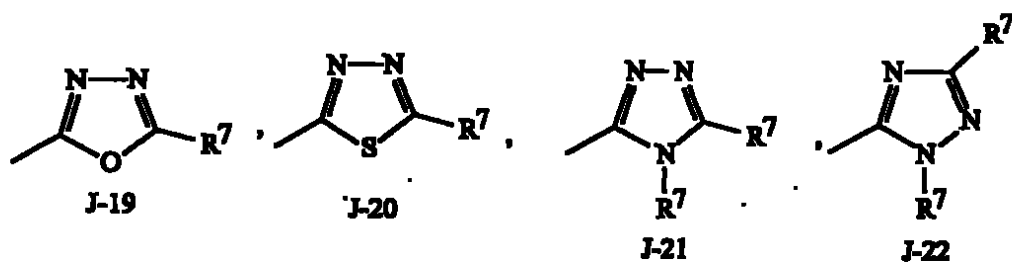
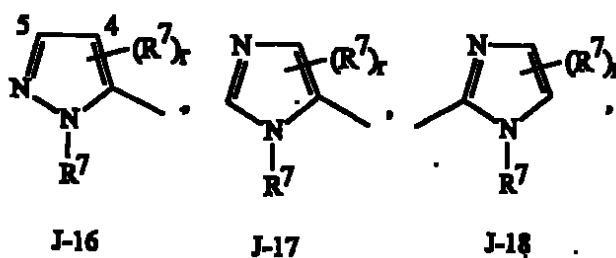
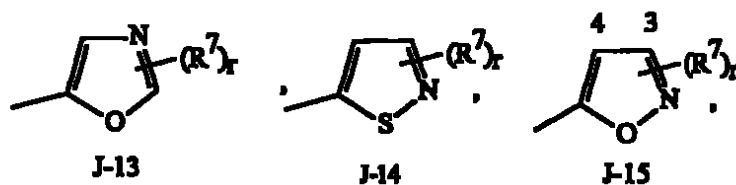
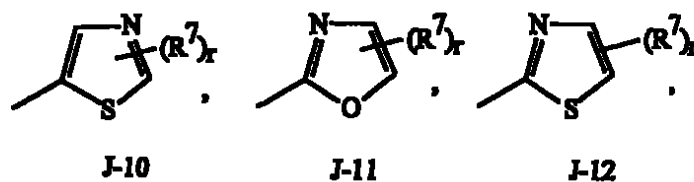
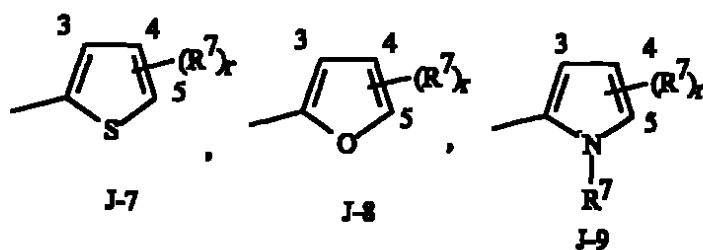
3-bromo-N-[2-chloro-6-[[[(1-methylethyl)amino]carbonyl]phenyl]-1-(2-chlorophenyl)-1H-pyrazole-5-carboxamide.

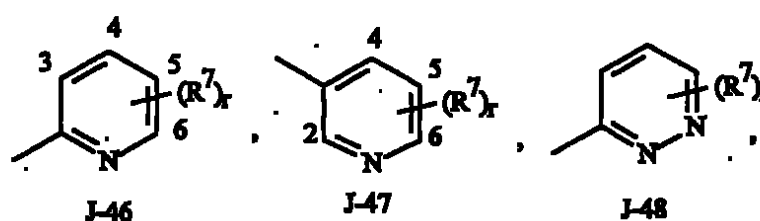
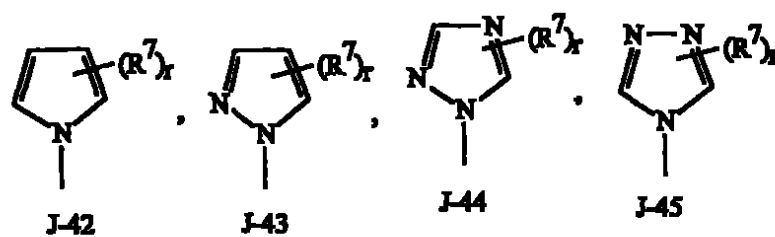
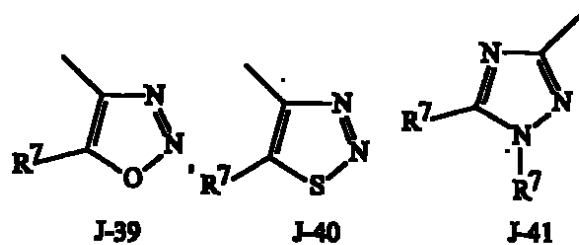
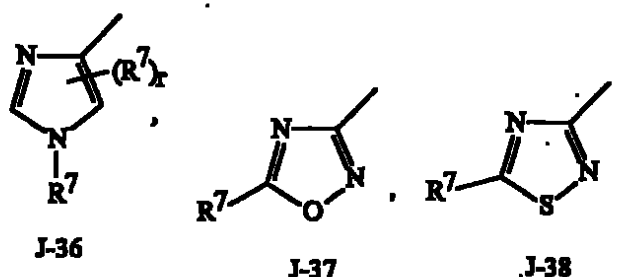
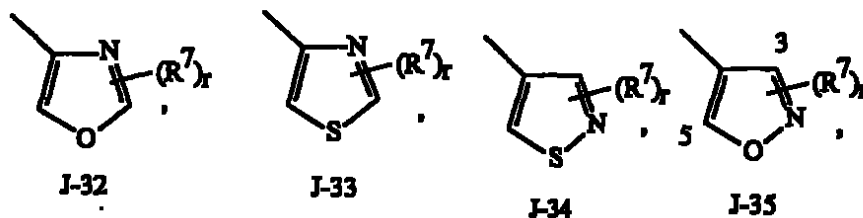
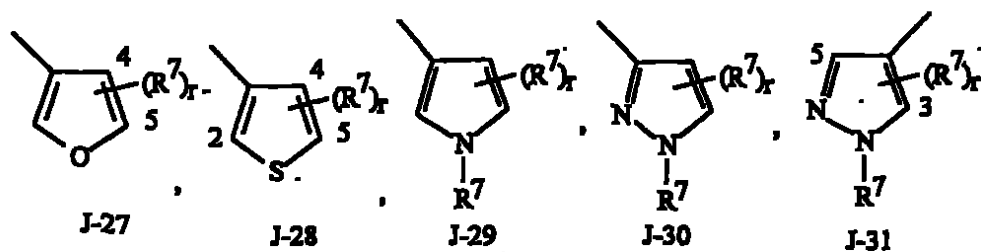
Preferred compositions are those comprising compounds of formula 1 as preferred in Preferred 1 through 19, and the specifically preferred compounds above.

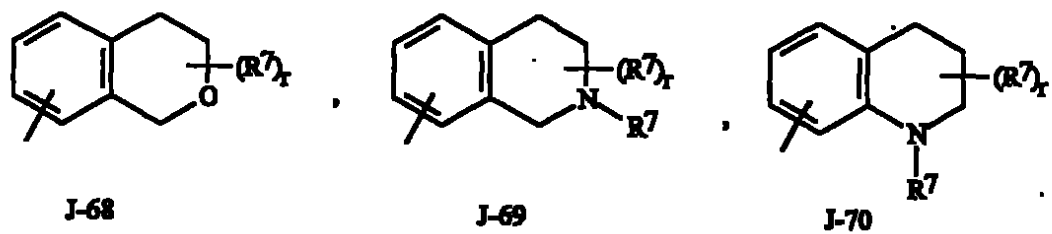
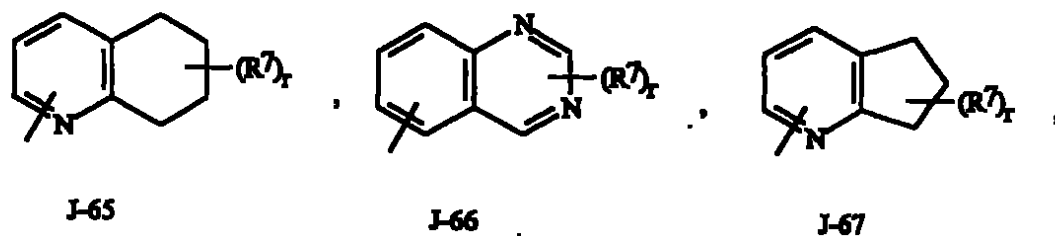
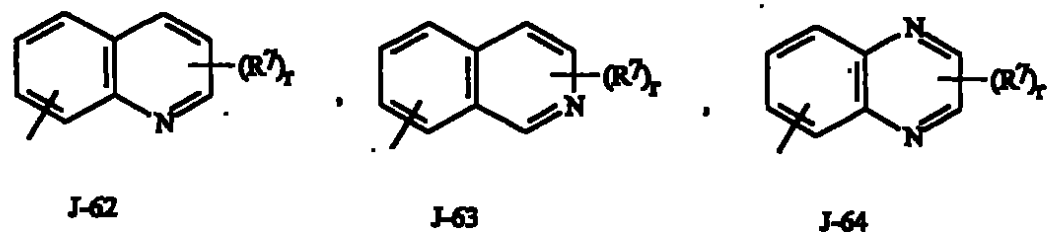
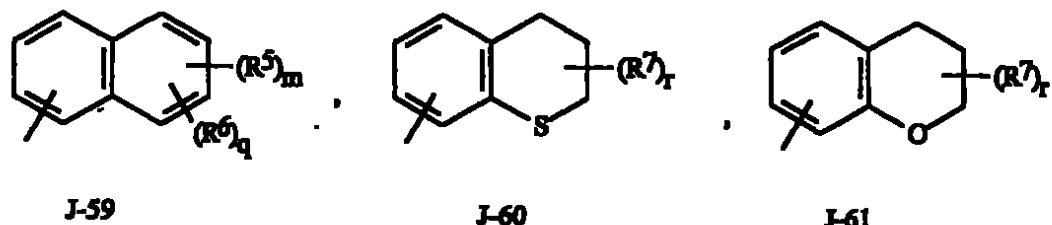
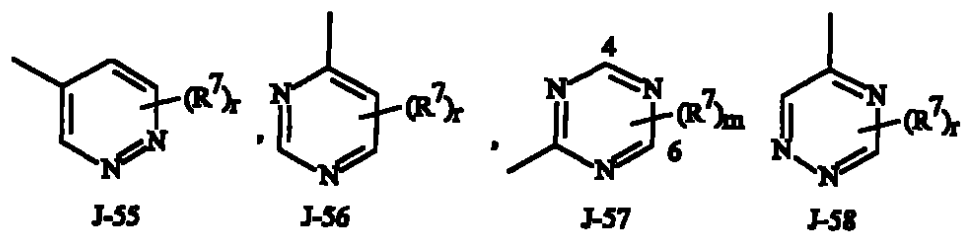
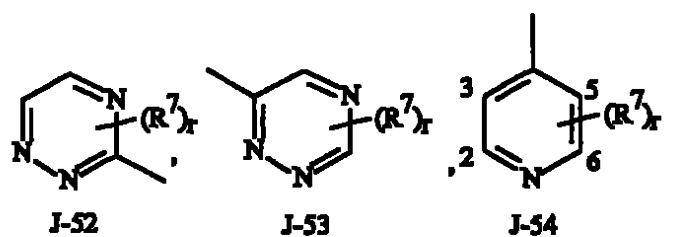
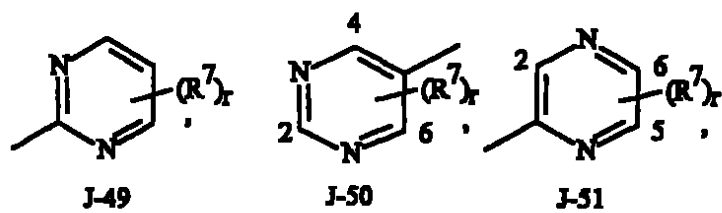
As noted above, each J is independently a phenyl group or a naphthyl group substituted with 1 to 2 R⁵ and optionally substituted with 1 to 3 R⁶; or each J is independently a 5- or 6-membered heteroaromatic ring or an aromatic 8-, 9- or 10-membered fused heterobicyclic ring system wherein each ring or ring system is optionally substituted with 1 to 4 R⁷. The term "optionally substituted" in connection with these J groups refers to groups which are unsubstituted or have at least one non-hydrogen substituent that does not

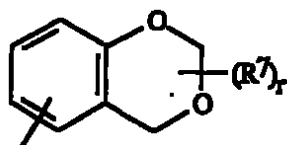
extinguish the arthropodocidal activity possessed by the unsubstituted analog. Note also that J-1 through J-5 above denote 5- or 6-membered heteroaromatic rings. An example of phenyl substituted with 1 to 2 R^5 and optionally substituted with 1 to 3 R^6 is the ring illustrated as J-6 in Exhibit 1, wherein m is an integer from 1-2 and q is an integer from 1 to 3. Note that at least one R^5 must be present in J-6. Although R^6 groups are shown in the structure J-6, it is noted that they do not need to be present since they are optional substituents. An example of a naphthyl group substituted with 1 to 2 R^5 and optionally substituted with 1 to 3 R^6 is J-59 illustrated in Exhibit 1, wherein m is an integer from 1-2 and q is an integer from 1 to 3. Note that at least one R^5 must be present in J-59. Although R^6 groups are shown in the structure J-59, it is noted that they do not need to be present since they are optional substituents. Examples of 5- or 6-membered heteroaromatic ring optionally substituted with 1 to 4 R^7 include the rings J-7 through J-58 illustrated in Exhibit 1 wherein r is an integer from 1 to 4. Note that J-7 through J-26 are examples of J-1, J-27 through J-41 are examples of J-2, J-42 through J-44 are examples of J-3, J-46 through J-53 are examples of J-4 and J-54 through J-58 are examples of J-5. The nitrogen atoms that require substitution to fill their valence are substituted with R^7 . Note that some J groups can only be substituted with less than 4 R^7 groups (e.g. J-19, J-20, J-23 through J-26 and J-37 through J-40 can only be substituted with one R^7). Examples of aromatic 8-, 9- or 10-membered fused heterobicyclic ring systems optionally substituted with 1 to 4 R^7 include J-60 through J-90 illustrated in Exhibit 1 wherein r is an integer from 1 to 4. Although R^7 groups are shown in the structures J-7 through J-58 and J-60 through J-90, it is noted that they do not need to be present since they are optional substituents. Note that when R^5 , R^6 and/or R^7 are H when attached to an atom, this is the same as if said atom is unsubstituted. Note that when the attachment point between $(R^5)_m$, $(R^6)_q$ or $(R^7)_r$ and the J group is illustrated as floating, $(R^5)_m$, $(R^6)_q$ or $(R^7)_r$ can be attached to any available carbon atom of the J group. Note that when the attachment point on the J group is illustrated as floating, the J group can be attached to the remainder of Formula 1 through any available carbon of the J group by replacement of a hydrogen atom.

Exhibit 1

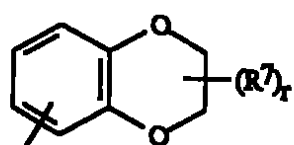




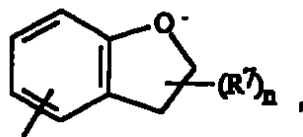




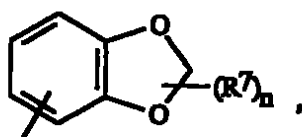
I-71



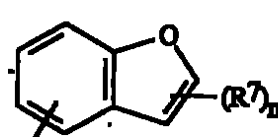
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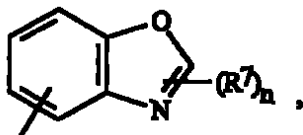
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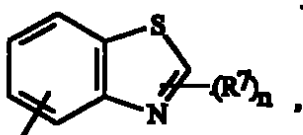
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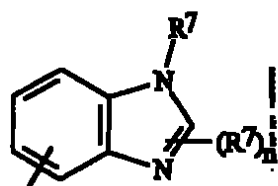
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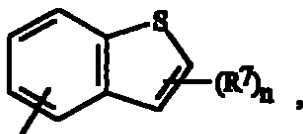
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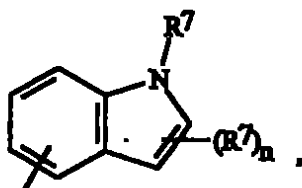
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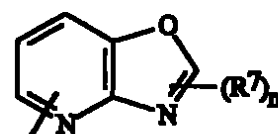
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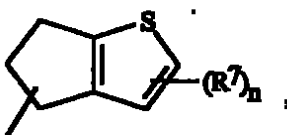
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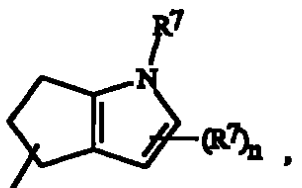
I-80



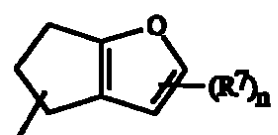
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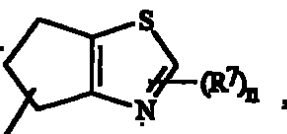
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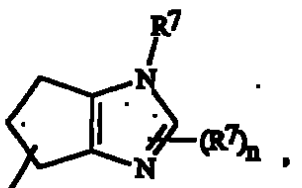
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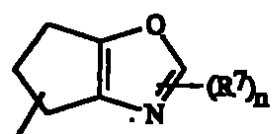
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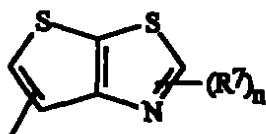
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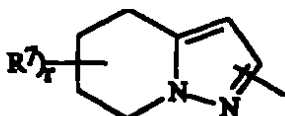
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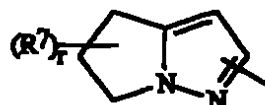
I-87



J-88



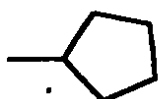
J-89



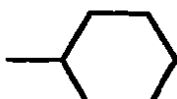
J-90

As noted above, G is a 5- or 6-membered nonaromatic carbocyclic or heterocyclic ring, optionally including one or two ring members selected from the group consisting of C(=O), SO or S(O)₂ and optionally substituted with 1 to 4 substituents selected from the group consisting of C₁-C₂ alkyl, halogen, CN, NO₂ and C₁-C₂ alkoxy. The term "optionally substituted" in connection with these G groups refers to groups which are unsubstituted or have at least one non-hydrogen substituent that does not extinguish the arthropodocidal activity possessed by the unsubstituted analog. 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 of the G group by replacement of a hydrogen atom. The optional substituents can be attached to any available carbon by replacing a hydrogen atom. Examples of 5- or 6-membered nonaromatic carbocyclic rings as G include the rings illustrated as G-1 through G-8 of Exhibit 2, wherein such rings are optionally substituted with 1 to 4 substituents selected from the group consisting of C₁-C₂ alkyl, halogen, CN, NO₂ and C₁-C₂ alkoxy. Examples of 5- or 6-membered nonaromatic heterocyclic rings as G include the rings illustrated as G-9 through G-48 of Exhibit 2, wherein such rings are optionally substituted with 1 to 4 substituents selected from the group consisting of C₁-C₂ alkyl, halogen, CN, NO₂ and C₁-C₂ alkoxy. Note that when G comprises a ring selected from G-31 through G-34, G-37 and G-38, Q¹ is selected from O, S or N. Note that when G is G-11, G-13, G-14, G-16, G-23, G-24, G-30 through G-34, G-37 and G-38 and Q¹ is N, the nitrogen atom can complete its valence by substitution with either H or C₁-C₂ alkyl.

Exhibit 2



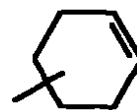
G-1



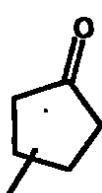
G-2



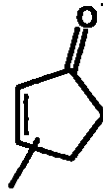
G-3



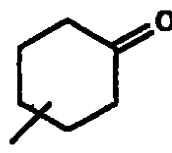
G-4



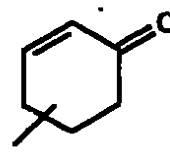
G-5



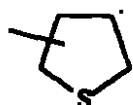
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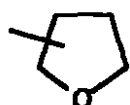
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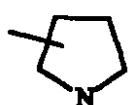
G-8



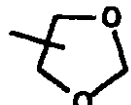
G-9



G-10



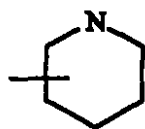
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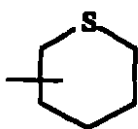
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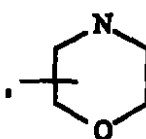
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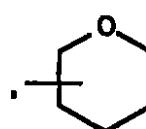
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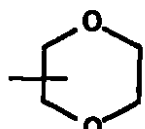
G-15



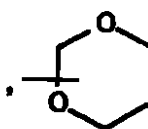
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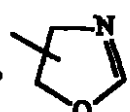
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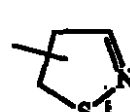
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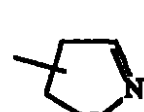
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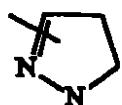
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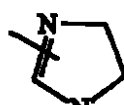
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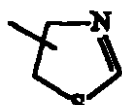
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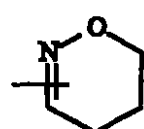
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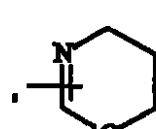
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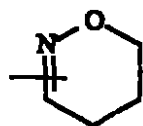
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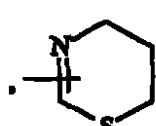
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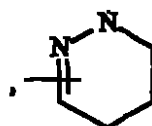
G-27



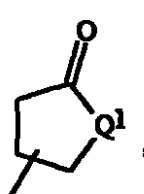
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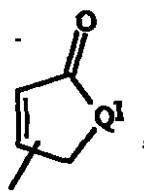
G-29



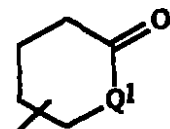
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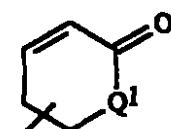
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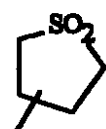
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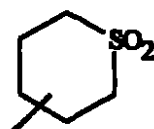
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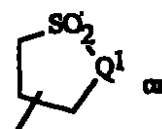
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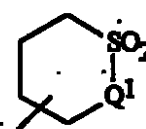
G-35



G-36



G-37

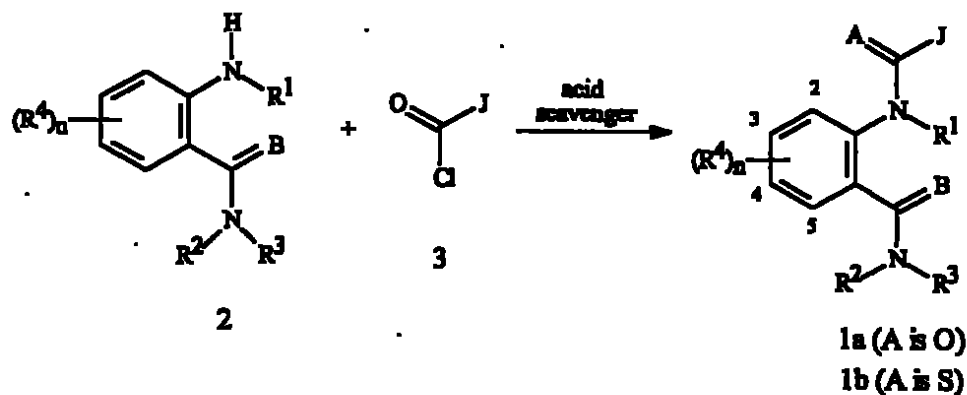


G-38

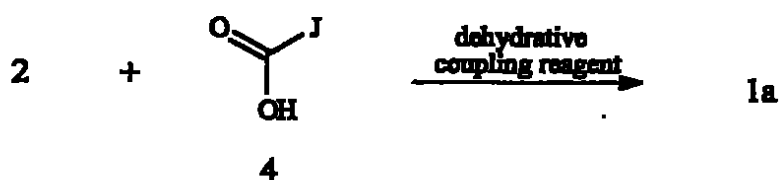
As noted above, each R⁶ and each R⁷ can be independently (among others) 5- or 6-membered heteroaromatic rings or aromatic 8-, 9- or 10-membered fused heterobicyclic ring systems, each ring optionally substituted with one to three substituents independently selected from the group consisting of C₁-C₄ alkyl, C₂-C₄ alkenyl, C₂-C₄ alkynyl, C₃-C₆ cycloalkyl, C₁-C₄ haloalkyl, C₂-C₄ haloalkenyl, C₂-C₄ haloalkynyl, C₃-C₆ halocycloalkyl, halogen, CN, NO₂, C₁-C₄ alkoxy, C₁-C₄ haloalkoxy, C₁-C₄ alkylthio, C₁-C₄ alkylsulfinyl, C₁-C₄ alkylsulfonyl, C₁-C₄ alkylamino, C₂-C₈ dialkylamino, C₃-C₆ cycloalkylamino, C₃-C₆ (alkyl)cycloalkylamino, C₂-C₄ alkylcarbonyl, C₂-C₆ alkoxy carbonyl, C₂-C₆ alkylaminocarbonyl, C₃-C₈ dialkylaminocarbonyl or C₃-C₆ trialkylsilyl. Examples of such R⁶ and R⁷ groups include the rings or ring systems illustrated as rings J-7 through J-58 and J-60 through J-90 illustrated in Exhibit 1, except that such rings are optionally substituted with 1 to 3 substituents selected from the group consisting of C₁-C₄ alkyl, C₂-C₄ alkenyl, C₂-C₄ alkynyl, C₃-C₆ cycloalkyl, C₁-C₄ haloalkyl, C₂-C₄ haloalkenyl, C₂-C₄ haloalkynyl, C₃-C₆ halocycloalkyl, halogen, CN, NO₂, C₁-C₄ alkoxy, C₁-C₄ haloalkoxy, C₁-C₄ alkylthio, C₁-C₄ alkylsulfinyl, C₁-C₄ alkylsulfonyl, C₁-C₄ alkylamino, C₂-C₈ dialkylamino, C₃-C₆ cycloalkylamino, C₃-C₆ (alkyl)cycloalkylamino, C₂-C₄ alkylcarbonyl, C₂-C₆ alkoxy carbonyl, C₂-C₆ alkylaminocarbonyl, C₃-C₈ dialkylaminocarbonyl or C₃-C₆ trialkylsilyl rather than (R⁷)_n. Note that these substituents can be attached to any available carbon atom of the J group by replacement of a hydrogen atom. Note that when the attachment point on the J group is illustrated as floating, the J group can be attached to the remainder of Formula 1 through any available carbon of the J group by replacement of a hydrogen atom.

One or more of the following methods and variations as described in Schemes 1-17 can be used to prepare the compounds of Formula 1. The definitions of A, B, J, R¹, R², R³, R⁴, R⁵, R⁶, R⁷, m and n in the compounds of Formulae 1-34 below are as defined above in the Summary of the Invention. Compounds of Formulae 1a-c, 2a-b, 4a-g, 5a-b are various subsets of the compounds of Formula 1, 2, 4 and 5.

Compounds of Formula 1 can be prepared by procedures outlined in Schemes 1-17. A typical procedure is detailed in Scheme 1 and involves coupling of an anthranilic amide of Formula 2 with an acid chloride of Formula 3 in the presence of an acid scavenger to provide the compound of Formula 1a. Typical acid scavengers include amine bases such as triethylamine, diisopropylethylamine and pyridine; other scavengers include hydroxides such as sodium and potassium hydroxide and carbonates such as sodium carbonate and potassium carbonate. In certain instances it is useful to use polymer-supported acid scavengers such as polymer-bound diisopropylethylamine and polymer-bound dimethylaminopyridine. In a subsequent step, amides of Formula 1a can be converted to thioamides of Formula 1b using a variety of standard thio transfer reagents including phosphorus pentasulfide and Lawesson's reagent.

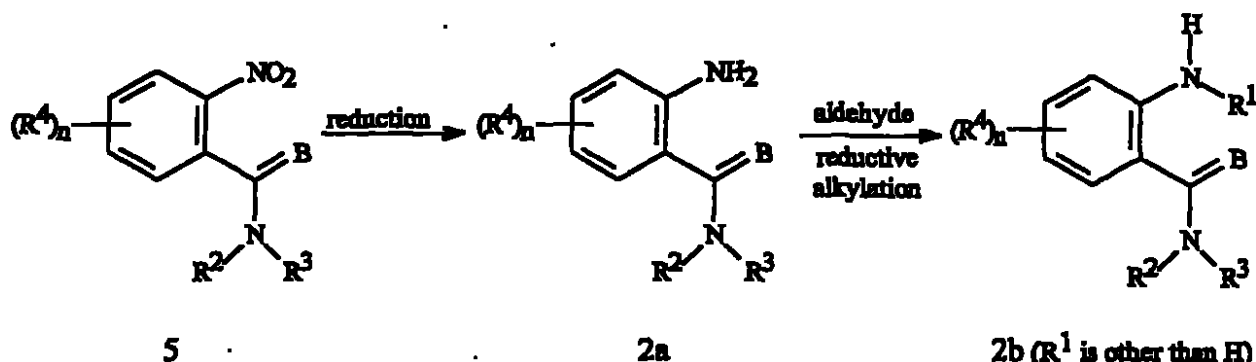
Scheme 1

An alternate procedure for the preparation of compounds of Formula 1a involves coupling of an anthranilic amide of Formula 2 with an acid of Formula 4 in the presence of a dehydrating agent such as dicyclohexylcarbodiimide (DCC). Polymer supported reagents are again useful here, such as polymer-bound cyclohexylcarbodiimide. Synthetic procedures of Schemes 1 and 2 are only representative examples of useful methods for the preparation of Formula 1 compounds as the synthetic literature is extensive for this type of reaction.

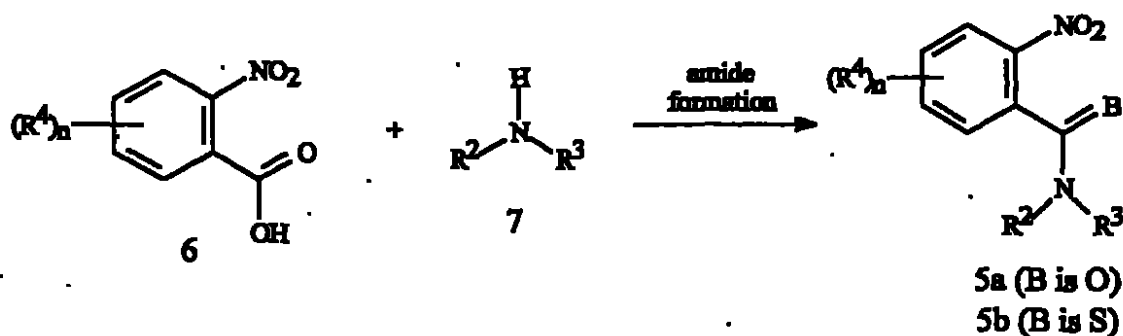
Scheme 2

One skilled in the art will also realize that acid chlorides of Formula 3 may be prepared from acids of Formula 4 by numerous well-known methods.

Anthranilic amides of Formula 2a are typically available from the corresponding 2-nitrobenzamides of Formula 5 via catalytic hydrogenation of the nitro group. Typical procedures involve reduction with hydrogen in the presence of a metal catalyst such as palladium on carbon or platinum oxide and in hydroxylic solvents such as ethanol and isopropanol. These procedures are well documented in the chemical literature. R^1 substituents such as alkyl, substituted alkyl and the like can generally be introduced at this stage through known procedures including either direct alkylation or through the generally preferred method of reductive alkylation of the amine. A commonly employed procedure is to combine the aniline 2a with an aldehyde in the presence of a reducing agent such as sodium cyanoborohydride to produce the Formula 2b compounds where R^1 is alkyl, alkenyl, alkynyl or substituted derivatives thereof.

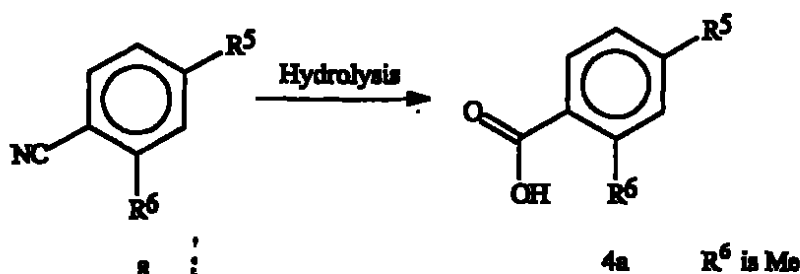
Scheme 3

The intermediate amides of Formula 5a are readily prepared from commercially available 2-nitrobenzoic acids. Typical methods for amide formation can be applied here. These include direct dehydrative coupling of acids of Formula 6 with amines of Formula 7 using for example DCC, and conversion of the acids to an activated form such as the acid chlorides or anhydrides and subsequent coupling with amines to form amides of Formula 5a. We have found ethylchloroformate to be an especially useful reagent for this type of reaction involving activation of the acid. The chemical literature is extensive on this type of reaction. Amides of Formula 5a are readily converted to thioamides of Formula 5b by using commercially available thio transfer reagents such as phosphorus pentasulfide and Lawesson's reagent.

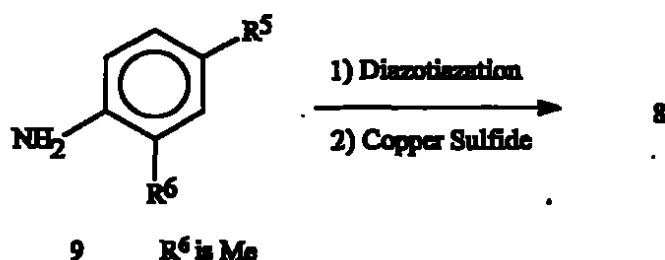
Scheme 4

Benzoic acids of Formula 4 (J is optionally substituted phenyl) are generally well known in the art as are procedures for their preparation. One particularly useful subset of benzoic acids of this invention are 2-methyl-4-perfluoroalkyl benzoic acids of Formula 4a (R^5 equals e.g. CF_3 , C_2F_5 , C_3F_7). The synthesis for these compounds is outlined in Schemes 5-9. Benzoic acids of Formula 4a may be prepared from the benzonitriles of Formula 8 by hydrolysis. The conditions used may involve the use of a base such as an alkaline metal hydroxide or alkoxide (e.g. potassium or sodium hydroxide) in a solvent such as water, ethanol or ethylene glycol (e.g. *J. Chem. Soc.* 1948, 1025). Alternatively, the

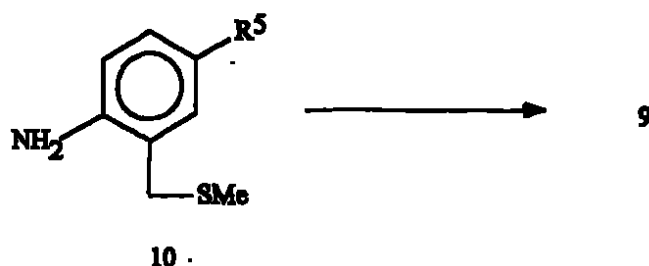
hydrolysis may be carried out using an acid such as sulfuric acid or phosphoric acid in a suitable solvent such as water (e.g. *Org. Synth.* 1955, Coll vol. 3, 557). The choice of the conditions is contingent on the stability of R⁵ to the reaction conditions and elevated temperatures are usually employed to achieve this transformation.

Scheme 5

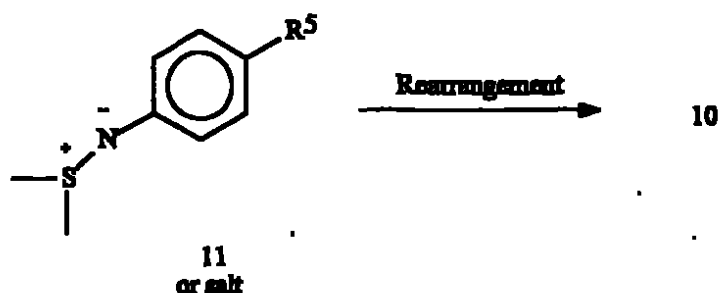
5 Nitriles of Formula 8 may be prepared from anilines of Formula 9 by the classical sequence involving diazotization and treatment of the intermediate diazonium salt with a copper cyanide salt (e.g. *J. Amer. Chem. Soc.* 1902, 24, 1035).

Scheme 6

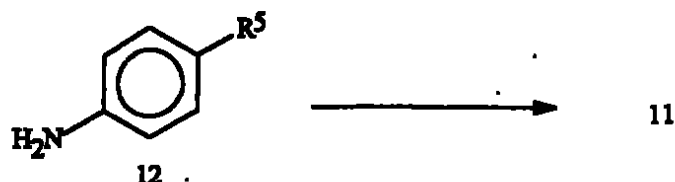
10 Anilines of Formula 9 may be prepared from compounds of Formula 10. This transformation may be achieved by a well-known procedure that employs Raney Nickel (*Org. Synth. Coll. Vol VI*, 581). Alternatively, the same transformation may be effected by the use of a suitable catalyst such as palladium in the presence of hydrogen. The reaction is usually conducted at pressures of 10² to 10⁵ kPa in a suitable organic solvent such as, but not limited to, toluene. Elevated temperatures of 80-110°C are usually required to achieve the transformation. As one skilled in the art will realize, numerous chemical modifications of the thioether moiety are possible, and may be employed when necessary to facilitate this transformation.

Scheme 7

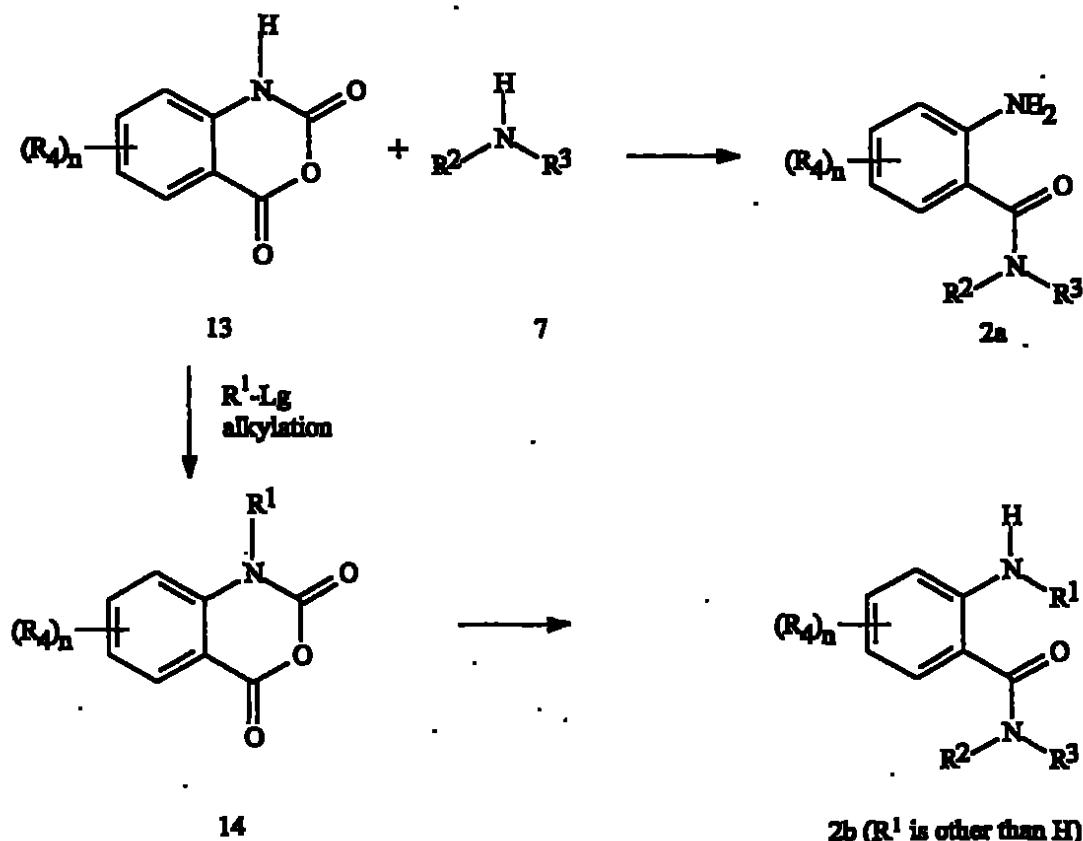
Compounds of Formula 10 may be prepared from iminosulfuranes of Formula 11. The transformation may be achieved in a protic solvent such as methanol or water, in a non-protic solvent such as dichloromethane or toluene in the presence of a suitable base such as triethylamine (e.g. Org. Synth. Coll. Vol. VI, 581) or sodium methoxide, or in a combination of a protic solvent, a protic solvent and a base. The temperature at which the reaction is conducted is usually in the range 40-110°C. As one skilled in the art will realize, suitable salts of compounds of Formula 11 such as, but not limited to a hydrochloride, a sulfate or a bisulfate may also be employed, provided that the appropriate amount of base is first used to generate the free base 11. This may be done as a separate step or as an integral part of the step involving the transformation of compounds of Formula 11 to compounds of Formula 10.

Scheme 8

Compounds of Formula 11 may be prepared from anilines of Formula 12 by reaction with dimethyl sulfide and a suitable chlorinating agent such as, but not limited to *N*-chlorosuccinimide (e.g. Org. Synth. Coll. Vol. VI, 581), chlorine or *N*-chlorobenzotriazole. Alternatively, anilines of Formula 12 may be treated with dimethyl sulfoxide which has been "activated" by treatment with an agent such as acetic anhydride, trifluoroacetic anhydride, trifluoromethanesulfonic anhydride, cyclohexylcarbodiimide, sulfur trioxide, or phosphorus pentoxide. The reaction is conducted in a suitable organic solvent such as dichloromethane or dimethyl sulfoxide. The reaction is conducted at a temperature of -70°C to 25°C and is dependent on the solvent and reagent used.

Scheme 9

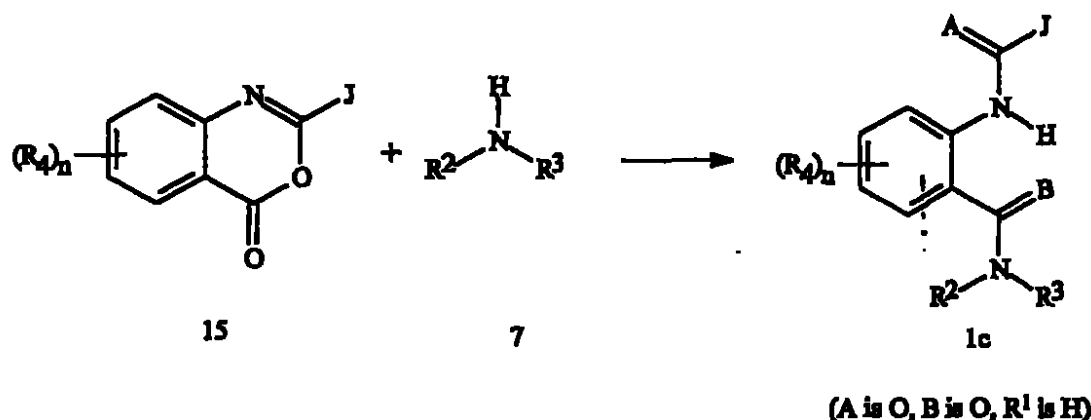
Intermediate anthranilic amides of Formula 2a and 2b may also be prepared from isatoic anhydrides of Formula 13 and 14 (Scheme 10). Typical procedures involve combination of equimolar amounts of the amine 7 with the isatoic anhydride in polar aprotic solvents such as pyridine and dimethylformamide at temperatures ranging from room temperature to 100° C. R^1 substituents such as alkyl and substituted alkyl may be introduced by the base catalyzed alkylation of isatoic anhydride 13 with known alkylating reagents R^1 -Lg (wherein Lg is a leaving group such as halogen, alkyl or aryl suphonates or alkyl sulfates) to provide the alkyl substituted intermediates 14. Isatoic anhydrides of Formula 13 may be made by methods described in Coppola, Synthesis 505-36 (1980).

Scheme 10

An alternate procedure for the preparation of specific compounds of Formula 1 (where A is O, B is O and R^1 is H) involves reaction of an amine 7 with a benzoxazinone of Formula 15. Typical procedures involve combination of the amine with the benzoxazinone in solvents such as tetrahydrofuran or pyridine at temperatures ranging from room

temperature to the reflux temperature of the solvent. Benzoxazinones are well documented in the chemical literature and are available via known methods that involve the coupling of either an anthranilic acid or an isatoic anhydride with an acid chloride. For references to the synthesis and chemistry of Benzoxazinones see Jakobsen et al, *Biorganic and Medicinal Chemistry*, 2000, 8, 2095-2103 and references cited within. See also Coppola, J. *Heterocyclic Chemistry*, 1999, 36, 563-588.

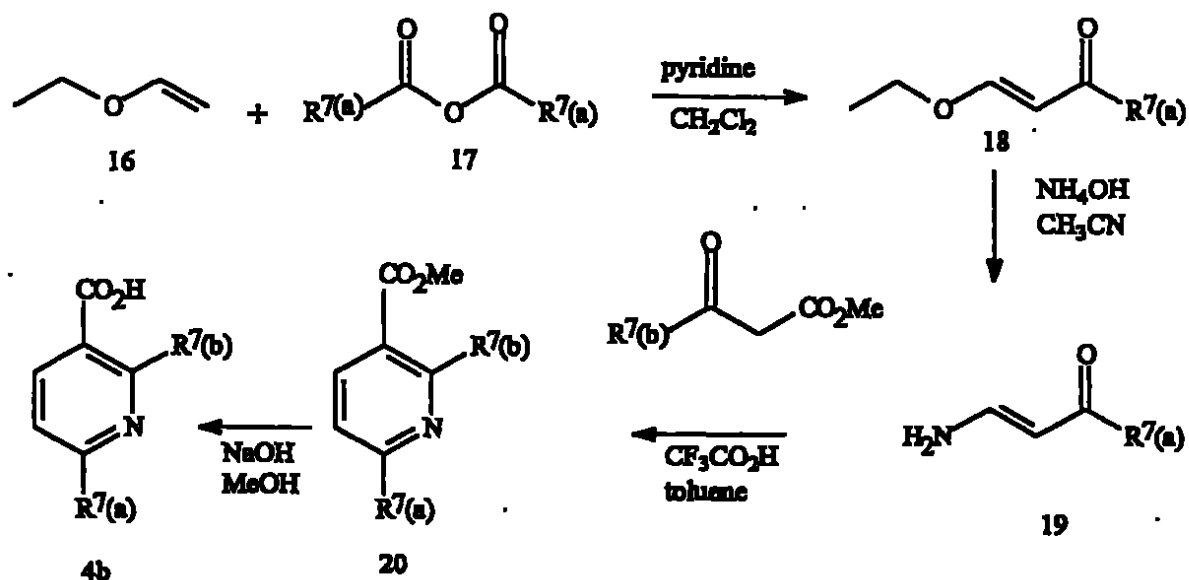
Scheme 11



Heterocyclic acids 4, where J is equal to an optionally substituted heterocycle, can be prepared by procedures outlined in Schemes 12-17. Both general and specific references to a wide variety of heterocyclic acids including thiophenes, furans, pyridines, pyrimidines, triazoles, imidazoles, pyrazoles, thiazoles, oxazoles, isothiazoles, thiadiazoles, oxadiazoles, triazines, pyrazines, pyridazines, and isoxazoles can be found in the following compendia: *Rodd's Chemistry of Carbon Compounds*, Vol. IVa to IVL, S. Coffey editor, Elsevier Scientific Publishing, New York, 1973; *Comprehensive Heterocyclic Chemistry*, Vol. 1-7, A. R. Katritzky and C. W. Rees editors, Pergamon Press, New York, 1984; *Comprehensive Heterocyclic Chemistry II*, Vol. 1-9, A. R. Katritzky, C. W. Rees, and E. F. Scriven editors, Pergamon Press, New York, 1996; and the series, *The Chemistry of Heterocyclic Compounds*, E. C. Taylor, editor, Wiley, New York. Particularly useful heterocyclic acids of this invention include pyridine acids, pyrimidine acids and pyrazole acids. Procedures for the synthesis of representative examples of each are detailed in Schemes 12-17. A variety of heterocyclic acids and general methods for their synthesis may be found in World Patent Application WO 98/57397.

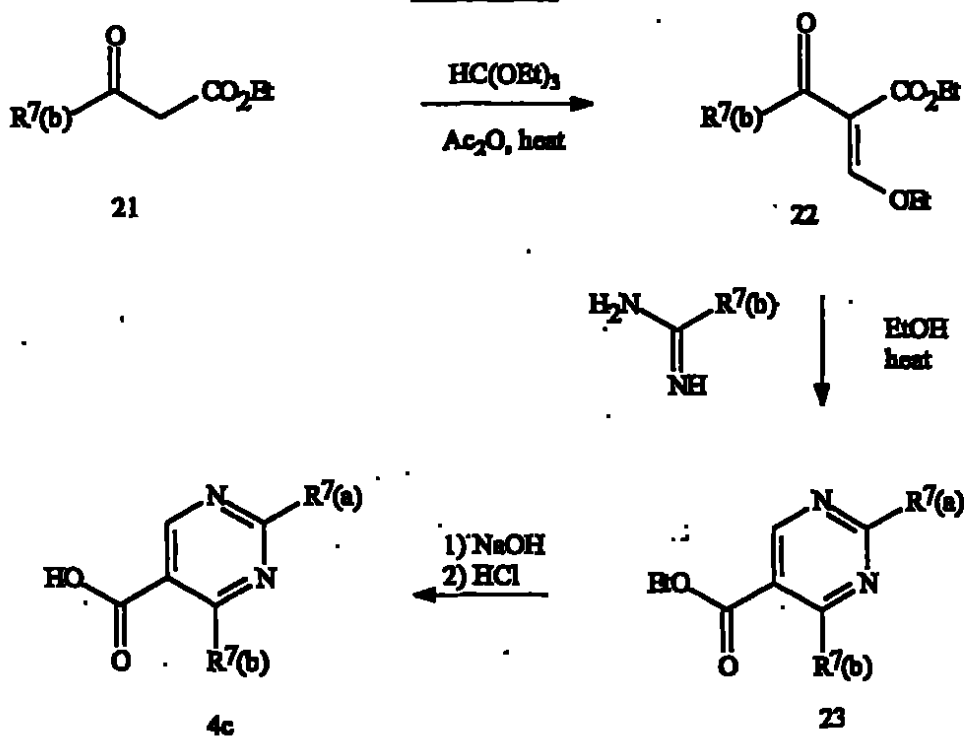
The synthesis of representative pyridine acids (4b) is depicted in Scheme 12. This procedure involves the known synthesis of pyridines from β -ketoesters and 4-aminobutenones (19). Substituent groups $R^7(a)$ and $R^7(b)$ include e.g. alkyl and haloalkyl.

Scheme 12



The synthesis of representative pyrimidine acids (4c) is depicted in Scheme 13. This procedure involves the known synthesis of pyrimidines from vinylidene- β -ketoesters (22) and amidines. Substituent groups $R^7(a)$ and $R^7(b)$ include e.g. alkyl and haloalkyl.

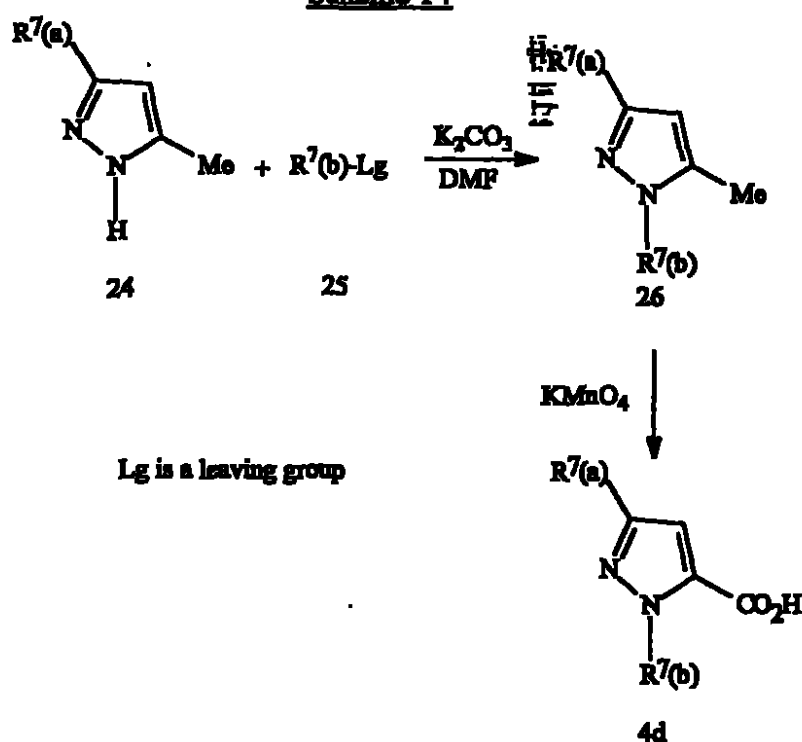
Scheme 13



The synthesis of representative pyrazole acids (4d-4g) is depicted in Schemes 14-17. Pyrazoles 4d are described in Scheme 14. The synthesis of Scheme 14 involves as the key

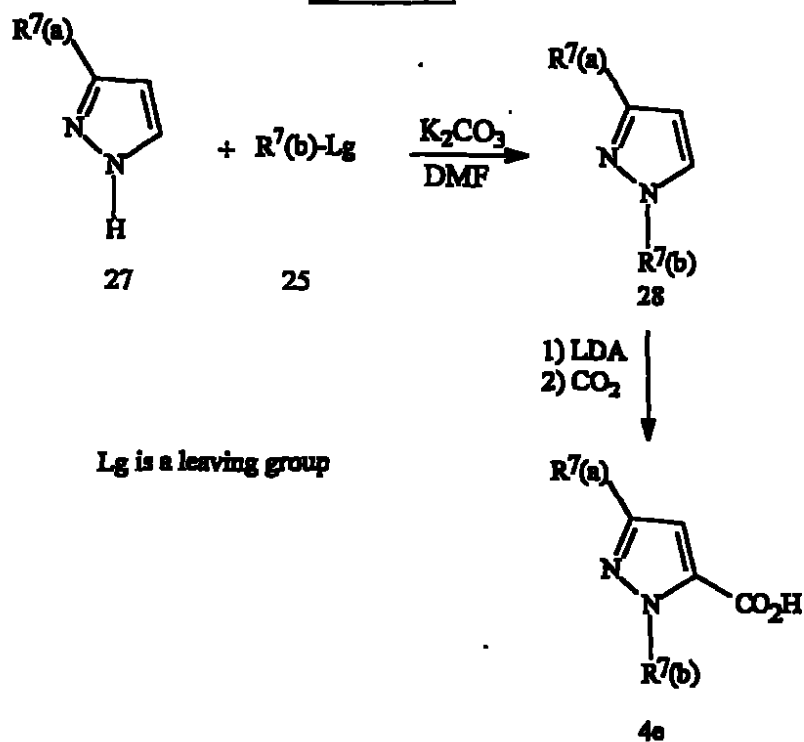
step introduction of the $R^7(b)$ substituent via alkylation of the pyrazole. The alkylating agent $R^7(b)$ -Lg (wherein Lg is a leaving group such as Cl, Br, I, sulfonates such as p-toluenesulfonate or methanesulfonate or sulfates such as $-SO_2OR^7(b)$) includes $R^7(b)$ groups such as C_1 - C_6 alkyl, C_2 - C_6 alkenyl, C_2 - C_6 alkynyl, C_3 - C_6 cycloalkyl, C_1 - C_6 haloalkyl, C_2 - C_6 haloalkenyl, C_2 - C_6 haloalkynyl, C_3 - C_6 halocycloalkyl, C_2 - C_6 alkylcarbonyl, C_2 - C_6 alkoxy carbonyl, C_3 - C_6 dialkylaminocarbonyl, C_3 - C_6 trialkylsilyl; or phenyl, benzyl, benzoyl, 5- or 6-membered heteroaromatic ring or an aromatic 8-, 9- or 10-membered fused heterobicyclic ring system, each ring or ring system optionally substituted. Oxidation of the methyl group affords the pyrazole carboxylic acid. Some of the more preferred $R^7(a)$ groups include haloalkyl.

Scheme 14



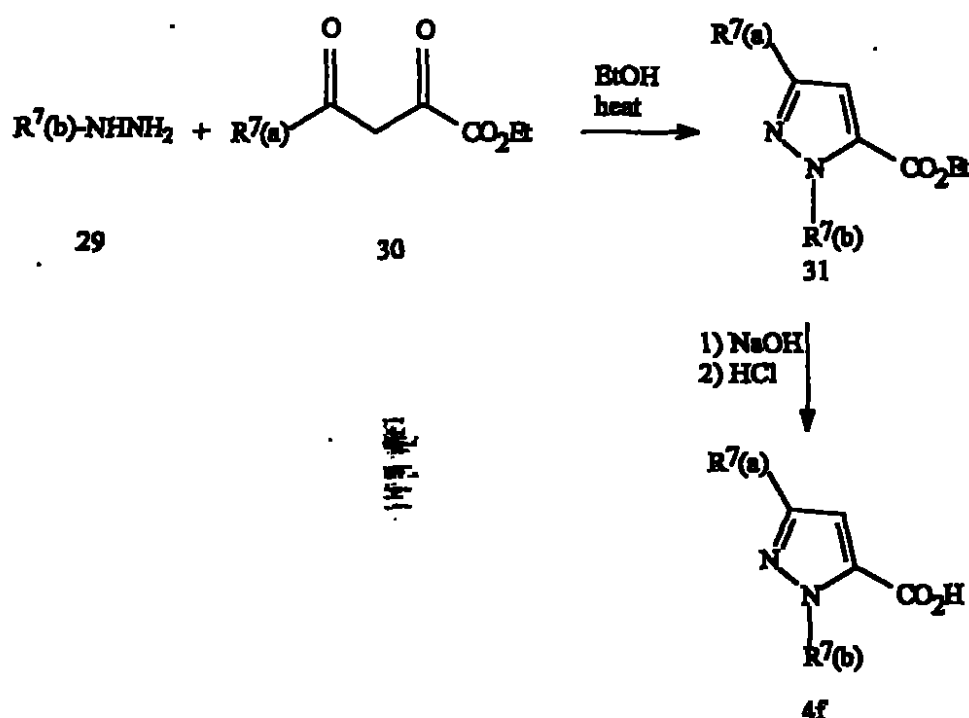
Pyrazoles 4e are described in Scheme 15. These pyrazole acids may be prepared via metallation and carboxylation of pyrazoles of formula 28 as the key step. The $R^7(b)$ group is introduced in a manner similar to that of Scheme 14, i.e. via alkylation with a $R^7(b)$ alkylating agent. Representative $R^7(a)$ groups include e.g. cyano, and haloalkyl.

Scheme 15



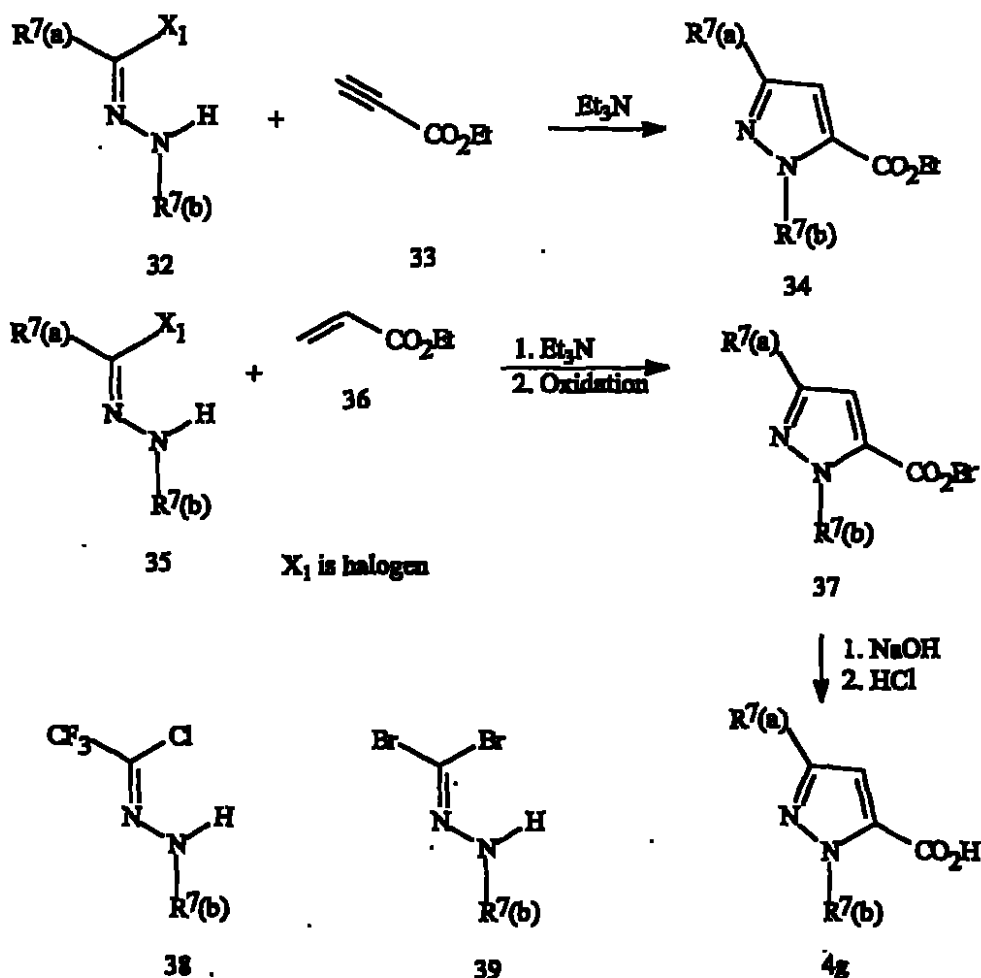
Pyrazoles 4f are described in Scheme 16. These can be prepared via reaction of an optionally substituted phenyl hydrazine 29 with a pyruvate 30 to yield pyrazole esters 31. Hydrolysis of the ester affords the pyrazole acids 4f. This procedure is particularly useful for the preparation of compounds where R^{7(b)} is optionally substituted phenyl and R^{7(a)} is haloalkyl.

Scheme 16



Pyrazoles acids of Formula 4g are described in Scheme 17. These can be prepared via 3+2 cycloaddition of an appropriately substituted nitrilimine with either substituted propiolates (33) or acrylates (36). Cycloaddition with acrylates requires additional oxidation of the intermediate pyrazoline to the pyrazole. Hydrolysis of the ester affords the pyrazole acids 4g. Preferred iminohalides for this reaction include the trifluoromethyl iminochloride (38) and the iminodibromide (39). Compounds such as 38 are known (*J. Heterocycl. Chem.* 1985, 22(2), 565-8). Compounds such as 39 are available by known methods (*Tetrahedron Letters* 1999, 40, 2605). These procedures are particularly useful for the preparation of compounds where $R^{7(b)}$ is optionally substituted phenyl and $R^{7(a)}$ is haloalkyl or bromo.

Scheme 17



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. Percentages are by weight except for chromatographic solvent mixtures or where otherwise indicated. Parts and percentages for chromatographic solvent mixtures are by volume unless otherwise indicated. ¹H NMR spectra are reported in ppm downfield from tetramethylsilane; s is singlet, d is doublet, t is triplet, q is quartet, m is multiplet, dd is doublet of doublets, dt is doublet of triplets, br s is broad singlet.

EXAMPLE 1

Step A: Preparation of 3-methyl-N-(1-methylethyl)-2-nitrobenzamide

A solution of 3-methyl-2-nitrobenzoic acid (2.00 g, 11.0 mmol) and triethylamine (1.22 g, 12.1 mmol) in 25 mL of methylene chloride was cooled to 10°C. Ethyl chloroformate was carefully added and a solid precipitate formed. After stirring for 30 minutes isopropylamine (0.94 g, 16.0 mmol) was added and a homogeneous solution resulted. The reaction was stirred for an additional hour, poured into water and extracted with ethyl acetate. The organic extracts were washed with water, dried over magnesium sulfate and evaporated under reduced pressure to afford 1.96 g of the desired intermediate as a white solid melting at 126-128 °C.

¹H NMR (CDCl₃) δ 1.24 (d,6H), 2.38 (s,3H), 4.22 (m,1H), 5.80 (br s,1H), 7.4 (m,3H).

Step B: Preparation of 2-amino-3-methyl-N-(1-methylethyl)benzamide

The 2-nitrobenzamide of Step A (1.70 g, 7.6 mmol) was hydrogenated over 5% Pd/C in 40 mL of ethanol at 50 psi. When the uptake of hydrogen ceased the reaction was filtered through celite and the celite was washed with ether. The filtrate was evaporated under reduced pressure to afford 1.41 g of the title compound as a solid melting at 149-151 °C.

¹H NMR (CDCl₃) δ 1.24 (dd,6H), 2.16 (s,3H), 4.25 (m,1H), 5.54 (br s,2H), 5.85 (br s,1H), 6.59 (t,1H), 7.13 (d,1H), 7.17 (d,1H).

Step C: Preparation of 3-methyl-N-(1-methylethyl)-2-[[4-(trifluoromethoxy)benzoyl]amino]benzamide

4-(trifluoromethoxy)benzoyl chloride (0.29 g, 1.3 mmol) was added dropwise to a mixture of the aniline from Step B (0.25 g, 1.3 mmol) and triethylamine (0.13 g, 1.3 mmol) in 5 mL of methylene chloride at room temperature. After stirring for one hour the reaction was poured into water and extracted with ethyl acetate. The combined extracts were dried over magnesium sulfate and evaporated under reduced pressure. The resulting solids were

washed with hexane/ether and filtered to afford 0.41 g of the title compound, a compound of the present invention, as a solid melting at 207-209°C.

¹H NMR (CDCl₃) δ 1.19 (d, 6H), 2.33 (s, 3H), 4.15 (m, 1H), 5.97 (br d, 1H), 7.2-7.4 (m, 6H), 8.04 (d, 1H), 10.11 (br s, 1H).

5

EXAMPLE 2

Step A: Preparation of 1-Ethyl-3-trifluoromethylpyrazol-5-yl Carboxylic acid

To a mixture of 3-trifluoromethylpyrazole (5 g, 37 mmol) and powdered potassium carbonate (10 g, 72 mmol) stirring in 30 mL of *N,N*-dimethylformamide, iodoethane (8 g, 51 mmol) was added dropwise. After a mild exotherm, the reaction was stirred overnight at room temperature. The reaction mixture was partitioned between 100 mL of diethyl ether and 100 mL of water. The ether layer was separated, washed with water (3X) and brine, and dried over magnesium sulfate. Evaporation of solvent *in vacuo* gave 4 g of oil.

To 3.8 g of this oil stirring in 40 mL of tetrahydrofuran under nitrogen in a dry ice/acetone bath, 17 mL of a 2.5 M solution of *n*-butyl lithium in tetrahydrofuran (43 mmol) was added dropwise and the solution stirred for 20 minutes at -78°C. An excess of gaseous carbon dioxide was bubbled into the stirred solution at a moderate rate for 10 minutes. After addition of carbon dioxide, the reaction was allowed to slowly reach room temperature and stirred overnight. The reaction mixture was partitioned between diethyl ether (100 mL) and 0.5 N aqueous sodium hydroxide (100 mL). The basic layer was separated and acidified with concentrated hydrochloric acid to a pH of 2-3. The aqueous mixture was extracted with ethyl acetate (100 mL) and the organic extract washed with water and brine and dried over magnesium sulfate. The oily residue, which remained after evaporating the solvent *in vacuo*, was triturated to a solid from a small amount of *n*-butyl chloride. After filtering and drying, a slightly impure, sample of 1-ethyl-3-trifluoromethyl-pyrazol-5-yl carboxylic acid (1.4 g) was obtained as a broad-melting solid.

¹H NMR (CDCl₃): 9.85 (br s, 1H), 7.23 (s, 1H), 4.68 (q, 2H), 1.51 (t, 3H) ppm.

Step B: Preparation of 2-[1-Ethyl-3-trifluoromethylpyrazol-5-yl carbamoyl]-3-methyl-N-(1-methylethyl)benzamide

To a solution of 1-ethyl-3-trifluoromethyl-pyrazol-5-yl carboxylic acid (0.5 g, 2.4 mmol) stirring in 20 mL of methylene chloride, oxalyl chloride (1.2 mL, 14 mmol) was added. Upon addition of 2 drops of *N,N*-dimethylformamide, foaming and bubbling occurred. The reaction mixture was heated at reflux for 1 hr as a yellow solution. After cooling, the solvent was removed *in vacuo* and the resulting residue dissolved in 20 mL of tetrahydrofuran. To the stirred solution, 2-amino-3-methyl-N-(1-methylethyl)benzamide (0.7 g, 3.6 mmol) was added followed by the dropwise addition of *N,N*-diisopropylethylamine (3 mL, 17 mmol). After stirring at room temperature overnight, the reaction mixture was partitioned between ethyl acetate (100 mL) and 1N aqueous

hydrochloric acid (75 mL). The separated organic layer was washed with water and brine and dried over magnesium sulfate. Evaporating *in vacuo* gave a white solid residue, which on purification by flash column chromatography on silica gel (2:1 hexanes/ethyl acetate) afforded 0.5 g of the title compound, a compound of the present invention, melting at 223-226°C.

¹H NMR (DMSO-D₆): 10.15 (s, 1H), 8.05 (d, 1H), 7.45 (s, 1H), 7.43-7.25 (m, 3H), 4.58 (q, 2H), 3.97 (m, 1H), 2.45 (s, 3H), 1.36 (t, 3H), 1.06 (d, 6H) ppm.

EXAMPLE 3

Step A: Preparation of S,S-dimethyl-N-[4-(trifluoromethyl)phenyl]sulfilimine

A solution of *N*-chlorosuccinimide (12.43 g, 93.1 mmol) in ~170 mL of dichloromethane was added to a mixture of 4-(trifluoromethyl) aniline (15 g, 93.1 mmol) and dimethyl sulfide (6.35 g, 102 mmol) in 230 mL of dichloromethane at -5-0°C. After the addition was complete, the mixture was stirred at 0-5°C for 1h, and *N*-chlorosuccinimide (0.02 g, 4.64 mmol) was added. After a further 30 minutes, the mixture was washed with 500 mL of 1N sodium hydroxide.

The organic phase was dried and evaporated to give the product as a solid 19-72 g melting at 101-103°C (after crystallization from ethyl acetate/hexanes).

IR (Nujol) 1603, 1562, 1532, 1502, 1428, 1402, 1335, 1300, 1270, 1185, 1150, 1103, 1067, 1000, 972, 940, 906, 837, 817 cm⁻¹.

¹H NMR (CDCl₃) δ 7.35 (d, J=8.8 Hz, 2 H), 6.84 (d, J=8.8 Hz, 2 H), 2.67 (s, 3 H).

Step B: 2-[(methylthio)methyl]-4-(trifluoromethyl)benzenamine

Sodium methoxide in methanol (1.95 g, 9.02 mmol, 25%) was added to S,S-dimethyl-N-[4-(trifluoromethyl)phenyl]sulfilimine from Step A (2 g, 9.04 mmol) in 15 mL of toluene. The mixture was warmed to ~80°C for ~1 h. The mixture was allowed to cool to 25°C and was poured into 100 mL of water. The mixture was extracted with 2x100 mL of ethyl acetate and the combined extracts were dried and evaporated to give 1.8 g of the product as a solid melting at 65.5-67.5°C (after crystallization from hexanes).

IR (nujol) 3419, 3333, 1629, 1584, 1512, 1440, 1334, 1302, 1235, 1193, 1139, 1098, 1078, 979, 904, 832 cm⁻¹.

¹H NMR (CDCl₃) δ 7.35 (dd, J=1.5 Hz x 8.2 Hz, 1H) 6.72 (d, J=8.4 Hz) 4.39 (br.s, 2 H, 3.69 (s, 2 H), 1.99 (s, 3 H).

Step C: Preparation of 2-methyl-4-(trifluoromethyl)benzenamine

Activated Raney nickel (500 g wet paste, ~50μ) was added portionwise to a solution of 2-[(methylthio)methyl]-4-(trifluoromethyl)benzenamine (55.3 g, 0.25 mole) in 1 L of ethanol over 30 minutes at 25-30° C. The heterogeneous mixture was stirred vigorously for 30 minutes after the addition. The stirring was stopped, and the solids were allowed to settle over one hour. The liquid was decanted from the solids and poured through filter paper.

The filtrate was evaporated under reduced pressure, and the residue was taken up in dichloromethane. The organic phase was separated from a small volume of water, dried over magnesium sulfate and evaporated under reduced pressure to afford 37.6g of the title compound as amber oil.

5 ^1H NMR (CDCl_3) δ 7.28 (m, 2H), 6.68 (d, 1H), 3.87 (br s, 2H), 2.19 (s, 3H).

Step D: Preparation of 2-methyl-4-(trifluoromethyl)benzonitrile

Concentrated hydrochloric acid (16 mL) was added dropwise at a moderate rate to a heterogeneous mixture of 2-methyl-4-(trifluoromethyl)benzenamine (14 g, 80 mmol) and 120 mL of water while stirring vigorously. A thick suspension resulted which was stirred for 10 20 minutes, diluted with 280 mL of water and cooled to 5°C. A solution of sodium nitrite (5.5 g, 80 mmol) and 25 mL of water was added slowly to the reaction suspension. After stirring for 30 minutes at 5°C a solution resulted which was stirred cold for 30 more minutes and then neutralized with potassium carbonate. This diazonium salt solution was then added portionwise via cannula to a stirred, 95°C mixture of potassium cyanide (22 g, 0.34 mole), 15 copper sulfate pentahydrate (20 g, 80 mmol) and 140 mL of water. After the addition the mixture was stirred for 30 minutes at 95°C and then allowed to cool to room temperature. Ether was added and the heterogeneous mixture was filtered through celite. The solids were washed with ether, and the filtrate was partitioned. The aqueous phase was extracted with ether, and the combined organic extracts were dried over magnesium sulfate and 20 concentrated under reduced pressure to afford 13.1 g of the title compound as brown oil.

^1H NMR (CDCl_3) δ 7.74 (d, 1H), 7.60 (s, 1H), 7.55 (d, 1H), 2.64 (s, 3H).

Step E: Preparation of 2-methyl-4-trifluoromethyl benzoic acid

Potassium hydroxide (15.7 g, 0.28 mole) and 15 mL of water were added as a solution to a stirred, heterogeneous mixture of 2-methyl-4-(trifluoromethyl)benzonitrile (13 25 g, 70 mmol) and 135 mL of ethylene glycol. The reaction mixture was heated at 120-130°C for 20 hours and allowed to cool to room temperature. The dark solution was poured into 800 mL of water and filtered through celite. The filtrate was washed with ether and then the aqueous was acidified with concentrated hydrochloric acid. This aqueous phase was extracted three times with ethyl acetate, the organic extracts were combined, dried over 30 magnesium sulfate and evaporated under reduced pressure to afford the title compound as a tan solid.

^1H NMR (CDCl_3) δ 7.98 (d, 1H), 7.70 (s, 1H), 7.65 (d, 1H), 2.60 (s, 3H).

Step F: Preparation of 2-methyl-4-(trifluoromethoxy)benzoyl chloride

Thionyl chloride (0.42 g, 3.5 mmol) was added to a solution of the benzoic acid from 35 Step E (0.50 g, 2.4 mmol) in 10 mL of toluene at room temperature. The reaction was refluxed for three hours then cooled to room temperature. The solvent was evaporated under reduced pressure and excess thionyl chloride was removed by azeotroping with toluene. The benzoyl chloride obtained was used directly in Step G.

Step G: Preparation of 2-methyl-N-[2-methyl-6-[(1-methylethyl)amino]-carbonyl]phenyl]-4-(trifluoromethyl)benzamide

The benzoyl chloride of Step F (0.29 g, 1.3 mmol) was added to a mixture of the aniline from Example 1, Step B (0.36 g, 1.9 mmol) and diisopropylethylamine (0.26 g, 2.0 mmol) in 10 mL of chloroform at room temperature. The reaction was allowed to stir overnight. The solid precipitate was filtered and dried to afford 0.38 g of the title compound, a compound of the present invention, as a solid melting at 247-248 °C.

¹H NMR (CDCl₃) α 1.24 (d,6H), 2.41 (s,3H), 2.58 (s,3H), 4.20 (m,1H), 5.94 (br d,1H), 7.2-7.3 (m,2H), 7.40 (d,1H), 7.52 (s,1H), 7.53 (d,1H), 7.70 (d,1H), 9.36 (br s,1H).

EXAMPLE 4

Step A: Preparation of 2-Methyl-6-(trifluoromethyl)-3-pyridinecarbonyl chloride

Thionyl chloride (4.35 g, 36.5 mmol) was added to a mixture of 2-methyl-6-trifluoromethyl nicotinic acid (5.00 g, 24.4 mmol) in 75 mL of toluene and the mixture was heated at reflux for 3 hours. The reaction was cooled to room temperature and the solvent was removed under reduced pressure. Excess thionyl chloride was removed by azeotrope with toluene. The resultant acid chloride was used as is in Example 4, Step B.

Step B: Preparation of 8-Methyl-2-[2-methyl-6-(trifluoromethyl)-3-pyridinyl]-4H-3,1-benzoxazine

A mixture of the 6-methyl isatoic anhydride (3.92 g, 22.1 mmol) and the acid chloride from Step A (5.45 g, 24.3 mmol) was heated at reflux in pyridine for 16 hours. The dark brown solution was cooled to room temperature and the solvent was removed under reduced pressure. Excess pyridine was removed by azeotrope with toluene. Ether was added and the resulting brown solid was removed by filtration. The solid was taken up in a mixture of aqueous sodium bicarbonate and chloroform, the chloroform extracts were dried over magnesium sulfate and evaporated. Excess pyridine was again removed by azeotrope with toluene to afford 5.1 g of the title compound as a brown solid.

¹H NMR (CDCl₃) δ 2.65 (s,3H), 3.11 (s,3H), 7.49 (t,1H) 7.40 (m,1H), 7.68-7.73 (m,2H), 1.11 (d,1H), 8.58 (d,1H).

Step C: Preparation of 2-Methyl-N-[2-methyl-6-[(1-methylethyl)amino]carbonyl]phenyl]-6-(trifluoromethyl)-3-pyridine

Isopropylamine (7.37 g, 0.125 mmol) was added to a mixture of the benzoxazinone of Step B (4.00 g, 12.5 mmol) in 30 mL of tetrahydrofuran. A homogeneous solution formed. The mixture was heated briefly after which a thick white precipitate formed. The solvent was removed under reduced pressure and the resultant solid was washed with ether and filtered to afford 4.48 g of the title compound as a solid melting at 247-248 °C.

¹H NMR (CDCl₃) δ 1.24 (d,6H), 2.41 (s,3H), 2.77 (s,3H), 4.17 (m,1H), 5.96 (bd,1H), 7.21 (m,2H) 7.40 (m,1H), 7.53 (d,1H), 7.97 (d,1H), 9.80 (bs,1H).

EXAMPLE 5

Step A: Preparation of 4-Methyl-N-[2-methyl-6-[(1-methylethyl)amino]carbonyl]phenyl]-2-(trifluoromethyl)-5-pyrimidinecarboxamide

5 To a solution 0.8 g (4 mmol) of 4-methyl-2-trifluoromethylpyrimidine-5-carboxylic acid [made by the method of Palanki et al, *J. Med. Chem.* 2000, 43, 3995] stirring in 15 mL of methylene chloride, oxalyl chloride (2 mL, 23 mmol) was added. Upon addition of 2 drops of *N,N*-dimethylformamide, foaming and bubbling occurred. The reaction mixture was heated at reflux for 1 hr as a yellow solution. After cooling, the solvent was removed *in vacuo* and the resulting residue dissolved in 20 mL of tetrahydrofuran. To the stirred solution, 2-amino-3-methyl-*N*-(1-methylethyl)benzamide (1 g, 5 mmol) was added followed by the dropwise addition of *N,N*-diisopropylethylamine (3 mL, 17 mmol). After stirring at room temperature overnight, the reaction mixture was partitioned between ethyl acetate (200 mL) and 1*N* aqueous hydrochloric acid (75 mL). The separated organic layer was washed with water and brine and dried over magnesium sulfate. Evaporating *in vacuo* gave a white solid, which was suspended in a small amount of ethyl acetate and filtered to afford (after drying) 650 mg of the title compound, a compound of the present invention, melting at 248-251°C.

¹H NMR (DMSO-D₆): 10.3 (s, NH), 9.07 (s, 1H), 8.25 (d, NH), 7.43-7.25 (m, 3H), 4.03 (m, 1H), 2.73 (s, 3H), 2.32 (s, 3H), 1.12 (d, 6H) ppm.

EXAMPLE 6

Step A: Preparation of 2-Methyl-1-phenyl-4-(trifluoromethyl)-1*H*-pyrazole

A solution of 1,1,1-trifluoropentane-2,4-dione (20.0 g, 0.130 mole) in glacial acetic acid (60 mL) was cooled to 7°C using an ice/water bath. Phenylhydrazine (14.1 g, 0.130 mole) was added dropwise over a period of 60 minutes. The reaction mass temperature increased to 15°C during the addition. The resulting orange solution was held under ambient conditions for 60 minutes. The bulk of the acetic acid was removed by stripping on a rotary evaporator at a bath temperature of 65°C. The residue was dissolved in methylene chloride (150 mL). The solution was washed with aqueous sodium bicarbonate (3 g in 50 mL water). The purple-red organic layer was separated, treated with activated charcoal (2 g) and MgSO₄, then filtered. Volatiles were removed on a rotary evaporator. The crude product consisted of 28.0 g of a rose-colored oil, which contained ~89% the desired product and 11% 1-phenyl-5-(trifluoromethyl)-3-methylpyrazole.

¹H NMR (DMSO-D₆) δ 2.35 (s, 3H), 6.76 (s, 1H), 7.6-7.5 (m, 5H).

Step B: Preparation of 1-Phenyl-3-(trifluoromethyl)-1*H*-pyrazole-5-carboxylic acid

A sample of crude 1-phenyl-3-(trifluoromethyl)-5-methylpyrazole (~89%, 50.0 g, 0.221 mole) was mixed with water (400 mL) and cetyltrimethylammonium chloride (4.00 g, 0.011 mole). The mixture was heated to 95°C. Potassium permanganate was added in 10 equal portions, spaced at ~8 minute intervals. The reaction mass was maintained at 95-100°C during this period. After the last portion was added, the mixture was held for ~15 minutes at 95-100°C, whereupon the purple, permanganate color had been discharged. The reaction mass was filtered while hot (~75°C) through a 1 cm thick bed of Celite® on a 150 mL, coarse, glass frit. The filter cake was washed with warm (~50°C) water (3x100mL). The combined filtrate and washings were extracted with ether (2x100 mL) to remove a small amount of yellow, water-insoluble material. The aqueous layer was purged with nitrogen to remove residual ether. The clear, colorless alkaline solution was acidified by adding concentrated hydrochloric acid dropwise until the pH reached ~1.3 (28 g, 0.28 mole). Gas evolution was vigorous during the first two-thirds of the addition. The product was collected via filtration, washed with water (3x40 mL), then dried overnight at 55°C *in vacuo*. The product consisted of 11.7 g of a white, crystalline powder, which was essentially pure based upon ¹H NMR.

¹H NMR (CDCl₃) δ 7.33 (s,1H), 7.4-7.5 (m,5H).

Step C: Preparation of 1-Phenyl-3-(trifluoromethyl)-1*H*-pyrazole-5-carboxyl chloride

A sample of crude 1-phenyl-3-(trifluoromethyl)pyrazole-5-carboxylic acid (4.13 g, 16.1 mmol) was dissolved in methylene chloride (45 mL). The solution was treated with oxalyl chloride (1.80 mL, 20.6 mmol), followed by *N,N*-dimethylformamide (0.010 mL, 0.13 mmol). Off-gassing began shortly after adding the *N,N*-dimethylformamide catalyst. The reaction mixture was stirred for ~20 minutes under ambient conditions, then was heated to reflux for a period of 35 minutes. Volatiles were removed by stripping the reaction mixture on a rotary evaporator at a bath temperature of 55°C. The product consisted of 4.43 g of a light-yellow oil. The only impurity observed by ¹H NMR was *N,N*-dimethylformamide.

¹H NMR (CDCl₃) δ 7.40 (m,1H), 7.42 (s,1H), 7.50-7.53 (m,4H).

Step D: Preparation of *N*-(2-Methyl-6-[[1-(1-methylethyl)amino]carbonyl]phenyl]-1-phenyl-3-(trifluoromethyl)-1*H*-pyrazole-5-carboxamide

A sample of 3-methylisatoic anhydride (0.30 g, 1.7 mmol) partially dissolved in pyridine (4.0 mL) was treated with 1-phenyl-3-(trifluoromethylpyrazole)-5-carboxyl chloride (0.55 g, 1.9 mmol). The mixture was heated to ~95°C for a period of 2 hours. The resulting orange solution was cooled to 29°C, then was treated with isopropylamine (1.00 g, 16.9 mmol). The reaction mass self-heated to 39°C. It was further heated to 55°C for a period of 30 minutes, whereupon much precipitate formed. The reaction mass was dissolved in methylene chloride (150 mL). The solution was washed with aqueous acid (5 mL conc. HCl in 45 mL water), then with aqueous base (2 g sodium carbonate in 50 mL water). The

organic layer was dried over MgSO_4 , filtered, then concentrated on a rotary evaporator. Upon reduction to ~4 mL, product crystals had formed. The slurry was diluted with ~10 mL of ether, whereupon more product precipitated. The product was isolated by filtration, washed with ether (2x10 mL), then washed with water (2x50 mL). The wet cake was dried for 30 minutes at 70°C *in vacuo*. The product consisted of 0.52 g of an off-white powder melting at 260-262°C.

^1H NMR ($\text{DMSO}-d_6$) δ 1.07 (d,6H), 2.21 (s,3H), 4.02 (octet,1H), 7.2-7.4 (m,3H), 7.45-7.6 (m,6H), 8.10 (d,1H), 10.31 (s,1H).

EXAMPLE 7

10 **Step A:** Preparation of 3-Trifluoromethyl-2-[3-(trifluoromethyl)-1H-pyrazol-1-yl]pyridine

A mixture of 2-chloro-3-trifluoromethylpyridine (3.62 g, 21 mmol), 3-trifluoromethylpyrazole (2.7 g, 20 mmol), and potassium carbonate (6.0 g, 43 mmol) were heated at 100 °C for 18 h. The cooled reaction mixture was added to ice/water (100 mL).

15 The mixture was extracted twice with ether (100 mL) and the combined ether extracts were washed twice with water (100 mL). The organic layer was dried with magnesium sulfate and concentrated to an oil. Chromatography on silica gel with hexanes:ethyl acetate 8:1 to 4:1 as eluent gave the title compound (3.5 g) as an oil. ^1H NMR (CDCl_3) δ 6.75 (m,1H), 7.5 (m,1H), 8.2 (m,2H), 8.7 (m,1H).

20 **Step B:** Preparation of 3-(Trifluoromethyl)-1-[3-(trifluoromethyl)-2-pyridinyl]-1H-pyrazole-5-carboxylic acid

A mixture of the title compound of Example 5, Step A (3.4 g, 13 mmol) was dissolved in tetrahydrofuran (30 mL) and cooled to -70 °C. Lithium diisopropylamide (2N in heptane/tetrahydrofuran, (Aldrich) 9.5 mL, 19 mmol) was added and the resulting dark mixture was stirred for 10 minutes. Dry carbon dioxide was bubbled through the mixture for 15 minutes. The mixture was allowed to warm to 23 °C and treated with water (50 mL) and 1 N sodium hydroxide (10 mL). The aqueous mixture was extracted with ether (100 mL) and then ethyl acetate (100 mL). The aqueous layer was acidified with 6N hydrochloric acid to pH 1-2 and extracted twice with dichloromethane. The organic layer was dried with magnesium sulfate and concentrated to give the title compound (1.5 g). ^1H NMR (CDCl_3) δ 7.6 (m,1H), 7.95 (m,1H), 8.56 (m,1H), 8.9 (m,1H), 14.2 (br,1H)

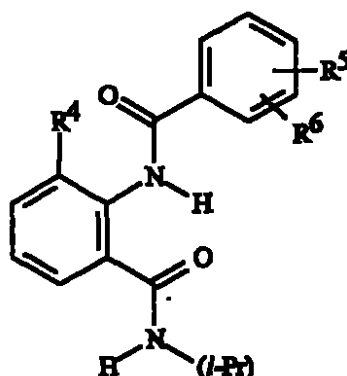
30 **Step C:** Preparation of N-[2-Methyl-6-[[[1-methylethyl]amino]carbonyl]phenyl]-3-(trifluoromethyl)-1-[3-(trifluoromethyl)-2-pyridinyl]-1H-pyrazole-5-carboxamide

35 A mixture of the title compound of Example 5, Step B (0.54 g, 1.1 mmol), the title compound from Example 1, Step B (0.44 g, 2.4 mmol) and bop chloride (bis(2-oxo-oxazolidinyl)phosphinyl chloride, 0.54 g, 2.1 mmol) in acetonitrile (13 mL) was treated with

triethylamine (0.9 mL). The mixture was shaken in a closed scintillation vial for 18 h. The reaction was partitioned between ethyl acetate (100 mL) and 1N hydrochloric acid. The ethyl acetate layer was washed successively with 1N hydrochloric acid (50 mL), 1N sodium hydroxide (50 mL) and saturated sodium chloride solution (50 mL). The organic layer was dried over magnesium sulfate and concentrated. The residue was subjected to column chromatography on silica gel with hexanes/ethyl acetate (5:1 to 3:1) as eluent. The title compound (0.43 g) was isolated as a white solid. m.p. 227–230 °C. ¹H NMR (CDCl₃) δ 1.2 (m, 6H), 4.15 (m, 1H), 5.9 (br d, 1H), 7.1 (m, 1H), 7.2 (m, 2H), 7.4 (s, 1H), 7.6 (m, 1H), 8.15 (m, 1H), 8.74 (m, 1H), 10.4 (br, 1H).

By the procedures described herein together with methods known in the art, the following compounds of Tables 1 to 17 can be prepared. The following abbreviations are used in the Tables: *t* is tertiary, *s* is secondary, *n* is normal, *i* is iso, *c* is cyclo, Me is methyl, Et is ethyl, Pr is propyl, *i*-Pr is isopropyl, *t*-Bu is tert butyl, Ph is phenyl, OMe is methoxy, OEt is ethoxy, SMe is methylthio, SEt is ethylthio, CN is cyano, NO₂ is nitro, TMS is trimethylsilyl, S(O)Me is methylsulfinyl, and S(O)₂Me is methylsulfonyl.

Table 1



R ⁴	R ⁵ and/or R ⁶	R ⁴	R ⁵ and/or R ⁶	R ⁴	R ⁵ and/or R ⁶
Me	2-CF ₃	Me	3-CF ₃	Me	4-CF ₃
Me	2-OCF ₃	Me	3-OCF ₃	Me	4-OCF ₃
Me	2-OCF ₂ H	Me	3-OCF ₂ H	Me	4-OCF ₂ H
Me	2-OCF ₂ CF ₂ H	Me	3-OCF ₂ CF ₂ H	Me	4-OCF ₂ CF ₂ H
Me	2-OCH ₂ CF ₃	Me	3-OCH ₂ CF ₃	Me	4-OCH ₂ CF ₃
Me	2-SCF ₃	Me	3-SCF ₃	Me	4-SCF ₃
Me	2-SOCF ₃	Me	3-SOCF ₃	Me	4-SOCF ₃
Me	2-SO ₂ CF ₃	Me	3-SO ₂ CF ₃	Me	4-SO ₂ CF ₃
Me	2-SCF ₂ H	Me	3-SCF ₂ H	Me	4-SCF ₂ H
Me	2-SOCF ₂ H	Me	3-SOCF ₂ H	Me	4-SOCF ₂ H
Me	2-SO ₂ CF ₂ H	Me	3-SO ₂ CF ₂ H	Me	4-SO ₂ CF ₂ H

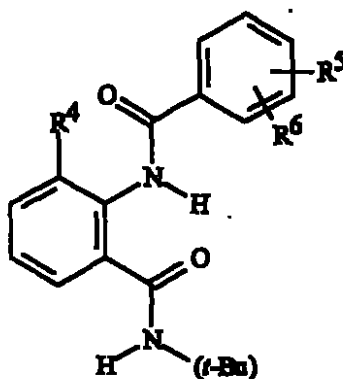
Cl	2-CF ₃	Cl	3-CF ₃	Cl	4-CF ₃
Cl	2-OCF ₃	Cl	3-OCF ₃	Cl	4-OCF ₃
Cl	2-OCF ₂ H	Cl	3-OCF ₂ H	Cl	4-OCF ₂ H
Cl	2-OCF ₂ CF ₂ H	Cl	3-OCF ₂ CF ₂ H	Cl	4-OCF ₂ CF ₂ H
Cl	2-OCH ₂ CF ₃	Cl	3-OCH ₂ CF ₃	Cl	4-OCH ₂ CF ₃
Cl	2-SCF ₃	Cl	3-SCF ₃	Cl	4-SCF ₃
Cl	2-SOCF ₃	Cl	3-SOCF ₃	Cl	4-SOCF ₃
Cl	2-SO ₂ CF ₃	Cl	3-SO ₂ CF ₃	Cl	4-SO ₂ CF ₃
Cl	2-SCF ₂ H	Cl	3-SCF ₂ H	Cl	4-SCF ₂ H
Cl	2-SOCF ₂ H	Cl	3-SOCF ₂ H	Cl	4-SOCF ₂ H
Cl	2-SO ₂ CF ₂ H	Cl	3-SO ₂ CF ₂ H	Cl	4-SO ₂ CF ₂ H
F	2-CF ₃	F	3-CF ₃	F	4-CF ₃
F	2-OCF ₃	F	3-OCF ₃	F	4-OCF ₃
F	2-OCF ₂ H	F	3-OCF ₂ H	F	4-OCF ₂ H
F	2-OCF ₂ CF ₂ H	F	3-OCF ₂ CF ₂ H	F	4-OCF ₂ CF ₂ H
F	2-OCH ₂ CF ₃	F	3-OCH ₂ CF ₃	F	4-OCH ₂ CF ₃
F	2-SCF ₃	F	3-SCF ₃	F	4-SCF ₃
F	2-SOCF ₃	F	3-SOCF ₃	F	4-SOCF ₃
F	2-SO ₂ CF ₃	F	3-SO ₂ CF ₃	F	4-SO ₂ CF ₃
F	2-SCF ₂ H	F	3-SCF ₂ H	F	4-SCF ₂ H
F	2-SOCF ₂ H	F	3-SOCF ₂ H	F	4-SOCF ₂ H
F	2-SO ₂ CF ₂ H	F	3-SO ₂ CF ₂ H	F	4-SO ₂ CF ₂ H
Br	2-CF ₃	Br	3-CF ₃	Br	4-CF ₃
Br	2-OCF ₃	Br	3-OCF ₃	Br	4-OCF ₃
Br	2-OCF ₂ H	Br	3-OCF ₂ H	Br	4-OCF ₂ H
Br	2-OCF ₂ CF ₂ H	Br	3-OCF ₂ CF ₂ H	Br	4-OCF ₂ CF ₂ H
Br	2-OCH ₂ CF ₃	Br	3-OCH ₂ CF ₃	Br	4-OCH ₂ CF ₃
Br	2-SCF ₃	Br	3-SCF ₃	Br	4-SCF ₃
Br	2-SOCF ₃	Br	3-SOCF ₃	Br	4-SOCF ₃
Br	2-SO ₂ CF ₃	Br	3-SO ₂ CF ₃	Br	4-SO ₂ CF ₃
Br	2-SCF ₂ H	Br	3-SCF ₂ H	Br	4-SCF ₂ H
Br	2-SOCF ₂ H	Br	3-SOCF ₂ H	Br	4-SOCF ₂ H
Br	2-SO ₂ CF ₂ H	Br	3-SO ₂ CF ₂ H	Br	4-SO ₂ CF ₂ H
I	2-CF ₃	I	3-CF ₃	I	4-CF ₃
I	2-OCF ₃	I	3-OCF ₃	I	4-OCF ₃
I	2-OCF ₂ H	I	3-OCF ₂ H	I	4-OCF ₂ H
I	2-OCF ₂ CF ₂ H	I	3-OCF ₂ CF ₂ H	I	4-OCF ₂ CF ₂ H

I	2-OCH ₂ CF ₃	I	3-OCH ₂ CF ₃	I	4-OCH ₂ CF ₃
I	2-SCF ₃	I	3-SCF ₃	I	4-SCF ₃
I	2-SOCF ₃	I	3-SOCF ₃	I	4-SOCF ₃
I	2-SO ₂ CF ₃	I	3-SO ₂ CF ₃	I	4-SO ₂ CF ₃
I	2-SCF ₂ H	I	3-SCF ₂ H	I	4-SCF ₂ H
I	2-SOCF ₂ H	I	3-SOCF ₂ H	I	4-SOCF ₂ H
I	2-SO ₂ CF ₂ H	I	3-SO ₂ CF ₂ H	I	4-SO ₂ CF ₂ H
OMe	2-CF ₃	OMe	3-CF ₃	OMe	4-CF ₃
OMe	2-OCF ₃	OMe	3-OCF ₃	OMe	4-OCF ₃
OMe	2-OCF ₂ H	OMe	3-OCF ₂ H	OMe	4-OCF ₂ H
OMe	2-OCF ₂ CF ₂ H	OMe	3-OCF ₂ CF ₂ H	OMe	4-OCF ₂ CF ₂ H
OMe	2-OCH ₂ CF ₃	OMe	3-OCH ₂ CF ₃	OMe	4-OCH ₂ CF ₃
OMe	2-SCF ₃	OMe	3-SCF ₃	OMe	4-SCF ₃
OMe	2-SOCF ₃	OMe	3-SOCF ₃	OMe	4-SOCF ₃
OMe	2-SO ₂ CF ₃	OMe	3-SO ₂ CF ₃	OMe	4-SO ₂ CF ₃
OMe	2-SCF ₂ H	OMe	3-SCF ₂ H	OMe	4-SCF ₂ H
OMe	2-SOCF ₂ H	OMe	3-SOCF ₂ H	OMe	4-SOCF ₂ H
OMe	2-SO ₂ CF ₂ H	OMe	3-SO ₂ CF ₂ H	OMe	4-SO ₂ CF ₂ H
CF ₃	2-CF ₃	CF ₃	3-CF ₃	CF ₃	4-CF ₃
CF ₃	2-OCF ₃	CF ₃	3-OCF ₃	CF ₃	4-OCF ₃
CF ₃	2-OCF ₂ H	CF ₃	3-OCF ₂ H	CF ₃	4-OCF ₂ H
CF ₃	2-OCF ₂ CF ₂ H	CF ₃	3-OCF ₂ CF ₂ H	CF ₃	4-OCF ₂ CF ₂ H
CF ₃	2-OCH ₂ CF ₃	CF ₃	3-OCH ₂ CF ₃	CF ₃	4-OCH ₂ CF ₃
CF ₃	2-SCF ₃	CF ₃	3-SCF ₃	CF ₃	4-SCF ₃
CF ₃	2-SOCF ₃	CF ₃	3-SOCF ₃	CF ₃	4-SOCF ₃
CF ₃	2-SO ₂ CF ₃	CF ₃	3-SO ₂ CF ₃	CF ₃	4-SO ₂ CF ₃
CF ₃	2-SCF ₂ H	CF ₃	3-SCF ₂ H	CF ₃	4-SCF ₂ H
CF ₃	2-SOCF ₂ H	CF ₃	3-SOCF ₂ H	CF ₃	4-SOCF ₂ H
CF ₃	2-SO ₂ CF ₂ H	CF ₃	3-SO ₂ CF ₂ H	CF ₃	4-SO ₂ CF ₂ H
OCF ₂ H	2-CF ₃	OCF ₂ H	3-CF ₃	OCF ₂ H	4-CF ₃
OCF ₂ H	2-OCF ₃	OCF ₂ H	3-OCF ₃	OCF ₂ H	4-OCF ₃
OCF ₂ H	2-OCF ₂ H	OCF ₂ H	3-OCF ₂ H	OCF ₂ H	4-OCF ₂ H
OCF ₂ H	2-OCF ₂ CF ₂ H	OCF ₂ H	3-OCF ₂ CF ₂ H	OCF ₂ H	4-OCF ₂ CF ₂ H
OCF ₂ H	2-OCH ₂ CF ₃	OCF ₂ H	3-OCH ₂ CF ₃	OCF ₂ H	4-OCH ₂ CF ₃
OCF ₂ H	2-SCF ₃	OCF ₂ H	3-SCF ₃	OCF ₂ H	4-SCF ₃
OCF ₂ H	2-SOCF ₃	OCF ₂ H	3-SOCF ₃	OCF ₂ H	4-SOCF ₃
OCF ₂ H	2-SO ₂ CF ₃	OCF ₂ H	3-SO ₂ CF ₃	OCF ₂ H	4-SO ₂ CF ₃

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42

OCF ₂ H	2-SCF ₂ H	OCF ₂ H	3-SCF ₂ H	OCF ₂ H	4-SCF ₂ H
OCF ₂ H	2-SOCF ₂ H	OCF ₂ H	3-SOCF ₂ H	OCF ₂ H	4-SOCF ₂ H
OCF ₂ H	2-SO ₂ CF ₂ H	OCF ₂ H	3-SO ₂ CF ₂ H	OCF ₂ H	4-SO ₂ CF ₂ H
Me	2-Me-4-CF ₃	F	2-Me-4-CF ₃	Cl	2-Me-4-CF ₃
Me	2-Me-4-OCF ₃	F	2-Me-4-OCF ₃	Cl	2-Me-4-OCF ₃
Me	2-Me-4-OCF ₂ H	F	2-Me-4-OCF ₂ H	Cl	2-Me-4-OCF ₂ H
Me	2-Me-4-OCH ₂ CF ₃	F	2-Me-4-OCH ₂ CF ₃	Cl	2-Me-4-OCH ₂ CF ₃
Me	2-Me-4-SCF ₃	F	2-Me-4-SCF ₃	Cl	2-Me-4-SCF ₃
Me	2-Me-4-SOCF ₃	F	2-Me-4-SOCF ₃	Cl	2-Me-4-SOCF ₃
Me	2-Me-4-SO ₂ CF ₃	F	2-Me-4-SO ₂ CF ₃	Cl	2-Me-4-SO ₂ CF ₃
Me	2-Me-4-SCF ₂ H	F	2-Me-4-SCF ₂ H	Cl	2-Me-4-SCF ₂ H
Me	2-Me-4-SOCF ₂ H	F	2-Me-4-SOCF ₂ H	Cl	2-Me-4-SOCF ₂ H
Me	2-Me-4-SO ₂ CF ₂ H	F	2-Me-4-SO ₂ CF ₂ H	Cl	2-Me-4-SO ₂ CF ₂ H
Br	2-Me-4-CF ₃	I	2-Me-4-CF ₃	OMe	2-Me-4-CF ₃
Br	2-Me-4-OCF ₃	I	2-Me-4-OCF ₃	OMe	2-Me-4-OCF ₃
Br	2-Me-4-OCF ₂ H	I	2-Me-4-OCF ₂ H	OMe	2-Me-4-OCF ₂ H
Br	2-Me-4-OCH ₂ CF ₃	I	2-Me-4-OCH ₂ CF ₃	OMe	2-Me-4-OCH ₂ CF ₃
Br	2-Me-4-SCF ₃	I	2-Me-4-SCF ₃	OMe	2-Me-4-SCF ₃
Br	2-Me-4-SOCF ₃	I	2-Me-4-SOCF ₃	OMe	2-Me-4-SOCF ₃
Br	2-Me-4-SO ₂ CF ₃	I	2-Me-4-SO ₂ CF ₃	OMe	2-Me-4-SO ₂ CF ₃
Br	2-Me-4-SCF ₂ H	I	2-Me-4-SCF ₂ H	OMe	2-Me-4-SCF ₂ H
Br	2-Me-4-SOCF ₂ H	I	2-Me-4-SOCF ₂ H	OMe	2-Me-4-SOCF ₂ H
Br	2-Me-4-SO ₂ CF ₂ H	I	2-Me-4-SO ₂ CF ₂ H	OMe	2-Me-4-SO ₂ CF ₂ H
CF ₃	2-Me-4-CF ₃	NO ₂	2-Me-4-CF ₃	SMe	2-Me-4-CF ₃
CF ₃	2-Me-4-OCF ₃	NO ₂	2-Me-4-OCF ₃	SMe	2-Me-4-OCF ₃
CF ₃	2-Me-4-OCF ₂ H	NO ₂	2-Me-4-OCF ₂ H	SMe	2-Me-4-OCF ₂ H
CF ₃	2-Me-4-OCH ₂ CF ₃	NO ₂	2-Me-4-OCH ₂ CF ₃	SMe	2-Me-4-OCH ₂ CF ₃
CF ₃	2-Me-4-SCF ₃	NO ₂	2-Me-4-SCF ₃	SMe	2-Me-4-SCF ₃
CF ₃	2-Me-4-SOCF ₃	NO ₂	2-Me-4-SOCF ₃	SMe	2-Me-4-SOCF ₃
CF ₃	2-Me-4-SO ₂ CF ₃	NO ₂	2-Me-4-SO ₂ CF ₃	SMe	2-Me-4-SO ₂ CF ₃
CF ₃	2-Me-4-SCF ₂ H	NO ₂	2-Me-4-SCF ₂ H	SMe	2-Me-4-SCF ₂ H
CF ₃	2-Me-4-SOCF ₂ H	NO ₂	2-Me-4-SOCF ₂ H	SMe	2-Me-4-SOCF ₂ H
CF ₃	2-Me-4-SO ₂ CF ₂ H	NO ₂	2-Me-4-SO ₂ CF ₂ H	SMe	2-Me-4-SO ₂ CF ₂ H

Table 2



R ⁴	R ⁵ and/or R ⁶	R ⁴	R ⁵ and/or R ⁶	R ⁴	R ⁵ and/or R ⁶
Me	2-CF ₃	Me	3-CF ₃	Me	4-CF ₃
Me	2-OCF ₃	Me	3-OCF ₃	Me	4-OCF ₃
Me	2-OCF ₂ H	Me	3-OCF ₂ H	Me	4-OCF ₂ H
Me	2-OCF ₂ CF ₂ H	Me	3-OCF ₂ CF ₂ H	Me	4-OCF ₂ CF ₂ H
Me	2-OCH ₂ CF ₃	Me	3-OCH ₂ CF ₃	Me	4-OCH ₂ CF ₃
Me	2-SCF ₃	Me	3-SCF ₃	Me	4-SCF ₃
Me	2-SOCF ₃	Me	3-SOCF ₃	Me	4-SOCF ₃
Me	2-SO ₂ CF ₃	Me	3-SO ₂ CF ₃	Me	4-SO ₂ CF ₃
Me	2-SCF ₂ H	Me	3-SCF ₂ H	Me	4-SCF ₂ H
Me	2-SOCF ₂ H	Me	3-SOCF ₂ H	Me	4-SOCF ₂ H
Me	2-SO ₂ CF ₂ H	Me	3-SO ₂ CF ₂ H	Me	4-SO ₂ CF ₂ H
Cl	2-CF ₃	Cl	3-CF ₃	Cl	4-CF ₃
Cl	2-OCF ₃	Cl	3-OCF ₃	Cl	4-OCF ₃
Cl	2-OCF ₂ H	Cl	3-OCF ₂ H	Cl	4-OCF ₂ H
Cl	2-OCF ₂ CF ₂ H	Cl	3-OCF ₂ CF ₂ H	Cl	4-OCF ₂ CF ₂ H
Cl	2-OCH ₂ CF ₃	Cl	3-OCH ₂ CF ₃	Cl	4-OCH ₂ CF ₃
Cl	2-SCF ₃	Cl	3-SCF ₃	Cl	4-SCF ₃
Cl	2-SOCF ₃	Cl	3-SOCF ₃	Cl	4-SOCF ₃
Cl	2-SO ₂ CF ₃	Cl	3-SO ₂ CF ₃	Cl	4-SO ₂ CF ₃
Cl	2-SCF ₂ H	Cl	3-SCF ₂ H	Cl	4-SCF ₂ H
Cl	2-SOCF ₂ H	Cl	3-SOCF ₂ H	Cl	4-SOCF ₂ H
Cl	2-SO ₂ CF ₂ H	Cl	3-SO ₂ CF ₂ H	Cl	4-SO ₂ CF ₂ H
F	2-CF ₃	F	3-CF ₃	F	4-CF ₃
F	2-OCF ₃	F	3-OCF ₃	F	4-OCF ₃
F	2-OCF ₂ H	F	3-OCF ₂ H	F	4-OCF ₂ H
F	2-OCF ₂ CF ₂ H	F	3-OCF ₂ CF ₂ H	F	4-OCF ₂ CF ₂ H

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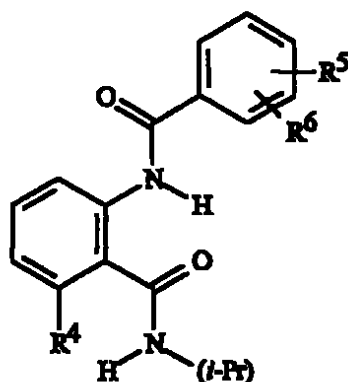
F	2-OCH ₂ CF ₃	F	3-OCH ₂ CF ₃	F	4-OCH ₂ CF ₃
F	2-SCF ₃	F	3-SCF ₃	F	4-SCF ₃
F	2-SOCF ₃	F	3-SOCF ₃	F	4-SOCF ₃
F	2-SO ₂ CF ₃	F	3-SO ₂ CF ₃	F	4-SO ₂ CF ₃
F	2-SCF ₂ H	F	3-SCF ₂ H	F	4-SCF ₂ H
F	2-SOCF ₂ H	F	3-SOCF ₂ H	F	4-SOCF ₂ H
F	2-SO ₂ CF ₂ H	F	3-SO ₂ CF ₂ H	F	4-SO ₂ CF ₂ H
Br	2-CF ₃	Br	3-CF ₃	Br	4-CF ₃
Br	2-OCF ₃	Br	3-OCF ₃	Br	4-OCF ₃
Br	2-OCF ₂ H	Br	3-OCF ₂ H	Br	4-OCF ₂ H
Br	2-OCF ₂ CF ₂ H	Br	3-OCF ₂ CF ₂ H	Br	4-OCF ₂ CF ₂ H
Br	2-OCH ₂ CF ₃	Br	3-OCH ₂ CF ₃	Br	4-OCH ₂ CF ₃
Br	2-SCF ₃	Br	3-SCF ₃	Br	4-SCF ₃
Br	2-SOCF ₃	Br	3-SOCF ₃	Br	4-SOCF ₃
Br	2-SO ₂ CF ₃	Br	3-SO ₂ CF ₃	Br	4-SO ₂ CF ₃
Br	2-SCF ₂ H	Br	3-SCF ₂ H	Br	4-SCF ₂ H
Br	2-SOCF ₂ H	Br	3-SOCF ₂ H	Br	4-SOCF ₂ H
Br	2-SO ₂ CF ₂ H	Br	3-SO ₂ CF ₂ H	Br	4-SO ₂ CF ₂ H
I	2-CF ₃	I	3-CF ₃	I	4-CF ₃
I	2-OCF ₃	I	3-OCF ₃	I	4-OCF ₃
I	2-OCF ₂ H	I	3-OCF ₂ H	I	4-OCF ₂ H
I	2-OCF ₂ CF ₂ H	I	3-OCF ₂ CF ₂ H	I	4-OCF ₂ CF ₂ H
I	2-OCH ₂ CF ₃	I	3-OCH ₂ CF ₃	I	4-OCH ₂ CF ₃
I	2-SCF ₃	I	3-SCF ₃	I	4-SCF ₃
I	2-SOCF ₃	I	3-SOCF ₃	I	4-SOCF ₃
I	2-SO ₂ CF ₃	I	3-SO ₂ CF ₃	I	4-SO ₂ CF ₃
I	2-SCF ₂ H	I	3-SCF ₂ H	I	4-SCF ₂ H
I	2-SOCF ₂ H	I	3-SOCF ₂ H	I	4-SOCF ₂ H
I	2-SO ₂ CF ₂ H	I	3-SO ₂ CF ₂ H	I	4-SO ₂ CF ₂ H
OMe	2-CF ₃	OMe	3-CF ₃	OMe	4-CF ₃
OMe	2-OCF ₃	OMe	3-OCF ₃	OMe	4-OCF ₃
OMe	2-OCF ₂ H	OMe	3-OCF ₂ H	OMe	4-OCF ₂ H
OMe	2-OCF ₂ CF ₂ H	OMe	3-OCF ₂ CF ₂ H	OMe	4-OCF ₂ CF ₂ H
OMe	2-OCH ₂ CF ₃	OMe	3-OCH ₂ CF ₃	OMe	4-OCH ₂ CF ₃
OMe	2-SCF ₃	OMe	3-SCF ₃	OMe	4-SCF ₃
OMe	2-SOCF ₃	OMe	3-SOCF ₃	OMe	4-SOCF ₃
OMe	2-SO ₂ CF ₃	OMe	3-SO ₂ CF ₃	OMe	4-SO ₂ CF ₃

OMe	2-SCF ₂ H	OMe	3-SCF ₂ H	OMe	4-SCF ₂ H
OMe	2-SOCF ₂ H	OMe	3-SOCF ₂ H	OMe	4-SOCF ₂ H
OMe	2-SO ₂ CF ₂ H	OMe	3-SO ₂ CF ₂ H	OMe	4-SO ₂ CF ₂ H
CF ₃	2-CF ₃	CF ₃	3-CF ₃	CF ₃	4-CF ₃
CF ₃	2-OCF ₃	CF ₃	3-OCF ₃	CF ₃	4-OCF ₃
CF ₃	2-OCF ₂ H	CF ₃	3-OCF ₂ H	CF ₃	4-OCF ₂ H
CF ₃	2-OCF ₂ CF ₂ H	CF ₃	3-OCF ₂ CF ₂ H	CF ₃	4-OCF ₂ CF ₂ H
CF ₃	2-OCH ₂ CF ₃	CF ₃	3-OCH ₂ CF ₃	CF ₃	4-OCH ₂ CF ₃
CF ₃	2-SCF ₃	CF ₃	3-SCF ₃	CF ₃	4-SCF ₃
CF ₃	2-SOCF ₃	CF ₃	3-SOCF ₃	CF ₃	4-SOCF ₃
CF ₃	2-SO ₂ CF ₃	CF ₃	3-SO ₂ CF ₃	CF ₃	4-SO ₂ CF ₃
CF ₃	2-SCF ₂ H	CF ₃	3-SCF ₂ H	CF ₃	4-SCF ₂ H
CF ₃	2-SOCF ₂ H	CF ₃	3-SOCF ₂ H	CF ₃	4-SOCF ₂ H
CF ₃	2-SO ₂ CF ₂ H	CF ₃	3-SO ₂ CF ₂ H	CF ₃	4-SO ₂ CF ₂ H
OCF ₂ H	2-CF ₃	OCF ₂ H	3-CF ₃	OCF ₂ H	4-CF ₃
OCF ₂ H	2-OCF ₃	OCF ₂ H	3-OCF ₃	OCF ₂ H	4-OCF ₃
OCF ₂ H	2-OCF ₂ H	OCF ₂ H	3-OCF ₂ H	OCF ₂ H	4-OCF ₂ H
OCF ₂ H	2-OCF ₂ CF ₂ H	OCF ₂ H	3-OCF ₂ CF ₂ H	OCF ₂ H	4-OCF ₂ CF ₂ H
OCF ₂ H	2-OCH ₂ CF ₃	OCF ₂ H	3-OCH ₂ CF ₃	OCF ₂ H	4-OCH ₂ CF ₃
OCF ₂ H	2-SCF ₃	OCF ₂ H	3-SCF ₃	OCF ₂ H	4-SCF ₃
OCF ₂ H	2-SOCF ₃	OCF ₂ H	3-SOCF ₃	OCF ₂ H	4-SOCF ₃
OCF ₂ H	2-SO ₂ CF ₃	OCF ₂ H	3-SO ₂ CF ₃	OCF ₂ H	4-SO ₂ CF ₃
OCF ₂ H	2-SCF ₂ H	OCF ₂ H	3-SCF ₂ H	OCF ₂ H	4-SCF ₂ H
OCF ₂ H	2-SOCF ₂ H	OCF ₂ H	3-SOCF ₂ H	OCF ₂ H	4-SOCF ₂ H
OCF ₂ H	2-SO ₂ CF ₂ H	OCF ₂ H	3-SO ₂ CF ₂ H	OCF ₂ H	4-SO ₂ CF ₂ H
Me	2-Me-4-CF ₃	F	2-Me-4-CF ₃	Cl	2-Me-4-CF ₃
Me	2-Me-4-OCF ₃	F	2-Me-4-OCF ₃	Cl	2-Me-4-OCF ₃
Me	2-Me-4-OCF ₂ H	F	2-Me-4-OCF ₂ H	Cl	2-Me-4-OCF ₂ H
Me	2-Me-4-OCH ₂ CF ₃	F	2-Me-4-OCH ₂ CF ₃	Cl	2-Me-4-OCH ₂ CF ₃
Me	2-Me-4-SCF ₃	F	2-Me-4-SCF ₃	Cl	2-Me-4-SCF ₃
Me	2-Me-4-SOCF ₃	F	2-Me-4-SOCF ₃	Cl	2-Me-4-SOCF ₃
Me	2-Me-4-SO ₂ CF ₃	F	2-Me-4-SO ₂ CF ₃	Cl	2-Me-4-SO ₂ CF ₃
Me	2-Me-4-SCF ₂ H	F	2-Me-4-SCF ₂ H	Cl	2-Me-4-SCF ₂ H
Me	2-Me-4-SOCF ₂ H	F	2-Me-4-SOCF ₂ H	Cl	2-Me-4-SOCF ₂ H
Me	2-Me-4-SO ₂ CF ₂ H	F	2-Me-4-SO ₂ CF ₂ H	Cl	2-Me-4-SO ₂ CF ₂ H
Br	2-Me-4-CF ₃	I	2-Me-4-CF ₃	OMe	2-Me-4-CF ₃
Br	2-Me-4-OCF ₃	I	2-Me-4-OCF ₃	OMe	2-Me-4-OCF ₃

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Br	2-Me-4-OCF ₂ H	I	2-Me-4-OCF ₂ H	OMe	2-Me-4-OCF ₂ H
Br	2-Me-4-OCH ₂ CF ₃	I	2-Me-4-OCH ₂ CF ₃	OMe	2-Me-4-OCH ₂ CF ₃
Br	2-Me-4-SCF ₃	I	2-Me-4-SCF ₃	OMe	2-Me-4-SCF ₃
Br	2-Me-4-SOCF ₃	I	2-Me-4-SOCF ₃	OMe	2-Me-4-SOCF ₃
Br	2-Me-4-SO ₂ CF ₃	I	2-Me-4-SO ₂ CF ₃	OMe	2-Me-4-SO ₂ CF ₃
Br	2-Me-4-SCF ₂ H	I	2-Me-4-SCF ₂ H	OMe	2-Me-4-SCF ₂ H
Br	2-Me-4-SOCF ₂ H	I	2-Me-4-SOCF ₂ H	OMe	2-Me-4-SOCF ₂ H
Br	2-Me-4-SO ₂ CF ₂ H	I	2-Me-4-SO ₂ CF ₂ H	OMe	2-Me-4-SO ₂ CF ₂ H
CF ₃	2-Me-4-CF ₃	NO ₂	2-Me-4-CF ₃	SMe	2-Me-4-CF ₃
CF ₃	2-Me-4-OCF ₃	NO ₂	2-Me-4-OCF ₃	SMe	2-Me-4-OCF ₃
CF ₃	2-Me-4-OCF ₂ H	NO ₂	2-Me-4-OCF ₂ H	SMe	2-Me-4-OCF ₂ H
CF ₃	2-Me-4-OCH ₂ CF ₃	NO ₂	2-Me-4-OCH ₂ CF ₃	SMe	2-Me-4-OCH ₂ CF ₃
CF ₃	2-Me-4-SCF ₃	NO ₂	2-Me-4-SCF ₃	SMe	2-Me-4-SCF ₃
CF ₃	2-Me-4-SOCF ₃	NO ₂	2-Me-4-SOCF ₃	SMe	2-Me-4-SOCF ₃
CF ₃	2-Me-4-SO ₂ CF ₃	NO ₂	2-Me-4-SO ₂ CF ₃	SMe	2-Me-4-SO ₂ CF ₃
CF ₃	2-Me-4-SCF ₂ H	NO ₂	2-Me-4-SCF ₂ H	SMe	2-Me-4-SCF ₂ H
CF ₃	2-Me-4-SOCF ₂ H	NO ₂	2-Me-4-SOCF ₂ H	SMe	2-Me-4-SOCF ₂ H
CF ₃	2-Me-4-SO ₂ CF ₂ H	NO ₂	2-Me-4-SO ₂ CF ₂ H	SMe	2-Me-4-SO ₂ CF ₂ H

Table 3



R ⁴	R ⁵ and/or R ⁶	R ⁴	R ⁵ and/or R ⁶	R ⁴	R ⁵ and/or R ⁶
Me	2-CF ₃	Me	3-CF ₃	Me	4-CF ₃
Me	2-OCF ₃	Me	3-OCF ₃	Me	4-OCF ₃
Me	2-OCF ₂ H	Me	3-OCF ₂ H	Me	4-OCF ₂ H
Me	2-OCF ₂ CF ₂ H	Me	3-OCF ₂ CF ₂ H	Me	4-OCF ₂ CF ₂ H
Me	2-OCH ₂ CF ₃	Me	3-OCH ₂ CF ₃	Me	4-OCH ₂ CF ₃
Me	2-SCF ₃	Me	3-SCF ₃	Me	4-SCF ₃
Me	2-SOCF ₃	Me	3-SOCF ₃	Me	4-SOCF ₃

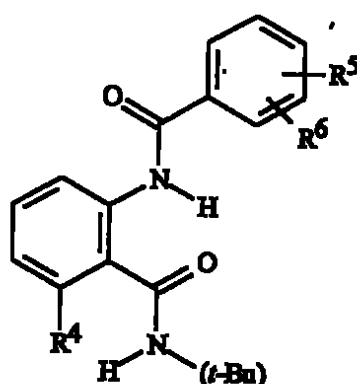
Me	2-SO ₂ CF ₃	Me	3-SO ₂ CF ₃	Me	4-SO ₂ CF ₃
Me	2-SCF ₂ H	Me	3-SCF ₂ H	Me	4-SCF ₂ H
Me	2-SOCF ₂ H	Me	3-SOCF ₂ H	Me	4-SOCF ₂ H
Me	2-SO ₂ CF ₂ H	Me	3-SO ₂ CF ₂ H	Me	4-SO ₂ CF ₂ H
Cl	2-CF ₃	Cl	3-CF ₃	Cl	4-CF ₃
Cl	2-OCF ₃	Cl	3-OCF ₃	Cl	4-OCF ₃
Cl	2-OCF ₂ H	Cl	3-OCF ₂ H	Cl	4-OCF ₂ H
Cl	2-OCF ₂ CF ₂ H	Cl	3-OCF ₂ CF ₂ H	Cl	4-OCF ₂ CF ₂ H
Cl	2-OCH ₂ CF ₃	Cl	3-OCH ₂ CF ₃	Cl	4-OCH ₂ CF ₃
Cl	2-SCF ₃	Cl	3-SCF ₃	Cl	4-SCF ₃
Cl	2-SOCF ₃	Cl	3-SOCF ₃	Cl	4-SOCF ₃
Cl	2-SO ₂ CF ₃	Cl	3-SO ₂ CF ₃	Cl	4-SO ₂ CF ₃
Cl	2-SCF ₂ H	Cl	3-SCF ₂ H	Cl	4-SCF ₂ H
Cl	2-SOCF ₂ H	Cl	3-SOCF ₂ H	Cl	4-SOCF ₂ H
Cl	2-SO ₂ CF ₂ H	Cl	3-SO ₂ CF ₂ H	Cl	4-SO ₂ CF ₂ H
F	2-CF ₃	F	3-CF ₃	F	4-CF ₃
F	2-OCF ₃	F	3-OCF ₃	F	4-OCF ₃
F	2-OCF ₂ H	F	3-OCF ₂ H	F	4-OCF ₂ H
F	2-OCF ₂ CF ₂ H	F	3-OCF ₂ CF ₂ H	F	4-OCF ₂ CF ₂ H
F	2-OCH ₂ CF ₃	F	3-OCH ₂ CF ₃	F	4-OCH ₂ CF ₃
F	2-SCF ₃	F	3-SCF ₃	F	4-SCF ₃
F	2-SOCF ₃	F	3-SOCF ₃	F	4-SOCF ₃
F	2-SO ₂ CF ₃	F	3-SO ₂ CF ₃	F	4-SO ₂ CF ₃
F	2-SCF ₂ H	F	3-SCF ₂ H	F	4-SCF ₂ H
F	2-SOCF ₂ H	F	3-SOCF ₂ H	F	4-SOCF ₂ H
F	2-SO ₂ CF ₂ H	F	3-SO ₂ CF ₂ H	F	4-SO ₂ CF ₂ H
Br	2-CF ₃	Br	3-CF ₃	Br	4-CF ₃
Br	2-OCF ₃	Br	3-OCF ₃	Br	4-OCF ₃
Br	2-OCF ₂ H	Br	3-OCF ₂ H	Br	4-OCF ₂ H
Br	2-OCF ₂ CF ₂ H	Br	3-OCF ₂ CF ₂ H	Br	4-OCF ₂ CF ₂ H
Br	2-OCH ₂ CF ₃	Br	3-OCH ₂ CF ₃	Br	4-OCH ₂ CF ₃
Br	2-SCF ₃	Br	3-SCF ₃	Br	4-SCF ₃
Br	2-SOCF ₃	Br	3-SOCF ₃	Br	4-SOCF ₃
Br	2-SO ₂ CF ₃	Br	3-SO ₂ CF ₃	Br	4-SO ₂ CF ₃
Br	2-SCF ₂ H	Br	3-SCF ₂ H	Br	4-SCF ₂ H
Br	2-SOCF ₂ H	Br	3-SOCF ₂ H	Br	4-SOCF ₂ H
Br	2-SO ₂ CF ₂ H	Br	3-SO ₂ CF ₂ H	Br	4-SO ₂ CF ₂ H

I	2-CF ₃	I	3-CF ₃	I	4-CF ₃
I	2-OCF ₃	I	3-OCF ₃	I	4-OCF ₃
I	2-OCF ₂ H	I	3-OCF ₂ H	I	4-OCF ₂ H
I	2-OCF ₂ CF ₂ H	I	3-OCF ₂ CF ₂ H	I	4-OCF ₂ CF ₂ H
I	2-OCH ₂ CF ₃	I	3-OCH ₂ CF ₃	I	4-OCH ₂ CF ₃
I	2-SCF ₃	I	3-SCF ₃	I	4-SCF ₃
I	2-SOCF ₃	I	3-SOCF ₃	I	4-SOCF ₃
I	2-SO ₂ CF ₃	I	3-SO ₂ CF ₃	I	4-SO ₂ CF ₃
I	2-SCF ₂ H	I	3-SCF ₂ H	I	4-SCF ₂ H
I	2-SOCF ₂ H	I	3-SOCF ₂ H	I	4-SOCF ₂ H
I	2-SO ₂ CF ₂ H	I	3-SO ₂ CF ₂ H	I	4-SO ₂ CF ₂ H
OMe	2-CF ₃	OMe	3-CF ₃	OMe	4-CF ₃
OMe	2-OCF ₃	OMe	3-OCF ₃	OMe	4-OCF ₃
OMe	2-OCF ₂ H	OMe	3-OCF ₂ H	OMe	4-OCF ₂ H
OMe	2-OCF ₂ CF ₂ H	OMe	3-OCF ₂ CF ₂ H	OMe	4-OCF ₂ CF ₂ H
OMe	2-OCH ₂ CF ₃	OMe	3-OCH ₂ CF ₃	OMe	4-OCH ₂ CF ₃
OMe	2-SCF ₃	OMe	3-SCF ₃	OMe	4-SCF ₃
OMe	2-SOCF ₃	OMe	3-SOCF ₃	OMe	4-SOCF ₃
OMe	2-SO ₂ CF ₃	OMe	3-SO ₂ CF ₃	OMe	4-SO ₂ CF ₃
OMe	2-SCF ₂ H	OMe	3-SCF ₂ H	OMe	4-SCF ₂ H
OMe	2-SOCF ₂ H	OMe	3-SOCF ₂ H	OMe	4-SOCF ₂ H
OMe	2-SO ₂ CF ₂ H	OMe	3-SO ₂ CF ₂ H	OMe	4-SO ₂ CF ₂ H
CF ₃	2-CF ₃	CF ₃	3-CF ₃	CF ₃	4-CF ₃
CF ₃	2-OCF ₃	CF ₃	3-OCF ₃	CF ₃	4-OCF ₃
CF ₃	2-OCF ₂ H	CF ₃	3-OCF ₂ H	CF ₃	4-OCF ₂ H
CF ₃	2-OCF ₂ CF ₂ H	CF ₃	3-OCF ₂ CF ₂ H	CF ₃	4-OCF ₂ CF ₂ H
CF ₃	2-OCH ₂ CF ₃	CF ₃	3-OCH ₂ CF ₃	CF ₃	4-OCH ₂ CF ₃
CF ₃	2-SCF ₃	CF ₃	3-SCF ₃	CF ₃	4-SCF ₃
CF ₃	2-SOCF ₃	CF ₃	3-SOCF ₃	CF ₃	4-SOCF ₃
CF ₃	2-SO ₂ CF ₃	CF ₃	3-SO ₂ CF ₃	CF ₃	4-SO ₂ CF ₃
CF ₃	2-SCF ₂ H	CF ₃	3-SCF ₂ H	CF ₃	4-SCF ₂ H
CF ₃	2-SOCF ₂ H	CF ₃	3-SOCF ₂ H	CF ₃	4-SOCF ₂ H
CF ₃	2-SO ₂ CF ₂ H	CF ₃	3-SO ₂ CF ₂ H	CF ₃	4-SO ₂ CF ₂ H
OCF ₂ H	2-CF ₃	OCF ₂ H	3-CF ₃	OCF ₂ H	4-CF ₃
OCF ₂ H	2-OCF ₃	OCF ₂ H	3-OCF ₃	OCF ₂ H	4-OCF ₃
OCF ₂ H	2-OCF ₂ H	OCF ₂ H	3-OCF ₂ H	OCF ₂ H	4-OCF ₂ H
OCF ₂ H	2-OCF ₂ CF ₂ H	OCF ₂ H	3-OCF ₂ CF ₂ H	OCF ₂ H	4-OCF ₂ CF ₂ H

OCF ₂ H	2-OCH ₂ CF ₃	OCF ₂ H	3-OCH ₂ CF ₃	OCF ₂ H	4-OCH ₂ CF ₃
OCF ₂ H	2-SCF ₃	OCF ₂ H	3-SCF ₃	OCF ₂ H	4-SCF ₃
OCF ₂ H	2-SOCF ₃	OCF ₂ H	3-SOCF ₃	OCF ₂ H	4-SOCF ₃
OCF ₂ H	2-SO ₂ CF ₃	OCF ₂ H	3-SO ₂ CF ₃	OCF ₂ H	4-SO ₂ CF ₃
OCF ₂ H	2-SCF ₂ H	OCF ₂ H	3-SCF ₂ H	OCF ₂ H	4-SCF ₂ H
OCF ₂ H	2-SOCF ₂ H	OCF ₂ H	3-SOCF ₂ H	OCF ₂ H	4-SOCF ₂ H
OCF ₂ H	2-SO ₂ CF ₂ H	OCF ₂ H	3-SO ₂ CF ₂ H	OCF ₂ H	4-SO ₂ CF ₂ H
Me	2-Me-4-CF ₃	F	2-Me-4-CF ₃	Cl	2-Me-4-CF ₃
Me	2-Me-4-OCF ₃	F	2-Me-4-OCF ₃	Cl	2-Me-4-OCF ₃
Me	2-Me-4-OCF ₂ H	F	2-Me-4-OCF ₂ H	Cl	2-Me-4-OCF ₂ H
Me	2-Me-4-OCH ₂ CF ₃	F	2-Me-4-OCH ₂ CF ₃	Cl	2-Me-4-OCH ₂ CF ₃
Me	2-Me-4-SCF ₃	F	2-Me-4-SCF ₃	Cl	2-Me-4-SCF ₃
Me	2-Me-4-SOCF ₃	F	2-Me-4-SOCF ₃	Cl	2-Me-4-SOCF ₃
Me	2-Me-4-SO ₂ CF ₃	F	2-Me-4-SO ₂ CF ₃	Cl	2-Me-4-SO ₂ CF ₃
Me	2-Me-4-SCF ₂ H	F	2-Me-4-SCF ₂ H	Cl	2-Me-4-SCF ₂ H
Me	2-Me-4-SOCF ₂ H	F	2-Me-4-SOCF ₂ H	Cl	2-Me-4-SOCF ₂ H
Me	2-Me-4-SO ₂ CF ₂ H	F	2-Me-4-SO ₂ CF ₂ H	Cl	2-Me-4-SO ₂ CF ₂ H
Br	2-Me-4-CF ₃	I	2-Me-4-CF ₃	OMe	2-Me-4-CF ₃
Br	2-Me-4-OCF ₃	I	2-Me-4-OCF ₃	OMe	2-Me-4-OCF ₃
Br	2-Me-4-OCF ₂ H	I	2-Me-4-OCF ₂ H	OMe	2-Me-4-OCF ₂ H
Br	2-Me-4-OCH ₂ CF ₃	I	2-Me-4-OCH ₂ CF ₃	OMe	2-Me-4-OCH ₂ CF ₃
Br	2-Me-4-SCF ₃	I	2-Me-4-SCF ₃	OMe	2-Me-4-SCF ₃
Br	2-Me-4-SOCF ₃	I	2-Me-4-SOCF ₃	OMe	2-Me-4-SOCF ₃
Br	2-Me-4-SO ₂ CF ₃	I	2-Me-4-SO ₂ CF ₃	OMe	2-Me-4-SO ₂ CF ₃
Br	2-Me-4-SCF ₂ H	I	2-Me-4-SCF ₂ H	OMe	2-Me-4-SCF ₂ H
Br	2-Me-4-SOCF ₂ H	I	2-Me-4-SOCF ₂ H	OMe	2-Me-4-SOCF ₂ H
Br	2-Me-4-SO ₂ CF ₂ H	I	2-Me-4-SO ₂ CF ₂ H	OMe	2-Me-4-SO ₂ CF ₂ H
CF ₃	2-Me-4-CF ₃	NO ₂	2-Me-4-CF ₃	SMe	2-Me-4-CF ₃
CF ₃	2-Me-4-OCF ₃	NO ₂	2-Me-4-OCF ₃	SMe	2-Me-4-OCF ₃
CF ₃	2-Me-4-OCF ₂ H	NO ₂	2-Me-4-OCF ₂ H	SMe	2-Me-4-OCF ₂ H
CF ₃	2-Me-4-OCH ₂ CF ₃	NO ₂	2-Me-4-OCH ₂ CF ₃	SMe	2-Me-4-OCH ₂ CF ₃
CF ₃	2-Me-4-SCF ₃	NO ₂	2-Me-4-SCF ₃	SMe	2-Me-4-SCF ₃
CF ₃	2-Me-4-SOCF ₃	NO ₂	2-Me-4-SOCF ₃	SMe	2-Me-4-SOCF ₃
CF ₃	2-Me-4-SO ₂ CF ₃	NO ₂	2-Me-4-SO ₂ CF ₃	SMe	2-Me-4-SO ₂ CF ₃
CF ₃	2-Me-4-SCF ₂ H	NO ₂	2-Me-4-SCF ₂ H	SMe	2-Me-4-SCF ₂ H
CF ₃	2-Me-4-SOCF ₂ H	NO ₂	2-Me-4-SOCF ₂ H	SMe	2-Me-4-SOCF ₂ H
CF ₃	2-Me-4-SO ₂ CF ₂ H	NO ₂	2-Me-4-SO ₂ CF ₂ H	SMe	2-Me-4-SO ₂ CF ₂ H

48
46

Table 4



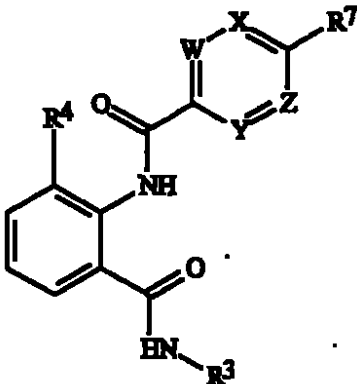
R ⁴	R ⁵ and/or R ⁶	R ⁴	R ⁵ and/or R ⁶	R ⁴	R ⁵ and/or R ⁶
Me	2-CF ₃	Me	3-CF ₃	Me	4-CF ₃
Me	2-OCF ₃	Me	3-OCF ₃	Me	4-OCF ₃
Me	2-OCF ₂ H	Me	3-OCF ₂ H	Me	4-OCF ₂ H
Me	2-OCF ₂ CF ₂ H	Me	3-OCF ₂ CF ₂ H	Me	4-OCF ₂ CF ₂ H
Me	2-OCH ₂ CF ₃	Me	3-OCH ₂ CF ₃	Me	4-OCH ₂ CF ₃
Me	2-SCF ₃	Me	3-SCF ₃	Me	4-SCF ₃
Me	2-SOCF ₃	Me	3-SOCF ₃	Me	4-SOCF ₃
Me	2-SO ₂ CF ₃	Me	3-SO ₂ CF ₃	Me	4-SO ₂ CF ₃
Me	2-SCF ₂ H	Me	3-SCF ₂ H	Me	4-SCF ₂ H
Me	2-SOCF ₂ H	Me	3-SOCF ₂ H	Me	4-SOCF ₂ H
Me	2-SO ₂ CF ₂ H	Me	3-SO ₂ CF ₂ H	Me	4-SO ₂ CF ₂ H
Cl	2-CF ₃	Cl	3-CF ₃	Cl	4-CF ₃
Cl	2-OCF ₃	Cl	3-OCF ₃	Cl	4-OCF ₃
Cl	2-OCF ₂ H	Cl	3-OCF ₂ H	Cl	4-OCF ₂ H
Cl	2-OCF ₂ CF ₂ H	Cl	3-OCF ₂ CF ₂ H	Cl	4-OCF ₂ CF ₂ H
Cl	2-OCH ₂ CF ₃	Cl	3-OCH ₂ CF ₃	Cl	4-OCH ₂ CF ₃
Cl	2-SCF ₃	Cl	3-SCF ₃	Cl	4-SCF ₃
Cl	2-SOCF ₃	Cl	3-SOCF ₃	Cl	4-SOCF ₃
Cl	2-SO ₂ CF ₃	Cl	3-SO ₂ CF ₃	Cl	4-SO ₂ CF ₃
Cl	2-SCF ₂ H	Cl	3-SCF ₂ H	Cl	4-SCF ₂ H
Cl	2-SOCF ₂ H	Cl	3-SOCF ₂ H	Cl	4-SOCF ₂ H
Cl	2-SO ₂ CF ₂ H	Cl	3-SO ₂ CF ₂ H	Cl	4-SO ₂ CF ₂ H
F	2-CF ₃	F	3-CF ₃	F	4-CF ₃
F	2-OCF ₃	F	3-OCF ₃	F	4-OCF ₃
F	2-OCF ₂ H	F	3-OCF ₂ H	F	4-OCF ₂ H
F	2-OCF ₂ CF ₂ H	F	3-OCF ₂ CF ₂ H	F	4-OCF ₂ CF ₂ H

F	2-OCH ₂ CF ₃	F	3-OCH ₂ CF ₃	F	4-OCH ₂ CF ₃
F	2-SCF ₃	F	3-SCF ₃	F	4-SCF ₃
F	2-SOCF ₃	F	3-SOCF ₃	F	4-SOCF ₃
F	2-SO ₂ CF ₃	F	3-SO ₂ CF ₃	F	4-SO ₂ CF ₃
F	2-SCF ₂ H	F	3-SCF ₂ H	F	4-SCF ₂ H
F	2-SOCF ₂ H	F	3-SOCF ₂ H	F	4-SOCF ₂ H
F	2-SO ₂ CF ₂ H	F	3-SO ₂ CF ₂ H	F	4-SO ₂ CF ₂ H
Br	2-CF ₃	Br	3-CF ₃	Br	4-CF ₃
Br	2-OCF ₃	Br	3-OCF ₃	Br	4-OCF ₃
Br	2-OCF ₂ H	Br	3-OCF ₂ H	Br	4-OCF ₂ H
Br	2-OCF ₂ CF ₂ H	Br	3-OCF ₂ CF ₂ H	Br	4-OCF ₂ CF ₂ H
Br	2-OCH ₂ CF ₃	Br	3-OCH ₂ CF ₃	Br	4-OCH ₂ CF ₃
Br	2-SCF ₃	Br	3-SCF ₃	Br	4-SCF ₃
Br	2-SOCF ₃	Br	3-SOCF ₃	Br	4-SOCF ₃
Br	2-SO ₂ CF ₃	Br	3-SO ₂ CF ₃	Br	4-SO ₂ CF ₃
Br	2-SCF ₂ H	Br	3-SCF ₂ H	Br	4-SCF ₂ H
Br	2-SOCF ₂ H	Br	3-SOCF ₂ H	Br	4-SOCF ₂ H
Br	2-SO ₂ CF ₂ H	Br	3-SO ₂ CF ₂ H	Br	4-SO ₂ CF ₂ H
I	2-CF ₃	I	3-CF ₃	I	4-CF ₃
I	2-OCF ₃	I	3-OCF ₃	I	4-OCF ₃
I	2-OCF ₂ H	I	3-OCF ₂ H	I	4-OCF ₂ H
I	2-OCF ₂ CF ₂ H	I	3-OCF ₂ CF ₂ H	I	4-OCF ₂ CF ₂ H
I	2-OCH ₂ CF ₃	I	3-OCH ₂ CF ₃	I	4-OCH ₂ CF ₃
I	2-SCF ₃	I	3-SCF ₃	I	4-SCF ₃
I	2-SOCF ₃	I	3-SOCF ₃	I	4-SOCF ₃
I	2-SO ₂ CF ₃	I	3-SO ₂ CF ₃	I	4-SO ₂ CF ₃
I	2-SCF ₂ H	I	3-SCF ₂ H	I	4-SCF ₂ H
I	2-SOCF ₂ H	I	3-SOCF ₂ H	I	4-SOCF ₂ H
I	2-SO ₂ CF ₂ H	I	3-SO ₂ CF ₂ H	I	4-SO ₂ CF ₂ H
OMe	2-CF ₃	OMe	3-CF ₃	OMe	4-CF ₃
OMe	2-OCF ₃	OMe	3-OCF ₃	OMe	4-OCF ₃
OMe	2-OCF ₂ H	OMe	3-OCF ₂ H	OMe	4-OCF ₂ H
OMe	2-OCF ₂ CF ₂ H	OMe	3-OCF ₂ CF ₂ H	OMe	4-OCF ₂ CF ₂ H
OMe	2-OCH ₂ CF ₃	OMe	3-OCH ₂ CF ₃	OMe	4-OCH ₂ CF ₃
OMe	2-SCF ₃	OMe	3-SCF ₃	OMe	4-SCF ₃
OMe	2-SOCF ₃	OMe	3-SOCF ₃	OMe	4-SOCF ₃
OMe	2-SO ₂ CF ₃	OMe	3-SO ₂ CF ₃	OMe	4-SO ₂ CF ₃

OMe	2-SCF ₂ H	OMe	3-SCF ₂ H	OMe	4-SCF ₂ H
OMe	2-SOCF ₂ H	OMe	3-SOCF ₂ H	OMe	4-SOCF ₂ H
OMe	2-SO ₂ CF ₂ H	OMe	3-SO ₂ CF ₂ H	OMe	4-SO ₂ CF ₂ H
CF ₃	2-CF ₃	CF ₃	3-CF ₃	CF ₃	4-CF ₃
CF ₃	2-OCF ₃	CF ₃	3-OCF ₃	CF ₃	4-OCF ₃
CF ₃	2-OCF ₂ H	CF ₃	3-OCF ₂ H	CF ₃	4-OCF ₂ H
CF ₃	2-OCF ₂ CF ₂ H	CF ₃	3-OCF ₂ CF ₂ H	CF ₃	4-OCF ₂ CF ₂ H
CF ₃	2-OCH ₂ CF ₃	CF ₃	3-OCH ₂ CF ₃	CF ₃	4-OCH ₂ CF ₃
CF ₃	2-SCF ₃	CF ₃	3-SCF ₃	CF ₃	4-SCF ₃
CF ₃	2-SOCF ₃	CF ₃	3-SOCF ₃	CF ₃	4-SOCF ₃
CF ₃	2-SO ₂ CF ₃	CF ₃	3-SO ₂ CF ₃	CF ₃	4-SO ₂ CF ₃
CF ₃	2-SCF ₂ H	CF ₃	3-SCF ₂ H	CF ₃	4-SCF ₂ H
CF ₃	2-SOCF ₂ H	CF ₃	3-SOCF ₂ H	CF ₃	4-SOCF ₂ H
CF ₃	2-SO ₂ CF ₂ H	CF ₃	3-SO ₂ CF ₂ H	CF ₃	4-SO ₂ CF ₂ H
OCF ₂ H	2-CF ₃	OCF ₂ H	3-CF ₃	OCF ₂ H	4-CF ₃
OCF ₂ H	2-OCF ₃	OCF ₂ H	3-OCF ₃	OCF ₂ H	4-OCF ₃
OCF ₂ H	2-OCF ₂ H	OCF ₂ H	3-OCF ₂ H	OCF ₂ H	4-OCF ₂ H
OCF ₂ H	2-OCF ₂ CF ₂ H	OCF ₂ H	3-OCF ₂ CF ₂ H	OCF ₂ H	4-OCF ₂ CF ₂ H
OCF ₂ H	2-OCH ₂ CF ₃	OCF ₂ H	3-OCH ₂ CF ₃	OCF ₂ H	4-OCH ₂ CF ₃
OCF ₂ H	2-SCF ₃	OCF ₂ H	3-SCF ₃	OCF ₂ H	4-SCF ₃
OCF ₂ H	2-SOCF ₃	OCF ₂ H	3-SOCF ₃	OCF ₂ H	4-SOCF ₃
OCF ₂ H	2-SO ₂ CF ₃	OCF ₂ H	3-SO ₂ CF ₃	OCF ₂ H	4-SO ₂ CF ₃
OCF ₂ H	2-SCF ₂ H	OCF ₂ H	3-SCF ₂ H	OCF ₂ H	4-SCF ₂ H
OCF ₂ H	2-SOCF ₂ H	OCF ₂ H	3-SOCF ₂ H	OCF ₂ H	4-SOCF ₂ H
OCF ₂ H	2-SO ₂ CF ₂ H	OCF ₂ H	3-SO ₂ CF ₂ H	OCF ₂ H	4-SO ₂ CF ₂ H
Me	2-Me-4-CF ₃	F	2-Me-4-CF ₃	Cl	2-Me-4-CF ₃
Me	2-Me-4-OCF ₃	F	2-Me-4-OCF ₃	Cl	2-Me-4-OCF ₃
Me	2-Me-4-OCF ₂ H	F	2-Me-4-OCF ₂ H	Cl	2-Me-4-OCF ₂ H
Me	2-Me-4-OCH ₂ CF ₃	F	2-Me-4-OCH ₂ CF ₃	Cl	2-Me-4-OCH ₂ CF ₃
Me	2-Me-4-SCF ₃	F	2-Me-4-SCF ₃	Cl	2-Me-4-SCF ₃
Me	2-Me-4-SOCF ₃	F	2-Me-4-SOCF ₃	Cl	2-Me-4-SOCF ₃
Me	2-Me-4-SO ₂ CF ₃	F	2-Me-4-SO ₂ CF ₃	Cl	2-Me-4-SO ₂ CF ₃
Me	2-Me-4-SCF ₂ H	F	2-Me-4-SCF ₂ H	Cl	2-Me-4-SCF ₂ H
Me	2-Me-4-SOCF ₂ H	F	2-Me-4-SOCF ₂ H	Cl	2-Me-4-SOCF ₂ H
Me	2-Me-4-SO ₂ CF ₂ H	F	2-Me-4-SO ₂ CF ₂ H	Cl	2-Me-4-SO ₂ CF ₂ H
Br	2-Me-4-CF ₃	I	2-Me-4-CF ₃	OMe	2-Me-4-CF ₃
Br	2-Me-4-OCF ₃	I	2-Me-4-OCF ₃	OMe	2-Me-4-OCF ₃

Br	2-Me-4-OCF ₂ H	I	2-Me-4-OCF ₂ H	OMe	2-Me-4-OCF ₂ H
Br	2-Me-4-OCH ₂ CF ₃	I	2-Me-4-OCH ₂ CF ₃	OMe	2-Me-4-OCH ₂ CF ₃
Br	2-Me-4-SCF ₃	I	2-Me-4-SCF ₃	OMe	2-Me-4-SCF ₃
Br	2-Me-4-SOCF ₃	I	2-Me-4-SOCF ₃	OMe	2-Me-4-SOCF ₃
Br	2-Me-4-SO ₂ CF ₃	I	2-Me-4-SO ₂ CF ₃	OMe	2-Me-4-SO ₂ CF ₃
Br	2-Me-4-SCF ₂ H	I	2-Me-4-SCF ₂ H	OMe	2-Me-4-SCF ₂ H
Br	2-Me-4-SOCF ₂ H	I	2-Me-4-SOCF ₂ H	OMe	2-Me-4-SOCF ₂ H
Br	2-Me-4-SO ₂ CF ₂ H	I	2-Me-4-SO ₂ CF ₂ H	OMe	2-Me-4-SO ₂ CF ₂ H
CF ₃	2-Me-4-CF ₃	NO ₂	2-Me-4-CF ₃	SMe	2-Me-4-CF ₃
CF ₃	2-Me-4-OCF ₃	NO ₂	2-Me-4-OCF ₃	SMe	2-Me-4-OCF ₃
CF ₃	2-Me-4-OCF ₂ H	NO ₂	2-Me-4-OCF ₂ H	SMe	2-Me-4-OCF ₂ H
CF ₃	2-Me-4-OCH ₂ CF ₃	NO ₂	2-Me-4-OCH ₂ CF ₃	SMe	2-Me-4-OCH ₂ CF ₃
CF ₃	2-Me-4-SCF ₃	NO ₂	2-Me-4-SCF ₃	SMe	2-Me-4-SCF ₃
CF ₃	2-Me-4-SOCF ₃	NO ₂	2-Me-4-SOCF ₃	SMe	2-Me-4-SOCF ₃
CF ₃	2-Me-4-SO ₂ CF ₃	NO ₂	2-Me-4-SO ₂ CF ₃	SMe	2-Me-4-SO ₂ CF ₃
CF ₃	2-Me-4-SCF ₂ H	NO ₂	2-Me-4-SCF ₂ H	SMe	2-Me-4-SCF ₂ H
CF ₃	2-Me-4-SOCF ₂ H	NO ₂	2-Me-4-SOCF ₂ H	SMe	2-Me-4-SOCF ₂ H
CF ₃	2-Me-4-SO ₂ CF ₂ H	NO ₂	2-Me-4-SO ₂ CF ₂ H	SMe	2-Me-4-SO ₂ CF ₂ H

Table 5

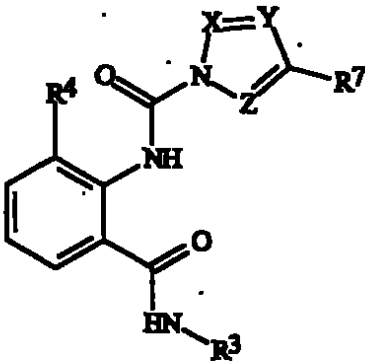


R ³	R ⁴	R ⁷	W	X	Y	Z
<i>t</i> -Pr	Me	CF ₃	CMe	N	CH	CH
<i>t</i> -Pr	Cl	CF ₃	CMe	N	CH	CH
<i>t</i> -Pr	Br	CF ₃	CMe	N	CH	CH
<i>t</i> -Pr	I	CF ₃	CMe	N	CH	CH
<i>t</i> -Pr	F	CF ₃	CMe	N	CH	CH
<i>t</i> -Pr	H	CF ₃	CMe	N	CH	CH
<i>t</i> -Pr	Et	CF ₃	CMe	N	CH	CH

t-Pr	Me	CF ₃	CMe	CH	N	CH
t-Pr	Cl	CF ₃	CMe	CH	N	CH
t-Pr	Br	CF ₃	CMe	CH	N	CH
t-Pr	I	CF ₃	CMe	CH	N	CH
t-Pr	F	CF ₃	CMe	CH	N	CH
t-Pr	H	CF ₃	CMe	CH	N	CH
t-Pr	Et	CF ₃	CMe	CH	N	CH
t-Pr	Me	CF ₃	CMe	CH	CH	N
t-Pr	Cl	CF ₃	CMe	CH	CH	N
t-Pr	Br	CF ₃	CMe	CH	CH	N
t-Pr	I	CF ₃	CMe	CH	CH	N
t-Pr	F	CF ₃	CMe	CH	CH	N
t-Pr	H	CF ₃	CMe	CH	CH	N
t-Pr	Et	CF ₃	CMe	CH	CH	N
t-Pr	Me	CF ₃	CMe	N	CH	N
t-Pr	Cl	CF ₃	CMe	N	CH	N
t-Pr	Br	CF ₃	CMe	N	CH	N
t-Pr	I	CF ₃	CMe	N	CH	N
t-Pr	F	CF ₃	CMe	N	CH	N
t-Pr	H	CF ₃	CMe	N	CH	N
t-Pr	Et	CF ₃	CMe	N	CH	N
t-Bu	Me	CF ₃	CMe	N	CH	CH
t-Bu	Cl	CF ₃	CMe	N	CH	CH
t-Bu	Br	CF ₃	CMe	N	CH	CH
t-Bu	I	CF ₃	CMe	N	CH	CH
t-Bu	F	CF ₃	CMe	N	CH	CH
t-Bu	H	CF ₃	CMe	N	CH	CH
t-Bu	Et	CF ₃	CMe	N	CH	CH
t-Bu	Me	CF ₃	CMe	CH	N	CH
t-Bu	Cl	CF ₃	CMe	CH	N	CH
t-Bu	Br	CF ₃	CMe	CH	N	CH
t-Bu	I	CF ₃	CMe	CH	N	CH
t-Bu	F	CF ₃	CMe	CH	N	CH
t-Bu	H	CF ₃	CMe	CH	N	CH
t-Bu	Et	CF ₃	CMe	CH	N	CH
t-Bu	Me	CF ₃	CMe	CH	CH	N
t-Bu	Cl	CF ₃	CMe	CH	CH	N

<i>t</i> -Bu	Br	CF ₃	CMe	CH	CH	N
<i>t</i> -Bu	I	CF ₃	CMe	CH	CH	N
<i>t</i> -Bu	F	CF ₃	CMe	CH	CH	N
<i>t</i> -Bu	H	CF ₃	CMe	CH	CH	N
<i>t</i> -Bu	Et	CF ₃	CMe	CH	CH	N
<i>i</i> -Pr	Me	OCF ₃	CMe	N	CH	CH
<i>i</i> -Pr	Cl	OCF ₃	CMe	N	CH	CH
<i>i</i> -Pr	Br	OCF ₃	CMe	N	CH	CH
<i>i</i> -Pr	I	OCF ₃	CMe	N	CH	CH
<i>i</i> -Pr	F	OCF ₃	CMe	N	CH	CH
<i>i</i> -Pr	H	OCF ₃	CMe	N	CH	CH
<i>i</i> -Pr	Et	OCF ₃	CMe	N	CH	CH
<i>i</i> -Pr	Me	CF ₃	CH	N	CH	CH
<i>i</i> -Pr	Cl	CF ₃	CH	N	CH	CH
<i>i</i> -Pr	Br	CF ₃	CH	N	CH	CH
<i>i</i> -Pr	I	CF ₃	CH	N	CH	CH
<i>i</i> -Pr	F	CF ₃	CH	N	CH	CH
<i>i</i> -Pr	H	CF ₃	CH	N	CH	CH
<i>i</i> -Pr	Et	CF ₃	CH	N	CH	CH
<i>i</i> -Pr	Me	Cl	CMe	CH	CH	N
<i>i</i> -Pr	Cl	Cl	CMe	CH	CH	N
<i>i</i> -Pr	Br	Cl	CMe	CH	CH	N
<i>i</i> -Pr	I	Cl	CMe	CH	CH	N
<i>i</i> -Pr	F	Cl	CMe	CH	CH	N
<i>i</i> -Pr	H	Cl	CMe	CH	CH	N
<i>i</i> -Pr	Et	Cl	CMe	CH	CH	N

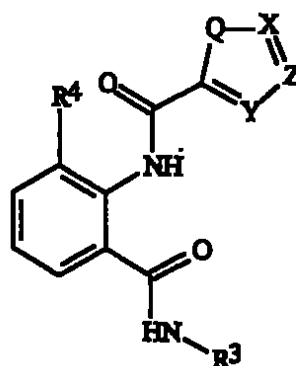
Table 6



R ³	R ⁴	R ⁷	X	Y	Z
<i>i</i> -Pr	Me	CF ₃	CMe	N	CH
<i>i</i> -Pr	Cl	CF ₃	CMe	N	CH
<i>i</i> -Pr	Br	CF ₃	CMe	N	CH
<i>i</i> -Pr	I	CF ₃	CMe	N	CH
<i>i</i> -Pr	F	CF ₃	CMe	N	CH
<i>i</i> -Pr	H	CF ₃	CMe	N	CH
<i>i</i> -Pr	Et	CF ₃	CMe	N	CH
<i>i</i> -Pr	Me	CF ₃	CMe	CH	N
<i>i</i> -Pr	Cl	CF ₃	CMe	CH	N
<i>i</i> -Pr	Br	CF ₃	CMe	CH	N
<i>i</i> -Pr	I	CF ₃	CMe	CH	N
<i>i</i> -Pr	F	CF ₃	CMe	CH	N
<i>i</i> -Pr	H	CF ₃	CMe	CH	N
<i>i</i> -Pr	Et	CF ₃	CMe	CH	N
<i>i</i> -Pr	Me	CF ₃	CMe	N	N
<i>i</i> -Pr	Cl	CF ₃	CMe	N	N
<i>i</i> -Pr	Br	CF ₃	CMe	N	N
<i>i</i> -Pr	I	CF ₃	CMe	N	N
<i>i</i> -Pr	F	CF ₃	CMe	N	N
<i>i</i> -Pr	H	CF ₃	CMe	N	N
<i>i</i> -Pr	Et	CF ₃	CMe	N	N
<i>i</i> -Pr	Me	CF ₃	CBt	CH	N
<i>i</i> -Pr	Cl	CF ₃	CBt	CH	N
<i>i</i> -Pr	Br	CF ₃	CBt	CH	N
<i>i</i> -Pr	I	CF ₃	CBt	CH	N
<i>i</i> -Pr	F	CF ₃	CBt	CH	N
<i>i</i> -Pr	H	CF ₃	CBt	CH	N
<i>i</i> -Pr	Et	CF ₃	CBt	CH	N
<i>i</i> -Bu	Me	CF ₃	CMe	N	CH
<i>i</i> -Bu	Cl	CF ₃	CMe	N	CH
<i>i</i> -Bu	Br	CF ₃	CMe	N	CH
<i>i</i> -Bu	I	CF ₃	CMe	N	CH
<i>i</i> -Bu	F	CF ₃	CMe	N	CH
<i>i</i> -Bu	H	CF ₃	CMe	N	CH
<i>i</i> -Bu	Et	CF ₃	CMe	N	CH
<i>i</i> -Bu	Me	CF ₃	CMe	CH	N

<i>t</i> -Bu	Cl	CF ₃	CMe	CH	N
<i>t</i> -Bu	Br	CF ₃	CMe	CH	N
<i>t</i> -Bu	I	CF ₃	CMe	CH	N
<i>t</i> -Bu	F	CF ₃	CMe	CH	N
<i>t</i> -Bu	H	CF ₃	CMe	CH	N
<i>t</i> -Bu	Et	CF ₃	CMe	CH	N
<i>t</i> -Bu	Me	CF ₃	CMe	N	N
<i>t</i> -Bu	Cl	CF ₃	CMe	N	N
<i>t</i> -Bu	Br	CF ₃	CMe	N	N
<i>t</i> -Bu	I	CF ₃	CMe	N	N
<i>t</i> -Bu	F	CF ₃	CMe	N	N
<i>t</i> -Bu	H	CF ₃	CMe	N	N
<i>t</i> -Bu	Et	CF ₃	CMe	N	N
<i>t</i> -Pr	Me	OCF ₃	CMe	CH	N
<i>t</i> -Pr	Cl	OCF ₃	CMe	CH	N
<i>t</i> -Pr	Br	OCF ₃	CMe	CH	N
<i>t</i> -Pr	I	OCF ₃	CMe	CH	N
<i>t</i> -Pr	F	OCF ₃	CMe	CH	N
<i>t</i> -Pr	H	OCF ₃	CMe	CH	N
<i>t</i> -Pr	Et	OCF ₃	CMe	CH	N
<i>t</i> -Pr	Me	CF ₃	CH	CH	N
<i>t</i> -Pr	Cl	CF ₃	CH	CH	N
<i>t</i> -Pr	Br	CF ₃	CH	CH	N
<i>t</i> -Pr	I	CF ₃	CH	CH	N
<i>t</i> -Pr	F	CF ₃	CH	CH	N
<i>t</i> -Pr	H	CF ₃	CH	CH	N
<i>t</i> -Pr	Et	CF ₃	CH	CH	N
<i>t</i> -Pr	Me	Cl	CMe	CH	N
<i>t</i> -Pr	Cl	Cl	CMe	CH	N
<i>t</i> -Pr	Br	Cl	CMe	CH	N
<i>t</i> -Pr	I	Cl	CMe	CH	N
<i>t</i> -Pr	F	Cl	CMe	CH	N
<i>t</i> -Pr	H	Cl	CMe	CH	N
<i>t</i> -Pr	Et	Cl	CMe	CH	N

Table 7

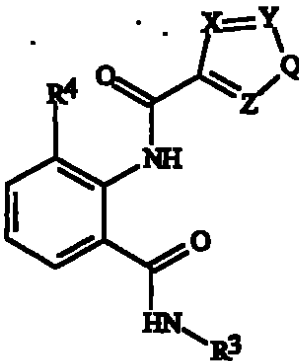


R ³	R ⁴	Q	X	Y	Z
<i>i</i> -Pr	Me	S	CCF ₃	CH	CH
<i>i</i> -Pr	Cl	S	CCF ₃	CH	CH
<i>i</i> -Pr	Br	S	CCF ₃	CH	CH
<i>i</i> -Pr	I	S	CCF ₃	CH	CH
<i>i</i> -Pr	F	S	CCF ₃	CH	CH
<i>i</i> -Pr	H	S	CCF ₃	CH	CH
<i>i</i> -Pr	Et	S	CCF ₃	CH	CH
<i>i</i> -Pr	Me	S	CCF ₃	CMc	CH
<i>i</i> -Pr	Cl	S	CCF ₃	CMc	CH
<i>i</i> -Pr	Br	S	CCF ₃	CMc	CH
<i>i</i> -Pr	I	S	CCF ₃	CMc	CH
<i>i</i> -Pr	F	S	CCF ₃	CMc	CH
<i>i</i> -Pr	H	S	CCF ₃	CMc	CH
<i>i</i> -Pr	Et	S	CCF ₃	CMc	CH
<i>t</i> -Bu	Me	S	CCF ₃	CMc	CH
<i>t</i> -Bu	Cl	S	CCF ₃	CMc	CH
<i>t</i> -Bu	Br	S	CCF ₃	CMc	CH
<i>t</i> -Bu	I	S	CCF ₃	CMc	CH
<i>t</i> -Bu	F	S	CCF ₃	CMc	CH
<i>t</i> -Bu	H	S	CCF ₃	CMc	CH
<i>t</i> -Bu	Et	S	CCF ₃	CMc	CH
<i>i</i> -Pr	Me	S	CCF ₃	CMc	N
<i>i</i> -Pr	Cl	S	CCF ₃	CMc	N
<i>i</i> -Pr	Br	S	CCF ₃	CMc	N
<i>i</i> -Pr	I	S	CCF ₃	CMc	N
<i>i</i> -Pr	F	S	CCF ₃	CMc	N
<i>i</i> -Pr	H	S	CCF ₃	CMc	N

<i>i</i> -Pr	Et	S	CCF ₃	CMe	N
<i>i</i> -Pr	Me	S	COCH ₂ CF ₃	CMe	N
<i>i</i> -Pr	Cl	S	COCH ₂ CF ₃	CMe	N
<i>i</i> -Pr	Br	S	COCH ₂ CF ₃	CMe	N
<i>i</i> -Pr	I	S	COCH ₂ CF ₃	CMe	N
<i>i</i> -Pr	F	S	COCH ₂ CF ₃	CMe	N
<i>i</i> -Pr	H	S	COCH ₂ CF ₃	CMe	N
<i>i</i> -Pr	Et	S	COCH ₂ CF ₃	CMe	N
<i>i</i> -Pr	Me	S	COCHF ₂	CMe	N
<i>i</i> -Pr	Cl	S	COCHF ₂	CMe	N
<i>i</i> -Pr	Br	S	COCHF ₂	CMe	N
<i>i</i> -Pr	I	S	COCHF ₂	CMe	N
<i>i</i> -Pr	F	S	COCHF ₂	CMe	N
<i>i</i> -Pr	H	S	COCHF ₂	CMe	N
<i>i</i> -Pr	Et	S	COCHF ₂	CMe	N
<i>i</i> -Pr	Me	O	CCF ₃	CMe	N
<i>i</i> -Pr	Cl	O	CCF ₃	CMe	N
<i>i</i> -Pr	Br	O	CCF ₃	CMe	N
<i>i</i> -Pr	I	O	CCF ₃	CMe	N
<i>i</i> -Pr	F	O	CCF ₃	CMe	N
<i>i</i> -Pr	H	O	CCF ₃	CMe	N
<i>i</i> -Pr	Et	O	CCF ₃	CMe	N
<i>i</i> -Pr	Me	NMe	N	CH	CCF ₃
<i>i</i> -Pr	Cl	NMe	N	CH	CCF ₃
<i>i</i> -Pr	Br	NMe	N	CH	CCF ₃
<i>i</i> -Pr	I	NMe	N	CH	CCF ₃
<i>i</i> -Pr	F	NMe	N	CH	CCF ₃
<i>i</i> -Pr	H	NMe	N	CH	CCF ₃
<i>i</i> -Pr	Et	NMe	N	CH	CCF ₃
<i>i</i> -Pr	Me	NEt	N	CH	CCF ₃
<i>i</i> -Pr	Cl	NEt	N	CH	CCF ₃
<i>i</i> -Pr	Br	NEt	N	CH	CCF ₃
<i>i</i> -Pr	I	NEt	N	CH	CCF ₃
<i>i</i> -Pr	F	NEt	N	CH	CCF ₃
<i>i</i> -Pr	H	NEt	N	CH	CCF ₃
<i>i</i> -Pr	Et	NEt	N	CH	CCF ₃
<i>i</i> -Pr	Me	NMe	N	CH	CC ₂ F ₃

<i>i</i> -Pr	Cl	NMe	N	CH	CC ₂ F ₃
<i>i</i> -Pr	Br	NMe	N	CH	CCF ₃
<i>i</i> -Pr	I	NMe	N	CH	CCF ₃
<i>i</i> -Pr	F	NMe	N	CH	CCF ₃
<i>i</i> -Pr	H	NMe	N	CH	CCF ₃
<i>i</i> -Pr	Et	NMe	N	CH	CCF ₃
<i>i</i> -Bu	Me	NMe	N	CH	CCF ₃
<i>i</i> -Bu	Cl	NMe	N	CH	CCF ₃
<i>i</i> -Bu	Br	NMe	N	CH	CCF ₃
<i>i</i> -Bu	I	NMe	N	CH	CCF ₃
<i>i</i> -Bu	F	NMe	N	CH	CCF ₃
<i>i</i> -Bu	H	NMe	N	CH	CCF ₃
<i>i</i> -Bu	Et	NMe	N	CH	CCF ₃
<i>i</i> -Pr	Me	NMe	CH	N	CCF ₃
<i>i</i> -Pr	Cl	NMe	CH	N	CCF ₃
<i>i</i> -Pr	Br	NMe	CH	N	CCF ₃
<i>i</i> -Pr	I	NMe	CH	N	CCF ₃
<i>i</i> -Pr	F	NMe	CH	N	CCF ₃
<i>i</i> -Pr	H	NMe	CH	N	CCF ₃
<i>i</i> -Pr	Et	NMe	CH	N	CCF ₃
<i>i</i> -Pr	Me	NMe	N	N	CCF ₃
<i>i</i> -Pr	Cl	NMe	N	N	CCF ₃
<i>i</i> -Pr	Br	NMe	N	N	CCF ₃
<i>i</i> -Pr	I	NMe	N	N	CCF ₃
<i>i</i> -Pr	F	NMe	N	N	CCF ₃
<i>i</i> -Pr	H	NMe	N	N	CCF ₃
<i>i</i> -Pr	Et	NMe	N	N	CCF ₃

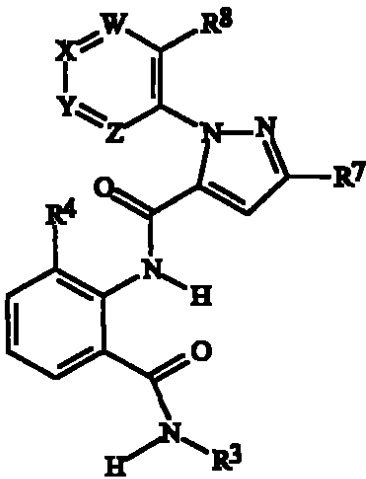
Table 8



R ³	R ⁴	Q	X	Y	Z
i-Pr	Me	NCHF ₂	CMe	N	CH
i-Pr	Cl	NCHF ₂	CMe	N	CH
i-Pr	Br	NCHF ₂	CMe	N	CH
i-Pr	I	NCHF ₂	CMe	N	CH
i-Pr	F	NCHF ₂	CMe	N	CH
i-Pr	H	NCHF ₂	CMe	N	CH
i-Pr	Bt	NCHF ₂	CMe	N	CH
i-Pr	Me	NCHF ₂	CH	N	CMe
i-Pr	Cl	NCHF ₂	CH	N	CMe
i-Pr	Br	NCHF ₂	CH	N	CMe
i-Pr	I	NCHF ₂	CH	N	CMe
i-Pr	F	NCHF ₂	CH	N	CMe
i-Pr	H	NCHF ₂	CH	N	CMe
i-Pr	Bt	NCHF ₂	CH	N	CMe
i-Pr	Me	NCF ₂ CHF ₂	CMe	N	CH
i-Pr	Cl	NCF ₂ CHF ₂	CMe	N	CH
i-Pr	Br	NCF ₂ CHF ₂	CMe	N	CH
i-Pr	I	NCF ₂ CHF ₂	CMe	N	CH
i-Pr	F	NCF ₂ CHF ₂	CMe	N	CH
i-Pr	H	NCF ₂ CHF ₂	CMe	N	CH
i-Pr	Bt	NCF ₂ CHF ₂	CMe	N	CH
i-Pr	Me	NCF ₂ CHF ₂	CH	N	CMe
i-Pr	Cl	NCF ₂ CHF ₂	CH	N	CMe
i-Pr	Br	NCF ₂ CHF ₂	CH	N	CMe
i-Pr	I	NCF ₂ CHF ₂	CH	N	CMe
i-Pr	F	NCF ₂ CHF ₂	CH	N	CMe
i-Pr	H	NCF ₂ CHF ₂	CH	N	CMe
i-Pr	Bt	NCF ₂ CHF ₂	CH	N	CMe
i-Pr	Me	NCH ₂ CF ₃	CMe	N	CH
i-Pr	Cl	NCH ₂ CF ₃	CMe	N	CH
i-Pr	Br	NCH ₂ CF ₃	CMe	N	CH
i-Pr	I	NCH ₂ CF ₃	CMe	N	CH
i-Pr	F	NCH ₂ CF ₃	CMe	N	CH
i-Pr	H	NCH ₂ CF ₃	CMe	N	CH
i-Pr	Bt	NCH ₂ CF ₃	CMe	N	CH
i-Pr	Me	NCH ₂ CF ₃	CH	N	CMe

<i>t</i> -Pr	Cl	NCH ₂ CF ₃	CH	N	CMe
<i>t</i> -Pr	Br	NCH ₂ CF ₃	CH	N	CMe
<i>t</i> -Pr	I	NCH ₂ CF ₃	CH	N	CMe
<i>t</i> -Pr	F	NCH ₂ CF ₃	CH	N	CMe
<i>t</i> -Pr	H	NCH ₂ CF ₃	CH	N	CMe
<i>t</i> -Pr	Et	NCH ₂ CF ₃	CH	N	CMe
<i>t</i> -Pr	Me	NCF ₂ CHF ₂	N	CH	CMe
<i>t</i> -Pr	Cl	NCF ₂ CHF ₂	N	CH	CMe
<i>t</i> -Pr	Br	NCF ₂ CHF ₂	N	CH	CMe
<i>t</i> -Pr	I	NCF ₂ CHF ₂	N	CH	CMe
<i>t</i> -Pr	F	NCF ₂ CHF ₂	N	CH	CMe
<i>t</i> -Pr	H	NCF ₂ CHF ₂	N	CH	CMe
<i>t</i> -Pr	Et	NCF ₂ CHF ₂	N	CH	CMe

Table 9



W	X	Y	Z	R ³	R ⁴	R ⁷	R ⁸
CH	CH	CH	CH	<i>t</i> -Pr	Me	CF ₃	Me
CH	CH	CH	CH	<i>t</i> -Bu	Me	CF ₃	Me
CH	CH	CH	CH	<i>t</i> -Pr	Cl	CF ₃	Me
CH	CH	CH	CH	<i>t</i> -Bu	Cl	CF ₃	Me
CH	CH	CH	CH	<i>t</i> -Pr	Br	CF ₃	Me
CH	CH	CH	CH	<i>t</i> -Bu	Br	CF ₃	Me
CH	CH	CH	CH	<i>t</i> -Pr	Me	Cl	Me
CH	CH	CH	CH	<i>t</i> -Bu	Me	Cl	Me
CH	CH	CH	CH	<i>t</i> -Pr	Cl	Cl	Me
CH	CH	CH	CH	<i>t</i> -Bu	Cl	Cl	Me

CH	CH	CH	CH	<i>i</i> -Pr	Br	Cl	Me
CH	CH	CH	CH	<i>i</i> -Bu	Br	Cl	Me
CH	CH	CH	CH	<i>i</i> -Pr	Me	Br	Me
CH	CH	CH	CH	<i>i</i> -Bu	Me	Br	Me
CH	CH	CH	CH	<i>i</i> -Pr	Cl	Br	Me
CH	CH	CH	CH	<i>i</i> -Bu	Cl	Br	Me
CH	CH	CH	CH	<i>i</i> -Pr	Br	Br	Me
CH	CH	CH	CH	<i>i</i> -Bu	Br	Br	Me
CH	CH	CH	CH	<i>i</i> -Pr	Me	CN	Me
CH	CH	CH	CH	<i>i</i> -Bu	Me	CN	Me
CH	CH	CH	CH	<i>i</i> -Pr	Cl	CN	Me
CH	CH	CH	CH	<i>i</i> -Bu	Cl	CN	Me
CH	CH	CH	CH	<i>i</i> -Pr	Br	CN	Me
CH	CH	CH	CH	<i>i</i> -Bu	Br	CN	Me
CH	CH	CH	CH	<i>i</i> -Pr	Me	CF ₃	F
CH	CH	CH	CH	<i>i</i> -Bu	Me	CF ₃	F
CH	CH	CH	CH	<i>i</i> -Pr	Cl	CF ₃	F
CH	CH	CH	CH	<i>i</i> -Bu	Cl	CF ₃	F
CH	CH	CH	CH	<i>i</i> -Pr	Br	CF ₃	F
CH	CH	CH	CH	<i>i</i> -Bu	Br	CF ₃	F
CH	CH	CH	CH	<i>i</i> -Pr	Me	Cl	F
CH	CH	CH	CH	<i>i</i> -Bu	Me	Cl	F
CH	CH	CH	CH	<i>i</i> -Pr	Cl	Cl	F
CH	CH	CH	CH	<i>i</i> -Bu	Cl	Cl	F
CH	CH	CH	CH	<i>i</i> -Pr	Br	Cl	F
CH	CH	CH	CH	<i>i</i> -Bu	Br	Cl	F
CH	CH	CH	CH	<i>i</i> -Pr	Me	Br	F
CH	CH	CH	CH	<i>i</i> -Bu	Me	Br	F
CH	CH	CH	CH	<i>i</i> -Pr	Cl	Br	F
CH	CH	CH	CH	<i>i</i> -Bu	Cl	Br	F
CH	CH	CH	CH	<i>i</i> -Pr	Br	Br	F
CH	CH	CH	CH	<i>i</i> -Bu	Br	Br	F
CH	CH	CH	CH	<i>i</i> -Pr	Me	CN	F
CH	CH	CH	CH	<i>i</i> -Bu	Me	CN	F
CH	CH	CH	CH	<i>i</i> -Pr	Cl	CN	F
CH	CH	CH	CH	<i>i</i> -Bu	Cl	CN	F
CH	CH	CH	CH	<i>i</i> -Pr	Br	CN	F

CH	CH	CH	CH	<i>t</i> -Bu	Br	CN	F
CH	CH	CH	CH	<i>i</i> -Pr	Me	CF ₃	Cl
CH	CH	CH	CH	<i>t</i> -Bu	Me	CF ₃	Cl
CH	CH	CH	CH	<i>i</i> -Pr	Cl	CF ₃	Cl
CH	CH	CH	CH	<i>t</i> -Bu	Cl	CF ₃	Cl
CH	CH	CH	CH	<i>i</i> -Pr	Br	CF ₃	Cl
CH	CH	CH	CH	<i>t</i> -Bu	Br	CF ₃	Cl
CH	CH	CH	CH	<i>i</i> -Pr	Me	Cl	Cl
CH	CH	CH	CH	<i>t</i> -Bu	Me	Cl	Cl
CH	CH	CH	CH	<i>i</i> -Pr	Cl	Cl	Cl
CH	CH	CH	CH	<i>t</i> -Bu	Cl	Cl	Cl
CH	CH	CH	CH	<i>i</i> -Pr	Br	Cl	Cl
CH	CH	CH	CH	<i>t</i> -Bu	Br	Cl	Cl
CH	CH	CH	CH	<i>i</i> -Pr	Me	Br	Cl
CH	CH	CH	CH	<i>t</i> -Bu	Me	Br	Cl
CH	CH	CH	CH	<i>i</i> -Pr	Cl	Br	Cl
CH	CH	CH	CH	<i>t</i> -Bu	Cl	Br	Cl
CH	CH	CH	CH	<i>i</i> -Pr	Br	Br	Cl
CH	CH	CH	CH	<i>t</i> -Bu	Br	Br	Cl
CH	CH	CH	CH	<i>i</i> -Pr	Me	CN	Cl
CH	CH	CH	CH	<i>t</i> -Bu	Me	CN	Cl
CH	CH	CH	CH	<i>i</i> -Pr	Cl	CN	Cl
CH	CH	CH	CH	<i>t</i> -Bu	Cl	CN	Cl
CH	CH	CH	CH	<i>i</i> -Pr	Br	CN	Cl
CH	CH	CH	CH	<i>t</i> -Bu	Br	CN	Cl
CH	CH	CH	CH	<i>i</i> -Pr	Me	CF ₃	Br
CH	CH	CH	CH	<i>t</i> -Bu	Me	CF ₃	Br
CH	CH	CH	CH	<i>i</i> -Pr	Cl	CF ₃	Br
CH	CH	CH	CH	<i>t</i> -Bu	Cl	CF ₃	Br
CH	CH	CH	CH	<i>i</i> -Pr	Br	CF ₃	Br
CH	CH	CH	CH	<i>t</i> -Bu	Br	CF ₃	Br
CH	CH	CH	CH	<i>i</i> -Pr	Me	Cl	Br
CH	CH	CH	CH	<i>t</i> -Bu	Me	Cl	Br
CH	CH	CH	CH	<i>i</i> -Pr	Cl	Cl	Br
CH	CH	CH	CH	<i>t</i> -Bu	Cl	Cl	Br
CH	CH	CH	CH	<i>i</i> -Pr	Br	Cl	Br
CH	CH	CH	CH	<i>t</i> -Bu	Br	Cl	Br

CH	CH	CH	CH	<i>i</i> -Pr	Me	Br	Br
CH	CH	CH	CH	<i>i</i> -Bu	Me	Br	Br
CH	CH	CH	CH	<i>i</i> -Pr	Cl	Br	Br
CH	CH	CH	CH	<i>i</i> -Bu	Cl	Br	Br
CH	CH	CH	CH	<i>i</i> -Pr	Br	Br	Br
CH	CH	CH	CH	<i>i</i> -Bu	Br	Br	Br
CH	CH	CH	CH	<i>i</i> -Pr	Me	CN	Br
CH	CH	CH	CH	<i>i</i> -Bu	Me	CN	Br
CH	CH	CH	CH	<i>i</i> -Pr	Cl	CN	Br
CH	CH	CH	CH	<i>i</i> -Bu	Cl	CN	Br
CH	CH	CH	CH	<i>i</i> -Pr	Br	CN	Br
CH	CH	CH	CH	<i>i</i> -Bu	Br	CN	Br
CH	CH	CH	CH	<i>i</i> -Pr	Me	CF ₃	CN
CH	CH	CH	CH	<i>i</i> -Bu	Me	CF ₃	CN
CH	CH	CH	CH	<i>i</i> -Pr	Cl	CF ₃	CN
CH	CH	CH	CH	<i>i</i> -Bu	Cl	CF ₃	CN
CH	CH	CH	CH	<i>i</i> -Pr	Br	CF ₃	CN
CH	CH	CH	CH	<i>i</i> -Bu	Br	CF ₃	CN
CH	CH	CH	CH	<i>i</i> -Pr	Me	Cl	CN
CH	CH	CH	CH	<i>i</i> -Bu	Me	Cl	CN
CH	CH	CH	CH	<i>i</i> -Pr	Cl	Cl	CN
CH	CH	CH	CH	<i>i</i> -Bu	Cl	Cl	CN
CH	CH	CH	CH	<i>i</i> -Pr	Br	Cl	CN
CH	CH	CH	CH	<i>i</i> -Bu	Br	Cl	CN
CH	CH	CH	CH	<i>i</i> -Pr	Me	Br	CN
CH	CH	CH	CH	<i>i</i> -Bu	Me	Br	CN
CH	CH	CH	CH	<i>i</i> -Pr	Cl	Br	CN
CH	CH	CH	CH	<i>i</i> -Bu	Cl	Br	CN
CH	CH	CH	CH	<i>i</i> -Pr	Br	Br	CN
CH	CH	CH	CH	<i>i</i> -Bu	Br	Br	CN
CH	CH	CH	CH	<i>i</i> -Pr	Me	CN	CN
CH	CH	CH	CH	<i>i</i> -Bu	Me	CN	CN
CH	CH	CH	CH	<i>i</i> -Pr	Cl	CN	CN
CH	CH	CH	CH	<i>i</i> -Bu	Cl	CN	CN
CH	CH	CH	CH	<i>i</i> -Pr	Br	CN	CN
CH	CH	CH	CH	<i>i</i> -Bu	Br	CN	CN
CH	CH	CH	N	<i>i</i> -Pr	Me	CF ₃	Me

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CH	CH	CH	N	<i>t</i> -Bu	Me	CF ₃	Me
CH	CH	CH	N	<i>i</i> -Pr	Cl	CF ₃	Me
CH	CH	CH	N	<i>t</i> -Bu	Cl	CF ₃	Me
CH	CH	CH	N	<i>i</i> -Pr	Br	CF ₃	Me
CH	CH	CH	N	<i>t</i> -Bu	Br	CF ₃	Me
CH	CH	CH	N	<i>i</i> -Pr	Me	Cl	Me
CH	CH	CH	N	<i>t</i> -Bu	Me	Cl	Me
CH	CH	CH	N	<i>i</i> -Pr	Cl	Cl	Me
CH	CH	CH	N	<i>t</i> -Bu	Cl	Cl	Me
CH	CH	CH	N	<i>i</i> -Pr	Br	Cl	Me
CH	CH	CH	N	<i>t</i> -Bu	Br	Cl	Me
CH	CH	CH	N	<i>i</i> -Pr	Me	Br	Me
CH	CH	CH	N	<i>t</i> -Bu	Me	Br	Me
CH	CH	CH	N	<i>i</i> -Pr	Cl	Br	Me
CH	CH	CH	N	<i>t</i> -Bu	Cl	Br	Me
CH	CH	CH	N	<i>i</i> -Pr	Br	Br	Me
CH	CH	CH	N	<i>t</i> -Bu	Br	Br	Me
CH	CH	CH	N	<i>i</i> -Pr	Me	CN	Me
CH	CH	CH	N	<i>t</i> -Bu	Me	CN	Me
CH	CH	CH	N	<i>i</i> -Pr	Cl	CN	Me
CH	CH	CH	N	<i>t</i> -Bu	Cl	CN	Me
CH	CH	CH	N	<i>i</i> -Pr	Br	CN	Me
CH	CH	CH	N	<i>t</i> -Bu	Br	CN	Me
CH	CH	CH	N	<i>i</i> -Pr	Me	CF ₃	F
CH	CH	CH	N	<i>t</i> -Bu	Me	CF ₃	F
CH	CH	CH	N	<i>i</i> -Pr	Cl	CF ₃	F
CH	CH	CH	N	<i>t</i> -Bu	Cl	CF ₃	F
CH	CH	CH	N	<i>i</i> -Pr	Br	CF ₃	F
CH	CH	CH	N	<i>t</i> -Bu	Br	CF ₃	F
CH	CH	CH	N	<i>i</i> -Pr	Me	Cl	F
CH	CH	CH	N	<i>t</i> -Bu	Me	Cl	F
CH	CH	CH	N	<i>i</i> -Pr	Cl	Cl	F
CH	CH	CH	N	<i>t</i> -Bu	Cl	Cl	F
CH	CH	CH	N	<i>i</i> -Pr	Br	Cl	F
CH	CH	CH	N	<i>t</i> -Bu	Br	Cl	F
CH	CH	CH	N	<i>i</i> -Pr	Me	Br	F
CH	CH	CH	N	<i>t</i> -Bu	Me	Br	F

CH	CH	CH	N	<i>i</i> -Pr	Cl	Br	F
CH	CH	CH	N	<i>i</i> -Bu	Cl	Br	F
CH	CH	CH	N	<i>i</i> -Pr	Br	Br	F
CH	CH	CH	N	<i>i</i> -Bu	Br	Br	F
CH	CH	CH	N	<i>i</i> -Pr	Me	CN	F
CH	CH	CH	N	<i>i</i> -Bu	Me	CN	F
CH	CH	CH	N	<i>i</i> -Pr	Cl	CN	F
CH	CH	CH	N	<i>i</i> -Bu	Cl	CN	F
CH	CH	CH	N	<i>i</i> -Pr	Br	CN	F
CH	CH	CH	N	<i>i</i> -Bu	Br	CN	F
CH	CH	CH	N	<i>i</i> -Pr	Me	CF ₃	Cl
CH	CH	CH	N	<i>i</i> -Bu	Me	CF ₃	Cl
CH	CH	CH	N	<i>i</i> -Pr	Cl	CF ₃	Cl
CH	CH	CH	N	<i>i</i> -Bu	Cl	CF ₃	Cl
CH	CH	CH	N	<i>i</i> -Pr	Br	CF ₃	Cl
CH	CH	CH	N	<i>i</i> -Bu	Br	CF ₃	Cl
CH	CH	CH	N	<i>i</i> -Pr	Me	Cl	Cl
CH	CH	CH	N	<i>i</i> -Bu	Me	Cl	Cl
CH	CH	CH	N	<i>i</i> -Pr	Cl	Cl	Cl
CH	CH	CH	N	<i>i</i> -Bu	Cl	Cl	Cl
CH	CH	CH	N	<i>i</i> -Pr	Br	Cl	Cl
CH	CH	CH	N	<i>i</i> -Bu	Br	Cl	Cl
CH	CH	CH	N	<i>i</i> -Pr	Me	Br	Cl
CH	CH	CH	N	<i>i</i> -Bu	Me	Br	Cl
CH	CH	CH	N	<i>i</i> -Pr	Cl	Br	Cl
CH	CH	CH	N	<i>i</i> -Bu	Cl	Br	Cl
CH	CH	CH	N	<i>i</i> -Pr	Br	Br	Cl
CH	CH	CH	N	<i>i</i> -Bu	Br	Br	Cl
CH	CH	CH	N	<i>i</i> -Pr	Me	CN	Cl
CH	CH	CH	N	<i>i</i> -Bu	Me	CN	Cl
CH	CH	CH	N	<i>i</i> -Pr	Cl	CN	Cl
CH	CH	CH	N	<i>i</i> -Bu	Cl	CN	Cl
CH	CH	CH	N	<i>i</i> -Pr	Br	CN	Cl
CH	CH	CH	N	<i>i</i> -Bu	Br	CN	Cl
CH	CH	CH	N	<i>i</i> -Pr	Me	CF ₃	Br
CH	CH	CH	N	<i>i</i> -Bu	Me	CF ₃	Br
CH	CH	CH	N	<i>i</i> -Pr	Cl	CF ₃	Br

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CH	CH	CH	N	<i>i</i> -Bu	Cl	CF ₃	Br
CH	CH	CH	N	<i>i</i> -Pr	Br	CF ₃	Br
CH	CH	CH	N	<i>i</i> -Bu	Br	CF ₃	Br
CH	CH	CH	N	<i>i</i> -Pr	Me	Cl	Br
CH	CH	CH	N	<i>i</i> -Bu	Me	Cl	Br
CH	CH	CH	N	<i>i</i> -Pr	Cl	Cl	Br
CH	CH	CH	N	<i>i</i> -Bu	Cl	Cl	Br
CH	CH	CH	N	<i>i</i> -Pr	Br	Cl	Br
CH	CH	CH	N	<i>i</i> -Bu	Br	Cl	Br
CH	CH	CH	N	<i>i</i> -Pr	Me	Br	Br
CH	CH	CH	N	<i>i</i> -Bu	Me	Br	Br
CH	CH	CH	N	<i>i</i> -Pr	Cl	Br	Br
CH	CH	CH	N	<i>i</i> -Bu	Cl	Br	Br
CH	CH	CH	N	<i>i</i> -Pr	Br	Br	Br
CH	CH	CH	N	<i>i</i> -Bu	Br	Br	Br
CH	CH	CH	N	<i>i</i> -Pr	Me	CN	Br
CH	CH	CH	N	<i>i</i> -Bu	Me	CN	Br
CH	CH	CH	N	<i>i</i> -Pr	Cl	CN	Br
CH	CH	CH	N	<i>i</i> -Bu	Cl	CN	Br
CH	CH	CH	N	<i>i</i> -Pr	Br	CN	Br
CH	CH	CH	N	<i>i</i> -Bu	Br	CN	Br
CH	CH	CH	N	<i>i</i> -Pr	Me	CF ₃	CN
CH	CH	CH	N	<i>i</i> -Bu	Me	CF ₃	CN
CH	CH	CH	N	<i>i</i> -Pr	Cl	CF ₃	CN
CH	CH	CH	N	<i>i</i> -Bu	Cl	CF ₃	CN
CH	CH	CH	N	<i>i</i> -Pr	Br	CF ₃	CN
CH	CH	CH	N	<i>i</i> -Bu	Br	CF ₃	CN
CH	CH	CH	N	<i>i</i> -Pr	Me	Cl	CN
CH	CH	CH	N	<i>i</i> -Bu	Me	Cl	CN
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CH	CH	CH	N	<i>i</i> -Bu	Cl	Cl	CN
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CH	CH	CH	N	<i>i</i> -Pr	Br	Br	CN
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CH	CH	CH	N	<i>i</i> -Pr	Me	CN	CN
CH	CH	CH	N	<i>i</i> -Bu	Me	CN	CN
CH	CH	CH	N	<i>i</i> -Pr	Cl	CN	CN
CH	CH	CH	N	<i>i</i> -Bu	Cl	CN	CN
CH	CH	CH	N	<i>i</i> -Pr	Br	CN	CN
CH	CH	CH	N	<i>i</i> -Bu	Br	CN	CN
CH	CH	CH	CH	Me	Me	CF ₃	F
CH	CH	CH	CH	Et	Me	CF ₃	F
CH	CH	CH	CH	CH(CH ₃)CH ₂ OCH ₃	Me	CF ₃	F
CH	CH	CH	CH	CH(CH ₃)CH ₂ SCH ₃	Me	CF ₃	F
CH	CH	CH	CH	propargyl	Me	CF ₃	F
CH	CH	CH	CH	Me	Me	CF ₃	Cl
CH	CH	CH	CH	Et	Me	CF ₃	Cl
CH	CH	CH	CH	CH(CH ₃)CH ₂ OCH ₃	Me	CF ₃	Cl
CH	CH	CH	CH	CH(CH ₃)CH ₂ SCH ₃	Me	CF ₃	Cl
CH	CH	CH	CH	propargyl	Me	CF ₃	Cl
CH	CH	CH	CH	Me	Me	Br	F
CH	CH	CH	CH	Et	Me	Br	F
CH	CH	CH	CH	CH(CH ₃)CH ₂ OCH ₃	Me	Br	F
CH	CH	CH	CH	CH(CH ₃)CH ₂ SCH ₃	Me	Br	F
CH	CH	CH	CH	propargyl	Me	Br	F
CH	CH	CH	CH	Me	Me	Br	Cl
CH	CH	CH	CH	Et	Me	Br	Cl
CH	CH	CH	CH	CH(CH ₃)CH ₂ OCH ₃	Me	Br	Cl
CH	CH	CH	CH	CH(CH ₃)CH ₂ SCH ₃	Me	Br	Cl
CH	CH	CH	CH	propargyl	Me	Br	Cl
CH	CH	CH	CH	Me	Cl	CF ₃	F
CH	CH	CH	CH	Et	Cl	CF ₃	F
CH	CH	CH	CH	CH(CH ₃)CH ₂ OCH ₃	Cl	CF ₃	F
CH	CH	CH	CH	CH(CH ₃)CH ₂ SCH ₃	Cl	CF ₃	F
CH	CH	CH	CH	propargyl	Cl	CF ₃	F
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CH	CH	CH	CH	Et	Cl	CF ₃	Cl
CH	CH	CH	CH	CH(CH ₃)CH ₂ OCH ₃	Cl	CF ₃	Cl
CH	CH	CH	CH	CH(CH ₃)CH ₂ SCH ₃	Cl	CF ₃	Cl

CH	CH	CH	CH	propargyl	Cl	CF ₃	Cl
CH	CH	CH	CH	Me	Cl	Br	F
CH	CH	CH	CH	Et	Cl	Br	F
CH	CH	CH	CH	CH(CH ₃)CH ₂ OCH ₃	Cl	Br	F
CH	CH	CH	CH	CH(CH ₃)CH ₂ SCH ₃	Cl	Br	F
CH	CH	CH	CH	propargyl	Cl	Br	F
CH	CH	CH	CH	Me	Cl	Br	Cl
CH	CH	CH	CH	Et	Cl	Br	Cl
CH	CH	CH	CH	CH(CH ₃)CH ₂ OCH ₃	Cl	Br	Cl
CH	CH	CH	CH	CH(CH ₃)CH ₂ SCH ₃	Cl	Br	Cl
CH	CH	CH	CH	propargyl	Cl	Br	Cl
CH	CH	CH	N	Me	Me	CF ₃	F
CH	CH	CH	N	Et	Me	CF ₃	F
CH	CH	CH	N	CH(CH ₃)CH ₂ OCH ₃	Me	CF ₃	F
CH	CH	CH	N	CH(CH ₃)CH ₂ SCH ₃	Me	CF ₃	F
CH	CH	CH	N	propargyl	Me	CF ₃	F
CH	CH	CH	N	Me	Me	CF ₃	Cl
CH	CH	CH	N	Et	Me	CF ₃	Cl
CH	CH	CH	N	CH(CH ₃)CH ₂ OCH ₃	Me	CF ₃	Cl
CH	CH	CH	N	CH(CH ₃)CH ₂ SCH ₃	Me	CF ₃	Cl
CH	CH	CH	N	propargyl	Me	CF ₃	Cl
CH	CH	CH	N	Me	Me	Br	F
CH	CH	CH	N	Et	Me	Br	F
CH	CH	CH	N	CH(CH ₃)CH ₂ OCH ₃	Me	Br	F
CH	CH	CH	N	CH(CH ₃)CH ₂ SCH ₃	Me	Br	F
CH	CH	CH	N	propargyl	Me	Br	F
CH	CH	CH	N	Me	Me	Br	Cl
CH	CH	CH	N	Et	Me	Br	Cl
CH	CH	CH	N	CH(CH ₃)CH ₂ OCH ₃	Me	Br	Cl
CH	CH	CH	N	CH(CH ₃)CH ₂ SCH ₃	Me	Br	Cl
CH	CH	CH	N	propargyl	Me	Br	Cl
CH	CH	CH	N	Me	Cl	CF ₃	F
CH	CH	CH	N	Et	Cl	CF ₃	F
CH	CH	CH	N	CH(CH ₃)CH ₂ OCH ₃	Cl	CF ₃	F
CH	CH	CH	N	CH(CH ₃)CH ₂ SCH ₃	Cl	CF ₃	F
CH	CH	CH	N	propargyl	Cl	CF ₃	F
CH	CH	CH	N	Me	Cl	CF ₃	Cl

CH	CH	CH	N	Et	Cl	CF ₃	Cl
CH	CH	CH	N	CH(CH ₃)CH ₂ OCH ₃	Cl	CF ₃	Cl
CH	CH	CH	N	CH(CH ₃)CH ₂ SCH ₃	Cl	CF ₃	Cl
CH	CH	CH	N	propargyl	Cl	CF ₃	Cl
CH	CH	CH	N	Me	Cl	Br	F
CH	CH	CH	N	Et	Cl	Br	F
CH	CH	CH	N	CH(CH ₃)CH ₂ OCH ₃	Cl	Br	F
CH	CH	CH	N	CH(CH ₃)CH ₂ SCH ₃	Cl	Br	F
CH	CH	CH	N	propargyl	Cl	Br	F
CH	CH	CH	N	Me	Cl	Br	Cl
CH	CH	CH	N	Et	Cl	Br	Cl
CH	CH	CH	N	CH(CH ₃)CH ₂ OCH ₃	Cl	Br	Cl
CH	CH	CH	N	CH(CH ₃)CH ₂ SCH ₃	Cl	Br	Cl
CH	CH	CH	N	propargyl	Cl	Br	Cl
C-Cl	CH	CH	CH	<i>i</i> -Pr	Me	CF ₃	Cl
C-F	CH	CH	CH	<i>i</i> -Pr	Me	CF ₃	F
CH	CH	CH	CH	<i>i</i> -Pr	Me	CF ₃	acetylene
CH	CH	CH	CH	<i>i</i> -Pr	Me	CF ₃	I
CH	CH	CH	CH	<i>i</i> -Pr	Me	CF ₃	SO ₂ Me
C-Cl	CH	CH	CH	<i>i</i> -Pr	Cl	CF ₃	Cl
C-F	CH	CH	CH	<i>i</i> -Pr	Cl	CF ₃	F
CH	CH	CH	CH	<i>i</i> -Pr	Cl	CF ₃	acetylene
CH	CH	CH	CH	<i>i</i> -Pr	Cl	CF ₃	I
CH	CH	CH	CH	<i>i</i> -Pr	Cl	CF ₃	SO ₂ Me
C-Cl	CH	CH	CH	<i>i</i> -Pr	Me	Br	Cl
C-F	CH	CH	CH	<i>i</i> -Pr	Me	Br	F
CH	CH	CH	CH	<i>i</i> -Pr	Me	Br	acetylene
CH	CH	CH	CH	<i>i</i> -Pr	Me	Br	I
CH	CH	CH	CH	<i>i</i> -Pr	Me	Br	SO ₂ Me
C-Cl	CH	CH	CH	<i>i</i> -Pr	Cl	Br	Cl
C-F	CH	CH	CH	<i>i</i> -Pr	Cl	Br	F
CH	CH	CH	CH	<i>i</i> -Pr	Cl	Br	acetylene
CH	CH	CH	CH	<i>i</i> -Pr	Cl	Br	I
CH	CH	CH	CH	<i>i</i> -Pr	Cl	Br	SO ₂ Me
C-Cl	CH	CH	N	<i>i</i> -Pr	Me	CF ₃	Cl
C-F	CH	CH	N	<i>i</i> -Pr	Me	CF ₃	F
CH	CH	CH	N	<i>i</i> -Pr	Me	CF ₃	acetylene

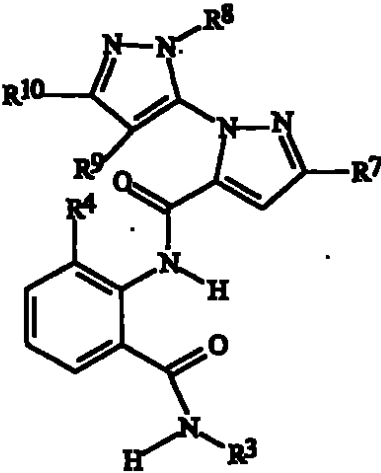
CH	CH	CH	N	i-Pr	Me	CF ₃	I
CH	CH	CH	N	i-Pr	Me	CF ₃	SO ₂ Me
C-Cl	CH	CH	N	i-Pr	Cl	CF ₃	Cl
C-F	CH	CH	N	i-Pr	Cl	CF ₃	F
CH	CH	CH	N	i-Pr	Cl	CF ₃	acetylene
CH	CH	CH	N	i-Pr	Cl	CF ₃	I
CH	CH	CH	N	i-Pr	Cl	CF ₃	SO ₂ Me
C-Cl	CH	CH	N	i-Pr	Me	Br	Cl
C-F	CH	CH	N	i-Pr	Me	Br	F
CH	CH	CH	N	i-Pr	Me	Br	acetylene
CH	CH	CH	N	i-Pr	Me	Br	I
CH	CH	CH	N	i-Pr	Me	Br	SO ₂ Me
C-Cl	CH	CH	N	i-Pr	Cl	Br	Cl
C-F	CH	CH	N	i-Pr	Cl	Br	F
CH	CH	CH	N	i-Pr	Cl	Br	acetylene
CH	CH	CH	N	i-Pr	Cl	Br	I
CH	CH	CH	N	i-Pr	Cl	Br	SO ₂ Me
CH	N	CH	N	i-Pr	Me	CF ₃	H
CH	N	CH	N	i-Pr	Me	CF ₃	Me
CH	N	CH	N	i-Pr	Me	CF ₃	Cl
CH	N	CH	N	i-Pr	Cl	CF ₃	H
CH	N	CH	N	i-Pr	Cl	CF ₃	Me
CH	N	CH	N	i-Pr	Cl	CF ₃	Cl
CH	N	CH	N	i-Pr	Me	CN	H
CH	N	CH	N	i-Pr	Me	CN	Me
CH	N	CH	N	i-Pr	Me	CN	Cl
CH	N	CH	N	i-Pr	Cl	CN	H
CH	N	CH	N	i-Pr	Cl	CN	Me
CH	N	CH	N	i-Pr	Cl	CN	Cl
CH	N	CH	N	i-Pr	Me	Br	H
CH	N	CH	N	i-Pr	Me	Br	Me
CH	N	CH	N	i-Pr	Me	Br	Cl
CH	N	CH	N	i-Pr	Cl	Br	H
CH	N	CH	N	i-Pr	Cl	Br	Me
CH	N	CH	N	i-Pr	Cl	Br	Cl
CH	N	CH	N	i-Bu	Me	CF ₃	H
CH	N	CH	N	i-Bu	Me	CF ₃	Me

CH	N	CH	N	<i>t</i> -Bu	Me	CF ₃	Cl
CH	N	CH	N	<i>t</i> -Bu	Cl	CF ₃	H
CH	N	CH	N	<i>t</i> -Bu	Cl	CF ₃	Me
CH	N	CH	N	<i>t</i> -Bu	Cl	CF ₃	Cl
CH	N	CH	N	<i>t</i> -Bu	Me	CN	H
CH	N	CH	N	<i>t</i> -Bu	Me	CN	Me
CH	N	CH	N	<i>t</i> -Bu	Me	CN	Cl
CH	N	CH	N	<i>t</i> -Bu	Cl	CN	H
CH	N	CH	N	<i>t</i> -Bu	Cl	CN	Me
CH	N	CH	N	<i>t</i> -Bu	Cl	CN	Cl
CH	N	CH	N	<i>t</i> -Bu	Me	Br	H
CH	N	CH	N	<i>t</i> -Bu	Me	Br	Me
CH	N	CH	N	<i>t</i> -Bu	Me	Br	Cl
CH	N	CH	N	<i>t</i> -Bu	Cl	Br	H
CH	N	CH	N	<i>t</i> -Bu	Cl	Br	Me
CH	N	CH	N	<i>t</i> -Bu	Cl	Br	Cl
CH	CH	N	N	<i>i</i> -Pr	Me	CF ₃	H
CH	CH	N	N	<i>i</i> -Pr	Me	CF ₃	Me
CH	CH	N	N	<i>i</i> -Pr	Me	CF ₃	Cl
CH	CH	N	N	<i>i</i> -Pr	Cl	CF ₃	H
CH	CH	N	N	<i>i</i> -Pr	Cl	CF ₃	Me
CH	CH	N	N	<i>i</i> -Pr	Cl	CF ₃	Cl
CH	CH	N	N	<i>i</i> -Pr	Me	CN	H
CH	CH	N	N	<i>i</i> -Pr	Me	CN	Me
CH	CH	N	N	<i>i</i> -Pr	Me	CN	Cl
CH	CH	N	N	<i>i</i> -Pr	Cl	CN	H
CH	CH	N	N	<i>i</i> -Pr	Cl	CN	Me
CH	CH	N	N	<i>i</i> -Pr	Cl	CN	Cl
CH	CH	N	N	<i>i</i> -Pr	Me	Br	H
CH	CH	N	N	<i>i</i> -Pr	Me	Br	Me
CH	CH	N	N	<i>i</i> -Pr	Me	Br	Cl
CH	CH	N	N	<i>i</i> -Pr	Cl	Br	H
CH	CH	N	N	<i>i</i> -Pr	Cl	Br	Me
CH	CH	N	N	<i>i</i> -Pr	Cl	Br	Cl
CH	CH	N	N	<i>i</i> -Pr	Me	CF ₃	H
CH	CH	N	N	<i>i</i> -Pr	Me	CF ₃	Me
CH	CH	N	N	<i>i</i> -Pr	Me	CF ₃	Cl

CH	CH	N	N	<i>i</i> -Pr	Cl	CF ₃	H
CH	CH	N	N	<i>i</i> -Pr	Cl	CF ₃	Me
CH	CH	N	N	<i>i</i> -Pr	Cl	CF ₃	Cl
CH	CH	N	N	<i>i</i> -Pr	Me	CN	H
CH	CH	N	N	<i>i</i> -Pr	Me	CN	Me
CH	CH	N	N	<i>i</i> -Pr	Me	CN	Cl
CH	CH	N	N	<i>i</i> -Pr	Cl	CN	H
CH	CH	N	N	<i>i</i> -Pr	Cl	CN	Me
CH	CH	N	N	<i>i</i> -Pr	Cl	CN	Cl
CH	CH	N	N	<i>i</i> -Pr	Me	Br	H
CH	CH	N	N	<i>i</i> -Pr	Me	Br	Me
CH	CH	N	N	<i>i</i> -Pr	Me	Br	Cl
CH	CH	N	N	<i>i</i> -Pr	Cl	Br	H
CH	CH	N	N	<i>i</i> -Pr	Cl	Br	Me
CH	CH	N	N	<i>i</i> -Pr	Cl	Br	Cl

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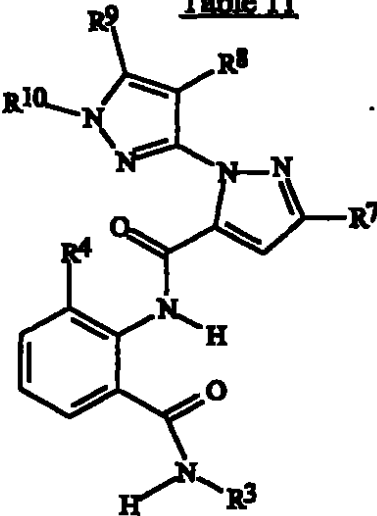
Table 10



R ³	R ⁴	R ⁷	R ⁸	R ⁹	R ¹⁰
Me	CF ₃	<i>i</i> -Pr	Me	H	H
Me	CF ₃	<i>i</i> -Pr	Me	H	Me
Me	CF ₃	<i>i</i> -Pr	Me	Cl	H
Me	CF ₃	<i>i</i> -Pr	Me	Cl	Me
Me	CF ₃	<i>i</i> -Pr	Me	Me	Me
Cl	CF ₃	<i>i</i> -Pr	Me	H	H
Cl	CF ₃	<i>i</i> -Pr	Me	H	Me
Cl	CF ₃	<i>i</i> -Pr	Me	Cl	H
Cl	CF ₃	<i>i</i> -Pr	Me	Cl	Me

Cl	CF ₃	i-Pr	Me	Me	Me
Me	CF ₃	t-Bu	Me	H	H
Me	CF ₃	t-Bu	Me	H	Me
Me	CF ₃	t-Bu	Me	Cl	H
Me	CF ₃	t-Bu	Me	Cl	Me
Me	CF ₃	t-Bu	Me	Me	Me
Cl	CF ₃	t-Bu	Me	H	H
Cl	CF ₃	t-Bu	Me	H	Me
Cl	CF ₃	t-Bu	Me	Cl	H
Cl	CF ₃	t-Bu	Me	Cl	Me
Cl	CF ₃	t-Bu	Me	Me	Me

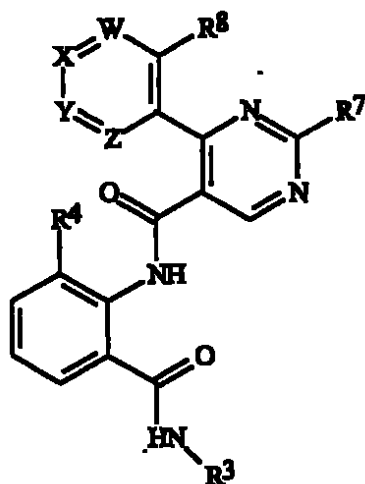
Table 11



R ³	R ⁴	R ⁷	R ⁸	R ⁹	R ¹⁰
Me	CF ₃	i-Pr	Me	H	Me
Me	CF ₃	i-Pr	Me	Me	Me
Me	CF ₃	i-Pr	Cl	H	Me
Me	CF ₃	i-Pr	Cl	Me	Me
Cl	CF ₃	i-Pr	Me	H	Me
Cl	CF ₃	i-Pr	Me	Me	Me
Cl	CF ₃	i-Pr	Cl	H	Me
Cl	CF ₃	i-Pr	Cl	Me	Me
Me	CF ₃	t-Bu	Me	H	Me
Me	CF ₃	t-Bu	Me	Me	Me
Me	CF ₃	t-Bu	Cl	H	Me
Me	CF ₃	t-Bu	Cl	Me	Me
Cl	CF ₃	t-Bu	Me	H	Me

Cl	CF ₃	<i>t</i> -Bu	Me	Me	Me
Cl	CF ₃	<i>t</i> -Bu	Cl	H	Me
Cl	CF ₃	<i>t</i> -Bu	Cl	Me	Me

Table 12



W	X	Y	Z	R ³	R ⁴	R ⁷	R ⁸
CH	CH	CH	CH	Et	Me	CF ₃	Cl
CH	CH	CH	CH	<i>i</i> -Pr	Me	CF ₃	Cl
CH	CH	CH	CH	<i>t</i> -Bu	Me	CF ₃	Cl
CH	CH	CH	CH	Et	Me	CF ₃	Br
CH	CH	CH	CH	<i>i</i> -Pr	Me	CF ₃	Br
CH	CH	CH	CH	<i>t</i> -Bu	Me	CF ₃	Br
CH	CH	CH	CH	Et	Me	CF ₃	I
CH	CH	CH	CH	<i>i</i> -Pr	Me	CF ₃	I
CH	CH	CH	CH	<i>t</i> -Bu	Me	CF ₃	I
CH	CH	CH	CH	Et	Me	CF ₃	F
CH	CH	CH	CH	<i>i</i> -Pr	Me	CF ₃	F
CH	CH	CH	CH	<i>t</i> -Bu	Me	CF ₃	F
CH	CH	CH	CH	Et	Me	CF ₃	Me
CH	CH	CH	CH	<i>i</i> -Pr	Me	CF ₃	Me
CH	CH	CH	CH	<i>t</i> -Bu	Me	CF ₃	Me
CH	CH	CH	CH	Et	Me	CF ₃	CF ₃
CH	CH	CH	CH	<i>i</i> -Pr	Me	CF ₃	CF ₃
CH	CH	CH	CH	<i>t</i> -Bu	Me	CF ₃	CF ₃
CH	CH	CH	CH	Et	Me	CF ₃	OMe
CH	CH	CH	CH	<i>i</i> -Pr	Me	CF ₃	OMe
CH	CH	CH	CH	<i>t</i> -Bu	Me	CF ₃	OMe
CH	CH	CH	CH	Et	Me	CF ₃	CN

CH	CH	CH	CH	<i>i</i> -Pr	Me	CF ₃	CN
CH	CH	CH	CH	<i>i</i> -Bu	Me	CF ₃	CN
CH	CH	CH	CH	Et	Cl	CF ₃	Cl
CH	CH	CH	CH	<i>i</i> -Pr	Cl	CF ₃	Cl
CH	CH	CH	CH	<i>i</i> -Bu	Cl	CF ₃	Cl
CH	CH	CH	CH	Et	Cl	CF ₃	Br
CH	CH	CH	CH	<i>i</i> -Pr	Cl	CF ₃	Br
CH	CH	CH	CH	<i>i</i> -Bu	Cl	CF ₃	Br
CH	CH	CH	CH	Et	Cl	CF ₃	I
CH	CH	CH	CH	<i>i</i> -Pr	Cl	CF ₃	I
CH	CH	CH	CH	<i>i</i> -Bu	Cl	CF ₃	I
CH	CH	CH	CH	Et	Cl	CF ₃	F
CH	CH	CH	CH	<i>i</i> -Pr	Cl	CF ₃	F
CH	CH	CH	CH	<i>i</i> -Bu	Cl	CF ₃	F
CH	CH	CH	CH	Et	Cl	CF ₃	Me
CH	CH	CH	CH	<i>i</i> -Pr	Cl	CF ₃	Me
CH	CH	CH	CH	<i>i</i> -Bu	Cl	CF ₃	Me
CH	CH	CH	CH	Et	Cl	CF ₃	CF ₃
CH	CH	CH	CH	<i>i</i> -Pr	Cl	CF ₃	CF ₃
CH	CH	CH	CH	<i>i</i> -Bu	Cl	CF ₃	CF ₃
CH	CH	CH	CH	Et	Cl	CF ₃	OMe
CH	CH	CH	CH	<i>i</i> -Pr	Cl	CF ₃	OMe
CH	CH	CH	CH	<i>i</i> -Bu	Cl	CF ₃	OMe
CH	CH	CH	CH	Et	Cl	CF ₃	CN
CH	CH	CH	CH	<i>i</i> -Pr	Cl	CF ₃	CN
CH	CH	CH	CH	<i>i</i> -Bu	Cl	CF ₃	CN
CH	CH	CH	N	Et	Me	CF ₃	Cl
CH	CH	CH	N	<i>i</i> -Pr	Me	CF ₃	Cl
CH	CH	CH	N	<i>i</i> -Bu	Me	CF ₃	Cl
CH	CH	CH	N	Et	Me	CF ₃	Br
CH	CH	CH	N	<i>i</i> -Pr	Me	CF ₃	Br
CH	CH	CH	N	<i>i</i> -Bu	Me	CF ₃	Br
CH	CH	CH	N	Et	Me	CF ₃	I
CH	CH	CH	N	<i>i</i> -Pr	Me	CF ₃	I
CH	CH	CH	N	<i>i</i> -Bu	Me	CF ₃	I
CH	CH	CH	N	Et	Me	CF ₃	F
CH	CH	CH	N	<i>i</i> -Pr	Me	CF ₃	F

CH	CH	CH	N	<i>t</i> -Bu	Me	CF ₃	F
CH	CH	CH	N	Et	Me	CF ₃	Me
CH	CH	CH	N	<i>i</i> -Pr	Me	CF ₃	Me
CH	CH	CH	N	<i>t</i> -Bu	Me	CF ₃	Me
CH	CH	CH	N	Et	Me	CF ₃	CF ₃
CH	CH	CH	N	<i>i</i> -Pr	Me	CF ₃	CF ₃
CH	CH	CH	N	<i>t</i> -Bu	Me	CF ₃	CF ₃
CH	CH	CH	N	Et	Me	CF ₃	OMe
CH	CH	CH	N	<i>i</i> -Pr	Me	CF ₃	OMe
CH	CH	CH	N	<i>t</i> -Bu	Me	CF ₃	OMe
CH	CH	CH	N	Et	Me	CF ₃	CN
CH	CH	CH	N	<i>i</i> -Pr	Me	CF ₃	CN
CH	CH	CH	N	<i>t</i> -Bu	Me	CF ₃	CN
CH	CH	CH	N	Et	Cl	CF ₃	Cl
CH	CH	CH	N	<i>i</i> -Pr	Cl	CF ₃	Cl
CH	CH	CH	N	<i>t</i> -Bu	Cl	CF ₃	Cl
CH	CH	CH	N	Et	Cl	CF ₃	Br
CH	CH	CH	N	<i>i</i> -Pr	Cl	CF ₃	Br
CH	CH	CH	N	<i>t</i> -Bu	Cl	CF ₃	Br
CH	CH	CH	N	Et	Cl	CF ₃	I
CH	CH	CH	N	<i>i</i> -Pr	Cl	CF ₃	I
CH	CH	CH	N	<i>t</i> -Bu	Cl	CF ₃	I
CH	CH	CH	N	Et	Cl	CF ₃	F
CH	CH	CH	N	<i>i</i> -Pr	Cl	CF ₃	F
CH	CH	CH	N	<i>t</i> -Bu	Cl	CF ₃	F
CH	CH	CH	N	Et	Cl	CF ₃	Me
CH	CH	CH	N	<i>i</i> -Pr	Cl	CF ₃	Me
CH	CH	CH	N	<i>t</i> -Bu	Cl	CF ₃	Me
CH	CH	CH	N	Et	Cl	CF ₃	CF ₃
CH	CH	CH	N	<i>i</i> -Pr	Cl	CF ₃	CF ₃
CH	CH	CH	N	<i>t</i> -Bu	Cl	CF ₃	CF ₃
CH	CH	CH	N	Et	Cl	CF ₃	OMe
CH	CH	CH	N	<i>i</i> -Pr	Cl	CF ₃	OMe
CH	CH	CH	N	<i>t</i> -Bu	Cl	CF ₃	OMe
CH	CH	CH	N	Et	Cl	CF ₃	CN
CH	CH	CH	N	<i>i</i> -Pr	Cl	CF ₃	CN
CH	CH	CH	N	<i>t</i> -Bu	Cl	CF ₃	CN

CH	CH	N	CH	Et	Me	CF ₃	Cl
CH	CH	N	CH	<i>i</i> -Pr	Me	CF ₃	Cl
CH	CH	N	CH	<i>t</i> -Bu	Me	CF ₃	Cl
CH	CH	N	CH	Et	Me	CF ₃	Br
CH	CH	N	CH	<i>i</i> -Pr	Me	CF ₃	Br
CH	CH	N	CH	<i>t</i> -Bu	Me	CF ₃	Br
CH	CH	N	CH	Et	Me	CF ₃	I
CH	CH	N	CH	<i>i</i> -Pr	Me	CF ₃	I
CH	CH	N	CH	<i>t</i> -Bu	Me	CF ₃	I
CH	CH	N	CH	Et	Me	CF ₃	F
CH	CH	N	CH	<i>i</i> -Pr	Me	CF ₃	F
CH	CH	N	CH	<i>t</i> -Bu	Me	CF ₃	F
CH	CH	N	CH	Et	Me	CF ₃	Me
CH	CH	N	CH	<i>i</i> -Pr	Me	CF ₃	Me
CH	CH	N	CH	<i>t</i> -Bu	Me	CF ₃	Me
CH	CH	N	CH	Et	Me	CF ₃	CF ₃
CH	CH	N	CH	<i>i</i> -Pr	Me	CF ₃	CF ₃
CH	CH	N	CH	<i>t</i> -Bu	Me	CF ₃	CF ₃
CH	CH	N	CH	Et	Me	CF ₃	OMe
CH	CH	N	CH	<i>i</i> -Pr	Me	CF ₃	OMe
CH	CH	N	CH	<i>t</i> -Bu	Me	CF ₃	OMe
CH	CH	N	CH	Et	Me	CF ₃	CN
CH	CH	N	CH	<i>i</i> -Pr	Me	CF ₃	CN
CH	CH	N	CH	<i>t</i> -Bu	Me	CF ₃	CN
CH	CH	N	CH	Et	Cl	CF ₃	Cl
CH	CH	N	CH	<i>i</i> -Pr	Cl	CF ₃	Cl
CH	CH	N	CH	<i>t</i> -Bu	Cl	CF ₃	Cl
CH	CH	N	CH	Et	Cl	CF ₃	Br
CH	CH	N	CH	<i>i</i> -Pr	Cl	CF ₃	Br
CH	CH	N	CH	<i>t</i> -Bu	Cl	CF ₃	Br
CH	CH	N	CH	Et	Cl	CF ₃	I
CH	CH	N	CH	<i>i</i> -Pr	Cl	CF ₃	I
CH	CH	N	CH	<i>t</i> -Bu	Cl	CF ₃	I
CH	CH	N	CH	Et	Cl	CF ₃	F
CH	CH	N	CH	<i>i</i> -Pr	Cl	CF ₃	F
CH	CH	N	CH	<i>t</i> -Bu	Cl	CF ₃	F
CH	CH	N	CH	Et	Cl	CF ₃	Me

CH	CH	N	CH	<i>i</i> -Pr	Cl	CF ₃	Me
CH	CH	N	CH	<i>i</i> -Bu	Cl	CF ₃	Me
CH	CH	N	CH	Et	Cl	CF ₃	CF ₃
CH	CH	N	CH	<i>i</i> -Pr	Cl	CF ₃	CF ₃
CH	CH	N	CH	<i>i</i> -Bu	Cl	CF ₃	CF ₃
CH	CH	N	CH	Et	Cl	CF ₃	OMe
CH	CH	N	CH	<i>i</i> -Pr	Cl	CF ₃	OMe
CH	CH	N	CH	<i>i</i> -Bu	Cl	CF ₃	OMe
CH	CH	N	CH	Et	Cl	CF ₃	CN
CH	CH	N	CH	<i>i</i> -Pr	Cl	CF ₃	CN
CH	CH	N	CH	<i>i</i> -Bu	Cl	CF ₃	CN
CH	N	CH	CH	Et	Me	CF ₃	Cl
CH	N	CH	CH	<i>i</i> -Pr	Me	CF ₃	Cl
CH	N	CH	CH	<i>i</i> -Bu	Me	CF ₃	Cl
CH	N	CH	CH	Et	Me	CF ₃	Br
CH	N	CH	CH	<i>i</i> -Pr	Me	CF ₃	Br
CH	N	CH	CH	<i>i</i> -Bu	Me	CF ₃	Br
CH	N	CH	CH	Et	Me	CF ₃	I
CH	N	CH	CH	<i>i</i> -Pr	Me	CF ₃	I
CH	N	CH	CH	<i>i</i> -Bu	Me	CF ₃	I
CH	N	CH	CH	Et	Me	CF ₃	F
CH	N	CH	CH	<i>i</i> -Pr	Me	CF ₃	F
CH	N	CH	CH	<i>i</i> -Bu	Me	CF ₃	F
CH	N	CH	CH	Et	Me	CF ₃	Me
CH	N	CH	CH	<i>i</i> -Pr	Me	CF ₃	Me
CH	N	CH	CH	<i>i</i> -Bu	Me	CF ₃	Me
CH	N	CH	CH	Et	Me	CF ₃	CF ₃
CH	N	CH	CH	<i>i</i> -Pr	Me	CF ₃	CF ₃
CH	N	CH	CH	<i>i</i> -Bu	Me	CF ₃	CF ₃
CH	N	CH	CH	Et	Me	CF ₃	OMe
CH	N	CH	CH	<i>i</i> -Pr	Me	CF ₃	OMe
CH	N	CH	CH	<i>i</i> -Bu	Me	CF ₃	OMe
CH	N	CH	CH	Et	Me	CF ₃	CN
CH	N	CH	CH	<i>i</i> -Pr	Me	CF ₃	CN
CH	N	CH	CH	<i>i</i> -Bu	Me	CF ₃	CN
CH	N	CH	CH	Et	Cl	CF ₃	Cl
CH	N	CH	CH	<i>i</i> -Pr	Cl	CF ₃	Cl

CH	N	CH	CH	<i>t</i> -Bu	Cl	CF ₃	Cl
CH	N	CH	CH	Et	Cl	CF ₃	Br
CH	N	CH	CH	<i>i</i> -Pr	Cl	CF ₃	Br
CH	N	CH	CH	<i>t</i> -Bu	Cl	CF ₃	Br
CH	N	CH	CH	Et	Cl	CF ₃	I
CH	N	CH	CH	<i>i</i> -Pr	Cl	CF ₃	I
CH	N	CH	CH	<i>t</i> -Bu	Cl	CF ₃	I
CH	N	CH	CH	Et	Cl	CF ₃	F
CH	N	CH	CH	<i>i</i> -Pr	Cl	CF ₃	F
CH	N	CH	CH	<i>t</i> -Bu	Cl	CF ₃	F
CH	N	CH	CH	Et	Cl	CF ₃	Me
CH	N	CH	CH	<i>i</i> -Pr	Cl	CF ₃	Me
CH	N	CH	CH	<i>t</i> -Bu	Cl	CF ₃	Me
CH	N	CH	CH	Et	Cl	CF ₃	CF ₃
CH	N	CH	CH	<i>i</i> -Pr	Cl	CF ₃	CF ₃
CH	N	CH	CH	<i>t</i> -Bu	Cl	CF ₃	CF ₃
CH	N	CH	CH	Et	Cl	CF ₃	OMe
CH	N	CH	CH	<i>i</i> -Pr	Cl	CF ₃	OMe
CH	N	CH	CH	<i>t</i> -Bu	Cl	CF ₃	OMe
CH	N	CH	CH	Et	Cl	CF ₃	CN
CH	N	CH	CH	<i>i</i> -Pr	Cl	CF ₃	CN
CH	N	CH	CH	<i>t</i> -Bu	Cl	CF ₃	CN
N	CH	CH	CH	Et	Me	CF ₃	Cl
N	CH	CH	CH	<i>i</i> -Pr	Me	CF ₃	Cl
N	CH	CH	CH	<i>t</i> -Bu	Me	CF ₃	Cl
N	CH	CH	CH	Et	Me	CF ₃	Br
N	CH	CH	CH	<i>i</i> -Pr	Me	CF ₃	Br
N	CH	CH	CH	<i>t</i> -Bu	Me	CF ₃	Br
N	CH	CH	CH	Et	Me	CF ₃	I
N	CH	CH	CH	<i>i</i> -Pr	Me	CF ₃	I
N	CH	CH	CH	<i>t</i> -Bu	Me	CF ₃	I
N	CH	CH	CH	Et	Me	CF ₃	F
N	CH	CH	CH	<i>i</i> -Pr	Me	CF ₃	F
N	CH	CH	CH	<i>t</i> -Bu	Me	CF ₃	F
N	CH	CH	CH	Et	Me	CF ₃	Me
N	CH	CH	CH	<i>i</i> -Pr	Me	CF ₃	Me
N	CH	CH	CH	<i>t</i> -Bu	Me	CF ₃	Me

N	CH	CH	CH	Et	Me	CF ₃	CF ₃
N	CH	CH	CH	<i>i</i> -Pr	Me	CF ₃	CF ₃
N	CH	CH	CH	<i>i</i> -Bu	Me	CF ₃	CF ₃
N	CH	CH	CH	Et	Me	CF ₃	OMe
N	CH	CH	CH	<i>i</i> -Pr	Me	CF ₃	OMe
N	CH	CH	CH	<i>i</i> -Bu	Me	CF ₃	OMe
N	CH	CH	CH	Et	Me	CF ₃	CN
N	CH	CH	CH	<i>i</i> -Pr	Me	CF ₃	CN
N	CH	CH	CH	<i>i</i> -Bu	Me	CF ₃	CN
N	CH	CH	CH	Et	Cl	CF ₃	Cl
N	CH	CH	CH	<i>i</i> -Pr	Cl	CF ₃	Cl
N	CH	CH	CH	<i>i</i> -Bu	Cl	CF ₃	Cl
N	CH	CH	CH	Et	Cl	CF ₃	Br
N	CH	CH	CH	<i>i</i> -Pr	Cl	CF ₃	Br
N	CH	CH	CH	<i>i</i> -Bu	Cl	CF ₃	Br
N	CH	CH	CH	Et	Cl	CF ₃	I
N	CH	CH	CH	<i>i</i> -Pr	Cl	CF ₃	I
N	CH	CH	CH	<i>i</i> -Bu	Cl	CF ₃	I
N	CH	CH	CH	Et	Cl	CF ₃	F
N	CH	CH	CH	<i>i</i> -Pr	Cl	CF ₃	F
N	CH	CH	CH	<i>i</i> -Bu	Cl	CF ₃	F
N	CH	CH	CH	Et	Cl	CF ₃	Me
N	CH	CH	CH	<i>i</i> -Pr	Cl	CF ₃	Me
N	CH	CH	CH	<i>i</i> -Bu	Cl	CF ₃	Me
N	CH	CH	CH	Et	Cl	CF ₃	CF ₃
N	CH	CH	CH	<i>i</i> -Pr	Cl	CF ₃	CF ₃
N	CH	CH	CH	<i>i</i> -Bu	Cl	CF ₃	CF ₃
N	CH	CH	CH	Et	Cl	CF ₃	OMe
N	CH	CH	CH	<i>i</i> -Pr	Cl	CF ₃	OMe
N	CH	CH	CH	<i>i</i> -Bu	Cl	CF ₃	OMe
N	CH	CH	CH	Et	Cl	CF ₃	CN
N	CH	CH	CH	<i>i</i> -Pr	Cl	CF ₃	CN
N	CH	CH	CH	<i>i</i> -Bu	Cl	CF ₃	CN
CH	N	CH	N	Et	Me	CF ₃	Cl
CH	N	CH	N	<i>i</i> -Pr	Me	CF ₃	Cl
CH	N	CH	N	<i>i</i> -Bu	Me	CF ₃	Cl
CH	N	CH	N	Et	Me	CF ₃	Br

CH	N	CH	N	<i>i</i> -Pr	Me	CF ₃	Br
CH	N	CH	N	<i>i</i> -Bu	Me	CF ₃	Br
CH	N	CH	N	Et	Me	CF ₃	I
CH	N	CH	N	<i>i</i> -Pr	Me	CF ₃	I
CH	N	CH	N	<i>i</i> -Bu	Me	CF ₃	I
CH	N	CH	N	Et	Me	CF ₃	F
CH	N	CH	N	<i>i</i> -Pr	Me	CF ₃	F
CH	N	CH	N	<i>i</i> -Bu	Me	CF ₃	F
CH	N	CH	N	Et	Me	CF ₃	Me
CH	N	CH	N	<i>i</i> -Pr	Me	CF ₃	Me
CH	N	CH	N	<i>i</i> -Bu	Me	CF ₃	Me
CH	N	CH	N	Et	Me	CF ₃	CF ₃
CH	N	CH	N	<i>i</i> -Pr	Me	CF ₃	CF ₃
CH	N	CH	N	<i>i</i> -Bu	Me	CF ₃	CF ₃
CH	N	CH	N	Et	Me	CF ₃	OMe
CH	N	CH	N	<i>i</i> -Pr	Me	CF ₃	OMe
CH	N	CH	N	<i>i</i> -Bu	Me	CF ₃	OMe
CH	N	CH	N	Et	Me	CF ₃	CN
CH	N	CH	N	<i>i</i> -Pr	Me	CF ₃	CN
CH	N	CH	N	<i>i</i> -Bu	Me	CF ₃	CN
CH	N	CH	N	Et	Cl	CF ₃	Cl
CH	N	CH	N	<i>i</i> -Pr	Cl	CF ₃	Cl
CH	N	CH	N	<i>i</i> -Bu	Cl	CF ₃	Cl
CH	N	CH	N	Et	Cl	CF ₃	Br
CH	N	CH	N	<i>i</i> -Pr	Cl	CF ₃	Br
CH	N	CH	N	<i>i</i> -Bu	Cl	CF ₃	Br
CH	N	CH	N	Et	Cl	CF ₃	I
CH	N	CH	N	<i>i</i> -Pr	Cl	CF ₃	I
CH	N	CH	N	<i>i</i> -Bu	Cl	CF ₃	I
CH	N	CH	N	Et	Cl	CF ₃	F
CH	N	CH	N	<i>i</i> -Pr	Cl	CF ₃	F
CH	N	CH	N	<i>i</i> -Bu	Cl	CF ₃	F
CH	N	CH	N	Et	Cl	CF ₃	Me
CH	N	CH	N	<i>i</i> -Pr	Cl	CF ₃	Me
CH	N	CH	N	<i>i</i> -Bu	Cl	CF ₃	Me
CH	N	CH	N	Et	Cl	CF ₃	CF ₃
CH	N	CH	N	<i>i</i> -Pr	Cl	CF ₃	CF ₃

CH	N	CH	N	<i>t</i> -Bu	Cl	CF ₃	CF ₃
CH	N	CH	N	Et	Cl	CF ₃	OMe
CH	N	CH	N	<i>i</i> -Pr	Cl	CF ₃	OMe
CH	N	CH	N	<i>t</i> -Bu	Cl	CF ₃	OMe
CH	N	CH	N	Et	Cl	CF ₃	CN
CH	N	CH	N	<i>i</i> -Pr	Cl	CF ₃	CN
CH	N	CH	N	<i>t</i> -Bu	Cl	CF ₃	CN
CH	CH	CH	CCl	Et	Me	CF ₃	Cl
CH	CH	CH	CCl	<i>i</i> -Pr	Me	CF ₃	Cl
CH	CH	CH	CCl	<i>t</i> -Bu	Me	CF ₃	Cl
CH	CH	CH	CCl	Et	Me	CF ₃	Br
CH	CH	CH	CCl	<i>i</i> -Pr	Me	CF ₃	Br
CH	CH	CH	CCl	<i>t</i> -Bu	Me	CF ₃	Br
CH	CH	CH	CCl	Et	Me	CF ₃	I
CH	CH	CH	CCl	<i>i</i> -Pr	Me	CF ₃	I
CH	CH	CH	CCl	<i>t</i> -Bu	Me	CF ₃	I
CH	CH	CH	CCl	Et	Me	CF ₃	F
CH	CH	CH	CCl	<i>i</i> -Pr	Me	CF ₃	F
CH	CH	CH	CCl	<i>t</i> -Bu	Me	CF ₃	F
CH	CH	CH	CCl	Et	Me	CF ₃	Me
CH	CH	CH	CCl	<i>i</i> -Pr	Me	CF ₃	Me
CH	CH	CH	CCl	<i>t</i> -Bu	Me	CF ₃	Me
CH	CH	CH	CCl	Et	Me	CF ₃	CF ₃
CH	CH	CH	CCl	<i>i</i> -Pr	Me	CF ₃	CF ₃
CH	CH	CH	CCl	<i>t</i> -Bu	Me	CF ₃	CF ₃
CH	CH	CH	CCl	Et	Me	CF ₃	OMe
CH	CH	CH	CCl	<i>i</i> -Pr	Me	CF ₃	OMe
CH	CH	CH	CCl	<i>t</i> -Bu	Me	CF ₃	OMe
CH	CH	CH	CCl	Et	Me	CF ₃	CN
CH	CH	CH	CCl	<i>i</i> -Pr	Me	CF ₃	CN
CH	CH	CH	CCl	<i>t</i> -Bu	Me	CF ₃	CN
CH	CH	CH	CCl	Et	Cl	CF ₃	Cl
CH	CH	CH	CCl	<i>i</i> -Pr	Cl	CF ₃	Cl
CH	CH	CH	CCl	<i>t</i> -Bu	Cl	CF ₃	Cl
CH	CH	CH	CCl	Et	Cl	CF ₃	Br
CH	CH	CH	CCl	<i>i</i> -Pr	Cl	CF ₃	Br
CH	CH	CH	CCl	<i>t</i> -Bu	Cl	CF ₃	Br

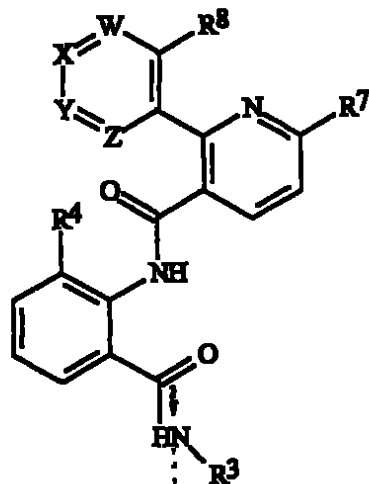
CH	CH	CH	CCl	Et	Cl	CF ₃	I
CH	CH	CH	CCl	<i>i</i> -Pr	Cl	CF ₃	I
CH	CH	CH	CCl	<i>t</i> -Bu	Cl	CF ₃	I
CH	CH	CH	CCl	Et	Cl	CF ₃	F
CH	CH	CH	CCl	<i>i</i> -Pr	Cl	CF ₃	F
CH	CH	CH	CCl	<i>t</i> -Bu	Cl	CF ₃	F
CH	CH	CH	CCl	Et	Cl	CF ₃	Me
CH	CH	CH	CCl	<i>i</i> -Pr	Cl	CF ₃	Me
CH	CH	CH	CCl	<i>t</i> -Bu	Cl	CF ₃	Me
CH	CH	CH	CCl	Et	Cl	CF ₃	CF ₃
CH	CH	CH	CCl	<i>i</i> -Pr	Cl	CF ₃	CF ₃
CH	CH	CH	CCl	<i>t</i> -Bu	Cl	CF ₃	CF ₃
CH	CH	CH	CCl	Et	Cl	CF ₃	OMe
CH	CH	CH	CCl	<i>i</i> -Pr	Cl	CF ₃	OMe
CH	CH	CH	CCl	<i>t</i> -Bu	Cl	CF ₃	OMe
CH	CH	CH	CCl	Et	Cl	CF ₃	CN
CH	CH	CH	CCl	<i>i</i> -Pr	Cl	CF ₃	CN
CH	CH	CH	CCl	<i>t</i> -Bu	Cl	CF ₃	CN
CH	CH	CH	CF	Et	Me	CF ₃	Cl
CH	CH	CH	CF	<i>i</i> -Pr	Me	CF ₃	Cl
CH	CH	CH	CF	<i>t</i> -Bu	Me	CF ₃	Cl
CH	CH	CH	CF	Et	Me	CF ₃	Br
CH	CH	CH	CF	<i>i</i> -Pr	Me	CF ₃	Br
CH	CH	CH	CF	<i>t</i> -Bu	Me	CF ₃	Br
CH	CH	CH	CF	Et	Me	CF ₃	I
CH	CH	CH	CF	<i>i</i> -Pr	Me	CF ₃	I
CH	CH	CH	CF	<i>t</i> -Bu	Me	CF ₃	I
CH	CH	CH	CF	Et	Me	CF ₃	F
CH	CH	CH	CF	<i>i</i> -Pr	Me	CF ₃	F
CH	CH	CH	CF	<i>t</i> -Bu	Me	CF ₃	F
CH	CH	CH	CF	Et	Me	CF ₃	Me
CH	CH	CH	CF	<i>i</i> -Pr	Me	CF ₃	Me
CH	CH	CH	CF	<i>t</i> -Bu	Me	CF ₃	Me
CH	CH	CH	CF	Et	Me	CF ₃	CF ₃
CH	CH	CH	CF	<i>i</i> -Pr	Me	CF ₃	CF ₃
CH	CH	CH	CF	<i>t</i> -Bu	Me	CF ₃	CF ₃
CH	CH	CH	CF	Et	Me	CF ₃	OMe

CH	CH	CH	CF	<i>t</i> -Pr	Me	CF ₃	OMe
CH	CH	CH	CF	<i>t</i> -Bu	Me	CF ₃	OMe
CH	CH	CH	CF	Et	Me	CF ₃	CN
CH	CH	CH	CF	<i>i</i> -Pr	Me	CF ₃	CN
CH	CH	CH	CF	<i>t</i> -Bu	Me	CF ₃	CN
CH	CH	CH	CF	Et	Cl	CF ₃	Cl
CH	CH	CH	CF	<i>i</i> -Pr	Cl	CF ₃	Cl
CH	CH	CH	CF	<i>t</i> -Bu	Cl	CF ₃	Cl
CH	CH	CH	CF	Et	Cl	CF ₃	Br
CH	CH	CH	CF	<i>i</i> -Pr	Cl	CF ₃	Br
CH	CH	CH	CF	<i>t</i> -Bu	Cl	CF ₃	Br
CH	CH	CH	CF	Et	Cl	CF ₃	I
CH	CH	CH	CF	<i>i</i> -Pr	Cl	CF ₃	I
CH	CH	CH	CF	<i>t</i> -Bu	Cl	CF ₃	I
CH	CH	CH	CF	<i>i</i> -Pr	Cl	CF ₃	F
CH	CH	CH	CF	<i>t</i> -Bu	Cl	CF ₃	F
CH	CH	CH	CF	Et	Cl	CF ₃	Me
CH	CH	CH	CF	<i>i</i> -Pr	Cl	CF ₃	Me
CH	CH	CH	CF	<i>t</i> -Bu	Cl	CF ₃	Me
CH	CH	CH	CF	Et	Cl	CF ₃	CF ₃
CH	CH	CH	CF	<i>i</i> -Pr	Cl	CF ₃	CF ₃
CH	CH	CH	CF	<i>t</i> -Bu	Cl	CF ₃	CF ₃
CH	CH	CH	CF	Et	Cl	CF ₃	OMe
CH	CH	CH	CF	<i>i</i> -Pr	Cl	CF ₃	OMe
CH	CH	CH	CF	<i>t</i> -Bu	Cl	CF ₃	OMe
CH	CH	CH	CF	Et	Cl	CF ₃	CN
CH	CH	CH	CF	<i>i</i> -Pr	Cl	CF ₃	CN
CH	CH	CH	CF	<i>t</i> -Bu	Cl	CF ₃	CN
CH	CH	CH	CH	Et	Me	C ₂ F ₅	Cl
CH	CH	CH	CH	<i>i</i> -Pr	Me	C ₂ F ₅	Cl
CH	CH	CH	CH	<i>t</i> -Bu	Me	C ₂ F ₅	Cl
CH	CH	CH	CH	Et	Me	C ₂ F ₅	Br
CH	CH	CH	CH	<i>i</i> -Pr	Me	C ₂ F ₅	Br
CH	CH	CH	CH	<i>t</i> -Bu	Me	C ₂ F ₅	Br
CH	CH	CH	CH	Et	Me	C ₂ F ₅	I
CH	CH	CH	CH	<i>i</i> -Pr	Me	C ₂ F ₅	I
CH	CH	CH	CH	<i>t</i> -Bu	Me	C ₂ F ₅	I

CH	CH	CH	CH	Et	Me	C ₂ F ₅	F
CH	CH	CH	CH	i-Pr	Me	C ₂ F ₅	F
CH	CH	CH	CH	i-Bu	Me	C ₂ F ₅	F
CH	CH	CH	CH	Et	Me	C ₂ F ₅	Me
CH	CH	CH	CH	i-Pr	Me	C ₂ F ₅	Me
CH	CH	CH	CH	i-Bu	Me	C ₂ F ₅	Me
CH	CH	CH	CH	Et	Me	C ₂ F ₅	CF ₃
CH	CH	CH	CH	i-Pr	Me	C ₂ F ₅	CF ₃
CH	CH	CH	CH	i-Bu	Me	C ₂ F ₅	CF ₃
CH	CH	CH	CH	Et	Me	C ₂ F ₅	OMe
CH	CH	CH	CH	i-Pr	Me	C ₂ F ₅	OMe
CH	CH	CH	CH	i-Bu	Me	C ₂ F ₅	OMe
CH	CH	CH	CH	Et	Me	C ₂ F ₅	CN
CH	CH	CH	CH	i-Pr	Me	C ₂ F ₅	CN
CH	CH	CH	CH	i-Bu	Me	C ₂ F ₅	CN
CH	CH	CH	CH	Et	Cl	C ₂ F ₅	Cl
CH	CH	CH	CH	i-Pr	Cl	C ₂ F ₅	Cl
CH	CH	CH	CH	i-Bu	Cl	C ₂ F ₅	Cl
CH	CH	CH	CH	Et	Cl	C ₂ F ₅	Br
CH	CH	CH	CH	i-Pr	Cl	C ₂ F ₅	Br
CH	CH	CH	CH	i-Bu	Cl	C ₂ F ₅	Br
CH	CH	CH	CH	Et	Cl	C ₂ F ₅	I
CH	CH	CH	CH	i-Pr	Cl	C ₂ F ₅	I
CH	CH	CH	CH	i-Bu	Cl	C ₂ F ₅	I
CH	CH	CH	CH	Et	Cl	C ₂ F ₅	F
CH	CH	CH	CH	i-Pr	Cl	C ₂ F ₅	F
CH	CH	CH	CH	i-Bu	Cl	C ₂ F ₅	F
CH	CH	CH	CH	Et	Cl	C ₂ F ₅	Me
CH	CH	CH	CH	i-Pr	Cl	C ₂ F ₅	Me
CH	CH	CH	CH	i-Bu	Cl	C ₂ F ₅	Me
CH	CH	CH	CH	Et	Cl	C ₂ F ₅	CF ₃
CH	CH	CH	CH	i-Pr	Cl	C ₂ F ₅	CF ₃
CH	CH	CH	CH	i-Bu	Cl	C ₂ F ₅	CF ₃
CH	CH	CH	CH	Et	Cl	C ₂ F ₅	OMe
CH	CH	CH	CH	i-Pr	Cl	C ₂ F ₅	OMe
CH	CH	CH	CH	i-Bu	Cl	C ₂ F ₅	OMe
CH	CH	CH	CH	Et	Cl	C ₂ F ₅	CN

CH	CH	CH	CH	<i>t</i> -Pr	Cl	C ₂ F ₅	CN
CH	CH	CH	CH	<i>t</i> -Bu	Cl	C ₂ F ₅	CN

Table 13



W	X	Y	Z	R ³	R ⁴	R ⁷	R ⁸
CH	CH	CH	CH	Et	Me	CF ₃	Cl
CH	CH	CH	CH	<i>t</i> -Pr	Me	CF ₃	Cl
CH	CH	CH	CH	<i>t</i> -Bu	Me	CF ₃	Cl
CH	CH	CH	CH	Et	Me	CF ₃	Br
CH	CH	CH	CH	<i>t</i> -Pr	Me	CF ₃	Br
CH	CH	CH	CH	<i>t</i> -Bu	Me	CF ₃	Br
CH	CH	CH	CH	Et	Me	CF ₃	I
CH	CH	CH	CH	<i>t</i> -Pr	Me	CF ₃	I
CH	CH	CH	CH	<i>t</i> -Bu	Me	CF ₃	I
CH	CH	CH	CH	Et	Me	CF ₃	F
CH	CH	CH	CH	<i>t</i> -Pr	Me	CF ₃	F
CH	CH	CH	CH	<i>t</i> -Bu	Me	CF ₃	F
CH	CH	CH	CH	Et	Me	CF ₃	Me
CH	CH	CH	CH	<i>t</i> -Pr	Me	CF ₃	Me
CH	CH	CH	CH	<i>t</i> -Bu	Me	CF ₃	Me
CH	CH	CH	CH	Et	Me	CF ₃	CF ₃
CH	CH	CH	CH	<i>t</i> -Pr	Me	CF ₃	CF ₃
CH	CH	CH	CH	<i>t</i> -Bu	Me	CF ₃	CF ₃
CH	CH	CH	CH	Et	Me	CF ₃	OMe
CH	CH	CH	CH	<i>t</i> -Pr	Me	CF ₃	OMe
CH	CH	CH	CH	<i>t</i> -Bu	Me	CF ₃	OMe
CH	CH	CH	CH	Et	Me	CF ₃	CN

CH	CH	CH	CH	<i>t</i> -Pr	Me	CF ₃	CN
CH	CH	CH	CH	<i>t</i> -Bu	Me	CF ₃	CN
CH	CH	CH	CH	Bt	Cl	CF ₃	Cl
CH	CH	CH	CH	<i>t</i> -Pr	Cl	CF ₃	Cl
CH	CH	CH	CH	<i>t</i> -Bu	Cl	CF ₃	Cl
CH	CH	CH	CH	Bt	Cl	CF ₃	Br
CH	CH	CH	CH	<i>t</i> -Pr	Cl	CF ₃	Br
CH	CH	CH	CH	<i>t</i> -Bu	Cl	CF ₃	Br
CH	CH	CH	CH	Bt	Cl	CF ₃	I
CH	CH	CH	CH	<i>t</i> -Pr	Cl	CF ₃	I
CH	CH	CH	CH	<i>t</i> -Bu	Cl	CF ₃	I
CH	CH	CH	CH	Bt	Cl	CF ₃	F
CH	CH	CH	CH	<i>t</i> -Pr	Cl	CF ₃	F
CH	CH	CH	CH	<i>t</i> -Bu	Cl	CF ₃	F
CH	CH	CH	CH	Bt	Cl	CF ₃	Me
CH	CH	CH	CH	<i>t</i> -Pr	Cl	CF ₃	Me
CH	CH	CH	CH	<i>t</i> -Bu	Cl	CF ₃	Me
CH	CH	CH	CH	Bt	Cl	CF ₃	CF ₃
CH	CH	CH	CH	<i>t</i> -Pr	Cl	CF ₃	CF ₃
CH	CH	CH	CH	<i>t</i> -Bu	Cl	CF ₃	CF ₃
CH	CH	CH	CH	Bt	Cl	CF ₃	OMe
CH	CH	CH	CH	<i>t</i> -Pr	Cl	CF ₃	OMe
CH	CH	CH	CH	<i>t</i> -Bu	Cl	CF ₃	OMe
CH	CH	CH	CH	Bt	Cl	CF ₃	CN
CH	CH	CH	CH	<i>t</i> -Pr	Cl	CF ₃	CN
CH	CH	CH	CH	<i>t</i> -Bu	Cl	CF ₃	CN
CH	CH	CH	N	Bt	Me	CF ₃	Cl
CH	CH	CH	N	<i>t</i> -Pr	Me	CF ₃	Cl
CH	CH	CH	N	<i>t</i> -Bu	Me	CF ₃	Cl
CH	CH	CH	N	Bt	Me	CF ₃	Br
CH	CH	CH	N	<i>t</i> -Pr	Me	CF ₃	Br
CH	CH	CH	N	<i>t</i> -Bu	Me	CF ₃	Br
CH	CH	CH	N	Bt	Me	CF ₃	I
CH	CH	CH	N	<i>t</i> -Pr	Me	CF ₃	I
CH	CH	CH	N	<i>t</i> -Bu	Me	CF ₃	I
CH	CH	CH	N	Bt	Me	CF ₃	F
CH	CH	CH	N	<i>t</i> -Pr	Me	CF ₃	F

CH	CH	CH	N	<i>t</i> -Bu	Me	CF ₃	F
CH	CH	CH	N	Et	Me	CF ₃	Me
CH	CH	CH	N	<i>i</i> -Pr	Me	CF ₃	Me
CH	CH	CH	N	<i>t</i> -Bu	Me	CF ₃	Me
CH	CH	CH	N	Et	Me	CF ₃	CF ₃
CH	CH	CH	N	<i>i</i> -Pr	Me	CF ₃	CF ₃
CH	CH	CH	N	<i>t</i> -Bu	Me	CF ₃	CF ₃
CH	CH	CH	N	Et	Me	CF ₃	OMe
CH	CH	CH	N	<i>i</i> -Pr	Me	CF ₃	OMe
CH	CH	CH	N	<i>t</i> -Bu	Me	CF ₃	OMe
CH	CH	CH	N	Et	Me	CF ₃	CN
CH	CH	CH	N	<i>i</i> -Pr	Me	CF ₃	CN
CH	CH	CH	N	<i>t</i> -Bu	Me	CF ₃	CN
CH	CH	CH	N	Et	Cl	CF ₃	Cl
CH	CH	CH	N	<i>i</i> -Pr	Cl	CF ₃	Cl
CH	CH	CH	N	<i>t</i> -Bu	Cl	CF ₃	Cl
CH	CH	CH	N	Et	Cl	CF ₃	Br
CH	CH	CH	N	<i>i</i> -Pr	Cl	CF ₃	Br
CH	CH	CH	N	<i>t</i> -Bu	Cl	CF ₃	Br
CH	CH	CH	N	Et	Cl	CF ₃	I
CH	CH	CH	N	<i>i</i> -Pr	Cl	CF ₃	I
CH	CH	CH	N	<i>t</i> -Bu	Cl	CF ₃	I
CH	CH	CH	N	Et	Cl	CF ₃	F
CH	CH	CH	N	<i>i</i> -Pr	Cl	CF ₃	F
CH	CH	CH	N	<i>t</i> -Bu	Cl	CF ₃	F
CH	CH	CH	N	Et	Cl	CF ₃	Me
CH	CH	CH	N	<i>i</i> -Pr	Cl	CF ₃	Me
CH	CH	CH	N	<i>t</i> -Bu	Cl	CF ₃	Me
CH	CH	CH	N	Et	Cl	CF ₃	CF ₃
CH	CH	CH	N	<i>i</i> -Pr	Cl	CF ₃	CF ₃
CH	CH	CH	N	<i>t</i> -Bu	Cl	CF ₃	CF ₃
CH	CH	CH	N	Et	Cl	CF ₃	OMe
CH	CH	CH	N	<i>i</i> -Pr	Cl	CF ₃	OMe
CH	CH	CH	N	<i>t</i> -Bu	Cl	CF ₃	OMe
CH	CH	CH	N	Et	Cl	CF ₃	CN
CH	CH	CH	N	<i>i</i> -Pr	Cl	CF ₃	CN
CH	CH	CH	N	<i>t</i> -Bu	Cl	CF ₃	CN

CH	CH	N	CH	Et	Me	CF ₃	Cl
CH	CH	N	CH	<i>i</i> -Pr	Me	CF ₃	Cl
CH	CH	N	CH	<i>t</i> -Bu	Me	CF ₃	Cl
CH	CH	N	CH	Et	Me	CF ₃	Br
CH	CH	N	CH	<i>i</i> -Pr	Me	CF ₃	Br
CH	CH	N	CH	<i>t</i> -Bu	Me	CF ₃	Br
CH	CH	N	CH	Et	Me	CF ₃	I
CH	CH	N	CH	<i>i</i> -Pr	Me	CF ₃	I
CH	CH	N	CH	<i>t</i> -Bu	Me	CF ₃	I
CH	CH	N	CH	Et	Me	CF ₃	F
CH	CH	N	CH	<i>i</i> -Pr	Me	CF ₃	F
CH	CH	N	CH	<i>t</i> -Bu	Me	CF ₃	F
CH	CH	N	CH	Et	Me	CF ₃	Me
CH	CH	N	CH	<i>i</i> -Pr	Me	CF ₃	Me
CH	CH	N	CH	<i>t</i> -Bu	Me	CF ₃	Me
CH	CH	N	CH	Et	Me	CF ₃	CF ₃
CH	CH	N	CH	<i>i</i> -Pr	Me	CF ₃	CF ₃
CH	CH	N	CH	<i>t</i> -Bu	Me	CF ₃	CF ₃
CH	CH	N	CH	Et	Me	CF ₃	OMe
CH	CH	N	CH	<i>i</i> -Pr	Me	CF ₃	OMe
CH	CH	N	CH	<i>t</i> -Bu	Me	CF ₃	OMe
CH	CH	N	CH	Et	Me	CF ₃	CN
CH	CH	N	CH	<i>i</i> -Pr	Me	CF ₃	CN
CH	CH	N	CH	<i>t</i> -Bu	Me	CF ₃	CN
CH	CH	N	CH	Et	Cl	CF ₃	Cl
CH	CH	N	CH	<i>i</i> -Pr	Cl	CF ₃	Cl
CH	CH	N	CH	<i>t</i> -Bu	Cl	CF ₃	Cl
CH	CH	N	CH	Et	Cl	CF ₃	Br
CH	CH	N	CH	<i>i</i> -Pr	Cl	CF ₃	Br
CH	CH	N	CH	<i>t</i> -Bu	Cl	CF ₃	Br
CH	CH	N	CH	Et	Cl	CF ₃	I
CH	CH	N	CH	<i>i</i> -Pr	Cl	CF ₃	I
CH	CH	N	CH	<i>t</i> -Bu	Cl	CF ₃	I
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CH	CH	N	CH	<i>t</i> -Bu	Cl	CF ₃	F
CH	CH	N	CH	Et	Cl	CF ₃	Me

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CH	CH	N	CH	<i>i</i> -Bu	Cl	CF ₃	Me
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CH	CH	N	CH	<i>i</i> -Bu	Cl	CF ₃	CF ₃
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CH	CH	N	CH	<i>i</i> -Pr	Cl	CF ₃	OMe
CH	CH	N	CH	<i>i</i> -Bu	Cl	CF ₃	OMe
CH	CH	N	CH	Et	Cl	CF ₃	CN
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CH	N	CH	CH	Bt	Cl	CF ₃	I
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CH	N	CH	CH	Bt	Cl	CF ₃	OMe
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CH	N	CH	CH	<i>t</i> -Bu	Cl	CF ₃	OMe
CH	N	CH	CH	Bt	Cl	CF ₃	CN
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N	CH	CH	CH	Bt	Me	CF ₃	Cl
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N	CH	CH	CH	<i>t</i> -Bu	Me	CF ₃	Cl
N	CH	CH	CH	Bt	Me	CF ₃	Br
N	CH	CH	CH	<i>i</i> -Pr	Me	CF ₃	Br
N	CH	CH	CH	<i>t</i> -Bu	Me	CF ₃	Br
N	CH	CH	CH	Bt	Me	CF ₃	I
N	CH	CH	CH	<i>i</i> -Pr	Me	CF ₃	I
N	CH	CH	CH	<i>t</i> -Bu	Me	CF ₃	I
N	CH	CH	CH	Bt	Me	CF ₃	F
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N	CH	CH	CH	<i>t</i> -Bu	Me	CF ₃	Me

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CH	CH	CH	CCl	Et	Me	CF ₃	CN
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CH	CH	CH	CCl	<i>i</i> -Bu	Cl	CF ₃	Cl
CH	CH	CH	CCl	Et	Cl	CF ₃	Br
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CH	CH	CH	CCl	<i>i</i> -Bu	Cl	CF ₃	Br

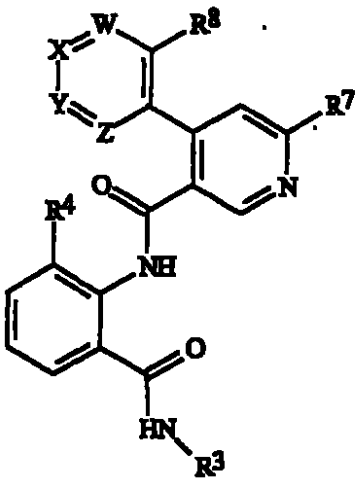
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CH	CH	CH	CCl	Et	Cl	CF ₃	F
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CH	CH	CH	CCl	<i>t</i> -Bu	Cl	CF ₃	F
CH	CH	CH	CCl	Et	Cl	CF ₃	Me
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CH	CH	CH	CCl	<i>t</i> -Bu	Cl	CF ₃	Me
CH	CH	CH	CCl	Et	Cl	CF ₃	CF ₃
CH	CH	CH	CCl	<i>i</i> -Pr	Cl	CF ₃	CF ₃
CH	CH	CH	CCl	<i>t</i> -Bu	Cl	CF ₃	CF ₃
CH	CH	CH	CCl	Et	Cl	CF ₃	OMe
CH	CH	CH	CCl	<i>i</i> -Pr	Cl	CF ₃	OMe
CH	CH	CH	CCl	<i>t</i> -Bu	Cl	CF ₃	OMe
CH	CH	CH	CCl	Et	Cl	CF ₃	CN
CH	CH	CH	CCl	<i>i</i> -Pr	Cl	CF ₃	CN
CH	CH	CH	CCl	<i>t</i> -Bu	Cl	CF ₃	CN
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CH	CH	CH	CF	<i>t</i> -Bu	Me	CF ₃	I
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CH	CH	CH	CF	Et	Me	CF ₃	OMe

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CH	CH	CH	CF	Bt	Me	CF ₃	CN
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CH	CH	CH	CF	<i>i</i> -Pr	Cl	CF ₃	Me
CH	CH	CH	CF	<i>i</i> -Bu	Cl	CF ₃	Me
CH	CH	CH	CF	Bt	Cl	CF ₃	CF ₃
CH	CH	CH	CF	<i>i</i> -Pr	Cl	CF ₃	CF ₃
CH	CH	CH	CF	<i>i</i> -Bu	Cl	CF ₃	CF ₃
CH	CH	CH	CF	Bt	Cl	CF ₃	OMe
CH	CH	CH	CF	<i>i</i> -Pr	Cl	CF ₃	OMe
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CH	CH	CH	CF	Bt	Cl	CF ₃	CN
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CH	CH	CH	CF	<i>i</i> -Bu	Cl	CF ₃	CN
CH	CH	CH	CH	Bt	Me	C ₂ F ₅	Cl
CH	CH	CH	CH	<i>i</i> -Pr	Me	C ₂ F ₅	Cl
CH	CH	CH	CH	<i>i</i> -Bu	Me	C ₂ F ₅	Cl
CH	CH	CH	CH	Bt	Me	C ₂ F ₅	Br
CH	CH	CH	CH	<i>i</i> -Pr	Me	C ₂ F ₅	Br
CH	CH	CH	CH	<i>i</i> -Bu	Me	C ₂ F ₅	Br
CH	CH	CH	CH	Bt	Me	C ₂ F ₅	I
CH	CH	CH	CH	<i>i</i> -Pr	Me	C ₂ F ₅	I
CH	CH	CH	CH	<i>i</i> -Bu	Me	C ₂ F ₅	I

CH	CH	CH	CH	Et	Me	C ₂ F ₅	F
CH	CH	CH	CH	<i>i</i> -Pr	Me	C ₂ F ₅	F
CH	CH	CH	CH	<i>t</i> -Bu	Me	C ₂ F ₅	F
CH	CH	CH	CH	Et	Me	C ₂ F ₅	Me
CH	CH	CH	CH	<i>i</i> -Pr	Me	C ₂ F ₅	Me
CH	CH	CH	CH	<i>t</i> -Bu	Me	C ₂ F ₅	Me
CH	CH	CH	CH	Et	Me	C ₂ F ₅	CF ₃
CH	CH	CH	CH	<i>i</i> -Pr	Me	C ₂ F ₅	CF ₃
CH	CH	CH	CH	<i>t</i> -Bu	Me	C ₂ F ₅	CF ₃
CH	CH	CH	CH	Et	Me	C ₂ F ₅	OMe
CH	CH	CH	CH	<i>i</i> -Pr	Me	C ₂ F ₅	OMe
CH	CH	CH	CH	<i>t</i> -Bu	Me	C ₂ F ₅	OMe
CH	CH	CH	CH	Et	Me	C ₂ F ₅	CN
CH	CH	CH	CH	<i>i</i> -Pr	Me	C ₂ F ₅	CN
CH	CH	CH	CH	<i>t</i> -Bu	Me	C ₂ F ₅	CN
CH	CH	CH	CH	Et	Cl	C ₂ F ₅	Cl
CH	CH	CH	CH	<i>i</i> -Pr	Cl	C ₂ F ₅	Cl
CH	CH	CH	CH	<i>t</i> -Bu	Cl	C ₂ F ₅	Cl
CH	CH	CH	CH	Et	Cl	C ₂ F ₅	Br
CH	CH	CH	CH	<i>i</i> -Pr	Cl	C ₂ F ₅	Br
CH	CH	CH	CH	<i>t</i> -Bu	Cl	C ₂ F ₅	Br
CH	CH	CH	CH	Et	Cl	C ₂ F ₅	I
CH	CH	CH	CH	<i>i</i> -Pr	Cl	C ₂ F ₅	I
CH	CH	CH	CH	<i>t</i> -Bu	Cl	C ₂ F ₅	I
CH	CH	CH	CH	Et	Cl	C ₂ F ₅	F
CH	CH	CH	CH	<i>i</i> -Pr	Cl	C ₂ F ₅	F
CH	CH	CH	CH	<i>t</i> -Bu	Cl	C ₂ F ₅	F
CH	CH	CH	CH	Et	Cl	C ₂ F ₅	Me
CH	CH	CH	CH	<i>i</i> -Pr	Cl	C ₂ F ₅	Me
CH	CH	CH	CH	<i>t</i> -Bu	Cl	C ₂ F ₅	Me
CH	CH	CH	CH	Et	Cl	C ₂ F ₅	CF ₃
CH	CH	CH	CH	<i>i</i> -Pr	Cl	C ₂ F ₅	CF ₃
CH	CH	CH	CH	<i>t</i> -Bu	Cl	C ₂ F ₅	CF ₃
CH	CH	CH	CH	Et	Cl	C ₂ F ₅	OMe
CH	CH	CH	CH	<i>i</i> -Pr	Cl	C ₂ F ₅	OMe
CH	CH	CH	CH	<i>t</i> -Bu	Cl	C ₂ F ₅	OMe
CH	CH	CH	CH	Et	Cl	C ₂ F ₅	CN

CH	CH	CH	CH	<i>i</i> -Pr	Cl	C ₂ F ₅	CN
CH	CH	CH	CH	<i>t</i> -Bu	Cl	C ₂ F ₅	CN

Table 14



W	X	Y	Z	R ³	R ⁴	R ⁷	R ⁸
CH	CH	CH	CH	Et	Me	CF ₃	Cl
CH	CH	CH	CH	<i>i</i> -Pr	Me	CF ₃	Cl
CH	CH	CH	CH	<i>t</i> -Bu	Me	CF ₃	Cl
CH	CH	CH	CH	Et	Me	CF ₃	Br
CH	CH	CH	CH	<i>i</i> -Pr	Me	CF ₃	Br
CH	CH	CH	CH	<i>t</i> -Bu	Me	CF ₃	Br
CH	CH	CH	CH	Et	Me	CF ₃	I
CH	CH	CH	CH	<i>i</i> -Pr	Me	CF ₃	I
CH	CH	CH	CH	<i>t</i> -Bu	Me	CF ₃	I
CH	CH	CH	CH	Et	Me	CF ₃	F
CH	CH	CH	CH	<i>i</i> -Pr	Me	CF ₃	F
CH	CH	CH	CH	<i>t</i> -Bu	Me	CF ₃	F
CH	CH	CH	CH	Et	Me	CF ₃	Me
CH	CH	CH	CH	<i>i</i> -Pr	Me	CF ₃	Me
CH	CH	CH	CH	<i>t</i> -Bu	Me	CF ₃	Me
CH	CH	CH	CH	Et	Me	CF ₃	CF ₃
CH	CH	CH	CH	<i>i</i> -Pr	Me	CF ₃	CF ₃
CH	CH	CH	CH	<i>t</i> -Bu	Me	CF ₃	CF ₃
CH	CH	CH	CH	Et	Me	CF ₃	OMe
CH	CH	CH	CH	<i>i</i> -Pr	Me	CF ₃	OMe
CH	CH	CH	CH	<i>t</i> -Bu	Me	CF ₃	OMe
CH	CH	CH	CH	Et	Me	CF ₃	CN

CH	CH	CH	CH	<i>i</i> -Pr	Me	CF ₃	CN
CH	CH	CH	CH	<i>t</i> -Bu	Me	CF ₃	CN
CH	CH	CH	CH	Et	Cl	CF ₃	Cl
CH	CH	CH	CH	<i>i</i> -Pr	Cl	CF ₃	Cl
CH	CH	CH	CH	<i>t</i> -Bu	Cl	CF ₃	Cl
CH	CH	CH	CH	Et	Cl	CF ₃	Br
CH	CH	CH	CH	<i>i</i> -Pr	Cl	CF ₃	Br
CH	CH	CH	CH	<i>t</i> -Bu	Cl	CF ₃	Br
CH	CH	CH	CH	Et	Cl	CF ₃	I
CH	CH	CH	CH	<i>i</i> -Pr	Cl	CF ₃	I
CH	CH	CH	CH	<i>t</i> -Bu	Cl	CF ₃	I
CH	CH	CH	CH	Et	Cl	CF ₃	F
CH	CH	CH	CH	<i>i</i> -Pr	Cl	CF ₃	F
CH	CH	CH	CH	<i>t</i> -Bu	Cl	CF ₃	F
CH	CH	CH	CH	Et	Cl	CF ₃	Me
CH	CH	CH	CH	<i>i</i> -Pr	Cl	CF ₃	Me
CH	CH	CH	CH	<i>t</i> -Bu	Cl	CF ₃	Me
CH	CH	CH	CH	Et	Cl	CF ₃	CF ₃
CH	CH	CH	CH	<i>i</i> -Pr	Cl	CF ₃	CF ₃
CH	CH	CH	CH	<i>t</i> -Bu	Cl	CF ₃	CF ₃
CH	CH	CH	CH	Et	Cl	CF ₃	OMe
CH	CH	CH	CH	<i>i</i> -Pr	Cl	CF ₃	OMe
CH	CH	CH	CH	<i>t</i> -Bu	Cl	CF ₃	OMe
CH	CH	CH	CH	Et	Cl	CF ₃	CN
CH	CH	CH	CH	<i>i</i> -Pr	Cl	CF ₃	CN
CH	CH	CH	CH	<i>t</i> -Bu	Cl	CF ₃	CN
CH	CH	CH	N	Et	Me	CF ₃	Cl
CH	CH	CH	N	<i>i</i> -Pr	Me	CF ₃	Cl
CH	CH	CH	N	<i>t</i> -Bu	Me	CF ₃	Cl
CH	CH	CH	N	Et	Me	CF ₃	Br
CH	CH	CH	N	<i>i</i> -Pr	Me	CF ₃	Br
CH	CH	CH	N	<i>t</i> -Bu	Me	CF ₃	Br
CH	CH	CH	N	Et	Me	CF ₃	I
CH	CH	CH	N	<i>i</i> -Pr	Me	CF ₃	I
CH	CH	CH	N	<i>t</i> -Bu	Me	CF ₃	I
CH	CH	CH	N	Et	Me	CF ₃	F
CH	CH	CH	N	<i>i</i> -Pr	Me	CF ₃	F

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CH	CH	CH	N	<i>t</i> -Bu	Me	CF ₃	F
CH	CH	CH	N	Et	Me	CF ₃	Me
CH	CH	CH	N	<i>i</i> -Pr	Me	CF ₃	Me
CH	CH	CH	N	<i>t</i> -Bu	Me	CF ₃	Me
CH	CH	CH	N	Et	Me	CF ₃	CF ₃
CH	CH	CH	N	<i>i</i> -Pr	Me	CF ₃	CF ₃
CH	CH	CH	N	<i>t</i> -Bu	Me	CF ₃	CF ₃
CH	CH	CH	N	Et	Me	CF ₃	OMe
CH	CH	CH	N	<i>i</i> -Pr	Me	CF ₃	OMe
CH	CH	CH	N	<i>t</i> -Bu	Me	CF ₃	OMe
CH	CH	CH	N	Et	Me	CF ₃	CN
CH	CH	CH	N	<i>i</i> -Pr	Me	CF ₃	CN
CH	CH	CH	N	<i>t</i> -Bu	Me	CF ₃	CN
CH	CH	CH	N	Et	Cl	CF ₃	Cl
CH	CH	CH	N	<i>i</i> -Pr	Cl	CF ₃	Cl
CH	CH	CH	N	<i>t</i> -Bu	Cl	CF ₃	Cl
CH	CH	CH	N	Et	Cl	CF ₃	Br
CH	CH	CH	N	<i>i</i> -Pr	Cl	CF ₃	Br
CH	CH	CH	N	<i>t</i> -Bu	Cl	CF ₃	Br
CH	CH	CH	N	Et	Cl	CF ₃	I
CH	CH	CH	N	<i>i</i> -Pr	Cl	CF ₃	I
CH	CH	CH	N	<i>t</i> -Bu	Cl	CF ₃	I
CH	CH	CH	N	Et	Cl	CF ₃	F
CH	CH	CH	N	<i>i</i> -Pr	Cl	CF ₃	F
CH	CH	CH	N	<i>t</i> -Bu	Cl	CF ₃	F
CH	CH	CH	N	Et	Cl	CF ₃	Me
CH	CH	CH	N	<i>i</i> -Pr	Cl	CF ₃	Me
CH	CH	CH	N	<i>t</i> -Bu	Cl	CF ₃	Me
CH	CH	CH	N	Et	Cl	CF ₃	CF ₃
CH	CH	CH	N	<i>i</i> -Pr	Cl	CF ₃	CF ₃
CH	CH	CH	N	<i>t</i> -Bu	Cl	CF ₃	CF ₃
CH	CH	CH	N	Et	Cl	CF ₃	OMe
CH	CH	CH	N	<i>i</i> -Pr	Cl	CF ₃	OMe
CH	CH	CH	N	<i>t</i> -Bu	Cl	CF ₃	OMe
CH	CH	CH	N	Et	Cl	CF ₃	CN
CH	CH	CH	N	<i>i</i> -Pr	Cl	CF ₃	CN
CH	CH	CH	N	<i>t</i> -Bu	Cl	CF ₃	CN

CH	CH	N	CH	Et	Me	CF ₃	Cl
CH	CH	N	CH	<i>i</i> -Pr	Me	CF ₃	Cl
CH	CH	N	CH	<i>t</i> -Bu	Me	CF ₃	Cl
CH	CH	N	CH	Et	Me	CF ₃	Br
CH	CH	N	CH	<i>i</i> -Pr	Me	CF ₃	Br
CH	CH	N	CH	<i>t</i> -Bu	Me	CF ₃	Br
CH	CH	N	CH	Et	Me	CF ₃	I
CH	CH	N	CH	<i>i</i> -Pr	Me	CF ₃	I
CH	CH	N	CH	<i>t</i> -Bu	Me	CF ₃	I
CH	CH	N	CH	Et	Me	CF ₃	F
CH	CH	N	CH	<i>i</i> -Pr	Me	CF ₃	F
CH	CH	N	CH	<i>t</i> -Bu	Me	CF ₃	F
CH	CH	N	CH	Et	Me	CF ₃	Me
CH	CH	N	CH	<i>i</i> -Pr	Me	CF ₃	Me
CH	CH	N	CH	<i>t</i> -Bu	Me	CF ₃	Me
CH	CH	N	CH	Et	Me	CF ₃	CF ₃
CH	CH	N	CH	<i>i</i> -Pr	Me	CF ₃	CF ₃
CH	CH	N	CH	<i>t</i> -Bu	Me	CF ₃	CF ₃
CH	CH	N	CH	Et	Me	CF ₃	OMe
CH	CH	N	CH	<i>i</i> -Pr	Me	CF ₃	OMe
CH	CH	N	CH	<i>t</i> -Bu	Me	CF ₃	OMe
CH ^o	CH	N	CH	Et	Me	CF ₃	CN
CH	CH	N	CH	<i>i</i> -Pr	Me	CF ₃	CN
CH	CH	N	CH	<i>t</i> -Bu	Me	CF ₃	CN
CH	CH	N	CH	Et	Cl	CF ₃	Cl
CH	CH	N	CH	<i>i</i> -Pr	Cl	CF ₃	Cl
CH	CH	N	CH	<i>t</i> -Bu	Cl	CF ₃	Cl
CH	CH	N	CH	Et	Cl	CF ₃	Br
CH	CH	N	CH	<i>i</i> -Pr	Cl	CF ₃	Br
CH	CH	N	CH	<i>t</i> -Bu	Cl	CF ₃	Br
CH	CH	N	CH	Et	Cl	CF ₃	I
CH	CH	N	CH	<i>i</i> -Pr	Cl	CF ₃	I
CH	CH	N	CH	<i>t</i> -Bu	Cl	CF ₃	I
CH	CH	N	CH	Et	Cl	CF ₃	F
CH	CH	N	CH	<i>i</i> -Pr	Cl	CF ₃	F
CH	CH	N	CH	<i>t</i> -Bu	Cl	CF ₃	F
CH	CH	N	CH	Et	Cl	CF ₃	Me

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CH	CH	N	CH	<i>i</i> -Pr	Cl	CF ₃	Me
CH	CH	N	CH	<i>i</i> -Bu	Cl	CF ₃	Me
CH	CH	N	CH	Et	Cl	CF ₃	CF ₃
CH	CH	N	CH	<i>i</i> -Pr	Cl	CF ₃	CF ₃
CH	CH	N	CH	<i>i</i> -Bu	Cl	CF ₃	CF ₃
CH	CH	N	CH	Et	Cl	CF ₃	OMe
CH	CH	N	CH	<i>i</i> -Pr	Cl	CF ₃	OMe
CH	CH	N	CH	<i>i</i> -Bu	Cl	CF ₃	OMe
CH	CH	N	CH	Et	Cl	CF ₃	CN
CH	CH	N	CH	<i>i</i> -Pr	Cl	CF ₃	CN
CH	CH	N	CH	<i>i</i> -Bu	Cl	CF ₃	CN
CH	N	CH	CH	Et	Me	CF ₃	Cl
CH	N	CH	CH	<i>i</i> -Pr	Me	CF ₃	Cl
CH	N	CH	CH	<i>i</i> -Bu	Me	CF ₃	Cl
CH	N	CH	CH	Et	Me	CF ₃	Br
CH	N	CH	CH	<i>i</i> -Pr	Me	CF ₃	Br
CH	N	CH	CH	<i>i</i> -Bu	Me	CF ₃	Br
CH	N	CH	CH	Et	Me	CF ₃	I
CH	N	CH	CH	<i>i</i> -Pr	Me	CF ₃	I
CH	N	CH	CH	<i>i</i> -Bu	Me	CF ₃	I
CH	N	CH	CH	Et	Me	CF ₃	F
CH	N	CH	CH	<i>i</i> -Pr	Me	CF ₃	F
CH	N	CH	CH	<i>i</i> -Bu	Me	CF ₃	F
CH	N	CH	CH	Et	Me	CF ₃	Me
CH	N	CH	CH	<i>i</i> -Pr	Me	CF ₃	Me
CH	N	CH	CH	<i>i</i> -Bu	Me	CF ₃	Me
CH	N	CH	CH	Et	Me	CF ₃	CF ₃
CH	N	CH	CH	<i>i</i> -Pr	Me	CF ₃	CF ₃
CH	N	CH	CH	<i>i</i> -Bu	Me	CF ₃	CF ₃
CH	N	CH	CH	Et	Me	CF ₃	OMe
CH	N	CH	CH	<i>i</i> -Pr	Me	CF ₃	OMe
CH	N	CH	CH	<i>i</i> -Bu	Me	CF ₃	OMe
CH	N	CH	CH	Et	Me	CF ₃	CN
CH	N	CH	CH	<i>i</i> -Pr	Me	CF ₃	CN
CH	N	CH	CH	<i>i</i> -Bu	Me	CF ₃	CN
CH	N	CH	CH	Et	Cl	CF ₃	Cl
CH	N	CH	CH	<i>i</i> -Pr	Cl	CF ₃	Cl

CH	N	CH	CH	<i>t</i> -Bu	Cl	CF ₃	Cl
CH	N	CH	CH	Bt	Cl	CF ₃	Br
CH	N	CH	CH	<i>i</i> -Pr	Cl	CF ₃	Br
CH	N	CH	CH	<i>t</i> -Bu	Cl	CF ₃	Br
CH	N	CH	CH	Bt	Cl	CF ₃	I
CH	N	CH	CH	<i>i</i> -Pr	Cl	CF ₃	I
CH	N	CH	CH	<i>t</i> -Bu	Cl	CF ₃	I
CH	N	CH	CH	Bt	Cl	CF ₃	F
CH	N	CH	CH	<i>i</i> -Pr	Cl	CF ₃	F
CH	N	CH	CH	<i>t</i> -Bu	Cl	CF ₃	F
CH	N	CH	CH	Bt	Cl	CF ₃	Me
CH	N	CH	CH	<i>i</i> -Pr	Cl	CF ₃	Me
CH	N	CH	CH	<i>t</i> -Bu	Cl	CF ₃	Me
CH	N	CH	CH	Bt	Cl	CF ₃	CF ₃
CH	N	CH	CH	<i>i</i> -Pr	Cl	CF ₃	CF ₃
CH	N	CH	CH	<i>t</i> -Bu	Cl	CF ₃	CF ₃
CH	N	CH	CH	Bt	Cl	CF ₃	OMe
CH	N	CH	CH	<i>i</i> -Pr	Cl	CF ₃	OMe
CH	N	CH	CH	<i>t</i> -Bu	Cl	CF ₃	OMe
CH	N	CH	CH	Bt	Cl	CF ₃	CN
CH	N	CH	CH	<i>i</i> -Pr	Cl	CF ₃	CN
CH	N	CH	CH	<i>t</i> -Bu	Cl	CF ₃	CN
N	CH	CH	CH	Bt	Me	CF ₃	Cl
N	CH	CH	CH	<i>i</i> -Pr	Me	CF ₃	Cl
N	CH	CH	CH	<i>t</i> -Bu	Me	CF ₃	Cl
N	CH	CH	CH	Bt	Me	CF ₃	Br
N	CH	CH	CH	<i>i</i> -Pr	Me	CF ₃	Br
N	CH	CH	CH	<i>t</i> -Bu	Me	CF ₃	Br
N	CH	CH	CH	Bt	Me	CF ₃	I
N	CH	CH	CH	<i>i</i> -Pr	Me	CF ₃	I
N	CH	CH	CH	<i>t</i> -Bu	Me	CF ₃	I
N	CH	CH	CH	Bt	Me	CF ₃	F
N	CH	CH	CH	<i>i</i> -Pr	Me	CF ₃	F
N	CH	CH	CH	<i>t</i> -Bu	Me	CF ₃	F
N	CH	CH	CH	Bt	Me	CF ₃	Me
N	CH	CH	CH	<i>i</i> -Pr	Me	CF ₃	Me
N	CH	CH	CH	<i>t</i> -Bu	Me	CF ₃	Me

N	CH	CH	CH	Et	Me	CF ₃	CF ₃
N	CH	CH	CH	<i>i</i> -Pr	Me	CF ₃	CF ₃
N	CH	CH	CH	<i>t</i> -Bu	Me	CF ₃	CF ₃
N	CH	CH	CH	Et	Me	CF ₃	OMe
N	CH	CH	CH	<i>i</i> -Pr	Me	CF ₃	OMe
N	CH	CH	CH	<i>t</i> -Bu	Me	CF ₃	OMe
N	CH	CH	CH	Et	Me	CF ₃	CN
N	CH	CH	CH	<i>i</i> -Pr	Me	CF ₃	CN
N	CH	CH	CH	<i>t</i> -Bu	Me	CF ₃	CN
N	CH	CH	CH	Et	Cl	CF ₃	Cl
N	CH	CH	CH	<i>i</i> -Pr	Cl	CF ₃	Cl
N	CH	CH	CH	<i>t</i> -Bu	Cl	CF ₃	Cl
N	CH	CH	CH	Et	Cl	CF ₃	Br
N	CH	CH	CH	<i>i</i> -Pr	Cl	CF ₃	Br
N	CH	CH	CH	<i>t</i> -Bu	Cl	CF ₃	Br
N	CH	CH	CH	Et	Cl	CF ₃	I
N	CH	CH	CH	<i>i</i> -Pr	Cl	CF ₃	I
N	CH	CH	CH	<i>t</i> -Bu	Cl	CF ₃	I
N	CH	CH	CH	Et	Cl	CF ₃	F
N	CH	CH	CH	<i>i</i> -Pr	Cl	CF ₃	F
N	CH	CH	CH	<i>t</i> -Bu	Cl	CF ₃	F
N	CH	CH	CH	Et	Cl	CF ₃	Me
N	CH	CH	CH	<i>i</i> -Pr	Cl	CF ₃	Me
N	CH	CH	CH	<i>t</i> -Bu	Cl	CF ₃	Me
N	CH	CH	CH	Et	Cl	CF ₃	CF ₃
N	CH	CH	CH	<i>i</i> -Pr	Cl	CF ₃	CF ₃
N	CH	CH	CH	<i>t</i> -Bu	Cl	CF ₃	CF ₃
N	CH	CH	CH	Et	Cl	CF ₃	OMe
N	CH	CH	CH	<i>i</i> -Pr	Cl	CF ₃	OMe
N	CH	CH	CH	<i>t</i> -Bu	Cl	CF ₃	OMe
N	CH	CH	CH	Et	Cl	CF ₃	CN
N	CH	CH	CH	<i>i</i> -Pr	Cl	CF ₃	CN
N	CH	CH	CH	<i>t</i> -Bu	Cl	CF ₃	CN
CH	N	CH	N	Et	Me	CF ₃	Cl
CH	N	CH	N	<i>i</i> -Pr	Me	CF ₃	Cl
CH	N	CH	N	<i>t</i> -Bu	Me	CF ₃	Cl
CH	N	CH	N	Et	Me	CF ₃	Br

CH	N	CH	N	<i>i</i> -Pr	Me	CF ₃	Br
CH	N	CH	N	<i>i</i> -Bu	Me	CF ₃	Br
CH	N	CH	N	Et	Me	CF ₃	I
CH	N	CH	N	<i>i</i> -Pr	Me	CF ₃	I
CH	N	CH	N	<i>i</i> -Bu	Me	CF ₃	I
CH	N	CH	N	Et	Me	CF ₃	F
CH	N	CH	N	<i>i</i> -Pr	Me	CF ₃	F
CH	N	CH	N	<i>i</i> -Bu	Me	CF ₃	F
CH	N	CH	N	Et	Me	CF ₃	Me
CH	N	CH	N	<i>i</i> -Pr	Me	CF ₃	Me
CH	N	CH	N	<i>i</i> -Bu	Me	CF ₃	Me
CH	N	CH	N	Et	Me	CF ₃	CF ₃
CH	N	CH	N	<i>i</i> -Pr	Me	CF ₃	CF ₃
CH	N	CH	N	<i>i</i> -Bu	Me	CF ₃	CF ₃
CH	N	CH	N	Et	Me	CF ₃	OMe
CH	N	CH	N	<i>i</i> -Pr	Me	CF ₃	OMe
CH	N	CH	N	<i>i</i> -Bu	Me	CF ₃	OMe
CH	N	CH	N	Et	Me	CF ₃	CN
CH	N	CH	N	<i>i</i> -Pr	Me	CF ₃	CN
CH	N	CH	N	<i>i</i> -Bu	Me	CF ₃	CN
CH	N	CH	N	Et	Cl	CF ₃	Cl
CH	N	CH	N	<i>i</i> -Pr	Cl	CF ₃	Cl
CH	N	CH	N	<i>i</i> -Bu	Cl	CF ₃	Cl
CH	N	CH	N	Et	Cl	CF ₃	Br
CH	N	CH	N	<i>i</i> -Pr	Cl	CF ₃	Br
CH	N	CH	N	<i>i</i> -Bu	Cl	CF ₃	Br
CH	N	CH	N	Et	Cl	CF ₃	I
CH	N	CH	N	<i>i</i> -Pr	Cl	CF ₃	I
CH	N	CH	N	<i>i</i> -Bu	Cl	CF ₃	I
CH	N	CH	N	Et	Cl	CF ₃	F
CH	N	CH	N	<i>i</i> -Pr	Cl	CF ₃	F
CH	N	CH	N	<i>i</i> -Bu	Cl	CF ₃	F
CH	N	CH	N	Et	Cl	CF ₃	Me
CH	N	CH	N	<i>i</i> -Pr	Cl	CF ₃	Me
CH	N	CH	N	<i>i</i> -Bu	Cl	CF ₃	Me
CH	N	CH	N	Et	Cl	CF ₃	CF ₃
CH	N	CH	N	<i>i</i> -Pr	Cl	CF ₃	CF ₃

CH	N	CH	N	<i>t</i> -Bu	Cl	CF ₃	CF ₃
CH	N	CH	N	Bt	Cl	CF ₃	OMe
CH	N	CH	N	<i>i</i> -Pr	Cl	CF ₃	OMe
CH	N	CH	N	<i>t</i> -Bu	Cl	CF ₃	OMe
CH	N	CH	N	Bt	Cl	CF ₃	CN
CH	N	CH	N	<i>i</i> -Pr	Cl	CF ₃	CN
CH	N	CH	N	<i>t</i> -Bu	Cl	CF ₃	CN
CH	CH	CH	CCl	Bt	Me	CF ₃	Cl
CH	CH	CH	CCl	<i>i</i> -Pr	Me	CF ₃	Cl
CH	CH	CH	CCl	<i>t</i> -Bu	Me	CF ₃	Cl
CH	CH	CH	CCl	Bt	Me	CF ₃	Br
CH	CH	CH	CCl	<i>i</i> -Pr	Me	CF ₃	Br
CH	CH	CH	CCl	<i>t</i> -Bu	Me	CF ₃	Br
CH	CH	CH	CCl	Bt	Me	CF ₃	I
CH	CH	CH	CCl	<i>i</i> -Pr	Me	CF ₃	I
CH	CH	CH	CCl	<i>t</i> -Bu	Me	CF ₃	I
CH	CH	CH	CCl	Bt	Me	CF ₃	F
CH	CH	CH	CCl	<i>i</i> -Pr	Me	CF ₃	F
CH	CH	CH	CCl	<i>t</i> -Bu	Me	CF ₃	F
CH	CH	CH	CCl	Bt	Me	CF ₃	Me
CH	CH	CH	CCl	<i>i</i> -Pr	Me	CF ₃	Me
CH	CH	CH	CCl	<i>t</i> -Bu	Me	CF ₃	Me
CH	CH	CH	CCl	Bt	Me	CF ₃	CF ₃
CH	CH	CH	CCl	<i>i</i> -Pr	Me	CF ₃	CF ₃
CH	CH	CH	CCl	<i>t</i> -Bu	Me	CF ₃	CF ₃
CH	CH	CH	CCl	Bt	Me	CF ₃	OMe
CH	CH	CH	CCl	<i>i</i> -Pr	Me	CF ₃	OMe
CH	CH	CH	CCl	<i>t</i> -Bu	Me	CF ₃	OMe
CH	CH	CH	CCl	Bt	Me	CF ₃	CN
CH	CH	CH	CCl	<i>i</i> -Pr	Me	CF ₃	CN
CH	CH	CH	CCl	<i>t</i> -Bu	Me	CF ₃	CN
CH	CH	CH	CCl	Bt	Cl	CF ₃	Cl
CH	CH	CH	CCl	<i>i</i> -Pr	Cl	CF ₃	Cl
CH	CH	CH	CCl	<i>t</i> -Bu	Cl	CF ₃	Cl
CH	CH	CH	CCl	Bt	Cl	CF ₃	Br
CH	CH	CH	CCl	<i>i</i> -Pr	Cl	CF ₃	Br
CH	CH	CH	CCl	<i>t</i> -Bu	Cl	CF ₃	Br

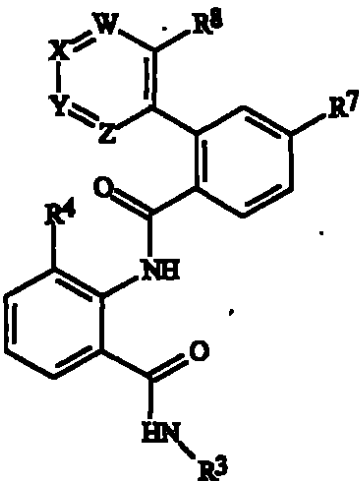
CH	CH	CH	CCl	Et	Cl	CF ₃	I
CH	CH	CH	CCl	<i>i</i> -Pr	Cl	CF ₃	I
CH	CH	CH	CCl	<i>t</i> -Bu	Cl	CF ₃	I
CH	CH	CH	CCl	Et	Cl	CF ₃	F
CH	CH	CH	CCl	<i>i</i> -Pr	Cl	CF ₃	F
CH	CH	CH	CCl	<i>t</i> -Bu	Cl	CF ₃	F
CH	CH	CH	CCl	Et	Cl	CF ₃	Me
CH	CH	CH	CCl	<i>i</i> -Pr	Cl	CF ₃	Me
CH	CH	CH	CCl	<i>t</i> -Bu	Cl	CF ₃	Me
CH	CH	CH	CCl	Et	Cl	CF ₃	CF ₃
CH	CH	CH	CCl	<i>i</i> -Pr	Cl	CF ₃	CF ₃
CH	CH	CH	CCl	<i>t</i> -Bu	Cl	CF ₃	CF ₃
CH	CH	CH	CCl	Et	Cl	CF ₃	OMe
CH	CH	CH	CCl	<i>i</i> -Pr	Cl	CF ₃	OMe
CH	CH	CH	CCl	<i>t</i> -Bu	Cl	CF ₃	OMe
CH	CH	CH	CCl	Et	Cl	CF ₃	CN
CH	CH	CH	CCl	<i>i</i> -Pr	Cl	CF ₃	CN
CH	CH	CH	CCl	<i>t</i> -Bu	Cl	CF ₃	CN
CH	CH	CH	CF	Et	Me	CF ₃	Cl
CH	CH	CH	CF	<i>i</i> -Pr	Me	CF ₃	Cl
CH	CH	CH	CF	<i>t</i> -Bu	Me	CF ₃	Cl
CH	CH	CH	CF	Et	Me	CF ₃	Br
CH	CH	CH	CF	<i>i</i> -Pr	Me	CF ₃	Br
CH	CH	CH	CF	<i>t</i> -Bu	Me	CF ₃	Br
CH	CH	CH	CF	Et	Me	CF ₃	I
CH	CH	CH	CF	<i>i</i> -Pr	Me	CF ₃	I
CH	CH	CH	CF	<i>t</i> -Bu	Me	CF ₃	I
CH	CH	CH	CF	Et	Me	CF ₃	F
CH	CH	CH	CF	<i>i</i> -Pr	Me	CF ₃	F
CH	CH	CH	CF	<i>t</i> -Bu	Me	CF ₃	F
CH	CH	CH	CF	Et	Me	CF ₃	Me
CH	CH	CH	CF	<i>i</i> -Pr	Me	CF ₃	Me
CH	CH	CH	CF	<i>t</i> -Bu	Me	CF ₃	Me
CH	CH	CH	CF	Et	Me	CF ₃	CF ₃
CH	CH	CH	CF	<i>i</i> -Pr	Me	CF ₃	CF ₃
CH	CH	CH	CF	<i>t</i> -Bu	Me	CF ₃	CF ₃
CH	CH	CH	CF	Et	Me	CF ₃	OMe

CH	CH	CH	CF	<i>i</i> -Pr	Me	CF ₃	OMe
CH	CH	CH	CF	<i>t</i> -Bu	Me	CF ₃	OMe
CH	CH	CH	CF	Et	Me	CF ₃	CN
CH	CH	CH	CF	<i>i</i> -Pr	Me	CF ₃	CN
CH	CH	CH	CF	<i>t</i> -Bu	Me	CF ₃	CN
CH	CH	CH	CF	Et	Cl	CF ₃	Cl
CH	CH	CH	CF	<i>i</i> -Pr	Cl	CF ₃	Cl
CH	CH	CH	CF	<i>t</i> -Bu	Cl	CF ₃	Cl
CH	CH	CH	CF	Et	Cl	CF ₃	Br
CH	CH	CH	CF	<i>i</i> -Pr	Cl	CF ₃	Br
CH	CH	CH	CF	<i>t</i> -Bu	Cl	CF ₃	Br
CH	CH	CH	CF	Et	Cl	CF ₃	I
CH	CH	CH	CF	<i>i</i> -Pr	Cl	CF ₃	I
CH	CH	CH	CF	<i>t</i> -Bu	Cl	CF ₃	I
CH	CH	CH	CF	<i>i</i> -Pr	Cl	CF ₃	F
CH	CH	CH	CF	<i>t</i> -Bu	Cl	CF ₃	F
CH	CH	CH	CF	Et	Cl	CF ₃	Me
CH	CH	CH	CF	<i>i</i> -Pr	Cl	CF ₃	Me
CH	CH	CH	CF	<i>t</i> -Bu	Cl	CF ₃	Me
CH	CH	CH	CF	Et	Cl	CF ₃	CF ₃
CH	CH	CH	CF	<i>i</i> -Pr	Cl	CF ₃	CF ₃
CH	CH	CH	CF	<i>t</i> -Bu	Cl	CF ₃	CF ₃
CH	CH	CH	CF	Et	Cl	CF ₃	OMe
CH	CH	CH	CF	<i>i</i> -Pr	Cl	CF ₃	OMe
CH	CH	CH	CF	<i>t</i> -Bu	Cl	CF ₃	OMe
CH	CH	CH	CF	Et	Cl	CF ₃	CN
CH	CH	CH	CF	<i>i</i> -Pr	Cl	CF ₃	CN
CH	CH	CH	CF	<i>t</i> -Bu	Cl	CF ₃	CN
CH	CH	CH	CH	Et	Me	C ₂ F ₅	Cl
CH	CH	CH	CH	<i>i</i> -Pr	Me	C ₂ F ₅	Cl
CH	CH	CH	CH	<i>t</i> -Bu	Me	C ₂ F ₅	Cl
CH	CH	CH	CH	Et	Me	C ₂ F ₅	Br
CH	CH	CH	CH	<i>i</i> -Pr	Me	C ₂ F ₅	Br
CH	CH	CH	CH	<i>t</i> -Bu	Me	C ₂ F ₅	Br
CH	CH	CH	CH	Et	Me	C ₂ F ₅	I
CH	CH	CH	CH	<i>i</i> -Pr	Me	C ₂ F ₅	I
CH	CH	CH	CH	<i>t</i> -Bu	Me	C ₂ F ₅	I

CH	CH	CH	CH	Bt	Me	C ₂ F ₅	F
CH	CH	CH	CH	<i>i</i> -Pr	Me	C ₂ F ₅	F
CH	CH	CH	CH	<i>i</i> -Bu	Me	C ₂ F ₅	F
CH	CH	CH	CH	Bt	Me	C ₂ F ₅	Me
CH	CH	CH	CH	<i>i</i> -Pr	Me	C ₂ F ₅	Me
CH	CH	CH	CH	<i>i</i> -Bu	Me	C ₂ F ₅	Me
CH	CH	CH	CH	Bt	Me	C ₂ F ₅	CF ₃
CH	CH	CH	CH	<i>i</i> -Pr	Me	C ₂ F ₅	CF ₃
CH	CH	CH	CH	<i>i</i> -Bu	Me	C ₂ F ₅	CF ₃
CH	CH	CH	CH	Bt	Me	C ₂ F ₅	OMe
CH	CH	CH	CH	<i>i</i> -Pr	Me	C ₂ F ₅	OMe
CH	CH	CH	CH ₂ F ₂	<i>i</i> -Bu	Me	C ₂ F ₅	OMe
CH	CH	CH	CH ₂ F ₂	Bt	Me	C ₂ F ₅	CN
CH	CH	CH	CH ₂ F ₂	<i>i</i> -Pr	Me	C ₂ F ₅	CN
CH	CH	CH	CH	<i>i</i> -Bu	Me	C ₂ F ₅	CN
CH	CH	CH	CH	Bt	Cl	C ₂ F ₅	Cl
CH	CH	CH	CH	<i>i</i> -Pr	Cl	C ₂ F ₅	Cl
CH	CH	CH	CH	<i>i</i> -Bu	Cl	C ₂ F ₅	Cl
CH	CH	CH	CH	Bt	Cl	C ₂ F ₅	Br
CH	CH	CH	CH	<i>i</i> -Pr	Cl	C ₂ F ₅	Br
CH	CH	CH	CH	<i>i</i> -Bu	Cl	C ₂ F ₅	Br
CH	CH	CH	CH	Bt	Cl	C ₂ F ₅	I
CH	CH	CH	CH	<i>i</i> -Pr	Cl	C ₂ F ₅	I
CH	CH	CH	CH	<i>i</i> -Bu	Cl	C ₂ F ₅	I
CH	CH	CH	CH	Bt	Cl	C ₂ F ₅	F
CH	CH	CH	CH	<i>i</i> -Pr	Cl	C ₂ F ₅	F
CH	CH	CH	CH	<i>i</i> -Bu	Cl	C ₂ F ₅	F
CH	CH	CH	CH	Bt	Cl	C ₂ F ₅	Me
CH	CH	CH	CH	<i>i</i> -Pr	Cl	C ₂ F ₅	Me
CH	CH	CH	CH	<i>i</i> -Bu	Cl	C ₂ F ₅	Me
CH	CH	CH	CH	Bt	Cl	C ₂ F ₅	CF ₃
CH	CH	CH	CH	<i>i</i> -Pr	Cl	C ₂ F ₅	CF ₃
CH	CH	CH	CH	<i>i</i> -Bu	Cl	C ₂ F ₅	CF ₃
CH	CH	CH	CH	Bt	Cl	C ₂ F ₅	OMe
CH	CH	CH	CH	<i>i</i> -Pr	Cl	C ₂ F ₅	OMe
CH	CH	CH	CH	<i>i</i> -Bu	Cl	C ₂ F ₅	OMe
CH	CH	CH	CH	Bt	Cl	C ₂ F ₅	CN

CH	CH	CH	CH	<i>i</i> -Pr	Cl	C ₂ F ₅	CN
CH	CH	CH	CH	<i>t</i> -Bu	Cl	C ₂ F ₅	CN

Table 15



W	X	Y	Z	R ³	R ⁴	R ⁷	R ⁸
CH	CH	CH	CH	Et	Me	CF ₃	Cl
CH	CH	CH	CH	<i>i</i> -Pr	Me	CF ₃	Cl
CH	CH	CH	CH	<i>t</i> -Bu	Me	CF ₃	Cl
CH	CH	CH	CH	Et	Me	CF ₃	Br
CH	CH	CH	CH	<i>i</i> -Pr	Me	CF ₃	Br
CH	CH	CH	CH	<i>t</i> -Bu	Me	CF ₃	Br
CH	CH	CH	CH	Et	Me	CF ₃	I
CH	CH	CH	CH	<i>i</i> -Pr	Me	CF ₃	I
CH	CH	CH	CH	<i>t</i> -Bu	Me	CF ₃	I
CH	CH	CH	CH	Et	Me	CF ₃	F
CH	CH	CH	CH	<i>i</i> -Pr	Me	CF ₃	F
CH	CH	CH	CH	<i>t</i> -Bu	Me	CF ₃	F
CH	CH	CH	CH	Et	Me	CF ₃	Me
CH	CH	CH	CH	<i>i</i> -Pr	Me	CF ₃	Me
CH	CH	CH	CH	<i>t</i> -Bu	Me	CF ₃	Me
CH	CH	CH	CH	Et	Me	CF ₃	CF ₃
CH	CH	CH	CH	<i>i</i> -Pr	Me	CF ₃	CF ₃
CH	CH	CH	CH	<i>t</i> -Bu	Me	CF ₃	CF ₃
CH	CH	CH	CH	Et	Me	CF ₃	OMe
CH	CH	CH	CH	<i>i</i> -Pr	Me	CF ₃	OMe
CH	CH	CH	CH	<i>t</i> -Bu	Me	CF ₃	OMe
CH	CH	CH	CH	Et	Me	CF ₃	CN

CH	CH	CH	CH	<i>i</i> -Pr	Me	CF ₃	CN
CH	CH	CH	CH	<i>i</i> -Bu	Me	CF ₃	CN
CH	CH	CH	CH	Bt	Cl	CF ₃	Cl
CH	CH	CH	CH	<i>i</i> -Pr	Cl	CF ₃	Cl
CH	CH	CH	CH	<i>i</i> -Bu	Cl	CF ₃	Cl
CH	CH	CH	CH	Bt	Cl	CF ₃	Br
CH	CH	CH	CH	<i>i</i> -Pr	Cl	CF ₃	Br
CH	CH	CH	CH	<i>i</i> -Bu	Cl	CF ₃	Br
CH	CH	CH	CH	Bt	Cl	CF ₃	I
CH	CH	CH	CH	<i>i</i> -Pr	Cl	CF ₃	I
CH	CH	CH	CH	<i>i</i> -Bu	Cl	CF ₃	I
CH	CH	CH	CH	Bt	Cl	CF ₃	F
CH	CH	CH	CH	<i>i</i> -Pr	Cl	CF ₃	F
CH	CH	CH	CH	<i>i</i> -Bu	Cl	CF ₃	F
CH	CH	CH	CH	Bt	Cl	CF ₃	Me
CH	CH	CH	CH	<i>i</i> -Pr	Cl	CF ₃	Me
CH	CH	CH	CH	<i>i</i> -Bu	Cl	CF ₃	Me
CH	CH	CH	CH	Bt	Cl	CF ₃	CF ₃
CH	CH	CH	CH	<i>i</i> -Pr	Cl	CF ₃	CF ₃
CH	CH	CH	CH	<i>i</i> -Bu	Cl	CF ₃	CF ₃
CH	CH	CH	CH	Bt	Cl	CF ₃	OMe
CH	CH	CH	CH	<i>i</i> -Pr	Cl	CF ₃	OMe
CH	CH	CH	CH	<i>i</i> -Bu	Cl	CF ₃	OMe
CH	CH	CH	CH	Bt	Cl	CF ₃	CN
CH	CH	CH	CH	<i>i</i> -Pr	Cl	CF ₃	CN
CH	CH	CH	CH	<i>i</i> -Bu	Cl	CF ₃	CN
CH	CH	CH	N	Bt	Me	CF ₃	Cl
CH	CH	CH	N	<i>i</i> -Pr	Me	CF ₃	Cl
CH	CH	CH	N	<i>i</i> -Bu	Me	CF ₃	Cl
CH	CH	CH	N	Bt	Me	CF ₃	Br
CH	CH	CH	N	<i>i</i> -Pr	Me	CF ₃	Br
CH	CH	CH	N	<i>i</i> -Bu	Me	CF ₃	Br
CH	CH	CH	N	Bt	Me	CF ₃	I
CH	CH	CH	N	<i>i</i> -Pr	Me	CF ₃	I
CH	CH	CH	N	<i>i</i> -Bu	Me	CF ₃	I
CH	CH	CH	N	Bt	Me	CF ₃	F
CH	CH	CH	N	<i>i</i> -Pr	Me	CF ₃	F

CH	CH	CH	N	<i>i</i> -Bu	Me	CF ₃	F
CH	CH	CH	N	Et	Me	CF ₃	Me
CH	CH	CH	N	<i>i</i> -Pr	Me	CF ₃	Me
CH	CH	CH	N	<i>i</i> -Bu	Me	CF ₃	Me
CH	CH	CH	N	Et	Me	CF ₃	CF ₃
CH	CH	CH	N	<i>i</i> -Pr	Me	CF ₃	CF ₃
CH	CH	CH	N	<i>i</i> -Bu	Me	CF ₃	CF ₃
CH	CH	CH	N	Et	Me	CF ₃	OMe
CH	CH	CH	N	<i>i</i> -Pr	Me	CF ₃	OMe
CH	CH	CH	N	<i>i</i> -Bu	Me	CF ₃	OMe
CH	CH	CH	N	Et	Me	CF ₃	CN
CH	CH	CH	N	<i>i</i> -Pr	Me	CF ₃	CN
CH	CH	CH	N	<i>i</i> -Bu	Me	CF ₃	CN
CH	CH	CH	N	Et	Cl	CF ₃	Cl
CH	CH	CH	N	<i>i</i> -Pr	Cl	CF ₃	Cl
CH	CH	CH	N	<i>i</i> -Bu	Cl	CF ₃	Cl
CH	CH	CH	N	Et	Cl	CF ₃	Br
CH	CH	CH	N	<i>i</i> -Pr	Cl	CF ₃	Br
CH	CH	CH	N	<i>i</i> -Bu	Cl	CF ₃	Br
CH	CH	CH	N	Et	Cl	CF ₃	I
CH	CH	CH	N	<i>i</i> -Pr	Cl	CF ₃	I
CH	CH	CH	N	<i>i</i> -Bu	Cl	CF ₃	I
CH	CH	CH	N	Et	Cl	CF ₃	F
CH	CH	CH	N	<i>i</i> -Pr	Cl	CF ₃	F
CH	CH	CH	N	<i>i</i> -Bu	Cl	CF ₃	F
CH	CH	CH	N	Et	Cl	CF ₃	Me
CH	CH	CH	N	<i>i</i> -Pr	Cl	CF ₃	Me
CH	CH	CH	N	<i>i</i> -Bu	Cl	CF ₃	Me
CH	CH	CH	N	Et	Cl	CF ₃	CF ₃
CH	CH	CH	N	<i>i</i> -Pr	Cl	CF ₃	CF ₃
CH	CH	CH	N	<i>i</i> -Bu	Cl	CF ₃	CF ₃
CH	CH	CH	N	Et	Cl	CF ₃	OMe
CH	CH	CH	N	<i>i</i> -Pr	Cl	CF ₃	OMe
CH	CH	CH	N	<i>i</i> -Bu	Cl	CF ₃	OMe
CH	CH	CH	N	Et	Cl	CF ₃	CN
CH	CH	CH	N	<i>i</i> -Pr	Cl	CF ₃	CN
CH	CH	CH	N	<i>i</i> -Bu	Cl	CF ₃	CN

CH	CH	N	CH	Et	Me	CF ₃	Cl
CH	CH	N	CH	<i>i</i> -Pr	Me	CF ₃	Cl
CH	CH	N	CH	<i>i</i> -Bu	Me	CF ₃	Cl
CH	CH	N	CH	Et	Me	CF ₃	Br
CH	CH	N	CH	<i>i</i> -Pr	Me	CF ₃	Br
CH	CH	N	CH	<i>i</i> -Bu	Me	CF ₃	Br
CH	CH	N	CH	Et	Me	CF ₃	I
CH	CH	N	CH	<i>i</i> -Pr	Me	CF ₃	I
CH	CH	N	CH	<i>i</i> -Bu	Me	CF ₃	I
CH	CH	N	CH	Et	Me	CF ₃	F
CH	CH	N	CH	<i>i</i> -Pr	Me	CF ₃	F
CH	CH	N	CH	<i>i</i> -Bu	Me	CF ₃	F
CH	CH	N	CH	Et	Me	CF ₃	Me
CH	CH	N	CH	<i>i</i> -Pr	Me	CF ₃	Me
CH	CH	N	CH	<i>i</i> -Bu	Me	CF ₃	Me
CH	CH	N	CH	Et	Me	CF ₃	CF ₃
CH	CH	N	CH	<i>i</i> -Pr	Me	CF ₃	CF ₃
CH	CH	N	CH	<i>i</i> -Bu	Me	CF ₃	CF ₃
CH	CH	N	CH	Et	Me	CF ₃	OMe
CH	CH	N	CH	<i>i</i> -Pr	Me	CF ₃	OMe
CH	CH	N	CH	<i>i</i> -Bu	Me	CF ₃	OMe
CH	CH	N	CH	Et	Me	CF ₃	CN
CH	CH	N	CH	<i>i</i> -Pr	Me	CF ₃	CN
CH	CH	N	CH	<i>i</i> -Bu	Me	CF ₃	CN
CH	CH	N	CH	Et	Cl	CF ₃	Cl
CH	CH	N	CH	<i>i</i> -Pr	Cl	CF ₃	Cl
CH	CH	N	CH	<i>i</i> -Bu	Cl	CF ₃	Cl
CH	CH	N	CH	Et	Cl	CF ₃	Br
CH	CH	N	CH	<i>i</i> -Pr	Cl	CF ₃	Br
CH	CH	N	CH	<i>i</i> -Bu	Cl	CF ₃	Br
CH	CH	N	CH	Et	Cl	CF ₃	I
CH	CH	N	CH	<i>i</i> -Pr	Cl	CF ₃	I
CH	CH	N	CH	<i>i</i> -Bu	Cl	CF ₃	I
CH	CH	N	CH	Et	Cl	CF ₃	F
CH	CH	N	CH	<i>i</i> -Pr	Cl	CF ₃	F
CH	CH	N	CH	<i>i</i> -Bu	Cl	CF ₃	F
CH	CH	N	CH	Et	Cl	CF ₃	Me

CH	CH	N	CH	<i>i</i> -Pr	Cl	CF ₃	Me
CH	CH	N	CH	<i>t</i> -Bu	Cl	CF ₃	Me
CH	CH	N	CH	Et	Cl	CF ₃	CF ₃
CH	CH	N	CH	<i>i</i> -Pr	Cl	CF ₃	CF ₃
CH	CH	N	CH	<i>t</i> -Bu	Cl	CF ₃	CF ₃
CH	CH	N	CH	Et	Cl	CF ₃	OMe
CH	CH	N	CH	<i>i</i> -Pr	Cl	CF ₃	OMe
CH	CH	N	CH	<i>t</i> -Bu	Cl	CF ₃	OMe
CH	CH	N	CH	Et	Cl	CF ₃	CN
CH	CH	N	CH	<i>i</i> -Pr	Cl	CF ₃	CN
CH	CH	N	CH	<i>t</i> -Bu	Cl	CF ₃	CN
CH	N	CH	CH	Et	Me	CF ₃	Cl
CH	N	CH	CH	<i>i</i> -Pr	Me	CF ₃	Cl
CH	N	CH	CH	<i>t</i> -Bu	Me	CF ₃	Cl
CH	N	CH	CH	Et	Me	CF ₃	Br
CH	N	CH	CH	<i>i</i> -Pr	Me	CF ₃	Br
CH	N	CH	CH	<i>t</i> -Bu	Me	CF ₃	Br
CH	N	CH	CH	Et	Me	CF ₃	I
CH	N	CH	CH	<i>i</i> -Pr	Me	CF ₃	I
CH	N	CH	CH	<i>t</i> -Bu	Me	CF ₃	I
CH	N	CH	CH	Et	Me	CF ₃	F
CH	N	CH	CH	<i>i</i> -Pr	Me	CF ₃	F
CH	N	CH	CH	<i>t</i> -Bu	Me	CF ₃	F
CH	N	CH	CH	Et	Me	CF ₃	Me
CH	N	CH	CH	<i>i</i> -Pr	Me	CF ₃	Me
CH	N	CH	CH	<i>t</i> -Bu	Me	CF ₃	Me
CH	N	CH	CH	Et	Me	CF ₃	CF ₃
CH	N	CH	CH	<i>i</i> -Pr	Me	CF ₃	CF ₃
CH	N	CH	CH	<i>t</i> -Bu	Me	CF ₃	CF ₃
CH	N	CH	CH	Et	Me	CF ₃	OMe
CH	N	CH	CH	<i>i</i> -Pr	Me	CF ₃	OMe
CH	N	CH	CH	<i>t</i> -Bu	Me	CF ₃	OMe
CH	N	CH	CH	Et	Me	CF ₃	CN
CH	N	CH	CH	<i>i</i> -Pr	Me	CF ₃	CN
CH	N	CH	CH	<i>t</i> -Bu	Me	CF ₃	CN
CH	N	CH	CH	Et	Cl	CF ₃	Cl
CH	N	CH	CH	<i>i</i> -Pr	Cl	CF ₃	Cl

CH	N	CH	CH	<i>t</i> -Bu	Cl	CF ₃	Cl
CH	N	CH	CH	Et	Cl	CF ₃	Br
CH	N	CH	CH	<i>i</i> -Pr	Cl	CF ₃	Br
CH	N	CH	CH	<i>t</i> -Bu	Cl	CF ₃	Br
CH	N	CH	CH	Et	Cl	CF ₃	I
CH	N	CH	CH	<i>i</i> -Pr	Cl	CF ₃	I
CH	N	CH	CH	<i>t</i> -Bu	Cl	CF ₃	I
CH	N	CH	CH	Et	Cl	CF ₃	F
CH	N	CH	CH	<i>i</i> -Pr	Cl	CF ₃	F
CH	N	CH	CH	<i>t</i> -Bu	Cl	CF ₃	F
CH	N	CH	CH	Et	Cl	CF ₃	Me
CH	N	CH	CH	<i>i</i> -Pr	Cl	CF ₃	Me
CH	N	CH	CH	<i>t</i> -Bu	Cl	CF ₃	Me
CH	N	CH	CH	Et	Cl	CF ₃	CF ₃
CH	N	CH	CH	<i>i</i> -Pr	Cl	CF ₃	CF ₃
CH	N	CH	CH	<i>t</i> -Bu	Cl	CF ₃	CF ₃
CH	N	CH	CH	Et	Cl	CF ₃	OMe
CH	N	CH	CH	<i>i</i> -Pr	Cl	CF ₃	OMe
CH	N	CH	CH	<i>t</i> -Bu	Cl	CF ₃	OMe
CH	N	CH	CH	Et	Cl	CF ₃	CN
CH	N	CH	CH	<i>i</i> -Pr	Cl	CF ₃	CN
CH	N	CH	CH	<i>t</i> -Bu	Cl	CF ₃	CN
N	CH	CH	CH	Et	Me	CF ₃	Cl
N	CH	CH	CH	<i>i</i> -Pr	Me	CF ₃	Cl
N	CH	CH	CH	<i>t</i> -Bu	Me	CF ₃	Cl
N	CH	CH	CH	Et	Me	CF ₃	Br
N	CH	CH	CH	<i>i</i> -Pr	Me	CF ₃	Br
N	CH	CH	CH	<i>t</i> -Bu	Me	CF ₃	Br
N	CH	CH	CH	Et	Me	CF ₃	I
N	CH	CH	CH	<i>i</i> -Pr	Me	CF ₃	I
N	CH	CH	CH	<i>t</i> -Bu	Me	CF ₃	I
N	CH	CH	CH	Et	Me	CF ₃	F
N	CH	CH	CH	<i>i</i> -Pr	Me	CF ₃	F
N	CH	CH	CH	<i>t</i> -Bu	Me	CF ₃	F
N	CH	CH	CH	Et	Me	CF ₃	Me
N	CH	CH	CH	<i>i</i> -Pr	Me	CF ₃	Me
N	CH	CH	CH	<i>t</i> -Bu	Me	CF ₃	Me

N	CH	CH	CH	Et	Me	CF ₃	CF ₃
N	CH	CH	CH	<i>i</i> -Pr	Me	CF ₃	CF ₃
N	CH	CH	CH	<i>t</i> -Bu	Me	CF ₃	CF ₃
N	CH	CH	CH	Et	Me	CF ₃	OMe
N	CH	CH	CH	<i>i</i> -Pr	Me	CF ₃	OMe
N	CH	CH	CH	<i>t</i> -Bu	Me	CF ₃	OMe
N	CH	CH	CH	Et	Me	CF ₃	CN
N	CH	CH	CH	<i>i</i> -Pr	Me	CF ₃	CN
N	CH	CH	CH	<i>t</i> -Bu	Me	CF ₃	CN
N	CH	CH	CH	Et	Cl	CF ₃	Cl
N	CH	CH	CH	<i>i</i> -Pr	Cl	CF ₃	Cl
N	CH	CH	CH	<i>t</i> -Bu	Cl	CF ₃	Cl
N	CH	CH	CH	Et	Cl	CF ₃	Br
N	CH	CH	CH	<i>i</i> -Pr	Cl	CF ₃	Br
N	CH	CH	CH	<i>t</i> -Bu	Cl	CF ₃	Br
N	CH	CH	CH	Et	Cl	CF ₃	I
N	CH	CH	CH	<i>i</i> -Pr	Cl	CF ₃	I
N	CH	CH	CH	<i>t</i> -Bu	Cl	CF ₃	I
N	CH	CH	CH	Et	Cl	CF ₃	F
N	CH	CH	CH	<i>i</i> -Pr	Cl	CF ₃	F
N	CH	CH	CH	<i>t</i> -Bu	Cl	CF ₃	F
N	CH	CH	CH	Et	Cl	CF ₃	Me
N	CH	CH	CH	<i>i</i> -Pr	Cl	CF ₃	Me
N	CH	CH	CH	<i>t</i> -Bu	Cl	CF ₃	Me
N	CH	CH	CH	Et	Cl	CF ₃	CF ₃
N	CH	CH	CH	<i>i</i> -Pr	Cl	CF ₃	CF ₃
N	CH	CH	CH	<i>t</i> -Bu	Cl	CF ₃	CF ₃
N	CH	CH	CH	Et	Cl	CF ₃	OMe
N	CH	CH	CH	<i>i</i> -Pr	Cl	CF ₃	OMe
N	CH	CH	CH	<i>t</i> -Bu	Cl	CF ₃	OMe
N	CH	CH	CH	Et	Cl	CF ₃	CN
N	CH	CH	CH	<i>i</i> -Pr	Cl	CF ₃	CN
N	CH	CH	CH	<i>t</i> -Bu	Cl	CF ₃	CN
CH	N	CH	N	Et	Me	CF ₃	Cl
CH	N	CH	N	<i>i</i> -Pr	Me	CF ₃	Cl
CH	N	CH	N	<i>t</i> -Bu	Me	CF ₃	Cl
CH	N	CH	N	Et	Me	CF ₃	Br

CH	N	CH	N	<i>i</i> -Pr	Me	CF ₃	Br
CH	N	CH	N	<i>i</i> -Bu	Me	CF ₃	Br
CH	N	CH	N	Et	Me	CF ₃	I
CH	N	CH	N	<i>i</i> -Pr	Me	CF ₃	I
CH	N	CH	N	<i>i</i> -Bu	Me	CF ₃	I
CH	N	CH	N	Et	Me	CF ₃	F
CH	N	CH	N	<i>i</i> -Pr	Me	CF ₃	F
CH	N	CH	N	<i>i</i> -Bu	Me	CF ₃	F
CH	N	CH	N	Et	Me	CF ₃	Me
CH	N	CH	N	<i>i</i> -Pr	Me	CF ₃	Me
CH	N	CH	N	<i>i</i> -Bu	Me	CF ₃	Me
CH	N	CH	N	Et	Me	CF ₃	CF ₃
CH	N	CH	N	<i>i</i> -Pr	Me	CF ₃	CF ₃
CH	N	CH	N	<i>i</i> -Bu	Me	CF ₃	CF ₃
CH	N	CH	N	Et	Me	CF ₃	OMe
CH	N	CH	N	<i>i</i> -Pr	Me	CF ₃	OMe
CH	N	CH	N	<i>i</i> -Bu	Me	CF ₃	OMe
CH	N	CH	N	Et	Me	CF ₃	CN
CH	N	CH	N	<i>i</i> -Pr	Me	CF ₃	CN
CH	N	CH	N	<i>i</i> -Bu	Me	CF ₃	CN
CH	N	CH	N	Et	Cl	CF ₃	Cl
CH	N	CH	N	<i>i</i> -Pr	Cl	CF ₃	Cl
CH	N	CH	N	<i>i</i> -Bu	Cl	CF ₃	Cl
CH	N	CH	N	Et	Cl	CF ₃	Br
CH	N	CH	N	<i>i</i> -Pr	Cl	CF ₃	Br
CH	N	CH	N	<i>i</i> -Bu	Cl	CF ₃	Br
CH	N	CH	N	Et	Cl	CF ₃	I
CH	N	CH	N	<i>i</i> -Pr	Cl	CF ₃	I
CH	N	CH	N	<i>i</i> -Bu	Cl	CF ₃	I
CH	N	CH	N	Et	Cl	CF ₃	F
CH	N	CH	N	<i>i</i> -Pr	Cl	CF ₃	F
CH	N	CH	N	<i>i</i> -Bu	Cl	CF ₃	F
CH	N	CH	N	Et	Cl	CF ₃	Me
CH	N	CH	N	<i>i</i> -Pr	Cl	CF ₃	Me
CH	N	CH	N	<i>i</i> -Bu	Cl	CF ₃	Me
CH	N	CH	N	Et	Cl	CF ₃	CF ₃
CH	N	CH	N	<i>i</i> -Pr	Cl	CF ₃	CF ₃

CH	N	CH	N	<i>t</i> -Bu	Cl	CF ₃	CF ₃
CH	N	CH	N	Et	Cl	CF ₃	OMe
CH	N	CH	N	<i>i</i> -Pr	Cl	CF ₃	OMe
CH	N	CH	N	<i>t</i> -Bu	Cl	CF ₃	OMe
CH	N	CH	N	Et	Cl	CF ₃	CN
CH	N	CH	N	<i>i</i> -Pr	Cl	CF ₃	CN
CH	N	CH	N	<i>t</i> -Bu	Cl	CF ₃	CN
CH	CH	CH	CCl	Et	Me	CF ₃	Cl
CH	CH	CH	CCl	<i>i</i> -Pr	Me	CF ₃	Cl
CH	CH	CH	CCl	<i>t</i> -Bu	Me	CF ₃	Cl
CH	CH	CH	CCl	Et	Me	CF ₃	Br
CH	CH	CH	CCl	<i>i</i> -Pr	Me	CF ₃	Br
CH	CH	CH	CCl	<i>t</i> -Bu	Me	CF ₃	Br
CH	CH	CH	CCl	Et	Me	CF ₃	I
CH	CH	CH	CCl	<i>i</i> -Pr	Me	CF ₃	I
CH	CH	CH	CCl	<i>t</i> -Bu	Me	CF ₃	I
CH	CH	CH	CCl	Et	Me	CF ₃	F
CH	CH	CH	CCl	<i>i</i> -Pr	Me	CF ₃	F
CH	CH	CH	CCl	<i>t</i> -Bu	Me	CF ₃	F
CH	CH	CH	CCl	Et	Me	CF ₃	Me
CH	CH	CH	CCl	<i>i</i> -Pr	Me	CF ₃	Me
CH	CH	CH	CCl	<i>t</i> -Bu	Me	CF ₃	Me
CH	CH	CH	CCl	Et	Me	CF ₃	CF ₃
CH	CH	CH	CCl	<i>i</i> -Pr	Me	CF ₃	CF ₃
CH	CH	CH	CCl	<i>t</i> -Bu	Me	CF ₃	CF ₃
CH	CH	CH	CCl	Et	Me	CF ₃	OMe
CH	CH	CH	CCl	<i>i</i> -Pr	Me	CF ₃	OMe
CH	CH	CH	CCl	<i>t</i> -Bu	Me	CF ₃	OMe
CH	CH	CH	CCl	Et	Me	CF ₃	CN
CH	CH	CH	CCl	<i>i</i> -Pr	Me	CF ₃	CN
CH	CH	CH	CCl	<i>t</i> -Bu	Me	CF ₃	CN
CH	CH	CH	CCl	Et	Cl	CF ₃	Cl
CH	CH	CH	CCl	<i>i</i> -Pr	Cl	CF ₃	Cl
CH	CH	CH	CCl	<i>t</i> -Bu	Cl	CF ₃	Cl
CH	CH	CH	CCl	Et	Cl	CF ₃	Br
CH	CH	CH	CCl	<i>i</i> -Pr	Cl	CF ₃	Br
CH	CH	CH	CCl	<i>t</i> -Bu	Cl	CF ₃	Br

CH	CH	CH	CCl	Et	Cl	CF ₃	I
CH	CH	CH	CCl	<i>i</i> -Pr	Cl	CF ₃	I
CH	CH	CH	CCl	<i>t</i> -Bu	Cl	CF ₃	I
CH	CH	CH	CCl	Et	Cl	CF ₃	F
CH	CH	CH	CCl	<i>i</i> -Pr	Cl	CF ₃	F
CH	CH	CH	CCl	<i>t</i> -Bu	Cl	CF ₃	F
CH	CH	CH	CCl	Et	Cl	CF ₃	Me
CH	CH	CH	CCl	<i>i</i> -Pr	Cl	CF ₃	Me
CH	CH	CH	CCl	<i>t</i> -Bu	Cl	CF ₃	Me
CH	CH	CH	CCl	Et	Cl	CF ₃	CF ₃
CH	CH	CH	CCl	<i>i</i> -Pr	Cl	CF ₃	CF ₃
CH	CH	CH	CCl	<i>t</i> -Bu	Cl	CF ₃	CF ₃
CH	CH	CH	CCl	Et	Cl	CF ₃	OMe
CH	CH	CH	CCl	<i>i</i> -Pr	Cl	CF ₃	OMe
CH	CH	CH	CCl	<i>t</i> -Bu	Cl	CF ₃	OMe
CH	CH	CH	CCl	Et	Cl	CF ₃	CN
CH	CH	CH	CCl	<i>i</i> -Pr	Cl	CF ₃	CN
CH	CH	CH	CCl	<i>t</i> -Bu	Cl	CF ₃	CN
CH	CH	CH	CF	Et	Me	CF ₃	Cl
CH	CH	CH	CF	<i>i</i> -Pr	Me	CF ₃	Cl
CH	CH	CH	CF	<i>t</i> -Bu	Me	CF ₃	Cl
CH	CH	CH	CF	Et	Me	CF ₃	Br
CH	CH	CH	CF	<i>i</i> -Pr	Me	CF ₃	Br
CH	CH	CH	CF	<i>t</i> -Bu	Me	CF ₃	Br
CH	CH	CH	CF	Et	Me	CF ₃	I
CH	CH	CH	CF	<i>i</i> -Pr	Me	CF ₃	I
CH	CH	CH	CF	<i>t</i> -Bu	Me	CF ₃	I
CH	CH	CH	CF	Et	Me	CF ₃	F
CH	CH	CH	CF	<i>i</i> -Pr	Me	CF ₃	F
CH	CH	CH	CF	<i>t</i> -Bu	Me	CF ₃	F
CH	CH	CH	CF	Et	Me	CF ₃	Me
CH	CH	CH	CF	<i>i</i> -Pr	Me	CF ₃	Me
CH	CH	CH	CF	<i>t</i> -Bu	Me	CF ₃	Me
CH	CH	CH	CF	Et	Me	CF ₃	CF ₃
CH	CH	CH	CF	<i>i</i> -Pr	Me	CF ₃	CF ₃
CH	CH	CH	CF	<i>t</i> -Bu	Me	CF ₃	CF ₃
CH	CH	CH	CF	Et	Me	CF ₃	OMe

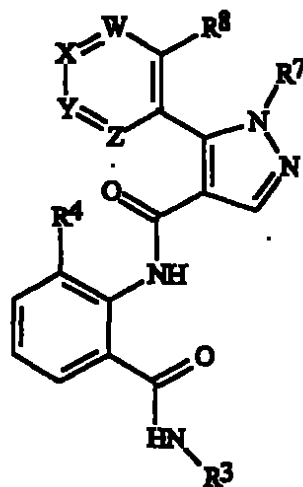
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CH	CH	CH	CF	<i>t</i> -Pr	Me	CF ₃	OMe
CH	CH	CH	CF	<i>t</i> -Bu	Me	CF ₃	OMe
CH	CH	CH	CF	Et	Me	CF ₃	CN
CH	CH	CH	CF	<i>i</i> -Pr	Me	CF ₃	CN
CH	CH	CH	CF	<i>t</i> -Bu	Me	CF ₃	CN
CH	CH	CH	CF	Et	Cl	CF ₃	Cl
CH	CH	CH	CF	<i>i</i> -Pr	Cl	CF ₃	Cl
CH	CH	CH	CF	<i>t</i> -Bu	Cl	CF ₃	Cl
CH	CH	CH	CF	Et	Cl	CF ₃	Br
CH	CH	CH	CF	<i>i</i> -Pr	Cl	CF ₃	Br
CH	CH	CH	CF	<i>t</i> -Bu	Cl	CF ₃	Br
CH	CH	CH	CF	Et	Cl	CF ₃	I
CH	CH	CH	CF	<i>i</i> -Pr	Cl	CF ₃	I
CH	CH	CH	CF	<i>t</i> -Bu	Cl	CF ₃	I
CH	CH	CH	CF	<i>i</i> -Pr	Cl	CF ₃	F
CH	CH	CH	CF	<i>t</i> -Bu	Cl	CF ₃	F
CH	CH	CH	CF	Et	Cl	CF ₃	Me
CH	CH	CH	CF	<i>i</i> -Pr	Cl	CF ₃	Me
CH	CH	CH	CF	<i>t</i> -Bu	Cl	CF ₃	Me
CH	CH	CH	CF	Et	Cl	CF ₃	CF ₃
CH	CH	CH	CF	<i>i</i> -Pr	Cl	CF ₃	CF ₃
CH	CH	CH	CF	<i>t</i> -Bu	Cl	CF ₃	CF ₃
CH	CH	CH	CF	Et	Cl	CF ₃	OMe
CH	CH	CH	CF	<i>i</i> -Pr	Cl	CF ₃	OMe
CH	CH	CH	CF	<i>t</i> -Bu	Cl	CF ₃	OMe
CH	CH	CH	CF	Et	Cl	CF ₃	CN
CH	CH	CH	CF	<i>i</i> -Pr	Cl	CF ₃	CN
CH	CH	CH	CF	<i>t</i> -Bu	Cl	CF ₃	CN
CH	CH	CH	CH	Et	Me	C ₂ F ₅	Cl
CH	CH	CH	CH	<i>i</i> -Pr	Me	C ₂ F ₅	Cl
CH	CH	CH	CH	<i>t</i> -Bu	Me	C ₂ F ₅	Cl
CH	CH	CH	CH	Et	Me	C ₂ F ₅	Br
CH	CH	CH	CH	<i>i</i> -Pr	Me	C ₂ F ₅	Br
CH	CH	CH	CH	<i>t</i> -Bu	Me	C ₂ F ₅	Br
CH	CH	CH	CH	Et	Me	C ₂ F ₅	I
CH	CH	CH	CH	<i>i</i> -Pr	Me	C ₂ F ₅	I
CH	CH	CH	CH	<i>t</i> -Bu	Me	C ₂ F ₅	I

CH	CH	CH	CH	Et	Me	C ₂ F ₅	F
CH	CH	CH	CH	<i>i</i> -Pr	Me	C ₂ F ₅	F
CH	CH	CH	CH	<i>t</i> -Bu	Me	C ₂ F ₅	F
CH	CH	CH	CH	Bt	Me	C ₂ F ₅	Me
CH	CH	CH	CH	<i>i</i> -Pr	Me	C ₂ F ₅	Me
CH	CH	CH	CH	<i>t</i> -Bu	Me	C ₂ F ₅	Me
CH	CH	CH	CH	Bt	Me	C ₂ F ₅	CF ₃
CH	CH	CH	CH	<i>i</i> -Pr	Me	C ₂ F ₅	CF ₃
CH	CH	CH	CH	<i>t</i> -Bu	Me	C ₂ F ₅	CF ₃
CH	CH	CH	CH	Bt	Me	C ₂ F ₅	OMe
CH	CH	CH	CH	<i>i</i> -Pr	Me	C ₂ F ₅	OMe
CH	CH	CH	CH	<i>t</i> -Bu	Me	C ₂ F ₅	OMe
CH	CH	CH	CH	Bt	Me	C ₂ F ₅	CN
CH	CH	CH	CH	<i>i</i> -Pr	Me	C ₂ F ₅	CN
CH	CH	CH	CH	<i>t</i> -Bu	Me	C ₂ F ₅	CN
CH	CH	CH	CH	Bt	Cl	C ₂ F ₅	Cl
CH	CH	CH	CH	<i>i</i> -Pr	Cl	C ₂ F ₅	Cl
CH	CH	CH	CH	<i>t</i> -Bu	Cl	C ₂ F ₅	Cl
CH	CH	CH	CH	Bt	Cl	C ₂ F ₅	Br
CH	CH	CH	CH	<i>i</i> -Pr	Cl	C ₂ F ₅	Br
CH	CH	CH	CH	<i>t</i> -Bu	Cl	C ₂ F ₅	Br
CH	CH	CH	CH	Bt	Cl	C ₂ F ₅	I
CH	CH	CH	CH	<i>i</i> -Pr	Cl	C ₂ F ₅	I
CH	CH	CH	CH	<i>t</i> -Bu	Cl	C ₂ F ₅	I
CH	CH	CH	CH	Bt	Cl	C ₂ F ₅	F
CH	CH	CH	CH	<i>i</i> -Pr	Cl	C ₂ F ₅	F
CH	CH	CH	CH	<i>t</i> -Bu	Cl	C ₂ F ₅	F
CH	CH	CH	CH	Bt	Cl	C ₂ F ₅	Me
CH	CH	CH	CH	<i>i</i> -Pr	Cl	C ₂ F ₅	Me
CH	CH	CH	CH	<i>t</i> -Bu	Cl	C ₂ F ₅	Me
CH	CH	CH	CH	Bt	Cl	C ₂ F ₅	CF ₃
CH	CH	CH	CH	<i>i</i> -Pr	Cl	C ₂ F ₅	CF ₃
CH	CH	CH	CH	<i>t</i> -Bu	Cl	C ₂ F ₅	CF ₃
CH	CH	CH	CH	Bt	Cl	C ₂ F ₅	OMe
CH	CH	CH	CH	<i>i</i> -Pr	Cl	C ₂ F ₅	OMe
CH	CH	CH	CH	<i>t</i> -Bu	Cl	C ₂ F ₅	OMe
CH	CH	CH	CH	Bt	Cl	C ₂ F ₅	CN

CH	CH	CH	CH	<i>t</i> -Pr	Cl	C ₂ F ₅	CN
CH	CH	CH	CH	<i>t</i> -Bu	Cl	C ₂ F ₅	CN

Table 16



W	X	Y	Z	R ³	R ⁴	R ⁷	R ⁸
CH	CH	CH	CH	Et	Me	CF ₃	Cl
CH	CH	CH	CH	<i>t</i> -Pr	Me	CF ₃	Cl
CH	CH	CH	CH	<i>t</i> -Bu	Me	CF ₃	Cl
CH	CH	CH	CH	Et	Me	CF ₃	Br
CH	CH	CH	CH	<i>t</i> -Pr	Me	CF ₃	Br
CH	CH	CH	CH	<i>t</i> -Bu	Me	CF ₃	Br
CH	CH	CH	CH	Et	Me	CF ₃	I
CH	CH	CH	CH	<i>t</i> -Pr	Me	CF ₃	I
CH	CH	CH	CH	<i>t</i> -Bu	Me	CF ₃	I
CH	CH	CH	CH	Et	Me	CF ₃	F
CH	CH	CH	CH	<i>t</i> -Pr	Me	CF ₃	F
CH	CH	CH	CH	<i>t</i> -Bu	Me	CF ₃	F
CH	CH	CH	CH	Et	Me	CF ₃	Me
CH	CH	CH	CH	<i>t</i> -Pr	Me	CF ₃	Me
CH	CH	CH	CH	<i>t</i> -Bu	Me	CF ₃	Me
CH	CH	CH	CH	Et	Me	CF ₃	CF ₃
CH	CH	CH	CH	<i>t</i> -Pr	Me	CF ₃	CF ₃
CH	CH	CH	CH	<i>t</i> -Bu	Me	CF ₃	CF ₃
CH	CH	CH	CH	Et	Me	CF ₃	OMe
CH	CH	CH	CH	<i>t</i> -Pr	Me	CF ₃	OMe
CH	CH	CH	CH	<i>t</i> -Bu	Me	CF ₃	OMe
CH	CH	CH	CH	Et	Me	CF ₃	CN

CH	CH	CH	CH	i-Pr	Me	CF ₃	CN
CH	CH	CH	CH	i-Bu	Me	CF ₃	CN
CH	CH	CH	CH	Et	Cl	CF ₃	Cl
CH	CH	CH	CH	i-Pr	Cl	CF ₃	Cl
CH	CH	CH	CH	i-Bu	Cl	CF ₃	Cl
CH	CH	CH	CH	Et	Cl	CF ₃	Br
CH	CH	CH	CH	i-Pr	Cl	CF ₃	Br
CH	CH	CH	CH	i-Bu	Cl	CF ₃	Br
CH	CH	CH	CH	Et	Cl	CF ₃	I
CH	CH	CH	CH	i-Pr	Cl	CF ₃	I
CH	CH	CH	CH	i-Bu	Cl	CF ₃	I
CH	CH	CH	CH	Et	Cl	CF ₃	F
CH	CH	CH	CH	i-Pr	Cl	CF ₃	F
CH	CH	CH	CH	i-Bu	Cl	CF ₃	F
CH	CH	CH	CH	Et	Cl	CF ₃	Me
CH	CH	CH	CH	i-Pr	Cl	CF ₃	Me
CH	CH	CH	CH	i-Bu	Cl	CF ₃	Me
CH	CH	CH	CH	Et	Cl	CF ₃	CF ₃
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CH	CH	CH	CH	i-Bu	Cl	CF ₃	CF ₃
CH	CH	CH	CH	Et	Cl	CF ₃	OMe
CH	CH	CH	CH	i-Pr	Cl	CF ₃	OMe
CH	CH	CH	CH	i-Bu	Cl	CF ₃	OMe
CH	CH	CH	CH	Et	Cl	CF ₃	CN
CH	CH	CH	CH	i-Pr	Cl	CF ₃	CN
CH	CH	CH	CH	i-Bu	Cl	CF ₃	CN
CH	CH	CH	N	Et	Me	CF ₃	Cl
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CH	CH	CH	N	i-Bu	Me	CF ₃	Cl
CH	CH	CH	N	Et	Me	CF ₃	Br
CH	CH	CH	N	i-Pr	Me	CF ₃	Br
CH	CH	CH	N	i-Bu	Me	CF ₃	Br
CH	CH	CH	N	Et	Me	CF ₃	I
CH	CH	CH	N	i-Pr	Me	CF ₃	I
CH	CH	CH	N	i-Bu	Me	CF ₃	I
CH	CH	CH	N	Et	Me	CF ₃	F
CH	CH	CH	N	i-Pr	Me	CF ₃	F

CH	CH	CH	N	<i>t</i> -Bu	Me	CF ₃	F
CH	CH	CH	N	Et	Me	CF ₃	Me
CH	CH	CH	N	<i>i</i> -Pr	Me	CF ₃	Me
CH	CH	CH	N	<i>t</i> -Bu	Me	CF ₃	Me
CH	CH	CH	N	Et	Me	CF ₃	CF ₃
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CH	CH	CH	N	<i>t</i> -Bu	Me	CF ₃	CF ₃
CH	CH	CH	N	Et	Me	CF ₃	OMe
CH	CH	CH	N	<i>i</i> -Pr	Me	CF ₃	OMe
CH	CH	CH	N	<i>t</i> -Bu	Me	CF ₃	OMe
CH	CH	CH	N	Et	Me	CF ₃	CN
CH	CH	CH	N	<i>i</i> -Pr	Me	CF ₃	CN
CH	CH	CH	N	<i>t</i> -Bu	Me	CF ₃	CN
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CH	CH	CH	N	<i>t</i> -Bu	Cl	CF ₃	CF ₃
CH	CH	CH	N	Et	Cl	CF ₃	OMe
CH	CH	CH	N	<i>i</i> -Pr	Cl	CF ₃	OMe
CH	CH	CH	N	<i>t</i> -Bu	Cl	CF ₃	OMe
CH	CH	CH	N	Et	Cl	CF ₃	CN
CH	CH	CH	N	<i>i</i> -Pr	Cl	CF ₃	CN
CH	CH	CH	N	<i>t</i> -Bu	Cl	CF ₃	CN

CH	CH	N	CH	Et	Me	CF ₃	Cl
CH	CH	N	CH	i-Pr	Me	CF ₃	Cl
CH	CH	N	CH	t-Bu	Me	CF ₃	Cl
CH	CH	N	CH	Et	Me	CF ₃	Br
CH	CH	N	CH	i-Pr	Me	CF ₃	Br
CH	CH	N	CH	t-Bu	Me	CF ₃	Br
CH	CH	N	CH	Et	Me	CF ₃	I
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CH	CH	N	CH	t-Bu	Me	CF ₃	I
CH	CH	N	CH	Et	Me	CF ₃	F
CH	CH	N	CH	i-Pr	Me	CF ₃	F
CH	CH	N	CH	t-Bu	Me	CF ₃	F
CH	CH	N	CH	Et	Me	CF ₃	Me
CH	CH	N	CH	i-Pr	Me	CF ₃	Me
CH	CH	N	CH	t-Bu	Me	CF ₃	Me
CH	CH	N	CH	Et	Me	CF ₃	CF ₃
CH	CH	N	CH	i-Pr	Me	CF ₃	CF ₃
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CH	CH	N	CH	i-Pr	Cl	CF ₃	Cl
CH	CH	N	CH	t-Bu	Cl	CF ₃	Cl
CH	CH	N	CH	Et	Cl	CF ₃	Br
CH	CH	N	CH	i-Pr	Cl	CF ₃	Br
CH	CH	N	CH	t-Bu	Cl	CF ₃	Br
CH	CH	N	CH	Et	Cl	CF ₃	I
CH	CH	N	CH	i-Pr	Cl	CF ₃	I
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CH	CH	N	CH	t-Bu	Cl	CF ₃	F
CH	CH	N	CH	Et	Cl	CF ₃	Me

CH	CH	N	CH	<i>i</i> -Pr	Cl	CF ₃	Me
CH	CH	N	CH	<i>i</i> -Bu	Cl	CF ₃	Me
CH	CH	N	CH	Et	Cl	CF ₃	CF ₃
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CH	CH	N	CH	Et	Cl	CF ₃	OMe
CH	CH	N	CH	<i>i</i> -Pr	Cl	CF ₃	OMe
CH	CH	N	CH	<i>i</i> -Bu	Cl	CF ₃	OMe
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CH	N	CH	CH	<i>t</i> -Bu	Cl	CF ₃	CF ₃
CH	N	CH	CH	Et	Cl	CF ₃	OMe
CH	N	CH	CH	<i>i</i> -Pr	Cl	CF ₃	OMe
CH	N	CH	CH	<i>t</i> -Bu	Cl	CF ₃	OMe
CH	N	CH	CH	Et	Cl	CF ₃	CN
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CH	N	CH	CH	<i>t</i> -Bu	Cl	CF ₃	CN
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N	CH	CH	CH	<i>t</i> -Bu	Me	CF ₃	Cl
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N	CH	CH	CH	<i>i</i> -Pr	Me	CF ₃	Br
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CH	N	CH	N	Et	Cl	CF ₃	OMe
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CH	CH	CH	CCl	<i>t</i> -Bu	Me	CF ₃	I
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CH	CH	CH	CCl	Et	Me	CF ₃	Me
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CH	CH	CH	CCl	Et	Me	CF ₃	CN
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CH	CH	CH	CCl	<i>t</i> -Bu	Cl	CF ₃	Cl
CH	CH	CH	CCl	Et	Cl	CF ₃	Br
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CH	CH	CH	CCl	<i>t</i> -Bu	Cl	CF ₃	Br

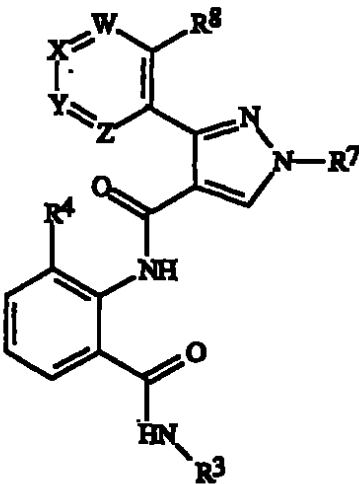
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CH	CH	CH	CCl	<i>t</i> -Bu	Cl	CF ₃	I
CH	CH	CH	CCl	Et	Cl	CF ₃	F
CH	CH	CH	CCl	<i>i</i> -Pr	Cl	CF ₃	F
CH	CH	CH	CCl	<i>t</i> -Bu	Cl	CF ₃	F
CH	CH	CH	CCl	Et	Cl	CF ₃	Me
CH	CH	CH	CCl	<i>i</i> -Pr	Cl	CF ₃	Me
CH	CH	CH	CCl	<i>t</i> -Bu	Cl	CF ₃	Me
CH	CH	CH	CCl	Et	Cl	CF ₃	CF ₃
CH	CH	CH	CCl	<i>i</i> -Pr	Cl	CF ₃	CF ₃
CH	CH	CH	CCl	<i>t</i> -Bu	Cl	CF ₃	CF ₃
CH	CH	CH	CCl	Et	Cl	CF ₃	OMe
CH	CH	CH	CCl	<i>i</i> -Pr	Cl	CF ₃	OMe
CH	CH	CH	CCl	<i>t</i> -Bu	Cl	CF ₃	OMe
CH	CH	CH	CCl	Et	Cl	CF ₃	CN
CH	CH	CH	CCl	<i>i</i> -Pr	Cl	CF ₃	CN
CH	CH	CH	CCl	<i>t</i> -Bu	Cl	CF ₃	CN
CH	CH	CH	CF	Et	Me	CF ₃	Cl
CH	CH	CH	CF	<i>i</i> -Pr	Me	CF ₃	Cl
CH	CH	CH	CF	<i>t</i> -Bu	Me	CF ₃	Cl
CH	CH	CH	CF	Et	Me	CF ₃	Br
CH	CH	CH	CF	<i>i</i> -Pr	Me	CF ₃	Br
CH	CH	CH	CF	<i>t</i> -Bu	Me	CF ₃	Br
CH	CH	CH	CF	Et	Me	CF ₃	I
CH	CH	CH	CF	<i>i</i> -Pr	Me	CF ₃	I
CH	CH	CH	CF	<i>t</i> -Bu	Me	CF ₃	I
CH	CH	CH	CF	Et	Me	CF ₃	F
CH	CH	CH	CF	<i>i</i> -Pr	Me	CF ₃	F
CH	CH	CH	CF	<i>t</i> -Bu	Me	CF ₃	F
CH	CH	CH	CF	Et	Me	CF ₃	Me
CH	CH	CH	CF	<i>i</i> -Pr	Me	CF ₃	Me
CH	CH	CH	CF	<i>t</i> -Bu	Me	CF ₃	Me
CH	CH	CH	CF	Et	Me	CF ₃	CF ₃
CH	CH	CH	CF	<i>i</i> -Pr	Me	CF ₃	CF ₃
CH	CH	CH	CF	<i>t</i> -Bu	Me	CF ₃	CF ₃
CH	CH	CH	CF	Et	Me	CF ₃	OMe

CH	CH	CH	CF	<i>i</i> -Pr	Me	CF ₃	OMe
CH	CH	CH	CF	<i>t</i> -Bu	Me	CF ₃	OMe
CH	CH	CH	CF	Et	Me	CF ₃	CN
CH	CH	CH	CF	<i>i</i> -Pr	Me	CF ₃	CN
CH	CH	CH	CF	<i>t</i> -Bu	Me	CF ₃	CN
CH	CH	CH	CF	Et	Cl	CF ₃	Cl
CH	CH	CH	CF	<i>i</i> -Pr	Cl	CF ₃	Cl
CH	CH	CH	CF	<i>t</i> -Bu	Cl	CF ₃	Cl
CH	CH	CH	CF	Et	Cl	CF ₃	Br
CH	CH	CH	CF	<i>i</i> -Pr	Cl	CF ₃	Br
CH	CH	CH	CF	<i>t</i> -Bu	Cl	CF ₃	Br
CH	CH	CH	CF	Et	Cl	CF ₃	I
CH	CH	CH	CF	<i>i</i> -Pr	Cl	CF ₃	I
CH	CH	CH	CF	<i>t</i> -Bu	Cl	CF ₃	I
CH	CH	CH	CF	<i>i</i> -Pr	Cl	CF ₃	F
CH	CH	CH	CF	<i>t</i> -Bu	Cl	CF ₃	F
CH	CH	CH	CF	Et	Cl	CF ₃	Me
CH	CH	CH	CF	<i>i</i> -Pr	Cl	CF ₃	Me
CH	CH	CH	CF	<i>t</i> -Bu	Cl	CF ₃	Me
CH	CH	CH	CF	Et	Cl	CF ₃	CF ₃
CH	CH	CH	CF	<i>i</i> -Pr	Cl	CF ₃	CF ₃
CH	CH	CH	CF	<i>t</i> -Bu	Cl	CF ₃	CF ₃
CH	CH	CH	CF	Et	Cl	CF ₃	OMe
CH	CH	CH	CF	<i>i</i> -Pr	Cl	CF ₃	OMe
CH	CH	CH	CF	<i>t</i> -Bu	Cl	CF ₃	OMe
CH	CH	CH	CF	Et	Cl	CF ₃	CN
CH	CH	CH	CF	<i>i</i> -Pr	Cl	CF ₃	CN
CH	CH	CH	CF	<i>t</i> -Bu	Cl	CF ₃	CN
CH	CH	CH	CH	Et	Me	C ₂ F ₅	Cl
CH	CH	CH	CH	<i>i</i> -Pr	Me	C ₂ F ₅	Cl
CH	CH	CH	CH	<i>t</i> -Bu	Me	C ₂ F ₅	Cl
CH	CH	CH	CH	Et	Me	C ₂ F ₅	Br
CH	CH	CH	CH	<i>i</i> -Pr	Me	C ₂ F ₅	Br
CH	CH	CH	CH	<i>t</i> -Bu	Me	C ₂ F ₅	Br
CH	CH	CH	CH	Et	Me	C ₂ F ₅	I
CH	CH	CH	CH	<i>i</i> -Pr	Me	C ₂ F ₅	I
CH	CH	CH	CH	<i>t</i> -Bu	Me	C ₂ F ₅	I

CH	CH	CH	CH	Bt	Me	C ₂ F ₅	F
CH	CH	CH	CH	<i>i</i> -Pr	Me	C ₂ F ₅	F
CH	CH	CH	CH	<i>t</i> -Bu	Me	C ₂ F ₅	F
CH	CH	CH	CH	Bt	Me	C ₂ F ₅	Me
CH	CH	CH	CH	<i>i</i> -Pr	Me	C ₂ F ₅	Me
CH	CH	CH	CH	<i>t</i> -Bu	Me	C ₂ F ₅	Me
CH	CH	CH	CH	Bt	Me	C ₂ F ₅	CF ₃
CH	CH	CH	CH	<i>i</i> -Pr	Me	C ₂ F ₅	CF ₃
CH	CH	CH	CH	<i>t</i> -Bu	Me	C ₂ F ₅	CF ₃
CH	CH	CH	CH	Bt	Me	C ₂ F ₅	OMe
CH	CH	CH	CH	<i>i</i> -Pr	Me	C ₂ F ₅	OMe
CH	CH	CH	CH	<i>t</i> -Bu	Me	C ₂ F ₅	OMe
CH	CH	CH	CH	Bt	Me	C ₂ F ₅	CN
CH	CH	CH	CH	<i>i</i> -Pr	Me	C ₂ F ₅	CN
CH	CH	CH	CH	<i>t</i> -Bu	Me	C ₂ F ₅	CN
CH	CH	CH	CH	Bt	Cl	C ₂ F ₅	Cl
CH	CH	CH	CH	<i>i</i> -Pr	Cl	C ₂ F ₅	Cl
CH	CH	CH	CH	<i>t</i> -Bu	Cl	C ₂ F ₅	Cl
CH	CH	CH	CH	Bt	Cl	C ₂ F ₅	Br
CH	CH	CH	CH	<i>i</i> -Pr	Cl	C ₂ F ₅	Br
CH	CH	CH	CH	<i>t</i> -Bu	Cl	C ₂ F ₅	Br
CH	CH	CH	CH	Bt	Cl	C ₂ F ₅	I
CH	CH	CH	CH	<i>i</i> -Pr	Cl	C ₂ F ₅	I
CH	CH	CH	CH	<i>t</i> -Bu	Cl	C ₂ F ₅	I
CH	CH	CH	CH	Bt	Cl	C ₂ F ₅	F
CH	CH	CH	CH	<i>i</i> -Pr	Cl	C ₂ F ₅	F
CH	CH	CH	CH	<i>t</i> -Bu	Cl	C ₂ F ₅	F
CH	CH	CH	CH	Bt	Cl	C ₂ F ₅	Me
CH	CH	CH	CH	<i>i</i> -Pr	Cl	C ₂ F ₅	Me
CH	CH	CH	CH	<i>t</i> -Bu	Cl	C ₂ F ₅	Me
CH	CH	CH	CH	Bt	Cl	C ₂ F ₅	CF ₃
CH	CH	CH	CH	<i>i</i> -Pr	Cl	C ₂ F ₅	CF ₃
CH	CH	CH	CH	<i>t</i> -Bu	Cl	C ₂ F ₅	CF ₃
CH	CH	CH	CH	Bt	Cl	C ₂ F ₅	OMe
CH	CH	CH	CH	<i>i</i> -Pr	Cl	C ₂ F ₅	OMe
CH	CH	CH	CH	<i>t</i> -Bu	Cl	C ₂ F ₅	OMe
CH	CH	CH	CH	Bt	Cl	C ₂ F ₅	CN

CH	CH	CH	CH	<i>i</i> -Pr	Cl	C ₂ F ₅	CN
CH	CH	CH	CH	<i>t</i> -Bu	Cl	C ₂ F ₅	CN

Table 17



W	X	Y	Z	R ³	R ⁴	R ⁷	R ⁸
CH	CH	CH	CH	Et	Me	CF ₃	Cl
CH	CH	CH	CH	<i>i</i> -Pr	Me	CF ₃	Cl
CH	CH	CH	CH	<i>t</i> -Bu	Me	CF ₃	Cl
CH	CH	CH	CH	Et	Me	CF ₃	Br
CH	CH	CH	CH	<i>i</i> -Pr	Me	CF ₃	Br
CH	CH	CH	CH	<i>t</i> -Bu	Me	CF ₃	Br
CH	CH	CH	CH	Et	Me	CF ₃	I
CH	CH	CH	CH	<i>i</i> -Pr	Me	CF ₃	I
CH	CH	CH	CH	<i>t</i> -Bu	Me	CF ₃	I
CH	CH	CH	CH	Et	Me	CF ₃	F
CH	CH	CH	CH	<i>i</i> -Pr	Me	CF ₃	F
CH	CH	CH	CH	<i>t</i> -Bu	Me	CF ₃	F
CH	CH	CH	CH	Et	Me	CF ₃	Me
CH	CH	CH	CH	<i>i</i> -Pr	Me	CF ₃	Me
CH	CH	CH	CH	<i>t</i> -Bu	Me	CF ₃	Me
CH	CH	CH	CH	Et	Me	CF ₃	CF ₃
CH	CH	CH	CH	<i>i</i> -Pr	Me	CF ₃	CF ₃
CH	CH	CH	CH	<i>t</i> -Bu	Me	CF ₃	CF ₃
CH	CH	CH	CH	Et	Me	CF ₃	OMe
CH	CH	CH	CH	<i>i</i> -Pr	Me	CF ₃	OMe
CH	CH	CH	CH	<i>t</i> -Bu	Me	CF ₃	OMe
CH	CH	CH	CH	Et	Me	CF ₃	CN

CH	CH	CH	CH	<i>i</i> -Pr	Me	CF ₃	CN
CH	CH	CH	CH	<i>i</i> -Bu	Me	CF ₃	CN
CH	CH	CH	CH	Et	Cl	CF ₃	Cl
CH	CH	CH	CH	<i>i</i> -Pr	Cl	CF ₃	Cl
CH	CH	CH	CH	<i>i</i> -Bu	Cl	CF ₃	Cl
CH	CH	CH	CH	Et	Cl	CF ₃	Br
CH	CH	CH	CH	<i>i</i> -Pr	Cl	CF ₃	Br
CH	CH	CH	CH	<i>i</i> -Bu	Cl	CF ₃	Br
CH	CH	CH	CH	Et	Cl	CF ₃	I
CH	CH	CH	CH	<i>i</i> -Pr	Cl	CF ₃	I
CH	CH	CH	CH	<i>i</i> -Bu	Cl	CF ₃	I
CH	CH	CH	CH	Et	Cl	CF ₃	F
CH	CH	CH	CH	<i>i</i> -Pr	Cl	CF ₃	F
CH	CH	CH	CH	<i>i</i> -Bu	Cl	CF ₃	F
CH	CH	CH	CH	Et	Cl	CF ₃	Me
CH	CH	CH	CH	<i>i</i> -Pr	Cl	CF ₃	Me
CH	CH	CH	CH	<i>i</i> -Bu	Cl	CF ₃	Me
CH	CH	CH	CH	Et	Cl	CF ₃	CF ₃
CH	CH	CH	CH	<i>i</i> -Pr	Cl	CF ₃	CF ₃
CH	CH	CH	CH	<i>i</i> -Bu	Cl	CF ₃	CF ₃
CH	CH	CH	CH	Et	Cl	CF ₃	OMe
CH	CH	CH	CH	<i>i</i> -Pr	Cl	CF ₃	OMe
CH	CH	CH	CH	<i>i</i> -Bu	Cl	CF ₃	OMe
CH	CH	CH	CH	Et	Cl	CF ₃	CN
CH	CH	CH	CH	<i>i</i> -Pr	Cl	CF ₃	CN
CH	CH	CH	CH	<i>i</i> -Bu	Cl	CF ₃	CN
CH	CH	CH	N	Et	Me	CF ₃	Cl
CH	CH	CH	N	<i>i</i> -Pr	Me	CF ₃	Cl
CH	CH	CH	N	<i>i</i> -Bu	Me	CF ₃	Cl
CH	CH	CH	N	Et	Me	CF ₃	Br
CH	CH	CH	N	<i>i</i> -Pr	Me	CF ₃	Br
CH	CH	CH	N	<i>i</i> -Bu	Me	CF ₃	Br
CH	CH	CH	N	Et	Me	CF ₃	I
CH	CH	CH	N	<i>i</i> -Pr	Me	CF ₃	I
CH	CH	CH	N	<i>i</i> -Bu	Me	CF ₃	I
CH	CH	CH	N	Et	Me	CF ₃	F
CH	CH	CH	N	<i>i</i> -Pr	Me	CF ₃	F

CH	CH	CH	N	<i>t</i> -Bu	Me	CF ₃	F
CH	CH	CH	N	Et	Me	CF ₃	Me
CH	CH	CH	N	<i>i</i> -Pr	Me	CF ₃	Me
CH	CH	CH	N	<i>t</i> -Bu	Me	CF ₃	Me
CH	CH	CH	N	Et	Me	CF ₃	CF ₃
CH	CH	CH	N	<i>i</i> -Pr	Me	CF ₃	CF ₃
CH	CH	CH	N	<i>t</i> -Bu	Me	CF ₃	CF ₃
CH	CH	CH	N	Et	Me	CF ₃	OMe
CH	CH	CH	N	<i>i</i> -Pr	Me	CF ₃	OMe
CH	CH	CH	N	<i>t</i> -Bu	Me	CF ₃	OMe
CH	CH	CH	N	Et	Me	CF ₃	CN
CH	CH	CH	N	<i>i</i> -Pr	Me	CF ₃	CN
CH	CH	CH	N	<i>t</i> -Bu	Me	CF ₃	CN
CH	CH	CH	N	Et	Cl	CF ₃	Cl
CH	CH	CH	N	<i>i</i> -Pr	Cl	CF ₃	Cl
CH	CH	CH	N	<i>t</i> -Bu	Cl	CF ₃	Cl
CH	CH	CH	N	Et	Cl	CF ₃	Br
CH	CH	CH	N	<i>i</i> -Pr	Cl	CF ₃	Br
CH	CH	CH	N	<i>t</i> -Bu	Cl	CF ₃	Br
CH	CH	CH	N	Et	Cl	CF ₃	I
CH	CH	CH	N	<i>i</i> -Pr	Cl	CF ₃	I
CH	CH	CH	N	<i>t</i> -Bu	Cl	CF ₃	I
CH	CH	CH	N	Et	Cl	CF ₃	F
CH	CH	CH	N	<i>i</i> -Pr	Cl	CF ₃	F
CH	CH	CH	N	<i>t</i> -Bu	Cl	CF ₃	F
CH	CH	CH	N	Et	Cl	CF ₃	Me
CH	CH	CH	N	<i>i</i> -Pr	Cl	CF ₃	Me
CH	CH	CH	N	<i>t</i> -Bu	Cl	CF ₃	Me
CH	CH	CH	N	Et	Cl	CF ₃	CF ₃
CH	CH	CH	N	<i>i</i> -Pr	Cl	CF ₃	CF ₃
CH	CH	CH	N	<i>t</i> -Bu	Cl	CF ₃	CF ₃
CH	CH	CH	N	Et	Cl	CF ₃	OMe
CH	CH	CH	N	<i>i</i> -Pr	Cl	CF ₃	OMe
CH	CH	CH	N	<i>t</i> -Bu	Cl	CF ₃	OMe
CH	CH	CH	N	Et	Cl	CF ₃	CN
CH	CH	CH	N	<i>i</i> -Pr	Cl	CF ₃	CN
CH	CH	CH	N	<i>t</i> -Bu	Cl	CF ₃	CN

CH	CH	N	CH	Et	Me	CF ₃	Cl
CH	CH	N	CH	<i>i</i> -Pr	Me	CF ₃	Cl
CH	CH	N	CH	<i>i</i> -Bu	Me	CF ₃	Cl
CH	CH	N	CH	Et	Me	CF ₃	Br
CH	CH	N	CH	<i>i</i> -Pr	Me	CF ₃	Br
CH	CH	N	CH	<i>i</i> -Bu	Me	CF ₃	Br
CH	CH	N	CH	Et	Me	CF ₃	I
CH	CH	N	CH	<i>i</i> -Pr	Me	CF ₃	I
CH	CH	N	CH	<i>i</i> -Bu	Me	CF ₃	I
CH	CH	N	CH	Et	Me	CF ₃	F
CH	CH	N	CH	<i>i</i> -Pr	Me	CF ₃	F
CH	CH	N	CH	<i>i</i> -Bu	Me	CF ₃	F
CH	CH	N	CH	Et	Me	CF ₃	Me
CH	CH	N	CH	<i>i</i> -Pr	Me	CF ₃	Me
CH	CH	N	CH	<i>i</i> -Bu	Me	CF ₃	Me
CH	CH	N	CH	Et	Me	CF ₃	CF ₃
CH	CH	N	CH	<i>i</i> -Pr	Me	CF ₃	CF ₃
CH	CH	N	CH	<i>i</i> -Bu	Me	CF ₃	CF ₃
CH	CH	N	CH	Et	Me	CF ₃	OMe
CH	CH	N	CH	<i>i</i> -Pr	Me	CF ₃	OMe
CH	CH	N	CH	<i>i</i> -Bu	Me	CF ₃	OMe
CH	CH	N	CH	Et	Me	CF ₃	CN
CH	CH	N	CH	<i>i</i> -Pr	Me	CF ₃	CN
CH	CH	N	CH	<i>i</i> -Bu	Me	CF ₃	CN
CH	CH	N	CH	Et	Cl	CF ₃	Cl
CH	CH	N	CH	<i>i</i> -Pr	Cl	CF ₃	Cl
CH	CH	N	CH	<i>i</i> -Bu	Cl	CF ₃	Cl
CH	CH	N	CH	Et	Cl	CF ₃	Br
CH	CH	N	CH	<i>i</i> -Pr	Cl	CF ₃	Br
CH	CH	N	CH	<i>i</i> -Bu	Cl	CF ₃	Br
CH	CH	N	CH	Et	Cl	CF ₃	I
CH	CH	N	CH	<i>i</i> -Pr	Cl	CF ₃	I
CH	CH	N	CH	<i>i</i> -Bu	Cl	CF ₃	I
CH	CH	N	CH	Et	Cl	CF ₃	F
CH	CH	N	CH	<i>i</i> -Pr	Cl	CF ₃	F
CH	CH	N	CH	<i>i</i> -Bu	Cl	CF ₃	F
CH	CH	N	CH	Et	Cl	CF ₃	Me

CH	CH	N	CH	<i>i</i> -Pr	Cl	CF ₃	Me
CH	CH	N	CH	<i>i</i> -Bu	Cl	CF ₃	Me
CH	CH	N	CH	Et	Cl	CF ₃	CF ₃
CH	CH	N	CH	<i>i</i> -Pr	Cl	CF ₃	CF ₃
CH	CH	N	CH	<i>i</i> -Bu	Cl	CF ₃	CF ₃
CH	CH	N	CH	Et	Cl	CF ₃	OMe
CH	CH	N	CH	<i>i</i> -Pr	Cl	CF ₃	OMe
CH	CH	N	CH	<i>i</i> -Bu	Cl	CF ₃	OMe
CH	CH	N	CH	Et	Cl	CF ₃	CN
CH	CH	N	CH	<i>i</i> -Pr	Cl	CF ₃	CN
CH	CH	N	CH	<i>i</i> -Bu	Cl	CF ₃	CN
CH	N	CH	CH	Et	Me	CF ₃	Cl
CH	N	CH	CH	<i>i</i> -Pr	Me	CF ₃	Cl
CH	N	CH	CH	<i>i</i> -Bu	Me	CF ₃	Cl
CH	N	CH	CH	Et	Me	CF ₃	Br
CH	N	CH	CH	<i>i</i> -Pr	Me	CF ₃	Br
CH	N	CH	CH	<i>i</i> -Bu	Me	CF ₃	Br
CH	N	CH	CH	Et	Me	CF ₃	I
CH	N	CH	CH	<i>i</i> -Pr	Me	CF ₃	I
CH	N	CH	CH	<i>i</i> -Bu	Me	CF ₃	I
CH	N	CH	CH	Et	Me	CF ₃	F
CH	N	CH	CH	<i>i</i> -Pr	Me	CF ₃	F
CH	N	CH	CH	<i>i</i> -Bu	Me	CF ₃	F
CH	N	CH	CH	Et	Me	CF ₃	Me
CH	N	CH	CH	<i>i</i> -Pr	Me	CF ₃	Me
CH	N	CH	CH	<i>i</i> -Bu	Me	CF ₃	Me
CH	N	CH	CH	Et	Me	CF ₃	CF ₃
CH	N	CH	CH	<i>i</i> -Pr	Me	CF ₃	CF ₃
CH	N	CH	CH	<i>i</i> -Bu	Me	CF ₃	CF ₃
CH	N	CH	CH	Et	Me	CF ₃	OMe
CH	N	CH	CH	<i>i</i> -Pr	Me	CF ₃	OMe
CH	N	CH	CH	<i>i</i> -Bu	Me	CF ₃	OMe
CH	N	CH	CH	Et	Me	CF ₃	CN
CH	N	CH	CH	<i>i</i> -Pr	Me	CF ₃	CN
CH	N	CH	CH	<i>i</i> -Bu	Me	CF ₃	CN
CH	N	CH	CH	Et	Cl	CF ₃	Cl
CH	N	CH	CH	<i>i</i> -Pr	Cl	CF ₃	Cl

CH	N	CH	CH	<i>n</i> -Bu	Cl	CF ₃	Cl
CH	N	CH	CH	Bt	Cl	CF ₃	Br
CH	N	CH	CH	<i>n</i> -Pr	Cl	CF ₃	Br
CH	N	CH	CH	<i>n</i> -Bu	Cl	CF ₃	Br
CH	N	CH	CH	Bt	Cl	CF ₃	I
CH	N	CH	CH	<i>n</i> -Pr	Cl	CF ₃	I
CH	N	CH	CH	<i>n</i> -Bu	Cl	CF ₃	I
CH	N	CH	CH	Bt	Cl	CF ₃	F
CH	N	CH	CH	<i>n</i> -Pr	Cl	CF ₃	F
CH	N	CH	CH	<i>n</i> -Bu	Cl	CF ₃	F
CH	N	CH	CH	Bt	Cl	CF ₃	Me
CH	N	CH	CH	<i>n</i> -Pr	Cl	CF ₃	Me
CH	N	CH	CH	<i>n</i> -Bu	Cl	CF ₃	Me
CH	N	CH	CH	Bt	Cl	CF ₃	CF ₃
CH	N	CH	CH	<i>n</i> -Pr	Cl	CF ₃	CF ₃
CH	N	CH	CH	<i>n</i> -Bu	Cl	CF ₃	CF ₃
CH	N	CH	CH	Bt	Cl	CF ₃	OMe
CH	N	CH	CH	<i>n</i> -Pr	Cl	CF ₃	OMe
CH	N	CH	CH	<i>n</i> -Bu	Cl	CF ₃	OMe
CH	N	CH	CH	Bt	Cl	CF ₃	CN
CH	N	CH	CH	<i>n</i> -Pr	Cl	CF ₃	CN
CH	N	CH	CH	<i>n</i> -Bu	Cl	CF ₃	CN
N	CH	CH	CH	Bt	Me	CF ₃	Cl
N	CH	CH	CH	<i>n</i> -Pr	Me	CF ₃	Cl
N	CH	CH	CH	<i>n</i> -Bu	Me	CF ₃	Cl
N	CH	CH	CH	Bt	Me	CF ₃	Br
N	CH	CH	CH	<i>n</i> -Pr	Me	CF ₃	Br
N	CH	CH	CH	<i>n</i> -Bu	Me	CF ₃	Br
N	CH	CH	CH	Bt	Me	CF ₃	I
N	CH	CH	CH	<i>n</i> -Pr	Me	CF ₃	I
N	CH	CH	CH	<i>n</i> -Bu	Me	CF ₃	I
N	CH	CH	CH	Bt	Me	CF ₃	F
N	CH	CH	CH	<i>n</i> -Pr	Me	CF ₃	F
N	CH	CH	CH	<i>n</i> -Bu	Me	CF ₃	F
N	CH	CH	CH	Bt	Me	CF ₃	Me
N	CH	CH	CH	<i>n</i> -Pr	Me	CF ₃	Me
N	CH	CH	CH	<i>n</i> -Bu	Me	CF ₃	Me

N	CH	CH	CH	Et	Me	CF ₃	CF ₃
N	CH	CH	CH	<i>i</i> -Pr	Me	CF ₃	CF ₃
N	CH	CH	CH	<i>t</i> -Bu	Me	CF ₃	CF ₃
N	CH	CH	CH	Et	Me	CF ₃	OMe
N	CH	CH	CH	<i>i</i> -Pr	Me	CF ₃	OMe
N	CH	CH	CH	<i>t</i> -Bu	Me	CF ₃	OMe
N	CH	CH	CH	Et	Me	CF ₃	CN
N	CH	CH	CH	<i>i</i> -Pr	Me	CF ₃	CN
N	CH	CH	CH	<i>t</i> -Bu	Me	CF ₃	CN
N	CH	CH	CH	Et	Cl	CF ₃	Cl
N	CH	CH	CH	<i>i</i> -Pr	Cl	CF ₃	Cl
N	CH	CH	CH	<i>t</i> -Bu	Cl	CF ₃	Cl
N	CH	CH	CH	Et	Cl	CF ₃	Br
N	CH	CH	CH	<i>i</i> -Pr	Cl	CF ₃	Br
N	CH	CH	CH	<i>t</i> -Bu	Cl	CF ₃	Br
N	CH	CH	CH	Et	Cl	CF ₃	I
N	CH	CH	CH	<i>i</i> -Pr	Cl	CF ₃	I
N	CH	CH	CH	<i>t</i> -Bu	Cl	CF ₃	I
N	CH	CH	CH	Et	Cl	CF ₃	F
N	CH	CH	CH	<i>i</i> -Pr	Cl	CF ₃	F
N	CH	CH	CH	<i>t</i> -Bu	Cl	CF ₃	F
N	CH	CH	CH	Et	Cl	CF ₃	Me
N	CH	CH	CH	<i>i</i> -Pr	Cl	CF ₃	Me
N	CH	CH	CH	<i>t</i> -Bu	Cl	CF ₃	Me
N	CH	CH	CH	Et	Cl	CF ₃	CF ₃
N	CH	CH	CH	<i>i</i> -Pr	Cl	CF ₃	CF ₃
N	CH	CH	CH	<i>t</i> -Bu	Cl	CF ₃	CF ₃
N	CH	CH	CH	Et	Cl	CF ₃	OMe
N	CH	CH	CH	<i>i</i> -Pr	Cl	CF ₃	OMe
N	CH	CH	CH	<i>t</i> -Bu	Cl	CF ₃	OMe
N	CH	CH	CH	Et	Cl	CF ₃	CN
N	CH	CH	CH	<i>i</i> -Pr	Cl	CF ₃	CN
N	CH	CH	CH	<i>t</i> -Bu	Cl	CF ₃	CN
CH	N	CH	N	Et	Me	CF ₃	Cl
CH	N	CH	N	<i>i</i> -Pr	Me	CF ₃	Cl
CH	N	CH	N	<i>t</i> -Bu	Me	CF ₃	Cl
CH	N	CH	N	Et	Me	CF ₃	Br

CH	N	CH	N	<i>i</i> -Pr	Me	CF ₃	Br
CH	N	CH	N	<i>i</i> -Bu	Me	CF ₃	Br
CH	N	CH	N	Et	Me	CF ₃	I
CH	N	CH	N	<i>i</i> -Pr	Me	CF ₃	I
CH	N	CH	N	<i>i</i> -Bu	Me	CF ₃	I
CH	N	CH	N	Et	Me	CF ₃	F
CH	N	CH	N	<i>i</i> -Pr	Me	CF ₃	F
CH	N	CH	N	<i>i</i> -Bu	Me	CF ₃	F
CH	N	CH	N	Et	Me	CF ₃	Me
CH	N	CH	N	<i>i</i> -Pr	Me	CF ₃	Me
CH	N	CH	N	<i>i</i> -Bu	Me	CF ₃	Me
CH	N	CH	N	Et	Me	CF ₃	CF ₃
CH	N	CH	N	<i>i</i> -Pr	Me	CF ₃	CF ₃
CH	N	CH	N	<i>i</i> -Bu	Me	CF ₃	CF ₃
CH	N	CH	N	Et	Me	CF ₃	OMe
CH	N	CH	N	<i>i</i> -Pr	Me	CF ₃	OMe
CH	N	CH	N	<i>i</i> -Bu	Me	CF ₃	OMe
CH	N	CH	N	Et	Me	CF ₃	CN
CH	N	CH	N	<i>i</i> -Pr	Me	CF ₃	CN
CH	N	CH	N	<i>i</i> -Bu	Me	CF ₃	CN
CH	N	CH	N	Et	Cl	CF ₃	Cl
CH	N	CH	N	<i>i</i> -Pr	Cl	CF ₃	Cl
CH	N	CH	N	<i>i</i> -Bu	Cl	CF ₃	Cl
CH	N	CH	N	Et	Cl	CF ₃	Br
CH	N	CH	N	<i>i</i> -Pr	Cl	CF ₃	Br
CH	N	CH	N	<i>i</i> -Bu	Cl	CF ₃	Br
CH	N	CH	N	Et	Cl	CF ₃	I
CH	N	CH	N	<i>i</i> -Pr	Cl	CF ₃	I
CH	N	CH	N	<i>i</i> -Bu	Cl	CF ₃	I
CH	N	CH	N	Et	Cl	CF ₃	F
CH	N	CH	N	<i>i</i> -Pr	Cl	CF ₃	F
CH	N	CH	N	<i>i</i> -Bu	Cl	CF ₃	F
CH	N	CH	N	Et	Cl	CF ₃	Me
CH	N	CH	N	<i>i</i> -Pr	Cl	CF ₃	Me
CH	N	CH	N	<i>i</i> -Bu	Cl	CF ₃	Me
CH	N	CH	N	Et	Cl	CF ₃	CF ₃
CH	N	CH	N	<i>i</i> -Pr	Cl	CF ₃	CF ₃

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CH	N	CH	N	<i>t</i> -Bu	Cl	CF ₃	CF ₃
CH	N	CH	N	Et	Cl	CF ₃	OMe
CH	N	CH	N	<i>i</i> -Pr	Cl	CF ₃	OMe
CH	N	CH	N	<i>t</i> -Bu	Cl	CF ₃	OMe
CH	N	CH	N	Et	Cl	CF ₃	CN
CH	N	CH	N	<i>i</i> -Pr	Cl	CF ₃	CN
CH	N	CH	N	<i>t</i> -Bu	Cl	CF ₃	CN
CH	CH	CH	CCl	Et	Me	CF ₃	Cl
CH	CH	CH	CCl	<i>i</i> -Pr	Me	CF ₃	Cl
CH	CH	CH	CCl	<i>t</i> -Bu	Me	CF ₃	Cl
CH	CH	CH	CCl	Et	Me	CF ₃	Br
CH	CH	CH	CCl	<i>i</i> -Pr	Me	CF ₃	Br
CH	CH	CH	CCl	<i>t</i> -Bu	Me	CF ₃	Br
CH	CH	CH	CCl	Et	Me	CF ₃	I
CH	CH	CH	CCl	<i>i</i> -Pr	Me	CF ₃	I
CH	CH	CH	CCl	<i>t</i> -Bu	Me	CF ₃	I
CH	CH	CH	CCl	Et	Me	CF ₃	F
CH	CH	CH	CCl	<i>i</i> -Pr	Me	CF ₃	F
CH	CH	CH	CCl	<i>t</i> -Bu	Me	CF ₃	F
CH	CH	CH	CCl	Et	Me	CF ₃	Me
CH	CH	CH	CCl	<i>i</i> -Pr	Me	CF ₃	Me
CH	CH	CH	CCl	<i>t</i> -Bu	Me	CF ₃	Me
CH	CH	CH	CCl	Et	Me	CF ₃	CF ₃
CH	CH	CH	CCl	<i>i</i> -Pr	Me	CF ₃	CF ₃
CH	CH	CH	CCl	<i>t</i> -Bu	Me	CF ₃	CF ₃
CH	CH	CH	CCl	Et	Me	CF ₃	OMe
CH	CH	CH	CCl	<i>i</i> -Pr	Me	CF ₃	OMe
CH	CH	CH	CCl	<i>t</i> -Bu	Me	CF ₃	OMe
CH	CH	CH	CCl	Et	Me	CF ₃	CN
CH	CH	CH	CCl	<i>i</i> -Pr	Me	CF ₃	CN
CH	CH	CH	CCl	<i>t</i> -Bu	Me	CF ₃	CN
CH	CH	CH	CCl	Et	Cl	CF ₃	Cl
CH	CH	CH	CCl	<i>i</i> -Pr	Cl	CF ₃	Cl
CH	CH	CH	CCl	<i>t</i> -Bu	Cl	CF ₃	Cl
CH	CH	CH	CCl	Et	Cl	CF ₃	Br
CH	CH	CH	CCl	<i>i</i> -Pr	Cl	CF ₃	Br
CH	CH	CH	CCl	<i>t</i> -Bu	Cl	CF ₃	Br

CH	CH	CH	CCl	Bt	Cl	CF ₃	I
CH	CH	CH	CCl	<i>i</i> -Pr	Cl	CF ₃	I
CH	CH	CH	CCl	<i>t</i> -Bu	Cl	CF ₃	I
CH	CH	CH	CCl	Bt	Cl	CF ₃	F
CH	CH	CH	CCl	<i>i</i> -Pr	Cl	CF ₃	F
CH	CH	CH	CCl	<i>t</i> -Bu	Cl	CF ₃	F
CH	CH	CH	CCl	Bt	Cl	CF ₃	Me
CH	CH	CH	CCl	<i>i</i> -Pr	Cl	CF ₃	Me
CH	CH	CH	CCl	<i>t</i> -Bu	Cl	CF ₃	Me
CH	CH	CH	CCl	Bt	Cl	CF ₃	CF ₃
CH	CH	CH	CCl	<i>i</i> -Pr	Cl	CF ₃	CF ₃
CH	CH	CH	CCl	<i>t</i> -Bu	Cl	CF ₃	CF ₃
CH	CH	CH	CCl	Bt	Cl	CF ₃	OMe
CH	CH	CH	CCl	<i>i</i> -Pr	Cl	CF ₃	OMe
CH	CH	CH	CCl	<i>t</i> -Bu	Cl	CF ₃	OMe
CH	CH	CH	CCl	Bt	Cl	CF ₃	CN
CH	CH	CH	CCl	<i>i</i> -Pr	Cl	CF ₃	CN
CH	CH	CH	CCl	<i>t</i> -Bu	Cl	CF ₃	CN
CH	CH	CH	CF	Bt	Me	CF ₃	Cl
CH	CH	CH	CF	<i>i</i> -Pr	Me	CF ₃	Cl
CH	CH	CH	CF	<i>t</i> -Bu	Me	CF ₃	Cl
CH	CH	CH	CF	Bt	Me	CF ₃	Br
CH	CH	CH	CF	<i>i</i> -Pr	Me	CF ₃	Br
CH	CH	CH	CF	<i>t</i> -Bu	Me	CF ₃	Br
CH	CH	CH	CF	Bt	Me	CF ₃	I
CH	CH	CH	CF	<i>i</i> -Pr	Me	CF ₃	I
CH	CH	CH	CF	<i>t</i> -Bu	Me	CF ₃	I
CH	CH	CH	CF	Bt	Me	CF ₃	F
CH	CH	CH	CF	<i>i</i> -Pr	Me	CF ₃	F
CH	CH	CH	CF	<i>t</i> -Bu	Me	CF ₃	F
CH	CH	CH	CF	Bt	Me	CF ₃	Me
CH	CH	CH	CF	<i>i</i> -Pr	Me	CF ₃	Me
CH	CH	CH	CF	<i>t</i> -Bu	Me	CF ₃	Me
CH	CH	CH	CF	Bt	Me	CF ₃	CF ₃
CH	CH	CH	CF	<i>i</i> -Pr	Me	CF ₃	CF ₃
CH	CH	CH	CF	<i>t</i> -Bu	Me	CF ₃	CF ₃
CH	CH	CH	CF	Bt	Me	CF ₃	OMe

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CH	CH	CH	CF	<i>i</i> -Pr	Me	CF ₃	OMe
CH	CH	CH	CF	<i>t</i> -Bu	Me	CF ₃	OMe
CH	CH	CH	CF	Bt	Me	CF ₃	CN
CH	CH	CH	CF	<i>i</i> -Pr	Me	CF ₃	CN
CH	CH	CH	CF	<i>t</i> -Bu	Me	CF ₃	CN
CH	CH	CH	CF	Bt	Cl	CF ₃	Cl
CH	CH	CH	CF	<i>i</i> -Pr	Cl	CF ₃	Cl
CH	CH	CH	CF	<i>t</i> -Bu	Cl	CF ₃	Cl
CH	CH	CH	CF	Bt	Cl	CF ₃	Br
CH	CH	CH	CF	<i>i</i> -Pr	Cl	CF ₃	Br
CH	CH	CH	CF	<i>t</i> -Bu	Cl	CF ₃	Br
CH	CH	CH	CF	Bt	Cl	CF ₃	I
CH	CH	CH	CF	<i>i</i> -Pr	Cl	CF ₃	I
CH	CH	CH	CF	<i>t</i> -Bu	Cl	CF ₃	I
CH	CH	CH	CF	<i>i</i> -Pr	Cl	CF ₃	F
CH	CH	CH	CF	<i>t</i> -Bu	Cl	CF ₃	F
CH	CH	CH	CF	Bt	Cl	CF ₃	Me
CH	CH	CH	CF	<i>i</i> -Pr	Cl	CF ₃	Me
CH	CH	CH	CF	<i>t</i> -Bu	Cl	CF ₃	Me
CH	CH	CH	CF	Bt	Cl	CF ₃	CF ₃
CH	CH	CH	CF	<i>i</i> -Pr	Cl	CF ₃	CF ₃
CH	CH	CH	CF	<i>t</i> -Bu	Cl	CF ₃	CF ₃
CH	CH	CH	CF	Bt	Cl	CF ₃	OMe
CH	CH	CH	CF	<i>i</i> -Pr	Cl	CF ₃	OMe
CH	CH	CH	CF	<i>t</i> -Bu	Cl	CF ₃	OMe
CH	CH	CH	CF	Bt	Cl	CF ₃	CN
CH	CH	CH	CF	<i>i</i> -Pr	Cl	CF ₃	CN
CH	CH	CH	CF	<i>t</i> -Bu	Cl	CF ₃	CN
CH	CH	CH	CH	Bt	Me	C ₂ F ₅	Cl
CH	CH	CH	CH	<i>i</i> -Pr	Me	C ₂ F ₅	Cl
CH	CH	CH	CH	<i>t</i> -Bu	Me	C ₂ F ₅	Cl
CH	CH	CH	CH	Bt	Me	C ₂ F ₅	Br
CH	CH	CH	CH	<i>i</i> -Pr	Me	C ₂ F ₅	Br
CH	CH	CH	CH	<i>t</i> -Bu	Me	C ₂ F ₅	Br
CH	CH	CH	CH	Bt	Me	C ₂ F ₅	I
CH	CH	CH	CH	<i>i</i> -Pr	Me	C ₂ F ₅	I
CH	CH	CH	CH	<i>t</i> -Bu	Me	C ₂ F ₅	I

CH	CH	CH	CH	Et	Me	C ₂ F ₅	F
CH	CH	CH	CH	<i>i</i> -Pr	Me	C ₂ F ₅	F
CH	CH	CH	CH	<i>t</i> -Bu	Me	C ₂ F ₅	F
CH	CH	CH	CH	Et	Me	C ₂ F ₅	Me
CH	CH	CH	CH	<i>i</i> -Pr	Me	C ₂ F ₅	Me
CH	CH	CH	CH	<i>t</i> -Bu	Me	C ₂ F ₅	Me
CH	CH	CH	CH	Et	Me	C ₂ F ₅	CF ₃
CH	CH	CH	CH	<i>i</i> -Pr	Me	C ₂ F ₅	CF ₃
CH	CH	CH	CH	<i>t</i> -Bu	Me	C ₂ F ₅	CF ₃
CH	CH	CH	CH	Et	Me	C ₂ F ₅	OMe
CH	CH	CH	CH	<i>i</i> -Pr	Me	C ₂ F ₅	OMe
CH	CH	CH	CH	<i>t</i> -Bu	Me	C ₂ F ₅	OMe
CH	CH	CH	CH	Et	Me	C ₂ F ₅	CN
CH	CH	CH	CH	<i>i</i> -Pr	Me	C ₂ F ₅	CN
CH	CH	CH	CH	<i>t</i> -Bu	Me	C ₂ F ₅	CN
CH	CH	CH	CH	Et	Cl	C ₂ F ₅	Cl
CH	CH	CH	CH	<i>i</i> -Pr	Cl	C ₂ F ₅	Cl
CH	CH	CH	CH	<i>t</i> -Bu	Cl	C ₂ F ₅	Cl
CH	CH	CH	CH	Et	Cl	C ₂ F ₅	Br
CH	CH	CH	CH	<i>i</i> -Pr	Cl	C ₂ F ₅	Br
CH	CH	CH	CH	<i>t</i> -Bu	Cl	C ₂ F ₅	Br
CH	CH	CH	CH	Et	Cl	C ₂ F ₅	I
CH	CH	CH	CH	<i>i</i> -Pr	Cl	C ₂ F ₅	I
CH	CH	CH	CH	<i>t</i> -Bu	Cl	C ₂ F ₅	I
CH	CH	CH	CH	Et	Cl	C ₂ F ₅	F
CH	CH	CH	CH	<i>i</i> -Pr	Cl	C ₂ F ₅	F
CH	CH	CH	CH	<i>t</i> -Bu	Cl	C ₂ F ₅	F
CH	CH	CH	CH	Et	Cl	C ₂ F ₅	Me
CH	CH	CH	CH	<i>i</i> -Pr	Cl	C ₂ F ₅	Me
CH	CH	CH	CH	<i>t</i> -Bu	Cl	C ₂ F ₅	Me
CH	CH	CH	CH	Et	Cl	C ₂ F ₅	CF ₃
CH	CH	CH	CH	<i>i</i> -Pr	Cl	C ₂ F ₅	CF ₃
CH	CH	CH	CH	<i>t</i> -Bu	Cl	C ₂ F ₅	CF ₃
CH	CH	CH	CH	Et	Cl	C ₂ F ₅	OMe
CH	CH	CH	CH	<i>i</i> -Pr	Cl	C ₂ F ₅	OMe
CH	CH	CH	CH	<i>t</i> -Bu	Cl	C ₂ F ₅	OMe
CH	CH	CH	CH	Et	Cl	C ₂ F ₅	CN

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CH	CH	CH	CH	i-Pr	Cl	C ₂ F ₅	CN
CH	CH	CH	CH	t-Bu	Cl	C ₂ F ₅	CN

Formulation/Utility

Compounds of this invention will generally be used as a formulation or composition with an agriculturally 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, 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 that add up to 100 percent by weight.

	Weight Percent		
	Active Ingredient	Diluent	Surfactant
Water-Dispersible and Water-soluble Granules, Tablets and Powders.	5-90	0-94	1-15
Suspensions, Emulsions, Solutions (including Emulsifiable Concentrates)	5-50	40-95	0-15
Dusts	1-25	70-99	0-5
Granules and Pellets	0.01-99	5-99.99	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
5 alkylphenols, polyethoxylated sorbitan fatty acid esters, dialkyl sulfosuccinates, alkyl
sulfates, alkylbenzene sulfonates, organosilicones, *N,N*-dialkyltaurates, lignin sulfonates,
naphthalene sulfonate formaldehyde condensates, polycarboxylates, and
polyoxyethylene/polyoxypropylene block copolymers. Solid diluents include, for example,
10 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, paraffins,
alkylbenzenes, alkylnaphthalenes, oils of olive, castor, linseed, tung, sesame, corn, peanut,
15 cotton-seed, soybean, rape-seed and coconut, fatty acid esters, ketones such as
cyclohexanone, 2-heptanone, isophorone and 4-hydroxy-4-methyl-2-pentanone, and alcohols
such as methanol, cyclohexanol, decanol and tetrahydrofurfuryl alcohol.

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
20 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.
25 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 U.S. 3,235,361, Col. 6,
30 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; and Hance et al., *Weed Control Handbook*, 8th Ed., Blackwell Scientific
35 Publications, Oxford, 1989.

In the following Examples, all percentages are by weight and all formulations are
prepared in conventional ways. Compound numbers refer to compounds in Index Tables A.

Example AWettable Powder

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

Example BGranule

10	Compound 1	10.0%
	attapulgit granules (low volatile matter, 0.71/0.30 mm; U.S.S. No. 25-50 sieves)	90.0%

Example CExtruded Pellet

15	Compound 1	25.0%
	anhydrous sodium sulfate	10.0%
	crude calcium ligninsulfonate	5.0%
	sodium alkylnaphthalenesulfonate	1.0%
	calcium/magnesium bentonite	59.0%

20 Example DEmulsifiable Concentrate

	Compound 1	20.0%
	blend of oil soluble sulfonates and polyoxyethylene ethers	10.0%
25	isophorone	70.0%

The compounds of this invention exhibit activity against a wide spectrum of foliar-feeding, fruit-feeding, stem or root feeding, seed-feeding, aquatic and soil-inhabiting arthropods (term "arthropods" includes insects, mites and nematodes) which are pests of growing and stored agronomic crops, forestry, greenhouse crops, ornamentals, nursery crops, stored food and fiber products, livestock, household, and public and animal health. Those skilled in the art will appreciate that not all compounds are equally effective against all growth stages of all pests. Nevertheless, all of the compounds of this invention display activity against pests that include: eggs, larvae and adults of the Order Lepidoptera; eggs, foliar-feeding, fruit-feeding, root-feeding, seed-feeding larvae and adults of the Order Coleoptera; eggs, immatures and adults of the Orders Hemiptera and Homoptera; eggs, larvae, nymphs and adults of the Order Acari; eggs, immatures and adults of the Orders Thysanoptera, Orthoptera and Dermaptera; eggs, immatures and adults of the Order Diptera;

and eggs, juveniles and adults of the Phylum Nematoda. The compounds of this invention are also active against pests of the Orders Hymenoptera, Isoptera, Siphonaptera, Blattaria, Thysanura and Psocoptera; pests belonging to the Class Arachnida and Phylum Platyhelminthes. Specifically, the compounds are active against southern corn rootworm (*Diabrotica undecimpunctata howardi*), aster leafhopper (*Mascrostes fascifrons*), boll weevil (*Anthonomus grandis*), two-spotted spider mite (*Tetranychus urticae*), fall armyworm (*Spodoptera frugiperda*), black bean aphid (*Aphis fabae*), green peach aphid (*Myzus persica*), cotton aphid (*Aphis gossypii*), Russian wheat aphid (*Duraphis noxia*), English grain aphid (*Sitobion avenae*), whitefly (*Bemisia tabaci*), tobacco budworm (*Heliothis virescens*), rice water weevil (*Lissorhoptrus oryzophilus*), rice leaf beetle (*Oulema oryzae*), whitebacked planthopper (*Sogatella furcifera*), green leafhopper (*Nephotettix cincticeps*), brown planthopper (*Nilaparvata lugens*), small brown planthopper (*Laodelphax striatellus*), rice stem borer (*Chilo suppressalis*), rice leafroller (*Cnaphalocrocis medinalis*), black rice stink bug (*Scotinophara lurida*), rice stink bug (*Oebalus pugnax*), rice bug (*Leptocorisa chinensis*), slender rice bug (*Cletus punctiger*), southern green stink bug (*Nezara viridula*) and german cockroach (*Blattella germanica*). The compounds are active on mites, demonstrating ovicidal, larvicidal and chemosterilant activity against such families as Tetranychidae including *Tetranychus urticae*, *Tetranychus chinabarinus*, *Tetranychus mcDanieli*, *Tetranychus pacificus*, *Tetranychus turkestanii*, *Byrobia rubrioculus*, *Panonychus ulmi*, *Panonychus citri*, *Eotetranychus carpini borealis*, *Eotetranychus*, *hicoriae*, *Eotetranychus sexmaculatus*, *Eotetranychus yumensis*, *Eotetranychus banksi* and *Oligonychus pratensis*; Tenuipalpidae including *Brevipalpus lewisi*, *Brevipalpus phoenicis*, *Brevipalpus californicus* and *Brevipalpus obovatus*; Eriophyidae including *Phyllocoptruta oleivora*, *Eriophyes sheldoni*, *Aculus cornutus*, *Epirrhmerus pyri* and *Eriophyes mangiferae*. See WO 90/10623 and WO 92/00673 for more detailed pest descriptions.

Compounds of this invention can also be mixed with one or more other insecticides, fungicides, nematocides, bactericides, acaricides, growth regulators, chemosterilants, semiochemicals, repellents, attractants, pheromones, feeding stimulants or other biologically active compounds to form a multi-component pesticide giving an even broader spectrum of agricultural protection. Examples of such agricultural protectants with which compounds of this invention can be formulated are: insecticides such as abamectin, acephate, avermectin, azinphos-methyl, bifenthrin, buprofezin, carbofuran, chlorfenspyr, chlorpyrifos, chlorpyrifos-methyl, clothianidin, cyfluthrin, beta-cyfluthrin, cyhalothrin, lambda-cyhalothrin, cypermethrin, deltamethrin, diafenthiuron, diazinon, diflubenzuron, dimethoate, diofenolan, emamectin, endosulfan, esfenvalerate, fenothicarb, fenoxycarb, fenpropathrin, fenvalerate, fipronil, flucythrinate, tau-fluvalinate, flufenoxuron, fonophos, imidacloprid, isofenphos, malathion, metaldehyde, methamidophos, methidathion, methomyl, methourene, methoxychlor, methyl 7-chloro-2,5-dihydro-2-[[N-

(methoxycarbonyl)-N-[4-

(trifluoromethoxy)phenyl]amino]carbonyl]indeno[1,2-e][1,3,4]oxadiazine-4a(3H)-

carboxylate (indoxacarb), monocrotophos, oxamyl, parathion, parathion-methyl, permethrin, phorate, phosalone, phosmet, phosphamidon, pirimicarb, profenofos, pymetrozine,

5 pyriproxyphen, rotenone, spionosad, sulprofos, tebufenozide, tefluthrin, terbufos, tetraclorvinphos, thiacloprid, thiodicarb, tralomethrin, trichlorfon and triflumuron;

fungicides such as acibenzolar, azoxystrobin, benomyl, blasticidin-S, Bordeaux mixture

(Tribasic copper sulfate), bromuconazole, carpropamid (KTU 3616), captafol, captan,

carbendazim, chloroneb, chlorothalonil, copper oxychloride, copper salts, cymoxanil,

10 cyproconazole, cyprodinil (CGA 219417), (S)-3,5-dichloro-N-(3-chloro-1-ethyl-1-methyl-2-oxopropyl)-4-methylbenzamide (RH 7281), diclocymet (S-2900), diclomezine, dicloran,

difenoconazole, (S)-3,5-dihydro-5-methyl-2-(methylthio)-5-phenyl-3-(phenylamino)-4H-imidazol-4-one (RP 407213), dimethomorph, diniconazole, diniconazole-M, dodine,

edifenphos, epoxiconazole (BAS 480F), famoxadone, fenamidone, fenarimol,

15 fenbuconazole, fencaramid (SZX0722), fenpiclonil, fenpropidin, fenpropimorph, fentin acetate, fentin hydroxide, fluazinam, fludioxonil, flumetover (RPA 403397),

fluquinconazole, flusilazole, flutolanil, flutriafol, folpet, fosetyl-aluminum, furalaxyl,

furametapyr (S-82658), hexaconazole, ipconazole, iprobenfos, iprodione, isoprothiolane,

kasugamycin, kresoxim-methyl, mancozeb, maneb, mefenoxam, mepromil, metalaxyl,

20 metconazole, metominostrobin/fenominostrobin (SSF-126), myclobutanil, neo-asozin (ferric methanearsonate), oxadixyl, penconazole, pencycuron, probenazole, prochloraz,

propamocarb, propiconazole, pyrifenoxy, pyraclostrobin, pyrimethanil, pyroquilon,

quinoxifen, spiroxamine, sulfur, tebuconazole, tetraconazole, thiabendazole, thifluzamide,

thiophanate-methyl, thiram, triadimefon, triadimenol, tricyclazole, trifloxystrobin,

25 triticonazole, validamycin and vinclozolin; nematocides such as aldicarb, 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 such as *Bacillus thuringiensis*, *Bacillus thuringiensis* delta endotoxin,

30 baculovirus, and entomopathogenic bacteria, virus and fungi.

Preferred insecticides and acaricides for mixing with compounds of this invention include pyrethroids such as cypermethrin, cyhalothrin, cyfluthrin and beta-cyfluthrin,

esfenvalerate, fenvalerate and tralomethrin; carbamates such as fenothicarb, methomyl,

oxamyl and thiodicarb; neonicotinoids such as clothianidin, imidacloprid and thiacloprid,

35 neuronal sodium channel blockers such as indoxacarb, insecticidal macrocyclic lactones

such as spinosad, abamectin, avermectin and emamectin; GABA antagonists such as

endosulfan and fipronil; insecticidal ureas such as flufenoxuron and triflumuron, juvenile

hormone mimics such as diofenolan and pyriproxyphen; pymetrozine; and amitraz.

Preferred biological agents for mixing with compounds of this invention include *Bacillus thuringiensis* and *Bacillus thuringiensis* delta endotoxin.

Most preferred mixtures include a mixture of a compound of this invention with cyhalothrin; a mixture of a compound of this invention with beta-cyfluthrin; a mixture of a compound of this invention with esfenvalerate; a mixture of a compound of this invention with methomyl; a mixture of a compound of this invention with imidacloprid; a mixture of a compound of this invention with thiacloprid; a mixture of a compound of this invention with indoxacarb; a mixture of a compound of this invention with abamectin; a mixture of a compound of this invention with endosulfan; a mixture of a compound of this invention with fipronil; a mixture of a compound of this invention with flufenoxuron; a mixture of a compound of this invention with pyriproxyphen; a mixture of a compound of this invention with; a mixture of a compound of this invention with pymetrozine; a mixture of a compound of this invention with amitraz; a mixture of a compound of this invention with *Bacillus thuringiensis* and a mixture of a compound of this invention with *Bacillus thuringiensis* delta endotoxin.

In certain instances, combinations with other arthropodicides having a similar spectrum of control but a different mode of action will be particularly advantageous for resistance management.

Arthropod pests are controlled and protection of agronomic, horticultural and specialty crops, animal and human health is achieved by applying one or more of the compounds of this invention, in an 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 further comprises a method for the control of foliar and soil inhabiting arthropods and nematode pests and protection of agronomic and/or nonagronomic crops, comprising applying one or more of the compounds of the invention, or compositions containing at least one such compound, in an 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. A preferred method of application is by spraying. Alternatively, granular formulations of these compounds can be applied to the plant foliage or the soil. Other methods of application include direct and residual sprays, aerial sprays, seed coats, microencapsulations, systemic uptake, baits, ear tags, boluses, foggers, fumigants, aerosols, dusts and many others. The compounds can be incorporated into baits that are consumed by the arthropods or in devices such as traps and the like.

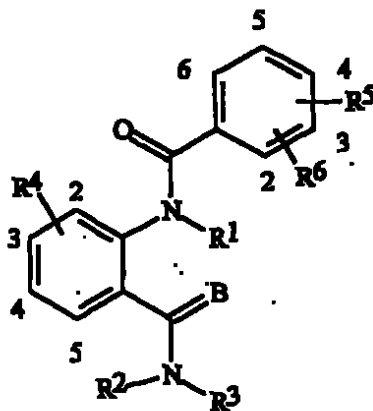
The compounds of this invention can be applied in their pure state, but most often application will be of a formulation comprising one or more compounds with suitable carriers, diluents, and surfactants and possibly in combination with a food depending on the contemplated end use. A preferred method of application involves spraying a water

dispersion or refined oil solution of the compounds. Combinations with spray oils, spray oil concentrations, spreader stickers, adjuvants, other solvents, and synergists such as piperonyl butoxide often enhance compound efficacy.

The rate of application required for effective control will depend on such factors as the species of arthropod 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 ingredient per hectare are sufficient to control pests in agronomic ecosystems, but as little as 0.001 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.

The following TEST demonstrates the control efficacy of compounds of this invention on specific pests. "Control efficacy" represents inhibition of arthropod 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 through Q for compound descriptions. The following abbreviations are used in the Index Tables which follow: t is tertiary, n is normal, i is iso, c is cyclo, s is secondary, Me is methyl, Et is ethyl, Pr is propyl, i-Pr is isopropyl, c-Pr is cyclopropyl, Bu is butyl, s-Bu is secondary butyl, Pent is pentyl, OMe is methoxy, OEt is ethoxy, SMe is methylthio, SEt is ethylthio, CN is cyano, NO₂ is nitro, and Het is heterocycle. The abbreviation "Ex." stands for "Example" and is followed by a number indicating in which example the compound is prepared.

INDEX TABLE A

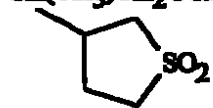


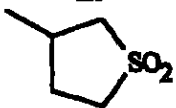
B is O, except where indicated						
Compound	R ¹	R ²	R ³	R ⁴	R ⁵ and/or R ⁶	m.p. °C
1 (Ex 1)	H	i-Pr	H	2-Me	4-OCF ₃	207-209

2	H	i-Pr	H	5-Cl	2-CF ₃	195-196
3	H	i-Pr	H	5-Cl	2-Me-4-CF ₃	182-184
4	H	i-Pr	H	2-Me	4-CF ₃	238-240
5	H	i-Pr	H	2-Me	4-CO ₂ Me	216-217
6	H	i-Pr	H	2-Me	3-NO ₂	230-233
7	H	i-Pr	H	2-Me	3-CF ₃ -4-F	223-225
8	H	i-Pr	H	2-Me	3-CN	237-239
9	H	i-Pr	H	2-Me	2-OCF ₃	191-193
10	H	t-Bu	H	2-Me	4-OCF ₃	163-167
11	H	t-Bu	H	2-Me	4-CO ₂ Me	164-169
12	H	i-Pr	H	2-Cl	4-CO ₂ Me	224-225
13	H	t-Bu	H	2-Me	2-OCF ₃	203-204
14	H	t-Bu	H	2-Me	3-NO ₂	193-195
15	H	t-Bu	H	2-Me	3-CF ₃ -4-F	198-199
16	H	i-Pr	H	2-OMe	4-OCF ₃	178-181
17	H	i-Pr	H	2-Me	2-OCF ₃	170-172
18	H	i-Pr	H	2-OMe	3-CF ₃ -4-F	209-211
19	H	i-Pr	H	2-Cl	4-OCF ₃	215-216
20	H	i-Pr	Me	2-Me	2-OCF ₃	153-155
21	H	i-Pr	H	5-Me	4-OCF ₃	173-175
22	H	i-Pr	H	5-Me	2-OCF ₃	180-185
23	H	i-Pr	H	5-Me	4-CO ₂ Me	182-184
24	H	i-Pr	Me	2-Me	4-OCF ₃	Glass
25	H	i-Pr	Me	2-Me	4-CO ₂ Me	67-73
26	H	(1,2-di-Me)-Pr	H	2-Me	4-OCF ₃	189-191
27	H	CH(CH ₃)CH ₂ OCH ₃	H	2-Me	4-OCF ₃	147-148
28	H	CH ₂ CH ₂ OCH ₃	H	2-Me	4-OCF ₃	153-155
29	H	2-Pent	H	2-Me	4-OCF ₃	165-168
30	H	s-Bu	H	2-Me	4-OCF ₃	181-183
31	H	propargyl	H	2-Me	4-OCF ₃	190-192
32	H	n-Pr	H	2-Me	4-OCF ₃	189-191
33	H	allyl	H	2-Me	4-OCF ₃	185-187
34	H	Me ₂ NCH ₂ CH ₂	H	2-Me	4-OCF ₃	168-170
35	H	propargyl	H	2-Me	4-OCF ₃	202-204
36	H	i-Bu	H	2-Me	4-OCF ₃	182-183
37	H	i-Pr	H	2,4-di-Me	4-OCF ₃	205-208
38	H	i-Pr	H	2,4-di-Me	4-CF ₃	> 230

39	H	i-Pr	H	2,4-di-Me	2-OCF ₃	231-232
40	H	i-Pr	H	2,4-di-Me	4-CO ₂ Me	219-221
41	H	i-Pr	H	2,4-di-Me	3-CF ₃ -4-F	222-224
42	H	t-Bu	H	2-OMe	4-CF ₃	210-214
43	H	t-Bu	H	2-OMe	4-OCF ₃	170-173
44	H	i-Pr	Me	2-Me	3-NO ₂	Oil
45	H	i-Pr	H	2-Cl	4-OCF ₃	187-194
46	H	t-Bu	H	2-Cl	4-OCF ₃	205-207
47	H	allyl	H	2-Cl	4-OCF ₃	188-189
48	H	n-Bu	H	2-Cl	4-OCF ₃	192-193
49	H	-CH ₂ CH ₂ CH ₂ CH ₂ -		2-Me	4-OCF ₃	138-142
50	H	CH ₂ CF ₃	H	2-Me	4-OCF ₃	> 230
51	H	n-Bu	H	2-Me	4-OCF ₃	218-220
52 (Ex 3)	H	i-Pr	H	2-Me	2-Me-4-CF ₃	247-248
53	H	i-Pr	H	5-Me	2-Me-4-CF ₃	186-188
54	H	i-Pr	H	H	4-OCF ₃	185-187
55	H	i-Pr	H	H	3-NO ₂	199-200
56	H	i-Pr	H	H	2-OCF ₃	118-122
57	Me	i-Pr	H	H	4-OCF ₃	117-118
58	Me	i-Pr	H	H	3-NO ₂	134-136
59	Me	i-Pr	H	H	2-OCF ₃	128-130
60	H	i-Pr	H	H	3-CF ₃	176-177
61	H	i-Pr	H	H	2-Me-4-CF ₃	100-106
62	H	Me	H	2-Me	4-OCF ₃	204-206
63	H	Et	H	2-Me	4-OCF ₃	198-200
64	H	NH-i-Pr	H	2-Me	4-OCF ₃	126-128
65	H	i-Pr	H	2-Me	3-CF ₃	198-200
66	H	i-Pr	H	2-Me	4-CN	> 230
67	H	i-Pr	H	2-Me	2-NO ₂	> 230
68	H	i-Pr	H	2-Me	3,5-di-CF ₃	> 230
69	H	i-Pr	H	2-Me	4-NO ₂	227-230
70	H	i-Pr	H	2-Me	2-CF ₃	227-230
71	H	i-Pr	H	H	2-Me-4-OCF ₃	118-124
72	H	i-Pr	H	H	4-CF ₃	196-198
73	H	i-Pr	H	2-Me	2-Me-4-SCF ₂ H	212-213
74	H	t-Bu	H	2-Me	2-Me-4-SCF ₂ H	193-195
75	H	i-Pr	H	2-Me	2-Me-4-OCF ₃	221-222

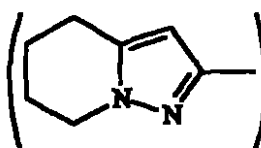
76	H	t-Bu	H	2-Me	4-CF ₃	217-219
77	H	t-Bu	H	2-Me	3-CF ₃	197-198
78	H	t-Bu	H	2-Me	3,5-di-CF ₃	206-207
79	H	t-Bu	H	2-Me	4-CN	> 230
80	H	t-Bu	H	2-Me	4-NO ₂	> 230
81	Me	i-Pr	H	2-Me	2-CF ₃	oil
82	Me	i-Pr	H	2-Me	4-OCF ₃	151-157
83	Me	i-Pr	H	H	2-Me-4-OCF ₃	103-107
84	Me	t-Bu	H	2-Me	2-Me-4-CF ₃	233-234
85	H	t-Bu	H	2-Me	2-Me-4-OCF ₃	207-209
86	H	t-Bu	H	2-Me	2,5-di-CF ₃	199-201
87	H	i-Pr	H	2-CF ₃	4-OCF ₃	183-185
88	H	i-Pr	H	2-CF ₃	4-CF ₃	211-212
89	H	t-Bu	H	2-CF ₃	4-CF ₃	191-192
90	H	R-(-)-s-Bu	H	2-Me	4-OCF ₃	170-172
91	H	S-(+)-s-Bu	H	2-Me	4-OCF ₃	177-179
92	Me	i-Pr	H	H	4-CF ₃	oil
93	Me	i-Pr	H	2-OCF ₂ H	4-OCF ₃	162-164
94	H	t-Bu	H	2-CF ₃	4-OCF ₃	145-148
95	H	i-Pr	Me	2-CF ₃	4-CF ₃	151-154
96	H	i-Pr	Me	2-CF ₃	4-OCF ₃	140-144
97	H	i-Pr	H	2-OCF ₂ H	4-CF ₃	224-227
98	H	i-Pr	H	2,4-di-Me	2-Me-4-CF ₃	> 230
99	H	i-Pr	H	2-Cl	2-Me-4-CF ₃	> 230
100	H	CH(CH ₃)CH ₂ OCH ₃	H	2-Cl	2-Me-4-CF ₃	194-197
101	H	s-Bu	H	2-Cl	2-Me-4-CF ₃	212-214
102	H	c-Pr	H	2-Me	4-OCF ₃	208-210
103	H	CH(CH ₃)CH ₂ OCH ₃	H	2,4-di-Me	4-OCF ₃	166-168
104	H	CH(CH ₃)CH ₂ OCH ₃	H	2,4-di-Me	4-CF ₃	192-194
105	H	i-Pr	H	4-Me	4-CF ₃	212-213
106	H	i-Pr	H	4-Me	4-OCF ₃	204-205
107	H	i-Pr	H	2-Br-4-Me	4-OCF ₃	> 230
108	H	t-Bu	H	2-Br-4-Me	4-OCF ₃	118-120
109	H	i-Pr	H	2-NO ₂	4-CF ₃	203-204
110	H	t-Bu	H	2-NO ₂	4-CF ₃	199-200
111	H	i-Pr	H	2-NO ₂	4-OCF ₃	204-205
112	H	t-Bu	H	2-NO ₂	4-OCF ₃	181-183

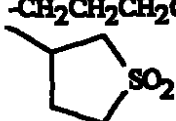
113	H	i-Pr	H	2-Me	2-Me-4-S(O) ₂ CF ₂ H	218-221
114	H	i-Pr	H	2-Me	2-Me-4-S(O)CF ₂ H	203-206
115	H	CH(CH ₃)CH ₂ OCH ₃	H	3-Cl	4-CF ₃	158-161
116	H	i-Pr	H	4-Br	4-CF ₃	232-234
117	H	t-Bu	H	4-Br	4-CF ₃	204-206
118	H	CH(CH ₃)CH ₂ OCH ₃	H	4-Br	4-CF ₃	157-158
119	H	i-Pr	H	4-Br	4-OCF ₃	221-222
120	H	t-Bu	H	4-Br	4-OCF ₃	173-175
121	H	CH(CH ₃)CH ₂ OCH ₃	H	4-Br	4-OCF ₃	153-155
122	H	CH(CH ₃)CH ₂ OCH ₃	H	3-Cl	4-OCF ₃	137-140
123	H	i-Pr	H	4-F	4-CF ₃	205-206
124	H	t-Bu	H	2-Cl	2-Me-4-CF ₃	237-240
125	H	2-Pent	H	2-Me	4-CF ₃	194-196
126	H	s-Bu	H	2-Me	4-CF ₃	207-210
127	H	Et	H	2-Me	4-CF ₃	> 240
128	H	Me	H	2-Me	4-CF ₃	236-237
129	H	i-Pr	H	4-F	4-OCF ₃	208-209
130	H	CH(CH ₃)CH ₂ OCH ₃	H	4-F	4-OCF ₃	151-152
131	H	CH(CH ₃)CH ₂ OCH ₃	H	2-Me	4-CF ₃	188-190
132	CH ₂ CO ₂ Me	i-Pr	H	H	4-CF ₃	oil
133	CH ₂ CO ₂ Me	i-Pr	H	H	4-OCF ₃	oil
134	Me	Et	H	2-Me	4-CF ₃	oil
135	Me	Et	H	2-Me	4-OCF ₃	oil
136	Me	Et	H	2-Me	2-Me-4-SCF ₂ H	132-136
137	H	CH(CH ₃)CH ₂ OCH ₃	H	2-Me-4-Br	4-CF ₃	197-199
138	H	CH(CH ₃)CH ₂ OCH ₃	H	2-Me-4-Br	4-OCF ₃	188-190
139	H	i-Pr	H	3-Cl	4-CF ₃	201-202
140	H	t-Bu	H	3-Cl	4-CF ₃	159-161
141	H	i-Pr	H	3-Cl	4-OCF ₃	190-192
142	H	t-Bu	H	3-Cl	4-OCF ₃	150-152
143	H	i-Pr	H	2-Br-4-Me	4-CF ₃	>230
144	H	t-Bu	H	2-Br-4-Me	4-CF ₃	213-215
145	H	CH(CH ₃)CH ₂ OCH ₃	H	5-F	4-CF ₃	145-147
146	H		H	2-Me	4-CF ₃	>230
147	H	i-Pr	H	2-Me	2-F-4-CF ₃	224-226
148	H	i-Pr	H	2-Me	2-CF ₃ -4-F	223-225

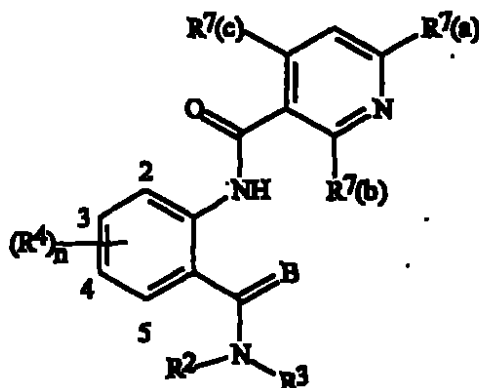
149	H	t-Bu	H	4-F	4-OCF ₃	180-187
150	H	CH(CH ₃)CH ₂ OCH ₃	H	2-Me	2-Me-4-CF ₃	194-197
151	H	Me	H	2-Me	2-Me-4-CF ₃	>230
152	H	Bt	H	2-Me	2-Me-4-CF ₃	243-245
153	H		H	2-Me	2-Me-4-CF ₃	>230
154	H	i-Pr	H	3-NO ₂	4-CF ₃	244-246
155	H	i-Pr	H	3-NO ₂	4-OCF ₃	239-240
156	H	t-Bu	H	3-NO ₂	4-OCF ₃	180-184
157	H	CH(CH ₃)CH ₂ OCH ₃	H	3-NO ₂	4-OCF ₃	172-175
158	H	t-Bu	H	3-NO ₂	4-CF ₃	194-196
159	H	CH(CH ₃)CH ₂ OCH ₃	H	3-NO ₂	4-CF ₃	178-179
160	H	i-Pr	H	2-Cl	4-CF ₃	>230
161	H	CH(CH ₃)CH ₂ OCH ₃	H	2-Cl	4-CF ₃	182-185
162	H	t-Bu	H	5-Cl	2-Me-4-CF ₃	203-205
163	H	CH(CH ₃)CH ₂ OCH ₃	H	5-Cl	2-Me-4-CF ₃	154-155
164	H	i-Pr	H	2-Me	2,4-(CF ₃) ₂	>230
165	H	i-Pr	H	2-Me	3,4-OCF ₂ O-	199-200
166	H	CH ₂ CN	H	2-Me	4-CF ₃	218-223
167	H	CH(CH ₃)Ph	H	2-Me	4-CF ₃	225-228
168	H	CH(CH ₃)Ph	H	2-Me	4-OCF ₃	208-210
169	H	t-Bu	H	2-Cl	4-CF ₃	191-193
170	H	i-Pr	Me	2-Cl	4-CF ₃	136-140
171	H	i-Pr	H	2-Me	4-SO ₂ CH ₃	>250
172	H	i-Pr	H	5-Cl	4-CF ₃	217-218
173	H	t-Bu	H	5-Cl	4-CF ₃	231-235
174	H	CH(CH ₃)CH ₂ OCH ₃	H	5-Cl	4-CF ₃	175-177
175	H	i-Pr	H	4-I	4-CF ₃	>230
176	H	t-Bu	H	4-I	4-CF ₃	215-219
177	H	CH(CH ₃)CH ₂ OCH ₃	H	4-I	4-CF ₃	173-178
178	H	i-Pr	H	4-I	4-OCF ₃	>230
179	H	t-Bu	H	4-I	4-OCF ₃	192-194
180	H	CH(CH ₃)CH ₂ OCH ₃	H	4-I	4-OCF ₃	178-180
181	H	CH ₂ (3-pyridinyl)	H	2-Me	4-CF ₃	198-199
182	H	CH ₂ CN	H	2-Me	2-Me-4-CF ₃	>230
183	H	CH(CH ₃)CO ₂ CH ₃	H	2-Me	4-CF ₃	223-225
184	H	i-Pr	H	2-F	4-CF ₃	228-229

185	H	i-Pr	H	5-F	4-CF ₃	169-170
186	H	i-Pr	H	2-F	2-Me-4-OCF ₃	206-208
187	H	i-Pr	H	5-F	2-Me-4-OCF ₃	125-126
188	H	i-Pr	H	2-F	2-Me-4-CF ₃	234-235
189	H	i-Pr	H	5-F	2-Me-4-CF ₃	133-135
190	H	CH ₂ (3-pyridinyl)	H	2-Me	4-OCF ₃	201-202
191	H	CH ₂ CH ₂ SCH ₃	H	2-Me	4-CF ₃	187-188
192	H	CH ₂ CH ₂ SCH ₃	H	2-Me	2-Me-4-CF ₃	250-251
193	H	CH ₂ CH ₂ SEt	H	2-Me	4-CF ₃	190-191
194	H	CH ₂ CH ₂ SEt	H	2-Me	2-Me-4-CF ₃	228-230
195	H	CH(CH ₃)CH=CH ₂	H	2-Me	2-Me-4-CF ₃	211-214
196	H	i-Pr	H	2-Et	4-CF ₃	228-230
197	H	CH(CH ₃)CH ₂ OCH ₃	H	2-Et	4-CF ₃	176-177
198	H	i-Pr	H	2-Me	3,4-OCF ₂ CF ₂ O-	218-220
199	H	i-Pr	H	2-Me	2-(CONMe ₂)-4,5-Cl ₂	229-230
200	H	i-Pr	H	2-Me	2-(CO-1-piperidinyl)- 4,5-Cl ₂	202-205
201	H	t-Bu	H	2-Et	4-CF ₃	187-191
202	H	CH(CH ₃)CH ₂ SCH ₃	H	2-Et	2-Me-4-CF ₃	206-208
203	H	i-Pr	H	2-Me	2-(CONMe ₂)-4-Br	191-194
204	H	i-Pr	H	2-Me	2-(CONMe ₂)-5-Br	190-194
205	H	CH(CH ₃)CH ₂ SO ₂ CH ₃	H	2-Me	2-Me-4-CF ₃	231-233
206	H	o-Pr	H	2-Me	2-Me-4-CF ₃	258-261
207	H	o-Pr	H	2-Cl	2-Me-4-CF ₃	>260
208	H	i-Pr	H	2-I	2-Me-4-OCF ₃	241-242
209	H	i-Pr	H	2-I	2-Me-4-CF ₃	260-262
210	H	i-Pr	H	2-Me	2-(CONMe ₂)-4-F	164-170
211	H	i-Pr	H	2-Me	2-(CONMe ₂)-5-F	167-171
212	H	i-Pr	H	2-Me	2-(CO-1-piperidinyl)-4- Br	105-117
213	H	CH(CH ₃)CH ₂ OH	H	2-Me	2-Me-4-CF ₃	179-180
214	H	CH(CH ₃)CH ₂ OH	H	2-Cl	2-Me-4-CF ₃	183-185
215	H	i-Pr	H	2-Cl	2-(CONMe ₂)-4-Br	165-170
216	H	i-Pr	H	2-Cl	2-(CONMe ₂)-5-Br	179-181
217	H	i-Pr	H	2-Me	2-(3-CF ₃ -1-pyrazolyl)-4- CF ₃	243-244
218	H	i-Pr	H	2-Me	2-(1-(1,2,4-triazolyl))-4-	238-240

219	H	i-Pr	H	2-Me	CF_3 2-(3-Br-1-pyrazolyl)-4-	>250
220	H	i-Pr	H	2-Me	CF_3 2-(3-CN-1-pyrazolyl)-4-	>250
221	H	i-Pr	H	2-Me	CF_3 2-(4- CF_3 -1-imidazolyl)-4-	>250
222	H	i-Pr	H	2-Me	4- CF_3 2-(3- CH_3 -1-pyrazolyl)-4-	248-250
223	H	i-Pr	H	2-Me	4- CF_3 2-(2- CH_3 -1-imidazolyl)-4-	186-188
224	H	i-Pr	H	2-Me	4- CF_3 2-(3- CF_3 -1-(1,2,4-triazolyl))-4-	254-256
225	H	i-Pr	H	2-Me	2-(1-pyrazolyl)-4- CF_3	246-248
226	H	i-Pr	H	2-Me	2-(3- CO_2Et -5-Me-1-pyrazolyl)-4- CF_3	224-225
227	H	i-Pr	H	2-Me	2-(1-imidazolyl)-4- CF_3	240-241
228	H	i-Pr	H	2-Me	2-(3- CF_3 -5-Me-1-pyrazolyl)-4- CF_3	229-231
229	H	i-Pr	H	2-Me	2-(3,5- Me_2 -1-pyrazolyl)-4- CF_3	214-218
230	H	i-Pr	H	2-Me	2-(2,4- Me_2 -1-imidazolyl)-4- CF_3	246-248
231	H	i-Pr	H	2-Me	2-(4-Me-1-imidazolyl)-4- CF_3	223-225
232	H	i-Pr	H	2-Cl	CF_3 2-(3- CF_3 -1-pyrazolyl)-4-	>250
233	H	i-Pr	H	2-Cl	CF_3 2-(1-(1,2,4-triazolyl))-4-	252-254
234	H	i-Pr	H	2-Cl	CF_3 2-(3-Br-1-pyrazolyl)-4-	>250
235	H	i-Pr	H	2-Cl	CF_3 2-(3- CO_2Et -5-Me-1-pyrazolyl)-4- CF_3	220-221
236	H	i-Pr	H	2-Cl	2-(4- CO_2Me -1-imidazolyl)-4- CF_3	255-257
237	H	i-Pr	H	2-Cl	CF_3 2-(3-CN-1-pyrazolyl)-4-	>250

238	H	i-Pr	H	2-Cl	2-(1-imidazolyl)-4-CF ₃	248-249
239	H	i-Pr	H	2-Me	2-(4-CO ₂ Me-1-imidazolyl)-4-CF ₃	219-222
240	H	i-Pr	H	2-Me	2-(2-thienyl)-4-CF ₃	241-243
241	H	i-Pr	H	2-Me	2-(3-thienyl)-4-CF ₃	229-231
242	H	i-Pr	H	2-Me	2-(2-furanyl)-4-CF ₃	246-247
243	H	i-Pr	H	2-Me	2-(3-t-Bu-1-pyrazolyl)-4-CF ₃	247-249
244	H	i-Pr	H	2-Me	2-(3-s-Bu-1-pyrazolyl)-4-CF ₃	224-225
245	H	i-Pr	H	2-Me	2-(3-c-Pr-1-pyrazolyl)-4-CF ₃	220-221
246	H	i-Pr	H	2-Me	2-(3-Me-5-isoxazolyl)-4-CF ₃	233-234
247	H	i-Pr	H	2-Me	2-()-4-CF ₃	>250
248	H	i-Pr	H	2-Me	2-(CONMe ₂)-4-CF ₃	188-192
249	H	i-Pr	H	2-Me	2-(CONMe ₂)-5-CF ₃	194-196
250	H	i-Pr	H	2-Me	2-(CO-1-pyrrolidinyl)-4-CF ₃	201-204
251	H	i-Pr	H	2-Me	2-(CO-1-pyrrolidinyl)-5-CF ₃	221-223
252	H	i-Pr	H	2-Me	2-OCH ₃ -4-CF ₃	188-189
253	H	i-Pr	H	2-Me	2-(3-Cl-5-isoxazolyl)-4-CF ₃	247-248
254	H	i-Pr	H	2-Me	2-Oi-Pr-4-CF ₃	158-159
255	H	i-Pr	H	2-Cl	2-(4-Me-1-pyrazolyl)-4-CF ₃	252-253
256	H	i-Pr	H	2-Me	2-(4-Me-1-pyrazolyl)-4-CF ₃	226-227
257	H	i-Pr	H	2,5-Cl ₂	2-Me-4-CF ₃	235-237
258	H	i-Pr	H	2-Me	4-Ph	221-224
259	H	i-Pr	H	2-Me	4-(4-OCH ₃)Ph	>230
260	H	i-Pr	H	2-Me	4-(2-Me)Ph	156-158
261	H	i-Pr	H	2-Me	4-(3-CH ₃)Ph	225-226

262	H	i-Pr	H	2-Me	4-(3-CF ₃)Ph	214-215
263	H	i-Pr	H	2-Me	4-(4-F)Ph	>230
264	H	-CH ₂ CH ₂ CH ₂ CH ₂ -		2-Cl	3-Cl	158-161
265	H		H	2-Me	4-OCF ₃	>230
266	H	i-Pr	H	2-CF ₃	2-Me-4-Br	>230
267	H	t-Bu	H	2-CF ₃	2-Me-4-Br	234-236
268	H	i-Pr	Me	2-CF ₃	2-Me-4-Br	154-158
269	H	CH(CH ₃)CH ₂ OCH ₃	H	2-CF ₃	2-Me-4-Br	202-204
270	H	s-Bu	H	2-CF ₃	2-Me-4-Br	>230
271	H	s-pentyl	H	2-CF ₃	2-Me-4-Br	215-217
272	H	i-Pr	H	2-CH ₃	2-Me-4-CF ₃	>230
273	H	i-Pr	Me	2-OCHF ₂	2-Me-4-Br	224-227
274	H	i-Pr	H	2-CH ₃	2-(CONMe ₂)-4-CF ₃	130-137
275	B is S H	i-Pr	H	2-Me	2-Me-4-CF ₃	193-195
276	H	i-Pr	H	2-Cl	2-(1-pyrazolyl)-4-CF ₃	249-250
277	B is S H	i-Pr	H	2-Me	4-OCF ₃	169-171
278	B is S H	i-Pr	H	2-Me	4-CF ₃ Ph	204-206

INDEX TABLE BR⁷(c) is H, except where indicated

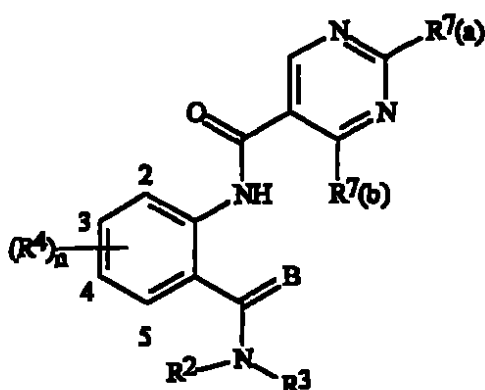
and B is O, except where indicated

Compound	R ²	R ³	(R ⁴) _n	R ⁷ (a)	R ⁷ (b)	m.p. °C
B1 (Ex. 4)	i-Pr	H	2-Me	CF ₃	CH ₃	247-248
B2	i-Pr	H	2-Me	OCH ₂ CF ₃	H	188-191
B3	i-Pr	H	2-Cl	CF ₃	CH ₃	234-236
B4	t-Bu	H	2-Cl	CF ₃	CH ₃	243-245
B5	CH(CH ₃)CH ₂ OCH ₃	H	2-Cl	CF ₃	CH ₃	198-201
B6	CH(CH ₃)CH=CH ₂	H	2-Me	CF ₃	CH ₃	226-227
B7	i-Pr	H	2-Cl	OCH ₂ CF ₃	H	208-210

B8	t-Bu	H	2-Cl	OCH ₂ CF ₃	H	174-175
B9	CH(CH ₃)CH ₂ OCH ₃	H	2-Cl	OCH ₂ CF ₃	H	163-165
B10	i-Pr	H	2-Me	CF ₃	H	208-211
B11	CH(CH ₃)CH ₂ OCH ₃	H	2-Me	CF ₃	CH ₃	187-191
B12	t-Bu	H	2-Me	CF ₃	CH ₃	215-218
B13	2-pentyl	H	2-Me	CF ₃	CH ₃	213-215
B14	i-Pr	H	2-Me	Cl	H	235-237
B15	i-Pr	H	2-Me	H	Cl	235-237
B16	i-Pr	H	2-OCHF ₂	CF ₃	CH ₃	221-224
B17	i-Pr	H	2-Me	CF ₂ CF ₃	CH ₃	208-209
B18	t-Bu	H	2-Me	CF ₂ CF ₃	CH ₃	211-212
B19	CH(CH ₃)CH ₂ OCH ₃	H	2-Me	CF ₂ CF ₃	CH ₃	193-196
B20	t-Bu	H	2-CF ₃	CF ₃	CH ₃	>250
B21	t-Bu	H	2-CF ₃	CF ₃	CH ₃	218-222
B22	CH(CH ₃)CH ₂ OCH ₃	H	2-CF ₃	CF ₃	CH ₃	200-202
B23	i-Pr	H	2-Me	CF ₃	Br	253-255
B24	CH(CH ₃)CH ₂ SCH ₃	H	2-Me	CF ₃	CH ₃	222-223
B25	CH(CH ₃)CH ₂ CN	H	2-Me	CF ₃	CH ₃	230-232
B26	CH ₂ CH ₂ CN	H	2-Me	CF ₃	CH ₃	>260
B27	o-Pr	H	2-Me	CF ₃	CH ₃	>260
B28	i-Pr	H	2-Me	CF ₃	OCH ₃	181-183
B29	i-Pr	H	2-Me	Cl	CH ₃	246-247
B30	i-Pr	H	2-Me	CF ₃	Ph	>250
B31	i-Pr	H	2-I	CF ₃	CH ₃	256-257
B32	i-Pr	H	2-F	CF ₃	CH ₃	218-220
B33	i-Pr	H	5-F	CF ₃	CH ₃	144-146
B34	CH(CH ₃)CH ₂ SO ₂ CH ₃	H	2-Me	CF ₃	CH ₃	243-245
B35	CH(CH ₃)CH ₂ OH	H	2-Me	CF ₃	CH ₃	222-223
B36	CH(CH ₃)CH ₂ CO ₂ CH ₃	H	2-Me	CF ₃	CH ₃	204-206
B37	i-Pr	H	2-Me	CF ₃	CH ₂ OCH ₃	241-242
B38	i-Pr	H	2-Me	CF ₃	CH ₂ CH ₃	229-231
B39	i-Pr	H	2-Me	CH ₃	Cl	236-237
B40	i-Pr	H	2-Me	CH ₃	2-pyridinyl	278-281
B41	t-Bu	H	2-Me	CF ₃	CH ₃	234-236
B42	i-Pr	H	2-Me	CF ₃	n-Pr	224-226
B43	i-Pr	Me	2-Me	CF ₃	CH ₃	202-205
B44	i-Pr	H	2-Me	o-Pr	CH ₃	226-229

B45		i-Pr	H	2-Me	o-Pr	CH ₃ , HCl salt	>230
B46		i-Pr	H	2-Me	CF ₃	Cl	248-254
B47		i-Pr	H	2-Me	CF ₃	i-Pr	235-237
B48		i-Pr	H	2-Me	CF ₃	1-(1,2,4-triazolyl)	>260
B49		i-Pr	H	2-Br	CF ₃	CH ₃	247-248
B50		i-Pr	H	2-Me	OCH ₂ CF ₃	CH ₃	150-160
B51		i-Pr	H	2-Me	CF ₃	2-phenoxy	231-232
B52		i-Pr	H	2-Me	CF ₃	1-morpholinyl	>250
B53		i-Pr	H	2-Me	CF ₃	1-(3-CF ₃ -imidazolyl)	247-250
B54		i-Pr	H	2-Me	CF ₃	1-(3-Br-pyrazolyl)	>260
B55		i-Pr	H	2-Me	CF ₃	1-(3-CF ₃ -pyrazolyl)	>260
B56		i-Pr	H	2-Me	CF ₃	1-((3-CF ₃)-1,2,4-triazolyl)	>260
B57		i-Pr	H	2-Me	CF ₃	1-((3-CN)-1,2,4-triazolyl)	>260
B58		i-Pr	H	2-Me	i-Bu	Cl	185-190
B59		i-Pr	H	2-Me	CF ₃	2-MePh	200-203
B60		i-Pr	H	2-Me	i-Pr	CH ₃	186-190
B61		i-Pr	H	2-Me	Ph	Cl	229-234
B62		i-Pr	H	2-Me	CF ₃	SCH ₂ CH(CH ₃) ₂	230-231
B63		i-Pr	H	2-Me	CF ₂ CF ₃	CH ₂ CH ₃	209-211
B64		i-Pr	H	2-Me	CF ₃	1-pyrazolyl	>250
B65		i-Pr	H	2-Me	CF ₂ CF ₃	H	>250
B66		i-Pr	H	2-Me	CF ₂ CF ₃	i-Pr	209-212
B67		i-Pr	H	2-Me, 4-Br	CF ₃	CH ₃	>250
B68		i-Pr	H	2-Me	OCH ₂ CF ₃	n-Pr	165-169
B69		i-Pr	H	2-Me	Cl	n-Pr	200-205
B70		i-Pr	H	2-Me	Cl	Bt	200-205
B71		i-Pr	H	2-Me	CF ₃	CN	214-215
B72		i-Pr	H	2,5-Cl ₂	CF ₃	CH ₃	>240
B73		i-Pr	H	2-Me	H	H, R ⁷ (c) is SPh	223-225
B74	B is S,	i-Pr	H	2-Me	CF ₃	CH ₃	201-203
B75	B is S,	i-Pr	H	2-Me	CF ₃	Bt	173-175
B76	B is S,	i-Pr	H	2-Me	CF ₂ CF ₃	CH ₃	156-158
B77		i-Pr	H	2-Me	H	1-((3-CF ₃)-pyrazolyl)	224-225
B78		i-Pr	H	2-Me	CF ₃	2-ClPh	223-225

INDEX TABLE C



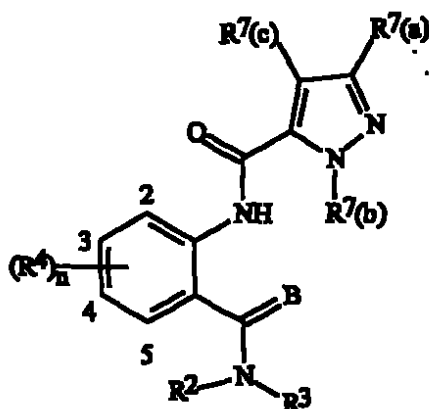
B is O, except where indicated

Compound	R ²	R ³	(R ⁴) _n	R ^{7(a)}	R ^{7(b)}	m.p. °C
C1 (Ex. 5)	i-Pr	H	2-Me	CF ₃	CH ₃	252-253
C2	i-Pr	H	2-Cl	CF ₃	CH ₃	260-262
C3	i-Pr	H	2-Me	CF ₃	OCH ₃	195-196
C4	i-Pr	H	2-Me	CF ₃	N(CH ₃) ₂	270-272
C5	i-Pr	H	2-Me	CF ₃	Et	246-248
C6	i-Pr	H	2-Me	CF ₃	Ph	175-177
C7	i-Pr	H	2-Me	i-Pr	Et	179-182
C8	i-Pr	H	2-Me	o-Pr	Et	202-204
C9	i-Pr	H	2-Me	i-Pr	CH ₃	206-209
C10	i-Pr	H	2-Me	o-Pr	CH ₃	222-225
C11	i-Pr	H	2-Me	o-Pr	Ph	236-239
C12	i-Pr	H	2-Me	CF ₃	SCH ₃	244-247
C13	i-Pr	H	2-Me	CF ₃	1-pyrrolidinyl	272-273
C14	i-Pr	H	2-Me	CF ₃	OCH ₂ C(Cl)=CH ₂	142-144
C15	Et	H	2-Me	CF ₃	2-MePh	253-256
C16	i-Pr	H	2-Me	CF ₃	2-MePh	244-246
C17	t-Bu	H	2-Me	CF ₃	2-MePh	251-253
C18	Et	H	2-Cl	CF ₃	2-MePh	242-243
C19	i-Pr	H	2-Cl	CF ₃	2-MePh	237-240
C20	t-Bu	H	2-Cl	CF ₃	2-MePh	253-255
C21	Et	H	2-Me	CF ₃	2-ClPh	251-252
C22	i-Pr	H	2-Me	CF ₃	2-ClPh	246-248
C23	t-Bu	H	2-Me	CF ₃	2-ClPh	238-239
C24	Et	H	2-Cl	CF ₃	2-ClPh	248-249
C25	i-Pr	H	2-Cl	CF ₃	2-ClPh	254-255
C26	t-Bu	H	2-Cl	CF ₃	2-ClPh	240-242

C27	Et	H	2-Me	CF ₃	c-Pr	236-238
C28	i-Pr	H	2-Me	CF ₃	c-Pr	240-241
C29	t-Bu	H	2-Me	CF ₃	c-Pr	246-248
C30	Et	H	2-Cl	CF ₃	c-Pr	240-242
C31	i-Pr	H	2-Cl	CF ₃	c-Pr	232-235
C32	t-Bu	H	2-Cl	CF ₃	c-Pr	266-268
C33	Et	H	2-Me	CF ₃	i-Pr	230-231
C34	i-Pr	H	2-Me	CF ₃	i-Pr	211-214
C35	t-Bu	H	2-Me	CF ₃	i-Pr	210-213
C36	Et	H	2-Cl	CF ₃	i-Pr	247-249
C37	i-Pr	H	2-Cl	CF ₃	i-Pr	236-239
C38	t-Bu	H	2-Cl	CF ₃	i-Pr	235-238
C39	Et	H	2-Me	CF ₂ CF ₃	2-MePh	247
C40	i-Pr	H	2-Me	CF ₂ CF ₃	2-MePh	218-220
C41	t-Bu	H	2-Me	CF ₂ CF ₃	2-MePh	224-226
C42	Et	H	2-Cl	CF ₂ CF ₃	2-MePh	241-243
C43	i-Pr	H	2-Cl	CF ₂ CF ₃	2-MePh	232-234
C44	t-Bu	H	2-Cl	CF ₂ CF ₃	2-MePh	237-239
C45	Et	H	2-Me	CF ₂ CF ₃	2-ClPh	255-257
C46	i-Pr	H	2-Me	CF ₂ CF ₃	2-ClPh	224
C47	t-Bu	H	2-Me	CF ₂ CF ₃	2-ClPh	215
C48	Et	H	2-Cl	CF ₂ CF ₃	2-ClPh	248-250
C49	i-Pr	H	2-Cl	CF ₂ CF ₃	2-ClPh	222-224
C50	t-Bu	H	2-Cl	CF ₂ CF ₃	2-ClPh	242
C51	Et	H	2-Me	CF ₂ CF ₃	Ph	246-248
C52	i-Pr	H	2-Me	CF ₂ CF ₃	Ph	220
C53	t-Bu	H	2-Me	CF ₂ CF ₃	Ph	242
C54	Et	H	2-Cl	CF ₂ CF ₃	Ph	238-240
C55	i-Pr	H	2-Cl	CF ₂ CF ₃	Ph	260
C56	t-Bu	H	2-Cl	CF ₂ CF ₃	Ph	231-232
C57	i-Pr	H	2-Me	CF ₂ CF ₃	CH ₃	208
C58	t-Bu	H	2-Me	CF ₂ CF ₃	CH ₃	242-244
C59	Et	H	2-Cl	CF ₂ CF ₃	CH ₃	210-212
C60	i-Pr	H	2-Cl	CF ₂ CF ₃	CH ₃	195
C61	t-Bu	H	2-Cl	CF ₂ CF ₃	CH ₃	246-248
C62	Et	H	2-Me	CF ₂ CF ₃	c-Pr	224-225
C63	i-Pr	H	2-Me	CF ₂ CF ₃	c-Pr	232-234

C64		Et	H	2-Cl	CF ₂ CF ₃	c-Pr	216-218
C65		i-Pr	H	2-Cl	CF ₂ CF ₃	c-Pr	218-220
C66		t-Bu	H	2-Cl	CF ₂ CF ₃	c-Pr	210-212
C67		Et	H	2-Me	CF ₂ CF ₃	i-Pr	218-220
C68		i-Pr	H	2-Me	CF ₂ CF ₃	i-Pr	196-198
C69		t-Bu	H	2-Me	CF ₂ CF ₃	i-Pr	212-214
C70		Et	H	2-Cl	CF ₂ CF ₃	i-Pr	216-220
C71		i-Pr	H	2-Cl	CF ₂ CF ₃	i-Pr	215-218
C72		t-Bu	H	2-Cl	CF ₂ CF ₃	i-Pr	240-244
C73		i-Pr	H	2-Me	CF ₂ CF ₃	Et	210-212
C74		Et	H	2-Me	CF ₂ CF ₃	Et	230-232
C75		Et	H	2-Cl	CF ₂ CF ₃	Et	210-213
C76		i-Pr	H	2-Cl	CF ₂ CF ₃	Et	203-204
C77		t-Bu	H	2-Cl	CF ₂ CF ₃	Et	230-232
C78		Et	H	2-Me	CF ₂ CF ₃	CH ₃	238-240
C79	B is S	i-Pr	H	2-Me	CF ₃	Et	190-193
C80		i-Pr	H	2-Me	CF ₃	2-CF ₃ Ph	255-258

INDEX TABLE D



R⁷ (c) is H, except where indicated
and B is O, except where indicated

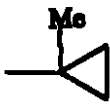
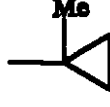
Compound	R ²	R ³	(R ⁴) _n	R ⁷ (a)	R ⁷ (b)	m.p. °C
D1	i-Pr	H	2-Me	CF ₃	CH ₃	200-204
D2 (Ex. 2)	i-Pr	H	2-Me	CF ₃	Et	123-126
D3	i-Pr	H	2-Cl	CF ₃	CH ₃	233-235
D4	t-Bu	H	2-Me	CF ₃	Et	215-218
D5	i-Pr	H	2-Me	CH ₃	Ph	238-239
D6	i-Pr	H	2-Me	CH ₃	CH ₃	206-208

D7	i-Pr	H	2-Me	CH ₃	CH ₂ CF ₃	246-248
D8	i-Pr	H	2-Cl	Et	CF ₃	235-237
D9	i-Pr	H	2-Me	CH ₃	CH ₃ , R ⁷ (c) is Cl	205-207
D10	i-Pr	H	2-Me	CH ₃	4-CF ₃ Ph	256-258
D11	i-Pr	H	2-Me	CH ₃	2-CF ₃ Ph	204-206
D12	t-Bu	H	2-Me	CH ₃	Ph	236-238
D13	i-Pr	H	2-F	CH ₃	Ph	227-229
D14	i-Pr	H	5-F	CH ₃	Ph	209-211
D15	i-Pr	H	2-Cl	CH ₃	Ph	233-234
D16	i-Pr	H	H	CH ₃	Ph	215-217
D17	i-Pr	H	2-NO ₂	CH ₃	Ph	236-237
D18	i-Pr	H	2-Cl	CF ₃	Ph	240-242
D19 (Ex. 6)	i-Pr	H	2-Me	CF ₃	Ph	260-262
D20	i-Pr	H	2-I	CH ₃	Ph	250-251
D21	i-Pr	H	2-I	CH ₃	2-CF ₃ Ph	251-253
D22	H	H	2-Me	CH ₃	Ph	253-255
D23	Et	Et	2-Me	CH ₃	Ph	182-184
D24	t-Bu	H	2-Cl	CF ₃	Ph	232-234
D25	i-Pr	H	2-I	CF ₃	Ph	271-273
D26	t-Bu	H	2-I	CF ₃	Ph	249-250
D27	i-Pr	H	2-Me	CH ₃	t-Bu	210-211
D28	i-Pr	H	2-Br	CF ₃	Ph	257-259
D29	i-Pr	H	2-Br	CH ₃	Ph	246-247
D30	i-Pr	H	2-Me	CF ₃	2-pyridinyl	237-238
D31	i-Pr	H	2,5-Cl ₂	CF ₃	Ph	>250
D32	B in S, i-Pr	H	2-Me	CF ₃	Ph	169-172
D33	i-Pr	H	2-Me	CF ₃	2-ClPh	208-209
D34	i-Pr	H	2-Cl	CF ₃	2-ClPh	234-235
D35	i-Pr	H	2-Me	CF ₃	4-ClPh	289-290
D36	i-Pr	H	2-Cl	CF ₃	4-ClPh	276-278
D37	i-Pr	H	2-Cl	CF ₃	2-pyridinyl	239-240
D38	i-Pr	H	2-Me	CF ₃	2-pyrimidinyl	205-208
D39	i-Pr	H	2-Me	CF ₃	2-(3-CH ₃ -pyridinyl)	183-187
D40	i-Pr	H	2-Me	CF ₂ CF ₃	Ph	231-232
D41	i-Pr	H	2-Cl	CF ₂ CF ₃	Ph	206-207
D42	t-Bu	H	2-Cl	CF ₂ CF ₃	Ph	212-213
D43	i-Pr	H	2-Br	CF ₂ CF ₃	Ph	219-222

D44	i-Pr	H	2-Me	CF ₃	3-ClPh	278-280
D45	i-Pr	H	2-Cl	CF ₃	3-ClPh	272-273
D46	i-Pr	H	2-Me	CF ₃	2-FPh	217-218
D47	i-Pr	H	2-Cl	CF ₃	2-FPh	220-221
D48	i-Pr	H	2-Me	CF ₃	4-FPh	269-270
D49	i-Pr	H	2-Cl	CF ₃	4-FPh	279-280
D50	i-Pr	H	2-I	n-Pr	CH ₃	222-224
D51	i-Pr	H	5-I	n-Pr	CH ₃	215-217
D52	i-Pr	H	2-CF ₃	CF ₃	Ph	247-249
D53	i-Pr	H	2-Cl	CF ₃	i-Pr	255-258
D54	i-Pr	H	2-Me	CF ₃	3-FPh	277-278
D55	i-Pr	H	2-Cl	CF ₃	3-FPh	256-257
D56	i-Pr	H	2-Me	CF ₃	2-CF ₃ Ph	215-216
D57	i-Pr	H	2-Cl	CF ₃	2-CF ₃ Ph	230-231
D58	i-Pr	H	2-Me	CF ₃	2-BrPh	207-208
D59	i-Pr	H	2-Cl	CF ₃	2-BrPh	239-240
D60	i-Pr	H	2-OCH ₃	CF ₃	Ph	215-216
D61	i-Pr	H	5-Cl	CF ₃	2-(3-CH ₃ -pyridinyl)	224-225
D62	i-Pr	H	5-Me	CF ₃	2-(3-Cl-pyridinyl)	179-181
D63	n-Bu	H	2-Cl	CF ₃	Ph	>240
D64	n-Pr	H	2-Cl	CF ₃	Ph	>240
D65	Et	H	2-Cl	CF ₃	Ph	>240
D66	t-Bu	H	2-CF ₃	CF ₃	Ph	230-233
D67	Et	H	2-CF ₃	CF ₃	Ph	246-249
D68	CH(CH ₃)CH ₂ SCH ₃	H	2-CF ₃	CF ₃	Ph	215-217
D69	CH(CH ₃)CH ₂ OCH ₃	H	2-CF ₃	CF ₃	Ph	220-223
D70	i-Pr	H	5-Cl	CF ₃	2-(3-Cl-pyridinyl)	230-233
D71	i-Pr	H	5-Me	CF ₃	2-thiazolyl	201-203
D72	i-Pr	H	5-Me	CF ₃	2-pyrazinyl	252-253
D73	i-Pr	H	5-Me	CF ₃	4-pyridinyl	224-228
D74	i-Pr	H	2-Me	CF ₃	i-Pr	236-243
D75	i-Pr	H	2-Me	CF ₃	2-CH ₃ Ph	211-212
D76	i-Pr	H	2-Cl	CF ₃	2-CH ₃ Ph	232-234
D77	i-Pr	H	2-Br	CF ₃	2-ClPh	247-248
D78	t-Bu	H	2-Me	CF ₃	2-ClPh	216-217
D79 (Ex. 7)	i-Pr	H	2-Me	CF ₃	2-(3-CF ₃ -pyridinyl)	227-230
D80	CH ₂ CH ₂ Cl	H	2-Cl	CF ₃	Ph	237-242

D81	CH ₂ CH ₂ CH ₂ Cl	H	2-Cl	CF ₃	Ph	233-239
D82	CH(CH ₃)CO ₂ CH ₃	H	2-Cl	CF ₃	Ph	221-222
D83	S-CH(i-Pr)CO ₂ CH ₃	H	2-Cl	CF ₃	Ph	212-213
D84	i-Pr	H	2-Me	CF ₃	2,6-Cl ₂ -Ph	267-268
D85	i-Pr	H	2-Cl	CF ₃	2,6-Cl ₂ -Ph	286-287
D86	i-Pr	H	2-Me	Br	Ph	253-255
D87	i-Pr	H	2-Cl	Br	Ph	247-248
D88	i-Pr	H	2-Me	CF ₃	i-Bu	205-210
D89	i-Pr	H	2-Me	CF ₃	CH ₂ Ph	235-237
D90	i-Pr	H	2-Me	CF ₃	2-(3-OCH ₃ -pyridinyl)	221-222
D91	i-Pr	H	2-Me	CF ₃	3-pyridinyl	260-261
D92	i-Pr	H	2-Me	CF ₃	4-quinolinyl	>260
D93	i-Pr	H	2-Me	CN	2-(3-Cl-pyridinyl)	203-204
D94	i-Pr	H	2-Me	CF ₃	2,4-F ₂ -Ph	245-246
D95	i-Pr	H	2-Cl	CF ₃	2,4-F ₂ -Ph	252-253
D96	i-Pr	H	2-Me	CF ₃	2-Et-Ph	207-209
D97	i-Pr	H	2-Cl	CF ₃	2-Et-Ph	221-222
D98	i-Pr	H	H	CF ₃	2-ClPh	206-207
D99	t-Bu	H	H	CF ₃	2-ClPh	197-198
D100	CH(CH ₃)CH ₂ OCH ₃	H	H	CF ₃	2-ClPh	145-148
D101	CH(CH ₃)CH ₂ SCH ₃	H	H	CF ₃	2-ClPh	158-160
D102	CH(CH ₃)CH ₂ SCH ₃	H	2-Cl	CF ₃	Ph	184-186
D103	CH(CH ₃)CH ₂ OCH ₃	H	2-Cl	CF ₃	Ph	217-218
D104	n-Pr	H	2-Cl	CF ₃	Ph	247-248
D105	i-Bu	H	2-Cl	CF ₃	Ph	244-245
D106	CH ₃	H	2-Cl	CF ₃	Ph	>250
D107	i-Pr	Me	2-Cl	CF ₃	Ph	193-194
D108	CH ₂ C=CH	H	2-Cl	CF ₃	Ph	>250
D109	CH ₂ CH=CH ₂	H	2-Cl	CF ₃	Ph	248-249
D110	CH ₂ (2-furyl)	H	2-Cl	CF ₃	Ph	246-247
D111	i-Pr	H	2-Me	Ph	2-ClPh	133-136
D112	i-Pr	H	2-Cl	Ph	2-ClPh	220-221
D113	i-Pr	H	2-Me	CF ₃	4-(3,5-Cl ₂ -pyridinyl)	239-242
D114	i-Pr	H	2-Cl	CF ₃	4-(3,5-Cl ₂ -pyridinyl)	229-231
D115	CH(CH ₃)CH ₂ SCH ₃	H	2-Me	CF ₃	2-ClPh	194-195
D116	CH(CH ₃)CH ₂ OCH ₃	H	2-Me	CF ₃	2-ClPh	181-183
D117	n-Bu	H	2-Me	CF ₃	2-ClPh	199-200

D118	c-Pr	H	2-Me	CF ₃	2-ClPh	234-235
D119	n-Pr	H	2-Me	CF ₃	2-ClPh	222-223
D120	i-Bu	H	2-Me	CF ₃	2-ClPh	235-237
D121	Me	H	2-Me	CF ₃	2-ClPh	242-243
D122	i-Pr	Me	2-Me	CF ₃	2-ClPh	90-93
D123	CH ₂ C=CH	H	2-Me	CF ₃	2-ClPh	215-216
D124	Et	H	2-Me	CF ₃	2-ClPh	228-229
D125	CH ₂ CH=CH ₂	H	2-Me	CF ₃	2-ClPh	227-228
D126	CH ₂ (2-furanyl)	H	2-Me	CF ₃	2-ClPh	218-219
D127	CH(CH ₃)CH ₂ SCH ₃	H	2-Me	CF ₃	Ph	179-180
D128	CH(CH ₃)CH ₂ OCH ₃	H	2-Me	CF ₃	Ph	219-220
D129	s-Bu	H	2-Me	CF ₃	Ph	244-245
D130	c-Pr	H	2-Me	CF ₃	Ph	>250
D131	n-Pr	H	2-Me	CF ₃	Ph	238-239
D132	i-Bu	H	2-Me	CF ₃	Ph	237-238
D133	Me	H	2-Me	CF ₃	Ph	263-265
D134	i-Pr	Me	2-Me	CF ₃	Ph	178-179
D135	CH ₂ C=CH	H	2-Me	CF ₃	Ph	253-254
D136	Et	H	2-Me	CF ₃	Ph	244-245
D137	CH ₂ CH=CH ₂	H	2-Me	CF ₃	Ph	240-241
D138	CH ₂ (2-furanyl)	H	2-Me	CF ₃	Ph	245-246
D139	i-Pr	H	2-OCHF ₂	CF ₃	2-ClPh	200-201
D140	i-Pr	H	2-OCH ₃	CF ₃	2-ClPh	206-207
D141	i-Pr	H	2-I	CF ₃	2-ClPh	253-256
D142	i-Pr	H	2-Me	Br	2-ClPh	147-150
D143	i-Pr	H	2-Cl	Br	2-ClPh	246-247
D144	i-Pr	H	2-Me	CF ₃	2-OCH ₃ Ph	218-219
D145	i-Pr	H	2-Cl	CF ₃	2-OCH ₃ Ph	243-244
D146	i-Pr	H	2-Me	CF ₃	1-isoquinoliny	252-253
D147	CH(CH ₃)CH ₂ SCH ₃	H	2-Cl	CF ₃	2-ClPh	217-218
D148	CH(CH ₃)CH ₂ OCH ₃	H	2-Cl	CF ₃	2-ClPh	207-208
D149	s-Bu	H	2-Cl	CF ₃	2-ClPh	216-217
D150	c-Pr	H	2-Cl	CF ₃	2-ClPh	261-262
D151	n-Pr	H	2-Cl	CF ₃	2-ClPh	231-232
D152	i-Bu	H	2-Cl	CF ₃	2-ClPh	255-256
D153	Me	H	2-Cl	CF ₃	2-ClPh	233-235
D154	i-Pr	Me	2-Cl	CF ₃	2-ClPh	127-128

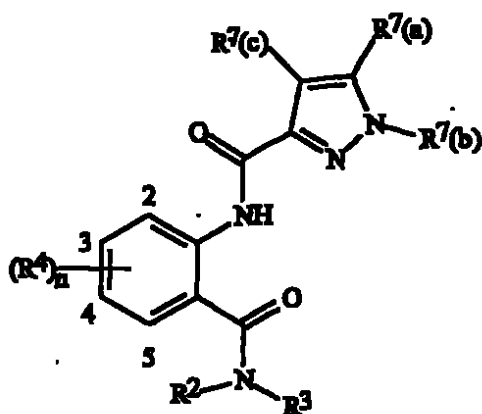
D155	$\text{CH}_2\text{C}\equiv\text{CH}$	H	2-Cl	CF_3	2-ClPh	226-227
D156	Et	H	2-Cl	CF_3	2-ClPh	244-246
D157	$\text{CH}_2\text{CH}=\text{CH}_2$	H	2-Cl	CF_3	2-ClPh	235-236
D158	$\text{CH}_2(2\text{-furyl})$	H	2-Cl	CF_3	2-ClPh	207-208
D159	i-Pr	H	$\text{C}\equiv\text{CSi}(\text{CH}_3)_3$	CF_3	2-ClPh	256-258
D160	i-Pr	H	$\text{C}\equiv\text{CH}$	CF_3	2-ClPh	228-230
D161	i-Pr	H	2-Cl	$\text{C}\equiv\text{CH}$	2-ClPh	219-222
D162	i-Pr	H	2-Me	H	H, $\text{R}^7(\text{c})$ is CH_3	220-223
D163	i-Pr	H	2-Me	CH_3	Ph, $\text{R}^7(\text{c})$ is Cl	209-210
D164	B is S i-Pr	H	2-Cl	CF_3	Ph	169-174
D165	i-Pr	H	2-Me	CF_3	2,6- F_2 Ph	223-225
D166	i-Pr	H	2-Me	CF_3	2-Cl-6-FPh	203-206
D167	i-Pr	H	2-Cl	CF_3	2-Cl-6-FPh	218-221
D168	i-Pr	H	2-Me-4-Br	CF_3	2-FPh	232-233
D169	t-Bu	H	2-Cl	CF_3	2-(3-Cl-pyridinyl)	250-251
D170		H	2-Cl	CF_3	2-(3-Cl-pyridinyl)	>250
D171	Et	Et	2-Cl	CF_3	2-ClPh	243-247
D172	Me	Me	2-Cl	CF_3	2-ClPh	234-235
D173	Et	Et	2-Me	CF_3	2-ClPh	237-238
D174	Me	Me	2-Me	CF_3	2-ClPh	225-226
D175	$\text{CH}_2\text{CH}_2\text{N}(\text{Me})_2$	H	2-Me	CF_3	2-ClPh	188-190
D176	i-Pr	H	2-Cl	CF_3	2-pyrazinyl	242-243
D177	t-Bu	H	2-Me-4-Br	CF_3	2-ClPh	>260
D178	$\text{CH}(\text{CH}_3)\text{CH}_2\text{OCH}_3$	H	2-Me	CF_3	2-(3-Cl-pyridinyl)	176-177
D179	$\text{CH}(\text{CH}_3)\text{CH}_2\text{SCH}_3$	H	2-Me	CF_3	2-(3-Cl-pyridinyl)	196-197
D180	$\text{CH}(\text{CH}_3)\text{CH}_2\text{OCH}_3$	H	2-Cl	CF_3	2-(3-Cl-pyridinyl)	197-198
D181	$\text{CH}(\text{CH}_3)\text{CH}_2\text{SCH}_3$	H	2-Cl	CF_3	2-(3-Cl-pyridinyl)	202-203
D182	i-Pr	H	2-Me	CF_3	2-IPh	221-222
D183	i-Pr	H	2-Cl	CF_3	2-IPh	238-240
D184	i-Pr	H	2-Me	CF_3	2-($\text{C}\equiv\text{CH}$)-Ph	215-217
D185	i-Pr	H	2-Cl	CF_3	2-($\text{C}\equiv\text{CH}$)-Ph	244-246
D186	t-Bu	H	2-Cl	CF_3	2-(3-Cl-pyridinyl)	250-251
D187		H	2-Cl	CF_3	2-(3-Cl-pyridinyl)	>250
D188	i-Pr	H	2-Me	CF_3	2-Cl-4-FPh	203-205
D189	i-Pr	H	2-Cl	CF_3	2-Cl-4-FPh	218-219

D190	Me	Me	2-Me	CF ₃	2-ClPh	225-226
D191	Et	Et	2-Me	CF ₃	2-ClPh	243-247
D192	i-Pr	H	2-Me	CF ₃	2,6-Me ₂ Ph	259-260
D193	i-Pr	H	2-Cl	CF ₃	2,6-Me ₂ Ph	268-269
D194	i-Pr	H	2-Me	CF ₃	2,6-Cl ₂ -4-CNPh	*
D195	i-Pr	H	2-Me	CF ₃	2-CNPh	225-235
D196	i-Pr	H	2-Me	CF ₃	2-(OCF ₃)Ph	214-215
D197	i-Pr	H	2-Cl	CF ₃	2-(OCF ₃)Ph	223-224
D198	i-Pr	H	2-Me	CF ₃	2-Br-4-FPh	202-203
D199	i-Pr	H	2-Cl	CF ₃	2-Br-4-FPh	222-223
D200	i-Pr	H	2-Me	CF ₃	2-(3-Me-pyrazinyl)	205-207
D201	Me	H	2-Cl	CF ₃	2-(3-Cl-pyridinyl)	215-220
D202	CH ₂ O=CH	H	2-Cl	CF ₃	2-(3-Cl-pyridinyl)	197-198
D203	Me	H	2-Me	CF ₃	2-(3-Cl-pyridinyl)	193-196
D204	Et	H	2-Me	CF ₃	2-(3-Cl-pyridinyl)	204-206
D205	CH ₂ O=CH	H	2-Me	CF ₃	2-(3-Cl-pyridinyl)	177-178
D206	i-Pr	H	2-Me	CF ₃	4-(8-Cl-quinolinyl)	>250
D207	i-Pr	H	2-Me	CF ₃	4-(2-Me-quinolinyl)	>250
D208	i-Pr	H	2-Cl	CF ₃	4-(2-Me-quinolinyl)	>250
D209	i-Pr	H	2-Me	CF ₃	4-(7-Cl-quinolinyl)	>250
D210	i-Pr	H	2,4-Br ₂	CF ₃	2-ClPh	233-234
D211	i-Pr	H	2-Br	Br	2-ClPh	255-258
D212	Me	H	2-Me	Br	2-ClPh	236-237
D213	t-Bu	H	2-Cl	Br	2-ClPh	260-261
D214	Et	H	2-Me	Br	2-ClPh	254-255
D215	t-Bu	H	2-Me	Br	2-ClPh	259-260
D216	c-Bu	H	2-Cl	CN	2-(3-Cl-pyridinyl)	177-180
D217	i-Pr	H	2-Me	CF ₃	2-(3-Cl-pyridinyl)	237-239
D218	i-Pr	H	2-Me	CF ₃	4-(6-Cl-quinolinyl)	>250
D219	Me	Me	2-Me	CF ₃	4-(6-Cl-quinolinyl)	>250
D220	O-i-Pr	H	2-Cl	CF ₃	2-ClPh	218-219
D221	i-Pr	H	2-Cl	CN	2-(3-Cl-pyridinyl)	195-200
D222	t-Bu	H	2-Cl	CN	2-(3-Cl-pyridinyl)	>250
D223	Et	H	2-Cl	CN	2-(3-Cl-pyridinyl)	200-205
D224	i-Pr	H	2-Cl	CF ₃	2-(3-Me-pyrazinyl)	225-230
D225	t-Bu	H	2-Cl	CF ₃	2-(3-Me-pyrazinyl)	235-240
D226	Et	H	2-Cl	CF ₃	2-(3-Me-pyrazinyl)	210-220

D227	i-Pr	H	2-Me	CF ₃	3-(2-Cl-pyridinyl)	*
D228	i-Pr	H	2-Cl	CF ₃	2,3-Cl ₂ Ph	217-219
D229	t-Bu	H	2-Cl	CF ₃	2,3-Cl ₂ Ph	254-256
D230	i-Pr	H	2-Me	CF ₃	2,3-Cl ₂ Ph	208-209
D231	t-Bu	H	2-Me	CF ₃	2,3-Cl ₂ Ph	232-233
D232	t-Bu	H	2-Me-4-Br	Br	2-ClPh	239-241
D233	Me	H	2-Me-4-Br	Br	2-ClPh	150-152
D234	Bt	H	2-Me-4-Br	Br	2-ClPh	223-225
D235	i-Pr	H	2-Me-4-Br	Br	2-ClPh	197-198
D236	Me	H	2-Me	CF ₃	2-FPh	245-247
D237	CH ₂ C≡CH	H	2-Me	CF ₃	2-FPh	222-227
D238	O-i-Pr	H	2-Cl	CN	2-(3-Cl-pyridinyl)	205-206
D239	O-i-Pr	H	2-Me	CN	2-(3-Cl-pyridinyl)	210-211
D240	Me	Me	2-Cl	CF ₃	2-ClPh	234-236
D241	CH ₂ C≡CH	H	2-Me-4-Br	Br	2-ClPh	187-188

*See Index Table Q for ¹H NMR data

INDEX TABLE E

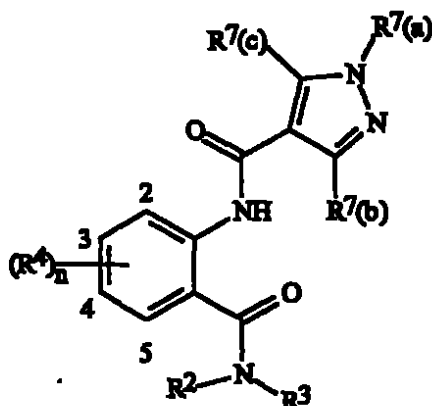


Compound	R ²	R ³	(R ⁴) _n	R ⁷ (a)	R ⁷ (b)	R ⁷ (c)	m.p. °C
E1	i-Pr	H	2-Me	CH ₃	CH ₃	H	143-145
E2	i-Pr	H	2-Me	CH ₃	CH ₂ CF ₃	H	198-199
E3	i-Pr	H	2-Me	CH ₃	CH ₃	Cl	188-190
E4	i-Pr	H	2-Me	CH ₃	4-CF ₃ -Ph	H	198-199
E5	i-Pr	H	2-Me	CH ₃	2-CF ₃ -Ph	H	211-213
E6	i-Pr	H	2-Me	CH ₃	t-Bu	H	125-127
E7	i-Pr	H	2-Me	CF ₃	CH ₂ Ph	H	130-135
E8	i-Pr	H	2-Me	H	Ph	CH ₃	249-250
E9	i-Pr	H	2-Me	H	CH ₃	Ph	268-270

E10	i-Pr	H	2-Cl	H	Ph	CH ₃	260-261
E11	i-Pr	H	2-Me	H	CH ₂ CF ₃	Ph	213-215
E12	i-Pr	H	2-Cl	H	CH ₂ CF ₃	Ph	208-209
E13	i-Pr	H	2-Me	H	CHF ₂	Ph	*
E14	i-Pr	H	2-Me	CF ₃	2-(3-Cl-pyridinyl)	H	249-250

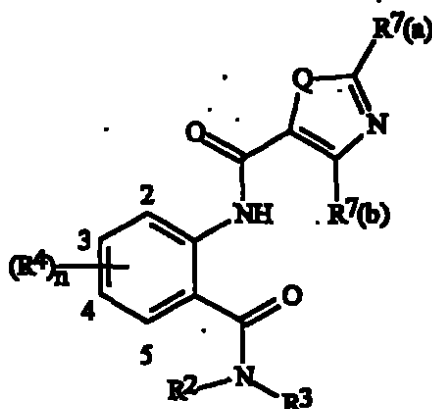
*See Index Table Q for ¹H NMR data

INDEX TABLE F



Compound	R ²	R ³	(R ⁴) _n	R ⁷ (a)	R ⁷ (b)	R ⁷ (c)	m.p. °C
F1	i-Pr	H	2-Me	CH ₂ CF ₃	CH ₃	H	254-255
F2	i-Pr	H	2-Me	CH ₂ CF ₃	H	CH ₃	200-205
F3	i-Pr	H	2-Me	CH ₂ (3-CF ₃)Ph	H	CH ₃	212-215
F4	i-Pr	H	2-Cl	CH ₂ CF ₃	H	CH ₃	215-217
F5	i-Pr	H	2-Me	Ph	H	CF ₃	223-224
F6	i-Pr	H	2-Cl	Ph	H	CF ₃	206-208
F7	i-Pr	H	2-Me	CH ₂ CF ₃	H	Ph	156-158
F8	i-Pr	H	2-Cl	CH ₂ CF ₃	H	Ph	162-164

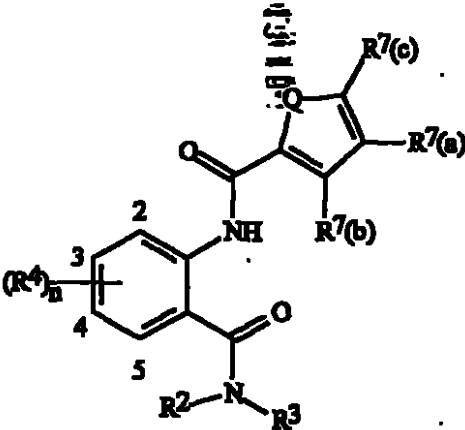
INDEX TABLE G



Compound	Q	R ²	R ³	(R ⁴) _n	R ^{7(a)}	R ^{7(b)}	m.p. °C
G1	S	i-Pr	H	2-Me	4-OCF ₃ Ph	CH ₃	233-234
G2	S	i-Pr	H	2-Me	OCH ₂ CF ₂ CF ₃	CH ₃	170-173
G3	S	i-Pr	H	2-Me	Cl	CH ₃	164-167
G4	S	i-Pr	H	2-Me	CH ₃	Ph	216-219
G5	S	i-Pr	H	2-Me	C(CH ₃) ₂ OH	CH ₃	*
G6	S	i-Pr	H	2-Me	i-Pr	CH ₃	180-181
G7	S	i-Pr	H	2-Me	i-Pr	Ph	182-183
G8	O	i-Pr	H	2-Me	i-Pr	CH ₃	163-164

*See Index Table Q for ¹H NMR data

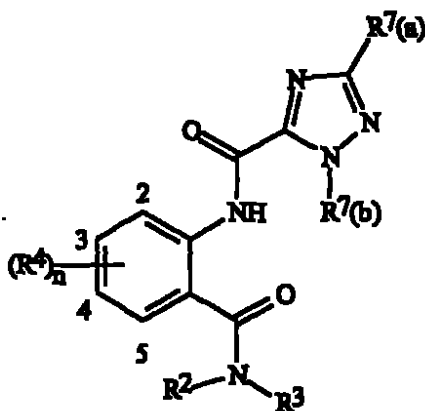
INDEX TABLE H



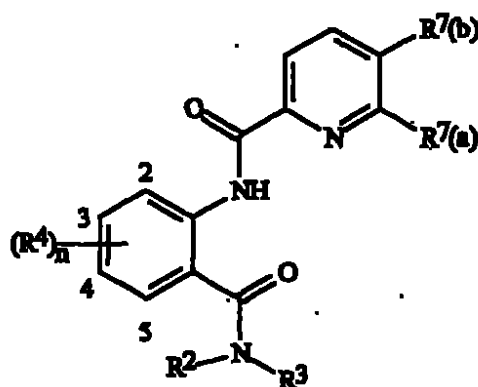
Compound	Q	R ²	R ³	(R ⁴) _n	R ^{7(a)}	R ^{7(b)}	R ^{7(c)}	m.p. °C
H1	S	i-Pr	H	2-Me	H	H	H	192-195
H2	S	CH(CH ₃)CH ₂ OCH ₃	H	2-Me	H	H	H	120-123
H3	S	t-Bu	H	2-Me	H	H	H	120-123
H4	NMe	i-Pr	H	2-Me	Me	H	H	193-195
H5	NPh	i-Pr	H	2-Me	H	Me	H	188-192
H6	NPh	i-Pr	H	2-Me	Br	H	H	176-179
H7	NPh	i-Pr	H	2-Me	Br	H	Br	215-216
H8	NPh	i-Pr	H	2-Me	H	H	Br	150-154
H9	NPh	i-Pr	H	2-Me	CF ₃	H	H	182-184
H10	N(2-ClPh)	i-Pr	H	2-Me	Br	H	H	100-110
H11	N(2-FPh)	i-Pr	H	2-Me	Br	H	H	178-179
H12	N(2-FPh)	t-Bu	H	2-Me	Br	H	H	186-188
H13	N(2-ClPh)	t-Bu	H	2-Me	Br	H	H	225-229

INDEX TABLE J

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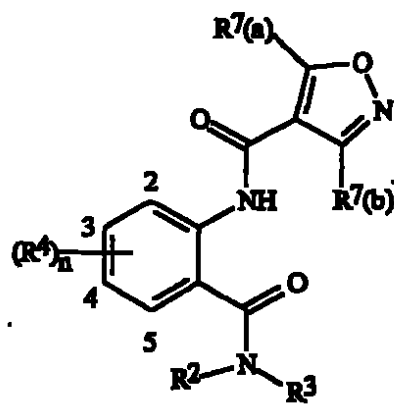


Compound	R ²	R ³	(R ⁴) _n	R ^{7(a)}	R ^{7(b)}	m.p. °C
J1	i-Pr	H	2-Me	Me	Me	221-222
J2	i-Pr	H	H	CF ₃	Ph	279-281
J3	i-Pr	H	2-Me	CF ₃	Ph	263-268
J4	i-Pr	H	2-Cl	CF ₃	2-ClPh	235-238
J5	i-Pr	H	2-Cl	CF ₃	Ph	245-246
J6	i-Pr	H	2-Me	CF ₃	2-ClPh	240-242
J7	i-Pr	H	2-Cl	CF ₃	2-F-4-ClPh	246-247
J8	i-Pr	H	2-Me	CF ₃	2-F-4-ClPh	266-268
J9	i-Pr	H	2-Me	CF ₃	2-pyridinyl	258-260

INDEX TABLE K

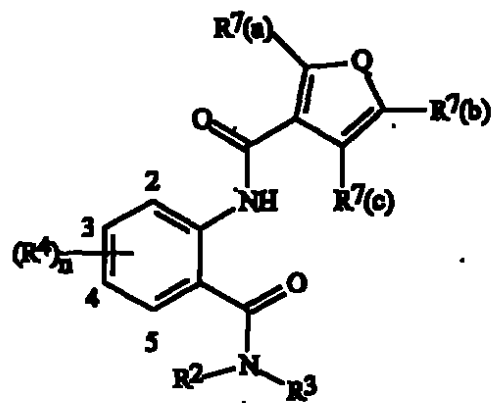
Compound	R ²	R ³	(R ⁴) _n	R ^{7(a)}	R ^{7(b)}	m.p. °C
K1	i-Pr	H	2-Me	Br	H	177-180
K2	t-Bu	H	2-Me	Br	H	188-194

INDEX TABLE L



Compound	R ²	R ³	(R ⁴) _n	R ⁷ (a)	R ⁷ (b)	m.p. °C
L1	i-Pr	H	2-Me	Me	Me	203-205
L2	i-Pr	H	2-Me	Me	2,6-Cl ₂ Ph	218-223

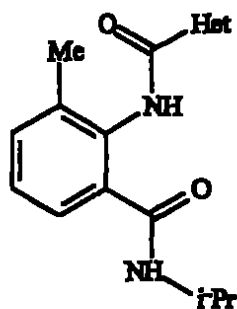
INDEX TABLE M



Compound	Q	R ²	R ³	(R ⁴) _n	R ⁷ (a)	R ⁷ (b)	R ⁷ (c)	m.p. °C
M1	S	i-Pr	H	2-Me	Cl	Me	H	203-205
M2	S	i-Pr	H	2-Cl	Cl	Me	H	210-213
M3	NCHF ₂	t-Bu	H	2-Me	H	H	Ph	165-166
M4	NH	i-Pr	H	2-Me	CF ₃	Ph	H	118-120
M5	NMe	i-Pr	H	2-Me	CF ₃	Ph	H	110-112
M6	NCHF ₂	i-Pr	H	2-Me	2-FPh	H	H	143-144
M7	NCHF ₂	t-Bu	H	2-Me	2-FPh	H	H	120-123
M8	NCH ₂ CF ₃	i-Pr	H	2-Me	2-FPh	H	H	235-237

INDEX TABLE N

III



Compound	Het	m.p. °C
N1		169-171
N2		227-230
N3		243-246

INDEX TABLE P

Compound		m.p. °C
P1		178-179

INDEX TABLE O

Compd. No.	¹ H NMR Data (CDCl ₃ solution unless indicated otherwise) ^a
D194	(DMSO- <i>d</i> ₆) δ 1.03 (d, 6H), 2.18 (s, 3H), 3.92 (m, 1H), 7.22-7.30 (m, 2H), 7.35 (m, 1H), 7.62 (dd, 1H), 7.81 (s, 1H), 8.02 (d, 1H), 8.15 (dd, 1H), 8.55 (dd, 1H), 10.34 (s, 1H).
D227	(DMSO- <i>d</i> ₆) δ 1.01 (d, 6H), 2.16 (s, 3H), 3.92 (m, 1H), 7.27 (m, 2H), 7.35 (m, 1H), 7.89 (s, 1H), 7.96 (m, 1H), 8.37 (s, 2H), 10.42 (s, 1H).
G5	δ 1.22 (d, 6H), 2.05 (s, 6H), 2.31 (s, 3H), 2.76 (s, 3H), 4.18 (m, 1H), 5.94 (d, 1H), 7.20 (dd, 1H), 7.29 (d, 1H), 7.38 (d, 1H), 9.83 (br s, 1H).
E13	δ 1.12 (d, 6H), 2.32 (s, 1H), 4.14 (m, 1H), 4.95 (d, 1H), 7.19 (dd, 1H), 7.28 (t, 1H), 7.32 (m, 5H), 7.59 (dd, 2H), 7.92 (s, 1H), 9.51 (br s, 1H).

^a ¹H 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.

BIOLOGICAL EXAMPLES OF THE INVENTIONTEST

Application: Compounds are formulated in a 10% acetone, 90% water and 300 ppm X-77 surfactant solution, unless otherwise indicated. The formulated compounds are applied with a SUJ2 atomizer nozzle with 1/8 JJ custom body (Spraying Systems) positioned ½" above the top of each test unit. There are 6 of these nozzles that make up the spray boom and this is fixed in a belt sprayer. A rack (or carrier) of 6 different insect test units is placed on the conveyor belt and stops so that each unit is centered under a nozzle. Once the rack is centered, 1 mL of liquid is sprayed into each test unit; the rack then continues down the belt to the end of the sprayer to be off-loaded. All experimental compounds in this screen are sprayed at 250 ppm and replicated three times.

Diamondback Moth (DBM) - *Plutella Xylostella*: The test unit consists of a small self-contained unit with a 12-14 day old radish plant inside. These are pre-infested (using a core sampler) with 10-15 neonate larvae on a piece of insect diet. Once 1 mL of formulated compound has been sprayed into each test unit, the test units are allowed to dry for 1 hour before a black, screened cap is placed on the top of the cylinder. They are held for 6 days in a growth chamber at 25 °C and 70% relative humidity.

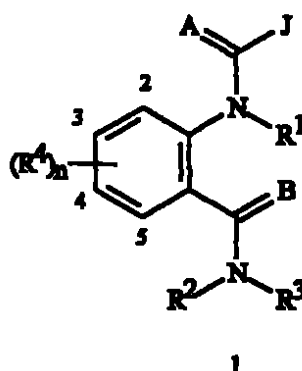
Plant feeding damage was visually assessed on a scale of 0-10 where 0 is no feeding, 1 is 10% or less feeding, 2 is 20% or less feeding, 3 is 30% or less feeding through a maximum score of 10 where 10 is 100% of foliage consumed. Of the compounds tested the following provided excellent levels of plant protection (ratings of 0-1, 10% or less feeding damage): 1, 2, 3, 4, 6, 7, 9, 10, 13, 14, 15, 19, 20, 24, 27, 28, 29, 30, 31, 32, 33, 35, 37, 38, 39, 51, 52, 53, 60, 61, 62, 63, 64, 65, 66, 68, 69, 72, 73, 74, 75, 76, 79, 80, 84, 86, 88, 89, 90,

92, 96, 97, 98, 99, 100, 101, 102, 103, 107, 113, 124, 126, 127, 143, 144, 146, 147, 148, 150,
151, 152, 153, 158, 159, 160, 161, 162, 163, 164, 165, 166, 167, 169, 170, 171, 174, 183,
184, 185, 186, 187, 188, 189, 190, 191, 193, 194, 195, 196, 198, 202, 203, 204, 205, 206,
207, 208, 209, 210, 211, 212, 213, 214, 215, 216, 217, 218, 219, 220, 222, 223, 225, 227,
5 228, 229, 230, 231, 232, 233, 235, 238, 239, 240, 244, 245, 246, 248, 249, 250, 251, 252,
253, 256, 257, 275, 276, 277, 278, B2, B4, B5, B6, B7, B8, B9, B10, B11, B12, B13, B14,
B15, B16, B17, B18, B19, B20, B21, B23, B24, B25, B28, B29, B30, B31, B32, B33, B35,
B37, B38, B39, B40, B42, B43, B44, B45, B46, B47, B48, B49, B50, B53, B55, B57, B58,
B59, B60, B61, B62, B63, B64, B66, B67, B68, B69, B70, B71, B72, B74, B75, B76, C1,
10 C2, C3, C4, C5, C7, C8, C9, C10, C11, C12, C79, D2, D3, D4, D5, D6, D7, D8, D11, D12,
D13, D14, D15, D16, D18, D19, D20, D23, D24, D25, D26, D27, D28, D29, D30, D32,
D33, D34, D37, D38, D39, D40, D41, D42, D45, D46, D47, D48, D50, D51, D52, D53,
D54, D55, D56, D57, D58, D59, D60, D61, D62, D63, D64, D65, D66, D67, D68, D69,
D70, D71, D72, D73, D74, D75, D76, D77, D78, D79, D81, D83, D84, D85, D86, D87,
15 D88, D89, D91, D92, D93, D94, D95, D96, D97, D111, D113, D114, D115, D116, D117,
D118, D119, D120, D121, D122, D123, D124, D125, D126, D162, D164, E4, F2, F5, F6,
F7, F8, G2, G3, G5, H1, H2, H3, H4, J3, J4, J6, M1, M3, N2 and P1.

CLAIMS

What is claimed is:

1. A method for controlling arthropods comprising contacting the arthropods or their environment with an arthropodically effective amount of a compound of Formula 1, its *N*-oxide or agriculturally suitable salts



wherein

A and B are independently O or S;

each J is independently a phenyl or naphthyl group substituted with 1 to 2 R⁵ and optionally substituted with 1 to 3 R⁶;

or each J is independently a 5- or 6-membered heteroaromatic ring or an aromatic 8-, 9- or 10-membered fused heterobicyclic ring system wherein each ring or ring system is optionally substituted with 1 to 4 R⁷;

n is 1 to 4;

R¹ is H; or C₁-C₆ alkyl, C₂-C₆ alkenyl, C₂-C₆ alkynyl or C₃-C₆ cycloalkyl each optionally substituted with one or more substituents selected from the group consisting of halogen, CN, NO₂, hydroxy, C₁-C₄ alkoxy, C₁-C₄ alkylthio, C₁-C₄ alkylsulfinyl, C₁-C₄ alkylsulfonyl, C₂-C₄ alkoxycarbonyl, C₁-C₄ alkylamino, C₂-C₈ dialkylamino and C₃-C₆ cycloalkylamino; or

R¹ is C₂-C₆ alkylcarbonyl, C₂-C₆ alkoxycarbonyl, C₂-C₆ alkylaminocarbonyl, C₃-C₈ dialkylaminocarbonyl or C(=A)J;

R² is H, C₁-C₆ alkyl, C₂-C₆ alkenyl, C₂-C₆ alkynyl, C₃-C₆ cycloalkyl, C₁-C₄ alkoxy, C₁-C₄ alkylamino, C₂-C₈ dialkylamino, C₃-C₆ cycloalkylamino, C₂-C₆ alkoxycarbonyl or C₂-C₆ alkylcarbonyl;

R³ is H; G; C₁-C₆ alkyl, C₂-C₆ alkenyl, C₂-C₆ alkynyl, C₃-C₆ cycloalkyl, each optionally substituted with one or more substituents selected from the group consisting of halogen, G, CN, NO₂, hydroxy, C₁-C₄ alkoxy, C₁-C₄ haloalkoxy, C₁-C₄ alkylthio, C₁-C₄ alkylsulfinyl, C₁-C₄ alkylsulfonyl, C₂-C₆ alkoxycarbonyl, C₂-C₆ alkylcarbonyl, C₃-C₆ trialkylsilyl, or a phenyl, phenoxy

or 5- or 6-membered heteroaromatic ring, each ring optionally substituted with one to three substituents independently selected from the group consisting of C₁-C₄ alkyl, C₂-C₄ alkenyl, C₂-C₄ alkynyl, C₃-C₆ cycloalkyl, C₁-C₄ haloalkyl, C₂-C₄ haloalkenyl, C₂-C₄ haloalkynyl, C₃-C₆ halocycloalkyl, halogen, CN, NO₂, C₁-C₄ alkoxy, C₁-C₄ haloalkoxy, C₁-C₄ alkylthio, C₁-C₄ alkylsulfinyl, C₁-C₄ alkylsulfonyl, C₁-C₄ alkylamino, C₂-C₈ dialkylamino, C₃-C₆ cycloalkylamino, C₃-C₆ (alkyl)cycloalkylamino, C₂-C₄ alkylcarbonyl, C₂-C₆ alkoxycarbonyl, C₂-C₆ alkylaminocarbonyl, C₃-C₈ dialkylaminocarbonyl or C₃-C₆ trialkylsilyl; C₁-C₄ alkoxy; C₁-C₄ alkylamino; C₂-C₈ dialkylamino; C₃-C₆ cycloalkylamino; C₂-C₆ alkoxycarbonyl or C₂-C₆ alkylcarbonyl; or

R² and R³ can be 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 of nitrogen, sulfur or oxygen, said ring may be optionally substituted with 1 to 4 substituents selected from the group consisting of C₁-C₂ alkyl, halogen, CN, NO₂ and C₁-C₂ alkoxy;

G is a 5- or 6-membered nonaromatic carbocyclic or heterocyclic ring, optionally including one or two ring members selected from the group consisting of C(=O), SO or S(O)₂ and optionally substituted with 1 to 4 substituents selected from the group consisting of C₁-C₂ alkyl, halogen, CN, NO₂ and C₁-C₂ alkoxy;

each R⁴ is independently H, C₁-C₆ alkyl, C₂-C₆ alkenyl, C₂-C₆ alkynyl, C₃-C₆ cycloalkyl, C₁-C₆ haloalkyl, C₂-C₆ haloalkenyl, C₂-C₆ haloalkynyl, C₃-C₆ halocycloalkyl, halogen, CN, NO₂, hydroxy, C₁-C₄ alkoxy, C₁-C₄ haloalkoxy, C₁-C₄ alkylthio, C₁-C₄ alkylsulfinyl, C₁-C₄ alkylsulfonyl, C₁-C₄ haloalkylthio, C₁-C₄ haloalkylsulfinyl, C₁-C₄ haloalkylsulfonyl, C₁-C₄ alkylamino, C₂-C₈ dialkylamino, C₃-C₆ cycloalkylamino, or C₃-C₆ trialkylsilyl; or

each R⁴ is independently phenyl, benzyl or phenoxy, each optionally substituted with C₁-C₄ alkyl, C₂-C₄ alkenyl, C₂-C₄ alkynyl, C₃-C₆ cycloalkyl, C₁-C₄ haloalkyl, C₂-C₄ haloalkenyl, C₂-C₄ haloalkynyl, C₃-C₆ halocycloalkyl, halogen, CN, NO₂, C₁-C₄ alkoxy, C₁-C₄ haloalkoxy, C₁-C₄ alkylthio, C₁-C₄ alkylsulfinyl, C₁-C₄ alkylsulfonyl, C₁-C₄ alkylamino, C₂-C₈ dialkylamino, C₃-C₆ cycloalkylamino, C₃-C₆ (alkyl)cycloalkylamino, C₂-C₄ alkylcarbonyl, C₂-C₆ alkoxycarbonyl, C₂-C₆ alkylaminocarbonyl, C₃-C₈ dialkylaminocarbonyl or C₃-C₆ trialkylsilyl;

each R⁵ is independently C₁-C₆ alkyl, C₂-C₆ alkenyl, C₂-C₆ alkynyl, C₃-C₆ cycloalkyl, C₁-C₆ haloalkyl, C₂-C₆ haloalkenyl, C₂-C₆ haloalkynyl, C₃-C₆ halocycloalkyl, halogen, CN, CO₂H, CONH₂, NO₂, hydroxy, C₁-C₆ alkoxy, C₁-C₆ haloalkoxy, C₁-C₆ alkylthio, C₁-C₆ alkylsulfinyl, C₁-C₆ alkylsulfonyl,

C₁-C₆ haloalkylthio, C₁-C₆ haloalkylsulfinyl, C₁-C₆ haloalkylsulfonyl, C₁-C₆ alkylamino, C₂-C₁₂ dialkylamino, or C₃-C₆ cycloalkylamino, C₂-C₆ alkylcarbonyl, C₂-C₆ alkoxy carbonyl, C₂-C₆ alkylaminocarbonyl, C₃-C₈ dialkylaminocarbonyl, C₃-C₆ trialkylsilyl; or

5 (R⁵)₂ when attached to adjacent carbon atoms can be taken together as -OCF₂O-, -CF₂CF₂O-, or -OCF₂CF₂O-;

each R⁶ is independently H, halogen, C₁-C₆ alkyl, C₂-C₆ alkenyl, C₂-C₆ alkynyl, C₃-C₆ cycloalkyl, C₁-C₄ alkoxy or C₂-C₄ alkoxy carbonyl; or

10 each R⁶ is independently a phenyl, benzyl, phenoxy, 5- or 6-membered heteroaromatic ring or an aromatic 8-, 9- or 10-membered fused heterobicyclic ring system, each ring optionally substituted with one to three substituents independently selected from the group consisting of C₁-C₄ alkyl, C₂-C₄ alkenyl, C₂-C₄ alkynyl, C₃-C₆ cycloalkyl, C₁-C₄ haloalkyl, C₂-C₄ haloalkenyl, C₂-C₄ haloalkynyl, C₃-C₆ halocycloalkyl, halogen, CN, NO₂, C₁-C₄ alkoxy, C₁-C₄ haloalkoxy, C₁-C₄ alkylthio, C₁-C₄ alkylsulfinyl, C₁-C₄ alkylsulfonyl, C₁-C₄ alkylamino, C₂-C₈ dialkylamino, C₃-C₆ cycloalkylamino, C₃-C₆ (alkyl)cycloalkylamino, C₂-C₄ alkylcarbonyl, C₂-C₆ alkoxy carbonyl, C₂-C₆ alkylaminocarbonyl, C₃-C₈ dialkylaminocarbonyl or C₃-C₆ trialkylsilyl;

20 each R⁷ is independently H, C₁-C₆ alkyl, C₂-C₆ alkenyl, C₂-C₆ alkynyl, C₃-C₆ cycloalkyl, C₁-C₆ haloalkyl, C₂-C₆ haloalkenyl, C₂-C₆ haloalkynyl, C₃-C₆ halocycloalkyl, halogen, CN, CO₂H, CONH₂, NO₂, hydroxy, C₁-C₄ alkoxy, C₁-C₄ haloalkoxy, C₁-C₄ alkylthio, C₁-C₄ alkylsulfinyl, C₁-C₄ alkylsulfonyl, C₁-C₄ haloalkylthio, C₁-C₄ haloalkylsulfinyl, C₁-C₄ haloalkylsulfonyl, C₁-C₄ alkylamino, C₂-C₈ dialkylamino, C₃-C₆ cycloalkylamino, C₂-C₆ alkylcarbonyl, C₂-C₆ alkoxy carbonyl, C₂-C₆ alkylaminocarbonyl, C₃-C₈ dialkylaminocarbonyl, C₃-C₆ trialkylsilyl; or

25 each R⁷ is independently a phenyl, benzyl, benzoyl, phenoxy, 5- or 6-membered heteroaromatic ring or an aromatic 8-, 9- or 10-membered fused heterobicyclic ring system, each ring optionally substituted with one to three substituents independently selected from the group consisting of C₁-C₄ alkyl, C₂-C₄ alkenyl, C₂-C₄ alkynyl, C₃-C₆ cycloalkyl, C₁-C₄ haloalkyl, C₂-C₄ haloalkenyl, C₂-C₄ haloalkynyl, C₃-C₆ halocycloalkyl, halogen, CN, NO₂, C₁-C₄ alkoxy, C₁-C₄ haloalkoxy, C₁-C₄ alkylthio, C₁-C₄ alkylsulfinyl, C₁-C₄ alkylsulfonyl, C₁-C₄ alkylamino, C₂-C₈ dialkylamino, C₃-C₆ cycloalkylamino, C₃-C₆ (alkyl)cycloalkylamino, C₂-C₄ alkylcarbonyl, C₂-C₆ alkoxy carbonyl, C₂-C₆ alkylaminocarbonyl, C₃-C₈ dialkylaminocarbonyl or C₃-C₆ trialkylsilyl;

30 provided that

(1) when A and B are both O, R² is H or C₁-C₃ alkyl, R³ is H or C₁-C₃ alkyl and R⁴ is H, halogen, C₁-C₆ alkyl, phenyl, hydroxy or C₁-C₆ alkoxy, then one R⁵ is other than halogen, C₁-C₆ alkyl, hydroxy or C₁-C₆ alkoxy; or

(2) J is other than an optionally substituted 1,2,3-thiadiazole.

2. The method of Claim 1 wherein J is a phenyl group substituted with 1 to 2 R⁵ and optionally substituted with 1 to 3 R⁶.

3. The method of Claim 2 wherein

A and B are both O;

n is 1 to 2;

R¹ is H, C₁-C₄ alkyl, C₂-C₄ alkenyl, C₂-C₄ alkynyl, C₃-C₆ cycloalkyl, C₂-C₆ alkylcarbonyl or C₂-C₆ alkoxy carbonyl;

R² is H, C₁-C₄ alkyl, C₂-C₄ alkenyl, C₂-C₄ alkynyl, C₃-C₆ cycloalkyl, C₂-C₆ alkylcarbonyl or C₂-C₆ alkoxy carbonyl;

R³ is C₁-C₆ alkyl, C₂-C₆ alkenyl, C₂-C₆ alkynyl or C₃-C₆ cycloalkyl each optionally substituted with one or more substituents selected from the group consisting of halogen, CN, C₁-C₂ alkoxy, C₁-C₂ alkylthio, C₁-C₂ alkylsulfinyl and C₁-C₂ alkylsulfonyl;

one of the R⁴ groups is attached to the phenyl ring at the 2-position or 5-position, and said R⁴ is C₁-C₄ alkyl, C₁-C₄ haloalkyl, halogen, CN, NO₂, C₁-C₄ alkoxy, C₁-C₄ haloalkoxy, C₁-C₄ alkylthio, C₁-C₄ alkylsulfinyl, C₁-C₄ alkylsulfonyl, C₁-C₄ haloalkylthio, C₁-C₄ haloalkylsulfinyl, C₁-C₄ haloalkylsulfonyl;

each R⁵ is independently C₁-C₄ haloalkyl, CN, NO₂, C₁-C₄ haloalkoxy, C₁-C₄ alkylthio, C₁-C₄ alkylsulfinyl, C₁-C₄ alkylsulfonyl, C₁-C₄ haloalkylthio, C₁-C₄ haloalkylsulfinyl, C₁-C₄ haloalkylsulfonyl or C₂-C₄ alkoxy carbonyl; or

(R⁵)₂ when attached to adjacent carbon atoms can be taken together as -OCF₂O-, -CF₂CF₂O- or -OCF₂CF₂O-; and

each R⁶ is independently H, halogen, C₁-C₄ alkyl, C₁-C₂ alkoxy or C₂-C₄ alkoxy carbonyl, or

each R⁶ is independently a phenyl or a 5- or 6-membered heteroaromatic ring, each ring optionally substituted with C₁-C₄ alkyl, C₂-C₄ alkenyl, C₂-C₄ alkynyl, C₃-C₆ cycloalkyl, C₁-C₄ haloalkyl, C₂-C₄ haloalkenyl, C₂-C₄ haloalkynyl, C₃-C₆ halocycloalkyl, halogen, CN, NO₂, C₁-C₄ alkoxy, C₁-C₄ haloalkoxy, C₁-C₄ alkylthio, C₁-C₄ alkylsulfinyl, C₁-C₄ alkylsulfonyl, C₁-C₄ alkylamino, C₂-C₄ dialkylamino, C₃-C₆ cycloalkylamino, C₃-C₆ (alkyl)cycloalkylamino, C₂-C₄ alkylcarbonyl, C₂-C₆ alkoxy carbonyl, C₂-C₆ alkylaminocarbonyl, C₃-C₈ dialkylaminocarbonyl or C₃-C₆ trialkylsilyl.

4. The method of Claim 3 wherein

R^1 and R^2 are both H;

R^3 is C_1 - C_4 alkyl optionally substituted with halogen, CN, OCH_3 , $S(O)_pCH_3$;

each R^4 is independently H, CH_3 , CF_3 , OCF_3 , $OCHF_2$, $S(O)_pCF_3$, $S(O)_pCHF_2$, CN or halogen;

each R^5 is independently CF_3 , OCF_3 , $OCHF_2$, $S(O)_pCF_3$, $S(O)_pCHF_2$, OCH_2CF_3 , OCF_2CHF_2 , $S(O)_pCH_2CF_3$ or $S(O)_pCF_2CHF_2$;

each R^6 is independently H, halogen or methyl; or phenyl, pyrazole, imidazole, triazole, pyridine or pyrimidine, each ring optionally substituted with C_1 - C_4 alkyl, C_1 - C_4 haloalkyl, halogen or CN; and

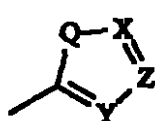
p is 0, 1 or 2.

5. The method of Claim 4 wherein R^3 is *i*-propyl or *t*-butyl.

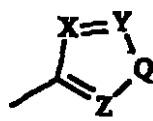
6. The method of Claim 1 wherein J is a 5- or 6-membered heteroaromatic ring optionally substituted with 1 to 4 R^7 .

7. The method of Claim 6 wherein

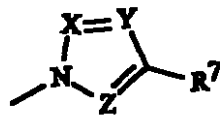
J is a 5- or 6-membered heteroaromatic ring selected from the group consisting of J-1, J-2, J-3, J-4 and J-5, each J optionally substituted with 1 to 3 R^7



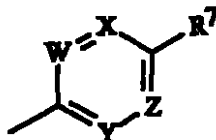
J-1



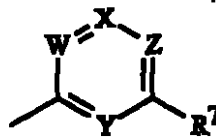
J-2



J-3



J-4



J-5

Q is O, S or NR^7 ; and

W , X , Y and Z are independently N or CR^7 , provided that in J-4 and J-5 at least one of W , X , Y or Z is N.

8. The method of Claim 6 or 7 wherein

A and B are O;

n is 1 to 2;

R^1 is H, C_1 - C_4 alkyl, C_2 - C_4 alkenyl, C_2 - C_4 alkynyl, C_2 - C_6 alkylcarbonyl or C_2 - C_6 alkoxy carbonyl;

R^2 is H, C_1 - C_4 alkyl, C_2 - C_4 alkenyl, C_2 - C_4 alkynyl, C_3 - C_6 cycloalkyl, C_2 - C_6 alkylcarbonyl or C_2 - C_6 alkoxy carbonyl;

R^3 is H; or C_1 - C_6 alkyl, C_2 - C_6 alkenyl, C_2 - C_6 alkynyl or C_3 - C_6 cycloalkyl each optionally substituted with one or more substituents selected from the group consisting of halogen, CN, C_1 - C_2 alkoxy, C_1 - C_2 alkylthio, C_1 - C_2 alkylsulfinyl and C_1 - C_2 alkylsulfonyl;

one of the R^4 groups is attached to the phenyl ring at the 2-position, and said R^4 is C_1 - C_4 alkyl, C_1 - C_4 haloalkyl, halogen, CN, NO_2 , C_1 - C_4 alkoxy, C_1 - C_4 haloalkoxy, C_1 - C_4 alkylthio, C_1 - C_4 alkylsulfinyl, C_1 - C_4 alkylsulfonyl, C_1 - C_4 haloalkylthio, C_1 - C_4 haloalkylsulfinyl or C_1 - C_4 haloalkylsulfonyl; and

each R^7 is independently H, C_1 - C_4 alkyl, C_1 - C_4 haloalkyl, halogen, CN, NO_2 , C_1 - C_4 haloalkoxy, C_1 - C_4 alkylthio, C_1 - C_4 alkylsulfinyl, C_1 - C_4 alkylsulfonyl, C_1 - C_4 haloalkylthio, C_1 - C_4 haloalkylsulfinyl, C_1 - C_4 haloalkylsulfonyl or C_2 - C_4 alkoxycarbonyl; or a phenyl or a 5- or 6-membered heteroaromatic ring, each ring optionally substituted with C_1 - C_4 alkyl, C_2 - C_4 alkenyl, C_2 - C_4 alkynyl, C_3 - C_6 cycloalkyl, C_1 - C_4 haloalkyl, C_2 - C_4 haloalkenyl, C_2 - C_4 haloalkynyl, C_3 - C_6 halocycloalkyl, halogen, CN, NO_2 , C_1 - C_4 alkoxy, C_1 - C_4 haloalkoxy, C_1 - C_4 alkylthio, C_1 - C_4 alkylsulfinyl, C_1 - C_4 alkylsulfonyl, C_1 - C_4 alkylamino, C_2 - C_8 dialkylamino, C_3 - C_6 cycloalkylamino, C_3 - C_6 (alkyl)cycloalkylamino, C_2 - C_4 alkylcarbonyl, C_2 - C_6 alkoxycarbonyl, C_2 - C_6 alkylaminocarbonyl, C_3 - C_8 dialkylaminocarbonyl or C_3 - C_6 trialkylsilyl.

9. The method of Claim 8 wherein J is selected from the group consisting of pyridine, pyrimidine, pyrazole, imidazole, triazole, thiophene, thiazole and oxazole, furan, isothiazole and isoxazole, each optionally substituted with 1 to 3 R^7 .

10. The method of Claim 9 wherein

J is selected from the group consisting of pyridine, pyrimidine, pyrazole, thiophene and thiazole, each optionally substituted with 1 to 3 R^7 ;

R^1 and R^2 are both H;

R^3 is C_1 - C_4 alkyl optionally substituted with halogen, CN, OCH_3 , $S(O)_pCH_3$;

each R^4 is independently H, CH_3 , CF_3 , OCF_3 , $OCHF_2$, $S(O)_pCF_3$, $S(O)_pCHF_2$, CN or halogen;

each R^7 is independently H, halogen, CH_3 , CF_3 , $OCHF_2$, $S(O)_pCF_3$, $S(O)_pCHF_2$, OCH_2CF_3 , OCF_2CHF_2 , $S(O)_pCH_2CF_3$, $S(O)_pCF_2CHF_2$; or phenyl, pyrazole, imidazole, triazole, pyridine or pyrimidine, each ring optionally substituted with C_1 - C_4 alkyl, C_1 - C_4 haloalkyl, C_1 - C_4 alkoxy, C_1 - C_4 haloalkoxy, C_1 - C_4 alkylthio, C_1 - C_4 alkylsulfinyl, C_1 - C_4 alkylsulfonyl, halogen or CN; and

p is 0, 1 or 2.

11. The method of Claim 10 wherein J is a pyridine optionally substituted with 1 to 3 R^7 .

12. The method of Claim 11 wherein one R⁷ is a phenyl optionally substituted with C₁-C₄ alkyl, C₁-C₄ haloalkyl, halogen or CN.

13. The method of Claim 11 wherein one R⁷ is a pyrazole, imidazole, triazole, pyridine or pyrimidine, each ring optionally substituted with C₁-C₄ alkyl, C₁-C₄ haloalkyl, halogen or CN.

14. The method of Claim 10 wherein J is a pyrimidine optionally substituted with 1 to 3 R⁷.

15. The method of Claim 14 wherein one R⁷ is a phenyl optionally substituted with C₁-C₄ alkyl, C₁-C₄ haloalkyl, halogen or CN.

16. The method of Claim 14 wherein one R⁷ is a pyrazole, imidazole, triazole, pyridine or pyrimidine, each ring optionally substituted with C₁-C₄ alkyl, C₁-C₄ haloalkyl, halogen or CN.

17. The method of Claim 10 wherein J is a pyrazole optionally substituted with 1 to 3 R⁷.

18. The method of Claim 17 wherein one R⁷ is a phenyl optionally substituted with C₁-C₄ alkyl, C₁-C₄ haloalkyl, halogen or CN.

19. The method of Claim 17 wherein one R⁷ is a pyrazole, imidazole, triazole, pyridine or pyrimidine, each ring optionally substituted with C₁-C₄ alkyl, C₁-C₄ haloalkyl, halogen or CN.

20. The method of Claim 19 wherein R⁷ is a pyridine optionally substituted with C₁-C₄ alkyl, C₁-C₄ haloalkyl, halogen or CN.

21. The method of Claim 1 comprising a compound of Formula 1 selected from the group consisting of:

3-methyl-N-(1-methylethyl)-2-[[4-(trifluoromethyl)benzoyl]amino]-benzamide,

2-methyl-N-[2-methyl-6-[[[(1-methylethyl)amino]carbonyl]phenyl]-4-(trifluoromethyl)benzamide,

2-methyl-N-[2-methyl-6-[[[(1-methylethyl)amino]carbonyl]phenyl]-6-(trifluoromethyl)-3-pyridinecarboxamide,

1-ethyl-N-[2-methyl-6-[[[(1-methylethyl)amino]carbonyl]phenyl]-3-(trifluoromethyl)-1H-pyrazole-5-carboxamide,

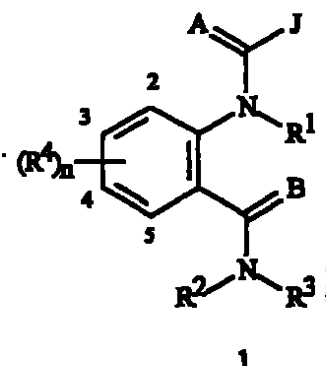
1-(2-fluorophenyl)-N-[2-methyl-6-[[[(1-methylethyl)amino]carbonyl]phenyl]-3-(trifluoromethyl)-1H-pyrazole-5-carboxamide,

1-(3-chloro-2-pyridinyl)-N-[2-methyl-6-[[[(1-methylethyl)amino]carbonyl]phenyl]-3-(trifluoromethyl)-1H-pyrazole-5-carboxamide,

N-[2-chloro-6-[[[(1-methylethyl)amino]carbonyl]phenyl]-1-(3-chloro-2-pyridinyl)-3-(trifluoromethyl)-1H-pyrazole-5-carboxamide,

3-bromo-1-(2-chlorophenyl)-*N*-[2-methyl-6-[(1-methylethyl)amino]carbonyl]phenyl]-1*H*-pyrazole-5-carboxamide, and 3-bromo-*N*-[2-chloro-6-[(1-methylethyl)amino]carbonyl]phenyl]-1-(2-chlorophenyl)-1*H*-pyrazole-5-carboxamide.

22. A compound of Formula 1, its *N*-oxides and agriculturally suitable salts



wherein

A and B are independently O or S;

optionally substituted with 1 to 3 R⁶;

or each J is independently a 5- or 6-membered heteroaromatic ring or an aromatic 8-, 9- or 10-membered fused heterobicyclic ring system wherein each ring or ring system is optionally substituted with 1 to 4 R⁷;

n is 1 to 4;

R¹ is H; or C₁-C₆ alkyl, C₂-C₆ alkenyl, C₂-C₆ alkynyl or C₃-C₆ cycloalkyl each optionally substituted with one or more substituents selected from the group consisting of halogen, CN, NO₂, hydroxy, C₁-C₄ alkoxy, C₁-C₄ alkylthio, C₁-C₄ alkylsulfinyl, C₁-C₄ alkylsulfonyl, C₂-C₄ alkoxycarbonyl, C₁-C₄ alkylamino, C₂-C₈ dialkylamino and C₃-C₆ cycloalkylamino; or

R¹ is C₂-C₆ alkylcarbonyl, C₂-C₆ alkoxy carbonyl, C₂-C₆ alkylaminocarbonyl, C₃-C₈ dialkylaminocarbonyl or C(=A)J;

R² is H, C₁-C₆ alkyl, C₂-C₆ alkenyl, C₂-C₆ alkynyl, C₃-C₆ cycloalkyl, C₁-C₄ alkoxy, C₁-C₄ alkylamino, C₂-C₈ dialkylamino, C₃-C₆ cycloalkylamino, C₂-C₆ alkoxy carbonyl or C₂-C₆ alkylcarbonyl;

R³ is H; C₁-C₆ alkyl, C₂-C₆ alkenyl, C₂-C₆ alkynyl, C₃-C₆ cycloalkyl; each optionally substituted with one or more substituents selected from the group consisting of halogen, CN, NO₂, hydroxy, C₁-C₄ alkoxy, C₁-C₄ haloalkoxy, C₁-C₄ alkylthio, C₁-C₄ alkylsulfinyl, C₁-C₄ alkylsulfonyl, C₂-C₆ alkoxy carbonyl, C₂-C₆ alkylcarbonyl, C₃-C₆ trialkylsilyl, or a phenoxy ring optionally substituted with one to three substituents independently selected from the group consisting of C₁-

C₄ alkyl, C₂-C₄ alkenyl, C₂-C₄ alkynyl, C₃-C₆ cycloalkyl, C₁-C₄ haloalkyl, C₂-C₄ haloalkenyl, C₂-C₄ haloalkynyl, C₃-C₆ halocycloalkyl, halogen, CN, NO₂, C₁-C₄ alkoxy, C₁-C₄ haloalkoxy, C₁-C₄ alkylthio, C₁-C₄ alkylsulfinyl, C₁-C₄ alkylsulfonyl, C₁-C₄ alkylamino, C₂-C₈ dialkylamino, C₃-C₆ cycloalkylamino, C₃-C₆ (alkyl)cycloalkylamino, C₂-C₄ alkylcarbonyl, C₂-C₆ alkoxycarbonyl, C₂-C₆ alkylaminocarbonyl, C₃-C₈ dialkylaminocarbonyl or C₃-C₆ trialkylsilyl; C₁-C₄ alkoxy; C₁-C₄ alkylamino; C₂-C₈ dialkylamino; C₃-C₆ cycloalkylamino; C₂-C₆ alkoxycarbonyl or C₂-C₆ alkylcarbonyl; or

R² and R³ can be 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 of nitrogen, sulfur or oxygen, said ring may be optionally substituted with 1 to 4 substituents selected from the group consisting of C₁-C₂ alkyl, halogen, CN, NO₂ and C₁-C₂ alkoxy;

each R⁴ is independently H, C₁-C₆ alkyl, C₂-C₆ alkenyl, C₂-C₆ alkynyl, C₃-C₆ cycloalkyl, C₁-C₆ haloalkyl, C₂-C₆ haloalkenyl, C₂-C₆ haloalkynyl, C₃-C₆ halocycloalkyl, halogen, CN, NO₂, hydroxy, C₁-C₄ alkoxy, C₁-C₄ haloalkoxy, C₁-C₄ alkylthio, C₁-C₄ alkylsulfinyl, C₁-C₄ alkylsulfonyl, C₁-C₄ haloalkylthio, C₁-C₄ haloalkylsulfinyl, C₁-C₄ haloalkylsulfonyl, C₁-C₄ alkylamino, C₂-C₈ dialkylamino, C₃-C₆ cycloalkylamino, or C₃-C₆ trialkylsilyl; or

each R⁴ is independently phenyl, benzyl or phenoxy, each optionally substituted with C₁-C₄ alkyl, C₂-C₄ alkenyl, C₂-C₄ alkynyl, C₃-C₆ cycloalkyl, C₁-C₄ haloalkyl, C₂-C₄ haloalkenyl, C₂-C₄ haloalkynyl, C₃-C₆ halocycloalkyl, halogen, CN, NO₂, C₁-C₄ alkoxy, C₁-C₄ haloalkoxy, C₁-C₄ alkylthio, C₁-C₄ alkylsulfinyl, C₁-C₄ alkylsulfonyl, C₁-C₄ alkylamino, C₂-C₈ dialkylamino, C₃-C₆ cycloalkylamino, C₃-C₆ (alkyl)cycloalkylamino, C₂-C₄ alkylcarbonyl, C₂-C₆ alkoxycarbonyl, C₂-C₆ alkylaminocarbonyl, C₃-C₈ dialkylaminocarbonyl or C₃-C₆ trialkylsilyl;

each R⁵ is independently C₁-C₆ haloalkyl, C₂-C₆ haloalkenyl, C₂-C₆ haloalkynyl, C₃-C₆ halocycloalkyl, C₁-C₄ haloalkoxy, C₁-C₄ alkylthio, C₁-C₄ alkylsulfinyl, C₁-C₄ alkylsulfonyl, C₁-C₄ haloalkylthio, C₁-C₄ haloalkylsulfinyl, C₁-C₄ haloalkylsulfonyl, CN, NO₂, C₁-C₄ alkoxycarbonyl, C₁-C₄ alkylamino, C₂-C₈ dialkylamino, C₃-C₆ cycloalkylamino, C₂-C₆ alkylcarbonyl, C₂-C₆ alkoxycarbonyl, C₂-C₆ alkylaminocarbonyl, or C₃-C₈ dialkylaminocarbonyl; or

(R⁵)₂ attached to adjacent carbon atoms can be taken together as -OCF₂O-, -CF₂CF₂O-, or -OCF₂CF₂O-;

each R⁶ is independently H, halogen, C₁-C₆ alkyl, C₂-C₆ alkenyl, C₂-C₆ alkynyl, C₃-C₆ cycloalkyl, C₁-C₄ alkoxy or C₂-C₄ alkoxycarbonyl; or

each R⁶ is independently a phenyl, benzyl, phenoxy, 5- or 6-membered heteroaromatic ring or an aromatic 8-, 9- or 10-membered fused heterobicyclic ring system, each ring optionally substituted with one to three substituents independently selected from the group consisting of C₁-C₄ alkyl, C₂-C₄ alkenyl, C₂-C₄ alkynyl, C₃-C₆ cycloalkyl, C₁-C₄ haloalkyl, C₂-C₄ haloalkenyl, C₂-C₄ haloalkynyl, C₃-C₆ halocycloalkyl, halogen, CN, NO₂, C₁-C₄ alkoxy, C₁-C₄ haloalkoxy, C₁-C₄ alkylthio, C₁-C₄ alkylsulfinyl, C₁-C₄ alkylsulfonyl, C₁-C₄ alkylamino, C₂-C₈ dialkylamino, C₃-C₆ cycloalkylamino, C₃-C₆ (alkyl)cycloalkylamino, C₂-C₄ alkylcarbonyl, C₂-C₆ alkoxy carbonyl, C₂-C₆ alkylaminocarbonyl, C₃-C₈ dialkylaminocarbonyl or C₃-C₆ trialkylsilyl;

each R⁷ is independently H, C₁-C₆ alkyl, C₂-C₆ alkenyl, C₂-C₆ alkynyl, C₃-C₆ cycloalkyl, C₁-C₆ haloalkyl, C₂-C₆ haloalkenyl, C₂-C₆ haloalkynyl, C₃-C₆ halocycloalkyl, halogen, CN, CO₂H, CONH₂, NO₂, hydroxy, C₁-C₄ alkoxy, C₁-C₄ haloalkoxy, C₁-C₄ alkylthio, C₁-C₄ alkylsulfinyl, C₁-C₄ alkylsulfonyl, C₁-C₄ haloalkylthio, C₁-C₄ haloalkylsulfinyl, C₁-C₄ haloalkylsulfonyl, C₁-C₄ alkylamino, C₂-C₈ dialkylamino, C₃-C₆ cycloalkylamino, C₂-C₆ alkylcarbonyl, C₂-C₆ alkoxy carbonyl, C₂-C₆ alkylaminocarbonyl, C₃-C₈ dialkylaminocarbonyl, C₃-C₆ trialkylsilyl; or

each R⁷ is independently a phenyl, benzyl, benzoyl, phenoxy or 5- or 6-membered heteroaromatic ring 8-, 9- or 10-membered fused heterobicyclic ring system, each ring optionally substituted with one to three substituents independently selected from the group consisting of C₁-C₄ alkyl, C₂-C₄ alkenyl, C₂-C₄ alkynyl, C₃-C₆ cycloalkyl, C₁-C₄ haloalkyl, C₂-C₄ haloalkenyl, C₂-C₄ haloalkynyl, C₃-C₆ halocycloalkyl, halogen, CN, NO₂, C₁-C₄ alkoxy, C₁-C₄ haloalkoxy, C₁-C₄ alkylthio, C₁-C₄ alkylsulfinyl, C₁-C₄ alkylsulfonyl, C₁-C₄ alkylamino, C₂-C₈ dialkylamino, C₃-C₆ cycloalkylamino, C₃-C₆ (alkyl)cycloalkylamino, C₂-C₄ alkylcarbonyl, C₂-C₆ alkoxy carbonyl, C₂-C₆ alkylaminocarbonyl, C₃-C₈ dialkylaminocarbonyl or C₃-C₆ trialkylsilyl;

provided that

- (i) at least one R⁴ and at least one R⁷ are other than H;
- (ii) J is other than an optionally substituted 1,2,3-thiadiazole;
- (iii) when J is an optionally substituted pyridine and R² is H, R³ is other than H or CH₃;
- (iv) when J is an optionally substituted pyridine, then R⁷ cannot be CONH₂, C₂-C₆ alkylaminocarbonyl or C₃-C₈ dialkylaminocarbonyl;
- (v) when J is an optionally substituted pyrazole, tetrazole or pyrimidine, then R² and R³ cannot both be hydrogen.

23. The compound of Claim 22 wherein J is a phenyl group substituted with 1 to 2 R⁵ and optionally substituted with 1 to 3 R⁶.

24. The compound of Claim 25 wherein

A and B are both O;

n is 1 to 2;

R¹ is H, C₁-C₄ alkyl, C₂-C₄ alkenyl, C₂-C₄ alkynyl, C₃-C₆ cycloalkyl, C₂-C₆ alkylcarbonyl or C₂-C₆ alkoxy carbonyl;

R² is H, C₁-C₄ alkyl, C₂-C₄ alkenyl, C₂-C₄ alkynyl, C₃-C₆ cycloalkyl, C₂-C₆ alkylcarbonyl or C₂-C₆ alkoxy carbonyl;

R³ is C₁-C₆ alkyl, C₂-C₆ alkenyl, C₂-C₆ alkynyl or C₃-C₆ cycloalkyl each optionally substituted with one or more substituents selected from the group consisting of halogen, CN, C₁-C₂ alkoxy, C₁-C₂ alkylthio, C₁-C₂ alkylsulfinyl and C₁-C₂ alkylsulfonyl;

one of the R⁴ groups is attached to the phenyl ring at the 2-position or 5-position, and said R⁴ is C₁-C₄ alkyl, C₁-C₄ haloalkyl, halogen, CN, NO₂, C₁-C₄ alkoxy, C₁-C₄ haloalkoxy, C₁-C₄ alkylthio, C₁-C₄ alkylsulfinyl, C₁-C₄ alkylsulfonyl, C₁-C₄ haloalkylthio, C₁-C₄ haloalkylsulfinyl or C₁-C₄ haloalkylsulfonyl;

each R⁵ is independently C₁-C₄ haloalkyl, CN, NO₂, C₁-C₄ haloalkoxy, C₁-C₄ alkylthio, C₁-C₄ alkylsulfinyl, C₁-C₄ alkylsulfonyl, C₁-C₄ haloalkylthio, C₁-C₄ haloalkylsulfinyl, C₁-C₄ haloalkylsulfonyl or C₂-C₄ alkoxy carbonyl; or

(R⁵)₂ when attached to adjacent carbon atoms can be taken together as -OCF₂O-, -CF₂CF₂O- or -OCF₂CF₂O-; and

each R⁶ is independently H, halogen, C₁-C₄ alkyl, C₁-C₂ alkoxy or C₂-C₄ alkoxy carbonyl, or

each R⁶ is independently a phenyl or a 5- or 6-membered heteroaromatic ring, each ring optionally substituted with C₁-C₄ alkyl, C₂-C₄ alkenyl, C₂-C₄ alkynyl, C₃-C₆ cycloalkyl, C₁-C₄ haloalkyl, C₂-C₄ haloalkenyl, C₂-C₄ haloalkynyl, C₃-C₆ halocycloalkyl, halogen, CN, NO₂, C₁-C₄ alkoxy, C₁-C₄ haloalkoxy, C₁-C₄ alkylthio, C₁-C₄ alkylsulfinyl, C₁-C₄ alkylsulfonyl, C₁-C₄ alkylamino, C₂-C₈ dialkylamino, C₃-C₆ cycloalkylamino, C₃-C₆ (alkyl)cycloalkylamino, C₂-C₄ alkylcarbonyl, C₂-C₆ alkoxy carbonyl, C₂-C₆ alkylaminocarbonyl, C₃-C₈ dialkylaminocarbonyl or C₃-C₆ trialkylsilyl.

25. The compound of Claim 26 wherein

R¹ and R² are both H;

R³ is C₁-C₄ alkyl optionally substituted with halogen, CN, OCH₃, S(O)_pCH₃;

each R⁴ is independently H, CH₃, CF₃, OCF₃, OCHF₂, S(O)_pCF₃, S(O)_pCHF₂, CN or halogen;

each R^5 is independently CF_3 , OCF_3 , $OCHF_2$, $S(O)_pCF_3$, $S(O)_pCHF_2$, OCH_2CF_3 , OCF_2CHF_2 , $S(O)_pCH_2CF_3$ or $S(O)_pCF_2CHF_2$;

each R^6 is independently H, halogen or methyl; or phenyl, pyrazole, imidazole, triazole, pyridine or pyrimidine, each ring optionally substituted with C_1 - C_4 alkyl, C_1 - C_4 haloalkyl, halogen or CN; and

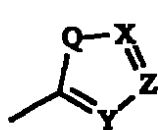
p is 0, 1 or 2.

26. The compound of Claim 25 wherein R^3 is *t*-propyl or *t*-butyl.

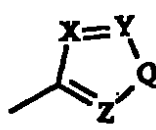
27. The compound of Claim 26 wherein J is a 5- or 6-membered heteroaromatic ring optionally substituted with 1 to 4 R^7 .

28. The compound of Claim 27 wherein

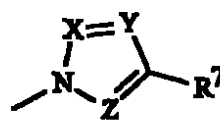
J is a 5- or 6-membered heteroaromatic ring selected from the group consisting of J-1, J-2, J-3, J-4 and J-5, each J optionally substituted with 1 to 3 R^7



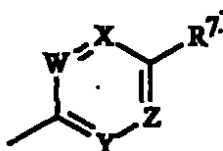
J-1



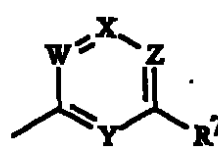
J-2



J-3



J-4



J-5

Q is O, S or NR^7 ; and

W, X, Y and Z are independently N or CR^7 , provided that in J-4 and J-5 at least one of W, X, Y or Z is N.

29. The compound of Claim 27 or Claim 28 wherein

A and B are O;

n is 1 to 2;

R^1 is H, C_1 - C_4 alkyl, C_2 - C_4 alkenyl, C_2 - C_4 alkynyl, C_2 - C_6 alkylcarbonyl or C_2 - C_6 alkoxy carbonyl;

R^2 is H, C_1 - C_4 alkyl, C_2 - C_4 alkenyl, C_2 - C_4 alkynyl, C_3 - C_6 cycloalkyl, C_2 - C_6 alkylcarbonyl or C_2 - C_6 alkoxy carbonyl;

R^3 is H; or C_1 - C_6 alkyl, C_2 - C_6 alkenyl, C_2 - C_6 alkynyl or C_3 - C_6 cycloalkyl each optionally substituted with one or more substituents selected from the group

consisting of halogen, CN, C₁-C₂ alkoxy, C₁-C₂ alkylthio, C₁-C₂ alkylsulfinyl and C₁-C₂ alkylsulfonyl;

one of the R⁴ groups is attached to the phenyl ring at the 2-position, and said R⁴ is C₁-C₄ alkyl, C₁-C₄ haloalkyl, halogen, CN, NO₂, C₁-C₄ alkoxy, C₁-C₄ haloalkoxy, C₁-C₄ alkylthio, C₁-C₄ alkylsulfinyl, C₁-C₄ alkylsulfonyl, C₁-C₄ haloalkylthio, C₁-C₄ haloalkylsulfinyl or C₁-C₄ haloalkylsulfonyl; and

each R⁷ is independently H, C₁-C₄ alkyl, C₁-C₄ haloalkyl, halogen, CN, NO₂, C₁-C₄ haloalkoxy, C₁-C₄ alkylthio, C₁-C₄ alkylsulfinyl, C₁-C₄ alkylsulfonyl, C₁-C₄ haloalkylthio, C₁-C₄ haloalkylsulfinyl, C₁-C₄ haloalkylsulfonyl or C₂-C₄ alkoxycarbonyl; or a phenyl or a 5- or 6-membered heteroaromatic ring, each ring optionally substituted with C₁-C₄ alkyl, C₂-C₄ alkenyl, C₂-C₄ alkynyl, C₃-C₆ cycloalkyl, C₁-C₄ haloalkyl, C₂-C₄ haloalkenyl, C₂-C₄ haloalkynyl, C₃-C₆ halocycloalkyl, halogen, CN, NO₂, C₁-C₄ alkoxy, C₁-C₄ haloalkoxy, C₁-C₄ alkylthio, C₁-C₄ alkylsulfinyl, C₁-C₄ alkylsulfonyl, C₁-C₄ alkylamino, C₂-C₈ dialkylamino, C₃-C₆ cycloalkylamino, C₃-C₆ (alkyl)cycloalkylamino, C₂-C₄ alkylcarbonyl, C₂-C₆ alkoxycarbonyl, C₂-C₆ alkylaminocarbonyl, C₃-C₈ dialkylaminocarbonyl or C₃-C₆ trialkylsilyl.

30. The compound of Claim 29 wherein J is selected from the group consisting of pyridine, pyrimidine, pyrazole, imidazole, triazole, thiophene, thiazole and oxazole, furan, isothiazole and isoxazole, each optionally substituted with 1 to 3 R⁷.

31. The compound of Claim 30 wherein

J is selected from the group consisting of pyridine, pyrimidine, pyrazole, thiophene and thiazole, each optionally substituted with 1 to 3 R⁷;

R¹ and R² are both H;

R³ is C₁-C₄ alkyl optionally substituted with halogen, CN, OCH₃, S(O)_pCH₃;

each R⁴ is independently H, CH₃, CF₃, OCF₃, OCHF₂, S(O)_pCF₃, S(O)_pCHF₂, CN or halogen;

each R⁷ is independently H, halogen, CH₃, CF₃, OCHF₂, S(O)_pCF₃, S(O)_pCHF₂,

OCH₂CF₃, OCF₂CHF₂, S(O)_pCH₂CF₃, S(O)_pCF₂CHF₂; or phenyl, pyrazole,

imidazole, triazole, pyridine or pyrimidine, each ring optionally substituted with C₁-C₄ alkyl, C₁-C₄ haloalkyl, C₁-C₄ alkoxy, C₁-C₄ haloalkoxy, C₁-C₄ alkylthio, C₁-C₄ alkylsulfinyl, C₁-C₄ alkylsulfonyl, halogen or CN; and

p is 0, 1 or 2.

32. The compound of Claim 31 wherein J is a pyridine optionally substituted with 1 to 3 R⁷.

33. The compound of Claim 32 wherein one R⁷ is a phenyl optionally substituted with C₁-C₄ alkyl, C₁-C₄ haloalkyl, halogen or CN.

34. The compound of Claim 32 wherein one R⁷ is a pyrazole, imidazole, triazole, pyridine or pyrimidine, each ring optionally substituted with C₁-C₄ alkyl, C₁-C₄ haloalkyl, halogen or CN.

35. The compound of Claim 31 wherein J is a pyrimidine optionally substituted with 1 to 3 R⁷.

36. The compound of Claim 35 wherein one R⁷ is a phenyl optionally substituted with C₁-C₄ alkyl, C₁-C₄ haloalkyl, halogen or CN.

37. The compound of Claim 35 wherein one R⁷ is a pyrazole, imidazole, triazole, pyridine or pyrimidine, each ring optionally substituted with C₁-C₄ alkyl, C₁-C₄ haloalkyl, halogen or CN.

38. The compound of Claim 32 wherein J is a pyrazole optionally substituted with 1 to 3 R⁷.

39. The compound of Claim 38 wherein one R⁷ is a phenyl optionally substituted with C₁-C₄ alkyl, C₁-C₄ haloalkyl, halogen or CN.

40. The compound of Claim 38 wherein one R⁷ is a pyrazole, imidazole, triazole, pyridine or pyrimidine, each ring optionally substituted with C₁-C₄ alkyl, C₁-C₄ haloalkyl, halogen or CN.

41. The compound of Claim 38 wherein wherein R⁷ is a pyridine optionally substituted with C₁-C₄ alkyl, C₁-C₄ haloalkyl, halogen or CN.

42. The compound of Claim 22 selected from the group consisting of:
 3-methyl-N-(1-methylethyl)-2-[[4-(trifluoromethyl)benzoyl]amino]-benzamide,
 2-methyl-N-[2-methyl-6-[[[(1-methylethyl)amino]carbonyl]phenyl]-4-(trifluoromethyl)benzamide,
 2-methyl-N-[2-methyl-6-[[[(1-methylethyl)amino]carbonyl]phenyl]-6-(trifluoromethyl)-3-pyridinecarboxamide,
 1-ethyl-N-[2-methyl-6-[[[(1-methylethyl)amino]carbonyl]phenyl]-3-(trifluoromethyl)-1H-pyrazole-5-carboxamide,
 1-(2-fluorophenyl)-N-[2-methyl-6-[[[(1-methylethyl)amino]carbonyl]phenyl]-3-(trifluoromethyl)-1H-pyrazole-5-carboxamide,
 1-(3-chloro-2-pyridinyl)-N-[2-methyl-6-[[[(1-methylethyl)amino]carbonyl]phenyl]-3-(trifluoromethyl)-1H-pyrazole-5-carboxamide,
 N-[2-chloro-6-[[[(1-methylethyl)amino]carbonyl]phenyl]-1-(3-chloro-2-pyridinyl)-3-(trifluoromethyl)-1H-pyrazole-5-carboxamide,
 3-bromo-1-(2-chlorophenyl)-N-[2-methyl-6-[[[(1-methylethyl)amino]carbonyl]phenyl]-1H-pyrazole-5-carboxamide, and
 3-bromo-N-[2-chloro-6-[[[(1-methylethyl)amino]carbonyl]phenyl]-1-(2-chlorophenyl)-1H-pyrazole-5-carboxamide.

43. An arthropodicial composition comprising an arthropodically effective amount of a compound of Formula 1 as described in Claim 1 and at least one additional component selected from the group consisting of surfactants, solid diluents and liquid diluents.

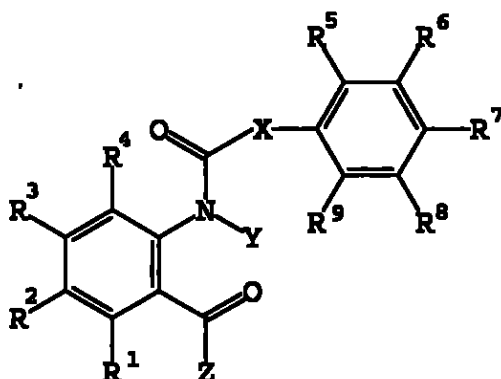
ANTRANILAMIDAS INSECTICIDAS

ANTECEDENTES DE LA INVENCION

5 Esta invención se relaciona con ciertas antranilamidas, sus N-óxidos, sales y composiciones agrícolamente adecuadas, así como métodos para su uso, como sustancias que matan artrópodos en ambientes agronómicos y no agronómicos.

10 El exterminio de plagas de artrópodos es muy importante para obtener una alta eficiencia de una cosecha. El daño por artrópodos a cosechas agronómicas en crecimiento o almacenadas pueden provocar una reducción importante en la productividad y pueden resultar en costos aumentados para el
15 consumidor. El control de plagas de artrópodos en los bosques, cosechas de invernadero, lugares de ornato o cosechas de semillero, alimentos almacenados y productos de fibra para la salud de animales de ganado, caseros y públicos, también es importante. Están disponibles
20 comercialmente muchos productos para estos propósitos, pero aún existe necesidad de compuestos nuevos que sean más eficaces, menos costosos, menos tóxicos, ambientalmente más inocuos o que tengan modos de acción diferentes.

 El documento NL 9202078 describe derivados de
25 ácido N-acilantranílico de fórmula i como insecticidas:



i

en donde, por ejemplo,

X es un enlace directo;

Y es H o alquilo de 1 a 6 átomos de carbono;

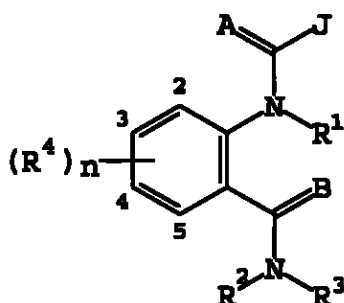
Z es NH₂, NH(alquilo de 1 a 3 átomos de carbono) o N(alquilo de 1 a 3 átomos de carbono)₂; y

R¹ a R⁹ son independientemente H, halógeno, alquilo de 1 a 6 átomos de carbono, fenilo, hidroxilo, alcoxi de 1 a 6 átomos de carbono o aciloxi de 1 a 7 átomos de carbono.

SUMARIO DE LA INVENCION

Esta invención se relaciona con un método para exterminar artrópodos, que comprende poner en contacto a los artrópodos o su ambiente con una cantidad eficaz para matar

artrópodos de un compuesto de fórmula 1, su N-óxido o sales
agrícolamente adecuadas



1

10

en donde

A y B son independientemente O o S;

15 cada J es independientemente un grupo fenilo o naftilo sustituido con 1 a 2 de R^5 y opcionalmente sustituido con 1 a 3 de R^6 ; o cada J es independientemente un anillo heteroaromático de 5 ó 6 miembros o un sistema de anillo heterobicíclico fusionado aromático de 8, 9 ó 10 miembros en donde cada anillo o sistema de anillos está opcionalmente
20 sustituido con 1 a 4 de R^7 ;

n es 1 a 4;

R^1 es H; o alquilo de 1 a 6 átomos de carbono, alquenilo de 2 a 6 átomos de carbono, alquinilo de 2 a 6 átomos de carbono o cicloalquilo de 3 a 6 átomos de carbono,
25 cada uno sustituido opcionalmente con uno o más

sustituyentes que se seleccionan del grupo que consiste de halógeno, CN, NO₂, hidroxí, alcoxi de 1 a 4 átomos de carbono, alquiltio de 1 a 4 átomos de carbono, alquilsulfinilo de 1 a 4 átomos de carbono, alquilsulfonilo de 1 a 4 átomos de carbono, alcoxycarbonilo de 2 a 4 átomos de carbono, alquilamino de 1 a 4 átomos de carbono, dialquilamino de 2 a 8 átomos de carbono y cicloalquilamino de 3 a 6 átomos de carbono; o

R¹ es alquilcarbonilo de 2 a 6 átomos de carbono, alcoxycarbonilo de 2 a 6 átomos de carbono, alquilaminocarbonilo de 2 a 6 átomos de carbono, dialquilaminocarbonilo de 3 a 8 átomos de carbono, o C(=A)J;

R² es H, alquilo de 1 a 6 átomos de carbono, alquenilo de 2 a 6 átomos de carbono, alquinilo de 2 a 6 átomos de carbono, cicloalquilo de 3 a 6 átomos de carbono, alcoxi de 1 a 4 átomos de carbono, alquilamino de 1 a 4 átomos de carbono, dialquilamino de 2 a 8 átomos de carbono, cicloalquilamino de 3 a 6 átomos de carbono, alcoxycarbonilo de 2 a 6 átomos de carbono, o alquilcarbonilo de 2 a 6 átomos de carbono;

R³ es H; G; alquilo de 1 a 6 átomos de carbono, alquenilo de 2 a 6 átomos de carbono, alquinilo de 2 a 6 átomos de carbono, cicloalquilo de 3 a 6 átomos de carbono, cada uno opcionalmente sustituido con uno o más sustituyentes que se seleccionan del grupo que consiste de

halógeno, G, CN, NO₂, hidroxí, alcoxi de 1 a 4 átomos de carbono, haloalcoxi de 1 a 4 átomos de carbono, alquiltio de 1 a 4 átomos de carbono, alquilsulfinilo de 1 a 4 átomos de carbono, alquilsulfonilo de 1 a 4 átomos de carbono, 5 alcóxicarbonilo de 2 a 6 átomos de carbono, alquilcarbonilo de 2 a 6 átomos de carbono, trialquilsililo de 3 a 6 átomos de carbono o un fenilo, fenoxi o un anillo heteroaromático de 5 ó 6 miembros, cada anillo está opcionalmente sustituido con uno a tres sustituyentes que se seleccionan 10 independientemente del grupo que consiste de alquilo de 1 a 4 átomos de carbono, alquenilo de 2 a 4 átomos de carbono, alquinilo de 2 a 4 átomos de carbono, cicloalquilo de 3 a 6 átomos de carbono, haloalquilo de 1 a 4 átomos de carbono, haloalquenilo de 2 a 4 átomos de carbono, haloalquinilo de 2 15 a 4 átomos de carbono, halocicloalquilo de 3 a 6 átomos de carbono, halógeno, CN, NO₂, alcoxi de 1 a 4 átomos de carbono, haloalcoxi de 1 a 4 átomos de carbono, alquiltio de 1 a 4 átomos de carbono, alquilsulfinilo de 1 a 4 átomos de carbono, alquilsulfonilo de 1 a 4 átomos de carbono, 20 alquilamino de 1 a 4 átomos de carbono, dialquilamino de 2 a 8 átomos de carbono, cicloalquilamino de 3 a 6 átomos de carbono, (alquil)cicloalquilamino de 3 a 6 átomos de carbono, alquilcarbonilo de 2 a 4 átomos de carbono, alcóxicarbonilo de 2 a 6 átomos de carbono, 25 alquilaminocarbonilo de 2 a 6 átomos de carbono,

dialquilaminocarbonilo de 3 a 8 átomos de carbono, o
trialquilsililo de 3 a 6 átomos de carbono; alcoxi de 1 a 4
átomos de carbono; alquilamino de 1 a 4 átomos de carbono;
dialquilamino de 2 a 8 átomos de carbono; cicloalquilamino
5 de 3 a 6 átomos de carbono; alcoxycarbonilo de 2 a 6 átomos
de carbono o alquilcarbonilo de 2 a 6 átomos de carbono; o

R^2 y R^3 se pueden tomar junto con el nitrógeno al
cual están unidos para formar un anillo que contiene 2 a 6
átomos de carbono y opcionalmente un átomo adicional de
10 nitrógeno, azufre u oxígeno, el anillo puede estar
sustituido opcionalmente con 1 a 4 sustituyentes que se
seleccionan del grupo que consiste de alquilo de 1 a 2
átomos de carbono, halógeno, CN, NO₂ y alcoxi de 1 a 2 átomos
de carbono;

15 G es un anillo carboxíclico o heterocíclico no
aromático de 5 ó 6 miembros, que opcionalmente incluye uno o
dos miembros en el anillo que se seleccionan del grupo que
consiste de C(=O), SO o S(O)₂ y opcionalmente sustituido con
1 a 4 sustituyentes que se seleccionan del grupo que
20 consiste de alquilo de 1 a 2 átomos de carbono, halógeno,
CN, NO₂ y alcoxi de 1 a 2 átomos de carbono;

cada R^4 es independientemente H, alquilo de 1 a 6
átomos de carbono, alquenilo de 2 a 6 átomos de carbono,
alquinilo de 2 a 6 átomos de carbono, cicloalquilo de 3 a 6
25 átomos de carbono, haloalquilo de 1 a 6 átomos de carbono,

haloalquenilo de 2 a 6 átomos de carbono, haloalquinilo de 2 a 6 átomos de carbono, halocicloalquilo de 3 a 6 átomos de carbono, halógeno, CN, NO₂, hidroxí, alcoxi de 1 a 4 átomos de carbono, haloalcoxi de 1 a 4 átomos de carbono, alquiltio de 1 a 4 átomos de carbono, alquilsulfinilo de 1 a 4 átomos de carbono, alquilsulfonilo de 1 a 4 átomos de carbono, haloalquiltio de 1 a 4 átomos de carbono, haloalquilsulfinilo de 1 a 4 átomos de carbono, haloalquilsulfonilo de 1 a 4 átomos de carbono, alquilamino de 1 a 4 átomos de carbono, dialquilamino de 2 a 8 átomos de carbono, cicloalquilamino de 3 a 6 átomos de carbono, o trialquilsililo de 3 a 6 átomos de carbono; o

cada R⁴ es independientemente fenilo, bencilo o fenoxi, cada uno opcionalmente sustituido con alquilo de 1 a 4 átomos de carbono; alquenilo de 2 a 4 átomos de carbono, alquinilo de 2 a 4 átomos de carbono, cicloalquilo de 3 a 6 átomos de carbono, haloalquilo de 1 a 4 átomos de carbono, haloalquenilo de 2 a 4 átomos de carbono, haloalquinilo de 2 a 4 átomos de carbono, halocicloalquilo de 3 a 6 átomos de carbono, halógeno, CN, NO₂, alcoxi de 1 a 4 átomos de carbono, haloalcoxi de 1 a 4 átomos de carbono, alquiltio de 1 a 4 átomos de carbono, alquilsulfinilo de 1 a 4 átomos de carbono, alquilsulfonilo de 1 a 4 átomos de carbono, alquilamino de 1 a 4 átomos de carbono, dialquilamino de 2 a 8 átomos de carbono, cicloalquilamino de 3 a 6 átomos de

carbono, (alquil)cicloalquilamino de 3 a 6 átomos de carbono, alquilcarbonilo de 2 a 4 átomos de carbono, alcoxicarbonilo de 2 a 6 átomos de carbono, alquilaminocarbonilo de 2 a 6 átomos de carbono, 5 dialquilaminocarbonilo de 3 a 8 átomos de carbono, o trialquilsililo de 3 a 6 átomos de carbono;

cada R⁵ es independientemente alquilo de 1 a 6 átomos de carbono, alquenilo de 2 a 6 átomos de carbono, alquinilo de 2 a 6 átomos de carbono, cicloalquilo de 3 a 6 10 átomos de carbono, haloalquilo de 1 a 6 átomos de carbono, haloalquenilo de 2 a 6 átomos de carbono, haloalquinilo de 2 a 6 átomos de carbono, halocicloalquilo de 3 a 6 átomos de carbono, halógeno, CN, CO₂H, CONH₂, hidroxí, alcoxi de 1 a 6 átomos de carbono, haloalcoxi de 1 a 6 átomos de carbono, 15 alquiltio de 1 a 6 átomos de carbono, alquilsulfinilo de 1 a 6 átomos de carbono, alquilsulfonilo de 1 a 6 átomos de carbono, haloalquiltio de 1 a 6 átomos de carbono, haloalquilsulfinilo de 1 a 6 átomos de carbono, haloalquilsulfonilo de 1 a 6 átomos de carbono, alquilamino 20 de 1 a 6 átomos de carbono, dialquilamino de 2 a 12 átomos de carbono o cicloalquilamino de 3 a 6 átomos de carbono, alquilcarbonilo de 2 a 6 átomos de carbono, alcoxicarbonilo de 2 a 6 átomos de carbono, alquilaminocarbonilo de 2 a 6 átomos de carbono, dialquilaminocarbonilo de 3 a 8 átomos de 25 carbono, trialquilsililo de 3 a 6 átomos de carbono; o

(R⁵)₂, cuando se une a átomos de carbono adyacentes se pueden tomar juntos como -OCF₂O- -CF₂CF₂O-, o OCF₂CF₂O-;

cada R⁶ es independientemente H, halógeno, alquilo de 1 a 6 átomos de carbono, alquenilo de 2 a 6 átomos de carbono, alquinilo de 2 a 6 átomos de carbono, cicloalquilo de 3 a 6 átomos de carbono, alcoxi de 1 a 4 átomos de carbono o alcoxicarbonilo de 2 a 4 átomos de carbono; o

cada R⁶ es independientemente un fenilo, bencilo, fenoxi, o un anillo heteroaromático de 5 ó 6 miembros, o un sistema de anillo heterobicíclico fusionado de 8, 9 ó 10 miembros aromático, cada anillo opcionalmente está sustituido con uno a tres sustituyentes que se seleccionan independientemente del grupo que consiste de alquilo de 1 a 4 átomos de carbono, alquenilo de 2 a 4 átomos de carbono, alquinilo de 2 a 4 átomos de carbono, cicloalquilo de 3 a 6 átomos de carbono, haloalquilo de 1 a 4 átomos de carbono, haloalquenilo de 2 a 4 átomos de carbono, haloalquinilo de 2 a 4 átomos de carbono, halocicloalquilo de 3 a 6 átomos de carbono, halógeno, CN, NO₂, alcoxi de 1 a 4 átomos de carbono, haloalcoxi de 1 a 4 átomos de carbono, alquiltio de 1 a 4 átomos de carbono, alquilsulfinilo de 1 a 4 átomos de carbono, alquilsulfonilo de 1 a 4 átomos de carbono, alquilamino de 1 a 4 átomos de carbono, dialquilamino de 2 a 8 átomos de carbono, cicloalquilamino de 3 a 6 átomos de carbono, (alquil)cicloalquilamino de 3 a 6 átomos de

carbono, alquilcarbonilo de 2 a 4 átomos de carbono,
alcoxicarbonilo de 2 a 6 átomos de carbono,
alquilaminocarbonilo de 2 a 6 átomos de carbono,
dialquilaminocarbonilo de 3 a 8 átomos de carbono o
5 trialquilsililo de 3 a 6 átomos de carbono;

cada R⁷ es independientemente H, alquilo de 1 a 6
átomos de carbono, alquenilo de 2 a 6 átomos de carbono,
alquinilo de 2 a 6 átomos de carbono, cicloalquilo de 3 a 6
átomos de carbono, haloalquilo de 1 a 6 átomos de carbono,
10 haloalquenilo de 2 a 6 átomos de carbono, haloalquinilo de 2
a 6 átomos de carbono, halocicloalquilo de 3 a 6 átomos de
carbono, halógeno, CN, CO₂H, CONH₂, NO₂, hidroxí, alcoxi de 1
a 4 átomos de carbono, haloalcoxi de 1 a 4 átomos de
carbono, alquiltio de 1 a 4 átomos de carbono,
15 alquilsulfinilo de 1 a 4 átomos de carbono, alquilsulfonilo
de 1 a 4 átomos de carbono, haloalquiltio de 1 a 4 átomos de
carbono, haloalquilsulfinilo de 1 a 4 átomos de carbono,
haloalquilsulfonilo de 1 a 4 átomos de carbono, alquilamino
de 1 a 4 átomos de carbono, dialquilamino de 2 a 8 átomos de
20 carbono, cicloalquilamino de 3 a 6 átomos de carbono,
alquilcarbonilo de 2 a 6 átomos de carbono, alcoxicarbonilo
de 2 a 6 átomos de carbono, alquilaminocarbonilo de 2 a 6
átomos de carbono, dialquilaminocarbonilo de 3 a 8 átomos de
carbono, trialquilsililo de 3 a 6 átomos de carbono; o

25 cada R⁷ es independientemente un fenilo, bencilo,

benzoilo, fenoxi, anillo heteroaromático de 5 ó 6 miembros o un sistema de anillo heterobicíclico fusionado de 8, 9 ó 10 miembros aromático, cada anillo está opcionalmente sustituido con 1 a 3 sustituyentes que se seleccionan independientemente del grupo que consiste de alquilo de 1 a 4 átomos de carbono, alquenilo de 2 a 4 átomos de carbono, alquinilo de 2 a 4 átomos de carbono, cicloalquilo de 3 a 6 átomos de carbono, haloalquilo de 1 a 4 átomos de carbono, haloalquenilo de 2 a 4 átomos de carbono, haloalquinilo de 2 a 4 átomos de carbono, halocicloalquilo de 3 a 6 átomos de carbono, halógeno, CN, NO₂, alcoxi de 1 a 4 átomos de carbono, haloalcoxi de 1 a 4 átomos de carbono, alquiltio de 1 a 4 átomos de carbono, alquilsulfinilo de 1 a 4 átomos de carbono, alquilsulfonilo de 1 a 4 átomos de carbono, alquilamino de 1 a 4 átomos de carbono, dialquilamino de 2 a 8 átomos de carbono, cicloalquilamino de 3 a 6 átomos de carbono, (alquil)cicloalquilamino de 3 a 6 átomos de carbono, alquilcarbonilo de 2 a 4 átomos de carbono, alcoxycarbonilo de 2 a 6 átomos de carbono, alquilaminocarbonilo de 2 a 6 átomos de carbono, dialquilaminocarbonilo de 3 a 8 átomos de carbono o trialquilsililo de 3 a 6 átomos de carbono;

con la condición de que

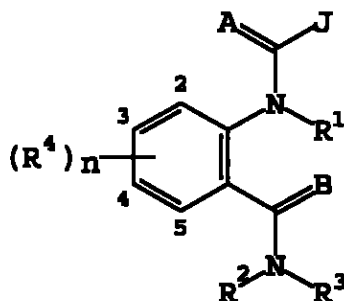
(1) cuando A y B son ambos O, R² es H o alquilo de 1 a 3 átomos de carbono, R³ es H o alquilo de 1 a 3

átomos de carbono y R^4 es H, halógeno, alquilo de 1 a 6 átomos de carbono, fenilo, hidroxilo o alcoxi de 1 a 6 átomos de carbono, entonces uno de R^5 es diferente de halógeno, alquilo de 1 a 6 átomos de carbono, hidroxilo o alcoxi de 1 a 6 átomos de carbono; o

(2) J es diferente de 1,2,3-tiadiazol opcionalmente sustituido.

Esta invención también pertenece a compuestos de fórmula 1, sus N-óxidos y sales agrícolamente adecuadas

10



15

1

en donde

20

A y B son independientemente O o S;

25

cada J es independientemente un grupo fenilo o naftilo sustituido con 1 a 2 de R^5 y opcionalmente sustituido con 1 a 3 de R^6 ; o cada J es independientemente un anillo heteroaromático de 5 ó 6 miembros o un sistema de anillo heterobifurcado fusionado aromático de 8, 9 ó 10 miembros en

donde cada anillo o sistema de anillos está opcionalmente sustituido con 1 a 4 de R⁷;

n es 1 a 4;

R¹ es H; o alquilo de 1 a 6 átomos de carbono,
5 alqueno de 2 a 6 átomos de carbono, alquino de 2 a 6 átomos de carbono o cicloalquilo de 3 a 6 átomos de carbono, cada uno sustituido opcionalmente con uno o más sustituyentes que se seleccionan del grupo que consiste de
10 halógeno, CN, NO₂, hidroxí, alcoxi de 1 a 4 átomos de carbono, alquiltio de 1 a 4 átomos de carbono, alquilsulfinilo de 1 a 4 átomos de carbono, alquilsulfonilo de 1 a 4 átomos de carbono, alcoxicarbonilo de 2 a 4 átomos de carbono, alquilamino de 1 a 4 átomos de carbono, dialquilamino de 2 a 8 átomos de carbono y cicloalquilamino
15 de 3 a 6 átomos de carbono; o

R¹ es alquilcarbonilo de 2 a 6 átomos de carbono, alcoxicarbonilo de 2 a 6 átomos de carbono, alquilaminocarbonilo de 2 a 6 átomos de carbono, dialquilaminocarbonilo de 3 a 8 átomos de carbono, o C(=A)J;

20 R² es H, alquilo de 1 a 6 átomos de carbono, alqueno de 2 a 6 átomos de carbono, alquino de 2 a 6 átomos de carbono, cicloalquilo de 3 a 6 átomos de carbono, alcoxi de 1 a 4 átomos de carbono, alquilamino de 1 a 4 átomos de carbono, dialquilamino de 2 a 8 átomos de carbono,
25 cicloalquilamino de 3 a 6 átomos de carbono, alcoxicarbonilo

de 2 a 6 átomos de carbono, o alquilcarbonilo de 2 a 6 átomos de carbono;

R^3 es H; alquilo de 1 a 6 átomos de carbono, alquenilo de 2 a 6 átomos de carbono, alquinilo de 2 a 6 átomos de carbono, cicloalquilo de 3 a 6 átomos de carbono, cada uno opcionalmente sustituido con uno o más sustituyentes que se seleccionan del grupo que consiste de halógeno, CN, NO₂, hidroxí, alcoxi de 1 a 4 átomos de carbono, haloalcoxi de 1 a 4 átomos de carbono, alquiltio de 1 a 4 átomos de carbono, alquilsulfinilo de 1 a 4 átomos de carbono, alquilsulfonilo de 1 a 4 átomos de carbono, alcoxycarbonilo de 2 a 6 átomos de carbono, alquilcarbonilo de 2 a 6 átomos de carbono, trialquilsililo de 3 a 6 átomos de carbono o un anillo fenoxi opcionalmente sustituido con 1 a 3 sustituyentes que se seleccionan independientemente del grupo que consiste de alquilo de 1 a 4 átomos de carbono, alquenilo de 2 a 4 átomos de carbono, alquinilo de 2 a 4 átomos de carbono, cicloalquilo de 3 a 6 átomos de carbono, haloalquilo de 1 a 4 átomos de carbono, haloalquenilo de 2 a 4 átomos de carbono, haloalquinilo de 2 a 4 átomos de carbono, halocicloalquilo de 3 a 6 átomos de carbono, halógeno, CN, NO₂, alcoxi de 1 a 4 átomos de carbono, haloalcoxi de 1 a 4 átomos de carbono, alquiltio de 1 a 4 átomos de carbono, alquilsulfinilo de 1 a 4 átomos de carbono, alquilsulfonilo de 1 a 4 átomos de carbono,

alquilamino de 1 a 4 átomos de carbono, dialquilamino de 2 a 8 átomos de carbono, cicloalquilamino de 3 a 6 átomos de carbono, (alquil)cicloalquilamino de 3 a 6 átomos de carbono, alquilcarbonilo de 2 a 4 átomos de carbono, 5 alcoxycarbonilo de 2 a 6 átomos de carbono, alquilaminocarbonilo de 2 a 6 átomos de carbono, dialquilaminocarbonilo de 3 a 8 átomos de carbono, o trialquilsililo de 3 a 6 átomos de carbono; alcoxi de 1 a 4 átomos de carbono; alquilamino de 1 a 4 átomos de carbono; 10 dialquilamino de 2 a 8 átomos de carbono; cicloalquilamino de 3 a 6 átomos de carbono; alcoxycarbonilo de 2 a 6 átomos de carbono o alquilcarbonilo de 2 a 6 átomos de carbono; o

R^2 y R^3 se pueden tomar junto con el nitrógeno al cual están unidos para formar un anillo que contiene 2 a 6 15 átomos de carbono y opcionalmente un átomo adicional de nitrógeno, azufre u oxígeno, el anillo puede estar sustituido opcionalmente con 1 a 4 sustituyentes que se seleccionan del grupo que se seleccionan del grupo que consiste de alquilo de 1 a 2 átomos de carbono, halógeno, 20 CN, NO₂ y alcoxi de 1 a 2 átomos de carbono;

cada R^4 es independientemente H, alquilo de 1 a 6 átomos de carbono, alquenilo de 2 a 6 átomos de carbono, alquinilo de 2 a 6 átomos de carbono, cicloalquilo de 3 a 6 átomos de carbono, haloalquilo de 1 a 6 átomos de carbono, 25 haloalquenilo de 2 a 6 átomos de carbono, haloalquinilo de 2

a 6 átomos de carbono, halocicloalquilo de 3 a 6 átomos de carbono, halógeno, CN, NO₂, hidroxí, alcoxi de 1 a 4 átomos de carbono, haloalcoxi de 1 a 4 átomos de carbono, alquiltio de 1 a 4 átomos de carbono, alquilsulfinilo de 1 a 4 átomos de carbono, alquilsulfonilo de 1 a 4 átomos de carbono, haloalquiltio de 1 a 4 átomos de carbono, haloalquilsulfinilo de 1 a 4 átomos de carbono, haloalquilsulfonilo de 1 a 4 átomos de carbono, alquilamino de 1 a 4 átomos de carbono, dialquilamino de 2 a 8 átomos de carbono, cicloalquilamino de 3 a 6 átomos de carbono, o trialquilsililo de 3 a 6 átomos de carbono; o

cada R⁴ es independientemente fenilo, bencilo o fenoxi, cada uno opcionalmente sustituido con alquilo de 1 a 4 átomos de carbono; alquenilo de 2 a 4 átomos de carbono, alquinilo de 2 a 4 átomos de carbono, cicloalquilo de 3 a 6 átomos de carbono, haloalquilo de 1 a 4 átomos de carbono, haloalquenilo de 2 a 4 átomos de carbono, haloalquinilo de 2 a 4 átomos de carbono, halocicloalquilo de 3 a 6 átomos de carbono, halógeno, CN, NO₂, alcoxi de 1 a 4 átomos de carbono, haloalcoxi de 1 a 4 átomos de carbono, alquiltio de 1 a 4 átomos de carbono, alquilsulfinilo de 1 a 4 átomos de carbono, alquilsulfonilo de 1 a 4 átomos de carbono, alquilamino de 1 a 4 átomos de carbono, dialquilamino de 2 a 8 átomos de carbono, cicloalquilamino de 3 a 6 átomos de carbono, (alquil)cicloalquilamino de 3 a 6 átomos de

carbono, alquilcarbonilo de 2 a 4 átomos de carbono,
alcoxicarbonilo de 2 a 6 átomos de carbono,
alquilaminocarbonilo de 2 a 6 átomos de carbono,
dialquilaminocarbonilo de 3 a 8 átomos de carbono, o
5 trialquilsililo de 3 a 6 átomos de carbono;

cada R^5 es independientemente haloalquilo de 1 a 6
átomos de carbono, haloalquenilo de 2 a 6 átomos de carbono,
haloalquinilo de 2 a 6 átomos de carbono, halocicloalquilo
de 3 a 6 átomos de carbono, haloalcoxi de 1 a 4 átomos de
10 carbono, alquiltio de 1 a 4 átomos de carbono,
alquilsulfinilo de 1 a 4 átomos de carbono, alquilsulfonilo
de 1 a 4 átomos de carbono, haloalquiltio de 1 a 4 átomos de
carbono, haloalquilsulfinilo de 1 a 4 átomos de carbono,
haloalquilsulfonilo de 1 a 4 átomos de carbono, CN, NO₂,
15 alcoxicarbonilo de 1 a 4 átomos de carbono, alquilamino de 1
a 4 átomos de carbono, dialquilamino de 2 a 8 átomos de
carbono, cicloalquilamino de 3 a 6 átomos de carbono,
alquilcarbonilo de 2 a 6 átomos de carbono, alcoxicarbonilo
de 2 a 6 átomos de carbono, alquilaminocarbonilo de 2 a 6
20 átomos de carbono o dialquilaminocarbonilo de 3 a 8 átomos
de carbono; o

$(R^5)_2$, cuando se une a átomos de carbono adyacentes
se pueden tomar juntos como -OCF₂O- -CF₂CF₂O-, o OCF₂CF₂O-;

cada R^6 es independientemente H, halógeno, alquilo
25 de 1 a 6 átomos de carbono, alquenilo de 2 a 6 átomos de

carbono, alquinilo de 2 a 6 átomos de carbono, cicloalquilo de 3 a 6 átomos de carbono, alcoxi de 1 a 4 átomos de carbono o alcoxicarbonilo de 2 a 4 átomos de carbono; o

5 cada R⁶ es independientemente un fenilo, bencilo, fenoxi, un anillo heteroaromático de 5 ó 6 miembros, o un sistema de anillo heterobicíclico fusionado de 8, 9 ó 10 miembros aromático, cada anillo opcionalmente está sustituido con uno a tres sustituyentes que se seleccionan independientemente del grupo que consiste de alquilo de 1 a 10 4 átomos de carbono, alquenilo de 2 a 4 átomos de carbono, alquinilo de 2 a 4 átomos de carbono, cicloalquilo de 3 a 6 átomos de carbono, haloalquilo de 1 a 4 átomos de carbono, haloalquenilo de 2 a 4 átomos de carbono, haloalquinilo de 2 a 4 átomos de carbono, halocicloalquilo de 3 a 6 átomos de 15 carbono, halógeno, CN, NO₂, alcoxi de 1 a 4 átomos de carbono, haloalcoxi de 1 a 4 átomos de carbono, alquiltio de 1 a 4 átomos de carbono, alquilsulfinilo de 1 a 4 átomos de carbono, alquilsulfonilo de 1 a 4 átomos de carbono, alquilamino de 1 a 4 átomos de carbono, dialquilamino de 2 a 20 8 átomos de carbono, cicloalquilamino de 3 a 6 átomos de carbono, (alquil)cicloalquilamino de 3 a 6 átomos de carbono, alquilcarbonilo de 2 a 4 átomos de carbono, alcoxicarbonilo de 2 a 6 átomos de carbono, alquilaminocarbonilo de 2 a 6 átomos de carbono, 25 dialquilaminocarbonilo de 3 a 8 átomos de carbono o

trialquilsililo de 3 a 6 átomos de carbono;

5 cada R⁷ es independientemente H, alquilo de 1 a 6 átomos de carbono, alquenilo de 2 a 6 átomos de carbono, alquinilo de 2 a 6 átomos de carbono, cicloalquilo de 3 a 6 átomos de carbono, haloalquilo de 1 a 6 átomos de carbono, haloalquenilo de 2 a 6 átomos de carbono, haloalquinilo de 2 a 6 átomos de carbono, halocicloalquilo de 3 a 6 átomos de carbono, halógeno, CN, CO₂H, CONH₂, NO₂, hidroxí, alcoxi de 1 a 4 átomos de carbono, haloalcoxi de 1 a 4 átomos de carbono, alquiltio de 1 a 4 átomos de carbono, alquilsulfinilo de 1 a 4 átomos de carbono, alquilsulfonilo de 1 a 4 átomos de carbono, haloalquiltio de 1 a 4 átomos de carbono, haloalquilsulfinilo de 1 a 4 átomos de carbono, haloalquilsulfonilo de 1 a 4 átomos de carbono, alquilamino de 1 a 4 átomos de carbono, dialquilamino de 2 a 8 átomos de carbono, cicloalquilamino de 3 a 6 átomos de carbono, alquilcarbonilo de 2 a 6 átomos de carbono, alcoxicarbonilo de 2 a 6 átomos de carbono, alquilaminocarbonilo de 2 a 6 átomos de carbono, dialquilaminocarbonilo de 3 a 8 átomos de carbono, trialquilsililo de 3 a 6 átomos de carbono; o

25 cada R⁷ es independientemente un fenilo, bencilo, benzoilo, fenoxi, o un anillo heteroaromático de 5 ó 6 miembros, un sistema de anillo heterobicíclico fusionado de 8, 9 ó 10 miembros aromático, cada anillo está opcionalmente sustituido con 1 a 3 sustituyentes que se seleccionan

independientemente del grupo que consiste de alquilo de 1 a 4 átomos de carbono, alquenilo de 2 a 4 átomos de carbono, alquinilo de 2 a 4 átomos de carbono, cicloalquilo de 3 a 6 átomos de carbono, haloalquilo de 1 a 4 átomos de carbono, 5 haloalquenilo de 2 a 4 átomos de carbono, haloalquinilo de 2 a 4 átomos de carbono, halocicloalquilo de 3 a 6 átomos de carbono, halógeno, CN, NO₂, alcoxi de 1 a 4 átomos de carbono, haloalcoxi de 1 a 4 átomos de carbono, alquiltio de 1 a 4 átomos de carbono, alquilsulfinilo de 1 a 4 átomos de 10 carbono, alquilsulfonilo de 1 a 4 átomos de carbono, alquilamino de 1 a 4 átomos de carbono, dialquilamino de 2 a 8 átomos de carbono, cicloalquilamino de 3 a 6 átomos de carbono, (alquil)cicloalquilamino de 3 a 6 átomos de carbono, alquilcarbonilo de 2 a 4 átomos de carbono, 15 alcoxycarbonilo de 2 a 6 átomos de carbono, alquilaminocarbonilo de 2 a 6 átomos de carbono, dialquilaminocarbonilo de 3 a 8 átomos de carbono o trialquilsililo de 3 a 6 átomos de carbono;

con la condición de que

20 (i) por lo menos un R⁴ y por lo menos un R⁷ sea diferente de H;

(ii) J es diferente de 1,2,3-tiadiazol opcionalmente sustituido;

(iii) cuando J es una piridina opcionalmente 25 sustituida y R² es H, R³ es diferente de H o CH₃;

(iv) cuando J es una piridina opcionalmente sustituida, entonces R' no puede ser CONH₂, alquilaminocarbonilo de 2 a 6 átomos de carbono o dialquilaminocarbonilo de 3 a 8 átomos de carbono;

5 (v) cuando J es un pirazol, tetrazol o pirimidina, opcionalmente sustituido, entonces R² y R³ no pueden ser ambos hidrógeno.

Esta invención también pertenece a composiciones que matan artrópodos, que comprenden una cantidad efectiva
10 que mata artrópodos de un compuesto de fórmula 1 y por lo menos un componente adicional que se selecciona del grupo que consiste de tensioactivos, diluyentes sólidos y diluyentes líquidos.

15

DETALLES DE LA INVENCION

En los párrafos que siguen, el término "alquilo", utilizado solo o en palabras compuestas tales como "alquiltio", o "haloalquilo" incluye alquilo de cadena
20 lineal o ramificada, tal como metilo, etilo, n-propilo, i-propilo o los diferentes isómeros de butilo, pentilo o hexilo. El término "alquilo de 1 a 2 átomos de carbono" indica que una o dos de las posiciones disponibles para ese sustituyente puede ser alquilo. "Alquenilo" incluye alquenos
25 de cadena lineal o ramificada tales como 1-propenilo, 2-

propenilo y los diferentes isómeros de butenilo, pentenilo y hexenilo. "Alquenilo" también incluye polienos tales como 1,2-propadienilo y 2,4-hexadienilo. "Alquinilo" incluye alquinos de cadena lineal o ramificada tales como 1-propinilo, 2-propinilo y los diferentes isómeros de butinilo, pentinilo y hexinilo. "Alquinilo" también incluye porciones constituidas de triples enlaces múltiples tales como 2,5-hexadiinilo. "Alcoxi" incluye, por ejemplo, metoxi, etoxi, n-propiloxi, isopropiloxi y los diferentes isómeros de butoxi, pentoxi y hexiloxi. "Alcoxialquilo" indica alcoxi con sustitución alquilo. Los ejemplos de "alcoxialquilo" incluyen CH_3OCH_2 , $\text{CH}_3\text{OCH}_2\text{CH}_2$, $\text{CH}_3\text{CH}_2\text{OCH}_2$, $\text{CH}_3\text{CH}_2\text{CH}_2\text{CH}_2\text{OCH}_2$ y $\text{CH}_3\text{CH}_2\text{OCH}_2\text{CH}_2$. "Alquiltio" incluye porciones alquiltio de cadena ramificada o lineal tales como metiltio, etiltio y los diferentes isómeros propiltio, butiltio, pentiltio y hexiltio. "Cicloalquilo" incluye, por ejemplo, ciclopropilo, ciclobutilo, ciclopentilo y ciclohexilo.

El término "anillo heterocíclico" o "sistema de anillo heterocíclico" indica anillos o sistemas de anillos en los cuales por lo menos un átomo en el anillo no es carbono y comprende 1 a 4 heteroátomos que se seleccionan independientemente del grupo que consiste de nitrógeno, oxígeno y azufre, con la condición de que cada anillo heterocíclico contenga un máximo de 4 nitrógenos, un máximo de 2 oxígenos y un máximo de 2 azufres. El anillo

heterocíclico se puede unir a través de cualquier carbono o nitrógeno disponible por sustitución de hidrógeno en el carbono o nitrógeno. El término "sistema de anillo aromático" indica carbociclos y heterociclos completamente insaturados en los cuales el sistema de anillo policíclico es aromático (en donde aromático indica que se satisface la regla de Hückel para el sistema de anillo). El término "anillo heteroaromático" indica anillos completamente aromáticos en los cuales por lo menos un átomo en el anillo no es carbono y comprende 1 a 4 heteroátomos que se seleccionan independientemente del grupo que consiste de nitrógeno, oxígeno y azufre, con la condición de que cada anillo heterocíclico contenga un máximo de 4 nitrógenos, un máximo de 2 oxígenos y un máximo de 2 azufres (en donde la palabra aromático indica que se satisface la Regla de Hückel). El anillo heterocíclico se puede unir a través de cualquier carbono o nitrógeno disponible por sustitución de hidrógeno en el carbono o nitrógeno. El término "sistema de anillo heterocíclico aromático" incluye heterociclos completamente aromáticos y heterociclos en los cuales por lo menos un anillo de un sistema de anillo policíclico es aromático (en donde aromático indica que se satisface la Regla de Hückel). El término "sistema de anillo heterobicíclico fusionado" incluye un sistema de anillo constituido de 2 anillos fusionados en los cuales por lo

menos un átomo en el anillo no es carbono y puede ser aromático o no aromático, como se define en lo anterior.

El término "halógeno", ya sea solo o en palabras compuestas tales como "haloalquilo", indica flúor, cloro, bromo o yodo. Además, cuando se utiliza en palabras compuestas tales como "haloalquilo", el alquilo puede estar sustituido parcial o completamente con átomos de halógeno los cuales pueden ser iguales o diferentes. Los ejemplos de "haloalquilo" incluyen F_3C , $ClCH_2$, CF_3CH_2 y CF_3CCl_2 . Los términos "haloalquenilo", "haloalquinilo", "haloalcoxi" y similares se definen de manera análoga al término "haloalquilo". Los ejemplos de "haloalquenilo" incluyen $(Cl)_2C=CHCH_2$ y $CF_3CH_2CH=CHCH_2$. Los ejemplos de "haloalquinilo" incluyen $HC\equiv CCHCl$, $CF_3C\equiv C$, $CCl_3C\equiv C$ y $FCH_2C\equiv CCH_2$. Los ejemplos de "halcoxi" incluyen CF_3O , CCl_3CH_2O , $HCF_2CH_2CH_2O$ y CF_3CH_2O .

El número total de átomos de carbono en un grupo sustituyente está indicado por el prefijo " C_i-C_j ", en donde i y j son los números de 1 a 6. Por ejemplo, alquilsulfonilo de 1 a 3 átomos de carbono indica metilsulfonilo a propilsulfonilo; alcoxialquilo con 2 átomos de carbono indica CH_3OCH_2 ; alcoxialquilo con tres átomos de carbono indica, por ejemplo $CH_3CH(OCH_3)$, $CH_3OCH_2CH_2$ o $CH_3CH_2OCH_2$; y alcoxialquilo con 4 átomos de carbono indica los diversos isómeros de un grupo alquilo sustituidos con un grupo alcoxi que contiene un total de 4 átomos de carbono, los ejemplos

incluyen $\text{CH}_3\text{CH}_2\text{CH}_2\text{OCH}_2$ y $\text{CH}_3\text{CH}_2\text{OCH}_2\text{CH}_2$. En los párrafos anteriores, cuando un compuesto de fórmula 1 contiene un anillo heterocíclico, todos los sustituyentes están unidos al anillo a través de cualquier carbono o nitrógeno disponible por sustitución de un hidrógeno en el carbono o nitrógeno.

Cuando un grupo contiene un sustituyente el cual puede ser hidrógeno, por ejemplo R^3 , entonces cuando este sustituyente se toma como hidrógeno, se reconoce que es equivalente al grupo que está no sustituido.

Los compuestos de esta invención pueden existir como uno o más estereoisómeros. Los diversos estereoisómeros incluyen enantiómeros, diastereómeros, atropisómeros e isómeros geométricos. Una persona experta en la técnica apreciará que un estereoisómero puede ser más activo o puede mostrar efectos benéficos cuando se enriquece en relación a él o los otros estereoisómeros o cuando se separa de él o los otros estereoisómeros. Adicionalmente, los expertos en la técnica saben como separar, enriquecer o preparar selectivamente tales estereoisómeros. En consecuencia, los compuestos de la invención pueden estar presentes como una mezcla de estereoisómeros, estereoisómeros individuales o como una forma ópticamente activa.

La presente invención comprende compuestos que se seleccionan de la fórmula 1, N-óxidos y sales agrícolamente

adecuadas de los mismos. Un experto en la técnica apreciará que no todos los heterociclos que contienen nitrógeno pueden formar N-óxidos dado que el nitrógeno requiere un par solo disponible, para oxidación al óxido; un experto en la

5 técnica reconocerá aquéllos heterociclos que contienen nitrógeno los cuales pueden formar N-óxidos. Un experto en la técnica también reconocerá que las aminas terciarias se pueden formar a partir de N-óxidos. Los métodos de síntesis para la preparación de N-óxidos de los heterociclos y aminas

10 terciarias son muy bien conocidos por los expertos en la técnica e incluyen la oxidación de heterociclos y aminas terciarias con peroxiácidos tales como ácido peracético y m-cloroperbenzoico (MCPBA, por sus siglas en inglés), peróxido de hidrógeno, hidroperóxidos de alquilo tales como

15 hidroperóxido de t-butilo, perborato de sodio y dioxiranos tales como dimetildioxirano. Estos métodos para la preparación de N-óxidos se han descrito extensamente y se han revisado en la literatura, véase, por ejemplo: T. L. Gilchrist en *Comprehensive Organic Synthesis*, Vol. 7, pp

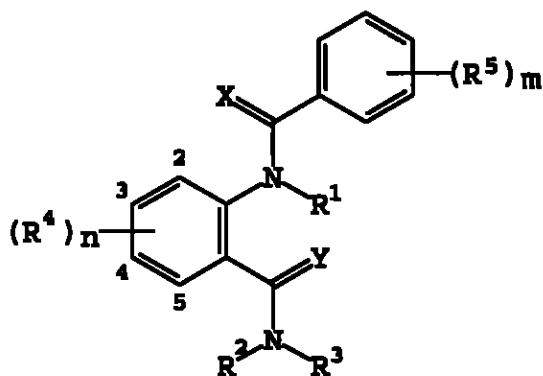
20 748-750, S. V. Ley, Ed., Pergamon Press; M. Tisler y B. Stanovnik en *Comprehensive Heterocyclic Chemistry*, vol. 3, pp 18-19, A. J. Boulton y A. McKillop, Eds., Pergamon Press, M. R. Grimmett y B. R. T. Keene en *Advances in Heterocyclic Chemistry*, vol. 43, pp 139-151, A. R. Katritzky, Ed.,

25 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, Eds., Academic Press.

Las sales de los compuestos de la invención incluyen sales de adición de ácido, con ácidos inorgánicos u orgánicos tales como ácidos bromhídrico, clorhídrico, nítrico, fosfórico, sulfúrico, acético, butírico, fumárico, láctico, maleico, malónico, oxálico, propiónico, salicílico, tartárico, 4-toluensulfónico o valérico.

Son de notar ciertos compuestos de fórmula II



II

en donde:

X e Y son O;

m es 1 a 5;

n es 1 a 4;

R¹ es H; o alquilo de 1 a 6 átomos de carbono, alquenilo de 2 a 6 átomos de carbono, alquinilo de 2 a 6 átomos de carbono o cicloalquilo de 3 a 6 átomos de carbono, cada uno sustituido opcionalmente con uno o más sustituyentes que se seleccionan del grupo que consiste de halógeno, CN, NO₂, hidroxí, alcoxi de 1 a 4 átomos de carbono, alquiltio de 1 a 4 átomos de carbono, alquilsulfinilo de 1 a 4 átomos de carbono, alquilsulfonilo de 1 a 4 átomos de carbono, alcoxicarbonilo de 2 a 4 átomos de carbono, alquilamino de 1 a 4 átomos de carbono, dialquilamino de 2 a 8 átomos de carbono y cicloalquilamino de 3 a 6 átomos de carbono; o

R¹ es alquilcarbonilo de 2 a 6 átomos de carbono, alcoxicarbonilo de 2 a 6 átomos de carbono, alquilaminocarbonilo de 2 a 6 átomos de carbono, o dialquilaminocarbonilo de 3 a 8 átomos de carbono;

R² es H, alquilo de 1 a 6 átomos de carbono, alquenilo de 2 a 6 átomos de carbono, alquinilo de 2 a 6 átomos de carbono, cicloalquilo de 3 a 6 átomos de carbono, alcoxi de 1 a 4 átomos de carbono, alquilamino de 1 a 4 átomos de carbono, dialquilamino de 2 a 8 átomos de carbono, cicloalquilamino de 3 a 6 átomos de carbono, alcoxicarbonilo de 2 a 6 átomos de carbono, o alquilcarbonilo de 2 a 6 átomos de carbono;

R³ es i-propilo o t-butilo; y

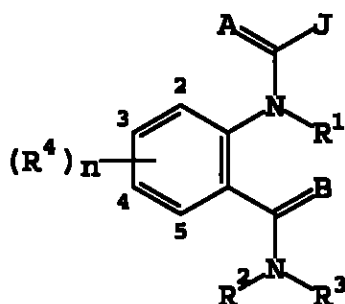
cada R⁴ y R⁵ son independientemente H, alquilo de 1 a 6 átomos de carbono, alquenilo de 2 a 6 átomos de carbono, alquinilo de 2 a 6 átomos de carbono, cicloalquilo de 3 a 6 átomos de carbono, haloalquilo de 1 a 6 átomos de carbono, haloalquenilo de 2 a 6 átomos de carbono, haloalquinilo de 2 a 6 átomos de carbono, halocicloalquilo de 3 a 6 átomos de carbono, halógeno, CN, CO₂H, CONH₂, NO₂, hidroxilo, alcoxi de 1 a 4 átomos de carbono, haloalcoxi de 1 a 4 átomos de carbono, alquiltio de 1 a 4 átomos de carbono, alquilsulfinilo de 1 a 4 átomos de carbono, alquilsulfonilo de 1 a 4 átomos de carbono, haloalquiltio de 1 a 4 átomos de carbono, haloalquilsulfinilo de 1 a 4 átomos de carbono, haloalquilsulfonilo de 1 a 4 átomos de carbono, alcóxicarbonilo de 1 a 4 átomos de carbono, alquilamino de 1 a 4 átomos de carbono, dialquilamino de 2 a 8 átomos de carbono, cicloalquilamino de 3 a 6 átomos de carbono, alquilcarbonilo de 2 a 6 átomos de carbono, alcóxicarbonilo de 2 a 6 átomos de carbono, alquilaminocarbonilo de 2 a 6 átomos de carbono, dialquilaminocarbonilo de 3 a 8 átomos de carbono, trialquilsililo de 3 a 6 átomos de carbono; o

cada R⁴ y R⁵ son independientemente fenilo, opcionalmente sustituido con alquilo de 1 a 4 átomos de carbono; alquenilo de 2 a 4 átomos de carbono, alquinilo de 2 a 4 átomos de carbono, cicloalquilo de 3 a 6 átomos de

carbono, haloalquilo de 1 a 4 átomos de carbono, haloalquenilo de 2 a 4 átomos de carbono, haloalquinilo de 2 a 4 átomos de carbono, halocicloalquilo de 3 a 6 átomos de carbono, halógeno, CN, NO₂, alcoxí de 1 a 4 átomos de carbono, haloalcoxí de 1 a 4 átomos de carbono, alquiltio de 1 a 4 átomos de carbono, alquilsulfinilo de 1 a 4 átomos de carbono, alquilsulfonilo de 1 a 4 átomos de carbono, alcoxycarbonilo de 1 a 4 átomos de carbono, alquilamino de 1 a 4 átomos de carbono, dialquilamino de 2 a 8 átomos de carbono, cicloalquilamino de 3 a 6 átomos de carbono, (alquil)cicloalquilamino de 3 a 6 átomos de carbono, alquilcarbonilo de 2 a 4 átomos de carbono, alcoxycarbonilo de 2 a 6 átomos de carbono, alquilaminocarbonilo de 2 a 6 átomos de carbono, dialquilaminocarbonilo de 3 a 8 átomos de carbono o trialquilsililo de 3 a 6 átomos de carbono.

También es de notar que existen métodos para controlar artrópodos que comprenden poner en contacto a los artrópodos o su ambiente con una cantidad eficaz para matar artrópodos de un compuesto de fórmula II y composiciones insecticidas de los mismos.

También son de notar la existencia de ciertos compuestos de fórmula III



III

10 en donde

A y B son independientemente O o S;

J es un grupo fenilo sustituido con 1 a 2 de R^5 y
opcionalmente sustituido con 1 a 3 de R^6 ; o un anillo
heteroaromático de 5 ó 6 miembros opcionalmente sustituido
15 con 1 a 4 de R^7 ;

n es 1 a 4;

R^1 es H; o alquilo de 1 a 6 átomos de carbono,
alquenilo de 2 a 6 átomos de carbono, alquinilo de 2 a 6
átomos de carbono o cicloalquilo de 3 a 6 átomos de carbono,
20 cada uno sustituido opcionalmente con uno o más
sustituyentes que se seleccionan del grupo que consiste de
halógeno, CN, NO_2 , hidroxilo, alcoxi de 1 a 4 átomos de
carbono, alquiltio de 1 a 4 átomos de carbono,
alquilsulfinilo de 1 a 4 átomos de carbono, alquilsulfonilo
25 de 1 a 4 átomos de carbono, alcoxicarbonilo de 2 a 4 átomos

de carbono, alquilamino de 1 a 4 átomos de carbono, dialquilamino de 2 a 8 átomos de carbono y cicloalquilamino de 3 a 6 átomos de carbono; o

R^1 es alquilcarbonilo de 2 a 6 átomos de carbono, alcoxycarbonilo de 2 a 6 átomos de carbono, alquilaminocarbonilo de 2 a 6 átomos de carbono, o dialquilaminocarbonilo de 3 a 8 átomos de carbono;

R^2 es H, alquilo de 1 a 6 átomos de carbono, alquenilo de 2 a 6 átomos de carbono, alquinilo de 2 a 6 átomos de carbono, cicloalquilo de 3 a 6 átomos de carbono, alcoxi de 1 a 4 átomos de carbono, alquilamino de 1 a 4 átomos de carbono, dialquilamino de 2 a 8 átomos de carbono, cicloalquilamino de 3 a 6 átomos de carbono, alcoxycarbonilo de 2 a 6 átomos de carbono, o alquilcarbonilo de 2 a 6 átomos de carbono;

R^3 es H; o alquilo de 1 a 6 átomos de carbono, alquenilo de 2 a 6 átomos de carbono, alquinilo de 2 a 6 átomos de carbono o cicloalquilo de 3 a 6 átomos de carbono, cada uno opcionalmente sustituido con uno o más sustituyentes que se seleccionan del grupo que consiste de halógeno, CN, NO₂, hidroxí, alcoxi de 1 a 4 átomos de carbono, alquiltio de 1 a 4 átomos de carbono, alquilsulfinilo de 1 a 4 átomos de carbono y alquilsulfonilo de 1 a 4 átomos de carbono; o

R^2 y R^3 se pueden tomar junto con el nitrógeno al

cual están unidos para formar un anillo que contiene 2 a 6 átomos de carbono y opcionalmente un átomo adicional de nitrógeno, azufre u oxígeno, el anillo puede estar sustituido opcionalmente con 1 a 4 sustituyentes que se
5 seleccionan del grupo que consiste de alquilo de 1 a 2 átomos de carbono, halógeno, CN, NO₂ y alcoxi de 1 a 2 átomos de carbono;

cada R⁴ es independientemente H, alquilo de 1 a 6 átomos de carbono, alquenilo de 2 a 6 átomos de carbono,
10 alquinilo de 2 a 6 átomos de carbono, cicloalquilo de 3 a 6 átomos de carbono, haloalquilo de 1 a 6 átomos de carbono, haloalquenilo de 2 a 6 átomos de carbono, haloalquinilo de 2 a 6 átomos de carbono, halocicloalquilo de 3 a 6 átomos de carbono, halógeno, CN, NO₂, hidroxí, alcoxi de 1 a 4 átomos
15 de carbono, haloalcoxi de 1 a 4 átomos de carbono, alquiltio de 1 a 4 átomos de carbono, alquilsulfinilo de 1 a 4 átomos de carbono, alquilsulfonilo de 1 a 4 átomos de carbono, haloalquiltio de 1 a 4 átomos de carbono, haloalquilsulfinilo de 1 a 4 átomos de carbono,
20 haloalquilsulfonilo de 1 a 4 átomos de carbono, alquilamino de 1 a 4 átomos de carbono, dialquilamino de 2 a 8 átomos de carbono, cicloalquilamino de 3 a 6 átomos de carbono, alquilcarbonilo de 2 a 6 átomos de carbono, alcóxicarbonilo de 2 a 6 átomos de carbono, alquilaminocarbonilo de 2 a 6
25 átomos de carbono, dialquilaminocarbonilo de 3 a 8 átomos de

carbono, trialquilsililo de 3 a 6 átomos de carbono; o

5 cada R^4 es independientemente fenilo, bencilo o fenoxi, cada uno opcionalmente sustituido con alquilo de 1 a 4 átomos de carbono, alquenilo de 2 a 4 átomos de carbono, alquinilo de 2 a 4 átomos de carbono, cicloalquilo de 3 a 6 átomos de carbono, haloalquilo de 1 a 4 átomos de carbono, haloalquenilo de 2 a 4 átomos de carbono, haloalquinilo de 2 a 4 átomos de carbono, halocicloalquilo de 3 a 6 átomos de carbono, halógeno, CN, NO₂, alcoxi de 1 a 4 átomos de carbono, haloalcoxi de 1 a 4 átomos de carbono, alquiltio de 1 a 4 átomos de carbono, alquilsulfinilo de 1 a 4 átomos de carbono, alquilsulfonilo de 1 a 4 átomos de carbono, alquilamino de 1 a 4 átomos de carbono, dialquilamino de 2 a 8 átomos de carbono, cicloalquilamino de 3 a 6 átomos de carbono, (alquil)cicloalquilamino de 3 a 6 átomos de carbono, alquilcarbonilo de 2 a 4 átomos de carbono, alcoxycarbonilo de 2 a 6 átomos de carbono, alquilaminocarbonilo de 2 a 6 átomos de carbono, dialquilaminocarbonilo de 3 a 8 átomos de carbono, o
20 trialquilsililo de 3 a 6 átomos de carbono;

cada R^5 es independientemente haloalquilo de 1 a 6 átomos de carbono, haloalquenilo de 2 a 6 átomos de carbono, haloalquinilo de 2 a 6 átomos de carbono, halocicloalquilo de 3 a 6 átomos de carbono, haloalcoxi de 1 a 4 átomos de carbono, alquiltio de 1 a 4 átomos de carbono,
25

alquilsulfinilo de 1 a 4 átomos de carbono, alquilsulfonilo de 1 a 4 átomos de carbono, haloalquiltio de 1 a 4 átomos de carbono, haloalquilsulfinilo de 1 a 4 átomos de carbono, haloalquilsulfonilo de 1 a 4 átomos de carbono, CN, NO₂,
5 alquilamino de 1 a 4 átomos de carbono, dialquilamino de 2 a 8 átomos de carbono, cicloalquilamino de 3 a 6 átomos de carbono, alquilcarbonilo de 2 a 6 átomos de carbono, alcoxicarbonilo de 2 a 6 átomos de carbono, alquilaminocarbonilo de 2 a 6 átomos de carbono o
10 dialquilaminocarbonilo de 3 a 8 átomos de carbono; o

(R⁵)₂, cuando se une a átomos de carbono adyacentes se pueden tomar juntos como -OCF₂O- -CF₂CF₂O-, o OCF₂CF₂O-;

cada R⁶ es independientemente H, halógeno, alquilo de 1 a 6 átomos de carbono, alquenilo de 2 a 6 átomos de carbono, alquinilo de 2 a 6 átomos de carbono, cicloalquilo de 3 a 6 átomos de carbono, alcoxi de 1 a 4 átomos de carbono; o

cada R⁶ es independientemente un fenilo, bencilo, o fenoxi, cada uno opcionalmente está sustituido con alquilo de 1 a 4 átomos de carbono, alquenilo de 2 a 4 átomos de carbono, alquinilo de 2 a 4 átomos de carbono, cicloalquilo de 3 a 6 átomos de carbono, haloalquilo de 1 a 4 átomos de carbono, haloalquenilo de 2 a 4 átomos de carbono, haloalquinilo de 2 a 4 átomos de carbono, halocicloalquilo de 3 a 6 átomos de carbono, halógeno, CN, NO₂, alcoxi de 1 a
20
25

4 átomos de carbono, haloalcoxi de 1 a 4 átomos de carbono,
alquiltio de 1 a 4 átomos de carbono, alquilsulfinilo de 1 a
4 átomos de carbono, alquilsulfonilo de 1 a 4 átomos de
carbono, alquilamino de 1 a 4 átomos de carbono,
5 dialquilamino de 2 a 8 átomos de carbono, cicloalquilamino
de 3 a 6 átomos de carbono, (alquil)cicloalquilamino de 3 a
6 átomos de carbono, alquilcarbonilo de 2 a 4 átomos de
carbono, alcoxicarbonilo de 2 a 6 átomos de carbono,
alquilaminocarbonilo de 2 a 6 átomos de carbono,
10 dialquilaminocarbonilo de 3 a 8 átomos de carbono o
trialquilsililo de 3 a 6 átomos de carbono;

cada R⁷ es independientemente H, alquilo de 1 a 6
átomos de carbono, alquenilo de 2 a 6 átomos de carbono,
alquinilo de 2 a 6 átomos de carbono, cicloalquilo de 3 a 6
15 átomos de carbono, haloalquilo de 1 a 6 átomos de carbono,
haloalquenilo de 2 a 6 átomos de carbono, haloalquinilo de 2
a 6 átomos de carbono, halocicloalquilo de 3 a 6 átomos de
carbono, halógeno, CN, CO₂H, CONH₂, NO₂, hidroxí, alcoxi de 1
a 4 átomos de carbono, haloalcoxi de 1 a 4 átomos de
20 carbono, alquiltio de 1 a 4 átomos de carbono,
alquilsulfinilo de 1 a 4 átomos de carbono, alquilsulfonilo
de 1 a 4 átomos de carbono, haloalquiltio de 1 a 4 átomos de
carbono, haloalquilsulfinilo de 1 a 4 átomos de carbono,
haloalquilsulfonilo de 1 a 4 átomos de carbono, alquilamino
25 de 1 a 4 átomos de carbono, dialquilamino de 2 a 8 átomos de

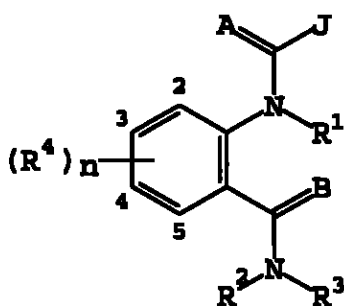
carbono, cicloalquilamino de 3 a 6 átomos de carbono, alquilcarbonilo de 2 a 6 átomos de carbono, alcoxycarbonilo de 2 a 6 átomos de carbono, alquilaminocarbonilo de 2 a 6 átomos de carbono, dialquilaminocarbonilo de 3 a 8 átomos de carbono, trialquilsililo de 3 a 6 átomos de carbono; o

5 cada R' es independientemente un fenilo, bencilo o fenoxi, cada uno opcionalmente sustituido con alquilo de 1 a 4 átomos de carbono, alquenilo de 2 a 4 átomos de carbono, alquinilo de 2 a 4 átomos de carbono, cicloalquilo de 3 a 6
10 átomos de carbono, haloalquilo de 1 a 4 átomos de carbono, haloalquenilo de 2 a 4 átomos de carbono, haloalquinilo de 2 a 4 átomos de carbono, halocicloalquilo de 3 a 6 átomos de carbono, halógeno, CN, NO₂, alcoxi de 1 a 4 átomos de carbono, haloalcoxi de 1 a 4 átomos de carbono, alquiltio de
15 1 a 4 átomos de carbono, alquilsulfinilo de 1 a 4 átomos de carbono, alquilsulfonilo de 1 a 4 átomos de carbono, alcoxycarbonilo de 1 a 4 átomos de carbono, alquilamino de 1 a 4 átomos de carbono, dialquilamino de 2 a 8 átomos de carbono, cicloalquilamino de 3 a 6 átomos de carbono,
20 (alquil)cicloalquilamino de 3 a 6 átomos de carbono, alquilcarbonilo de 2 a 4 átomos de carbono, alcoxycarbonilo de 2 a 6 átomos de carbono, alquilaminocarbonilo de 2 a 6 átomos de carbono, dialquilaminocarbonilo de 3 a 8 átomos de carbono o trialquilsililo de 3 a 6 átomos de carbono.

25 También son de notar los métodos para exterminar

artrópodos que comprende poner en contacto los artrópodos o su ambiente con una cantidad eficaz para destruir artrópodos, de un compuesto de fórmula III, y composiciones insecticidas del mismo.

5 También es de notar que existen ciertos compuestos de fórmula IV



IV

15

en donde

A y B son independientemente O o S;

J es un grupo fenilo sustituido con 1 a 2 de R⁵ y opcionalmente sustituido con 1 a 3 de R⁶; o un anillo
20 heteroaromático de 5 ó 6 miembros opcionalmente sustituido con 1 a 4 de R⁷;

n es 1 a 4;

R¹ es H; o alquilo de 1 a 6 átomos de carbono, alquenilo de 2 a 6 átomos de carbono, alquinilo de 2 a 6
25 átomos de carbono o cicloalquilo de 3 a 6 átomos de carbono,

cada uno sustituido opcionalmente con uno o más
sustituyentes que se seleccionan del grupo que consiste de
halógeno, CN, NO₂, hidroxí, alcoxi de 1 a 4 átomos de
carbono, alquiltio de 1 a 4 átomos de carbono,
5 alquilsulfinilo de 1 a 4 átomos de carbono, alquilsulfonilo
de 1 a 4 átomos de carbono, alcóxicarbonilo de 2 a 4 átomos
de carbono, alquilamino de 1 a 4 átomos de carbono,
dialquilamino de 2 a 8 átomos de carbono y cicloalquilamino
de 3 a 6 átomos de carbono; o

10 R¹ es alquilcarbonilo de 2 a 6 átomos de carbono,
alcóxicarbonilo de 2 a 6 átomos de carbono,
alquilaminocarbonilo de 2 a 6 átomos de carbono, o
dialquilaminocarbonilo de 3 a 8 átomos de carbono;

R² es H, alquilo de 1 a 6 átomos de carbono,
15 alquenilo de 2 a 6 átomos de carbono, alquinilo de 2 a 6
átomos de carbono, cicloalquilo de 3 a 6 átomos de carbono,
alcoxi de 1 a 4 átomos de carbono, alquilamino de 1 a 4
átomos de carbono, dialquilamino de 2 a 8 átomos de carbono,
cicloalquilamino de 3 a 6 átomos de carbono, alcóxicarbonilo
20 de 2 a 6 átomos de carbono, o alquilcarbonilo de 2 a 6
átomos de carbono;

R³ es H; alquilo de 1 a 6 átomos de carbono,
alquenilo de 2 a 6 átomos de carbono, alquinilo de 2 a 6
átomos de carbono, cicloalquilo de 3 a 6 átomos de carbono,
25 cada uno opcionalmente sustituido con uno o más

sustituyentes que se seleccionan del grupo que consiste de halógeno, CN, NO₂, hidroxí, alcoxi de 1 a 4 átomos de carbono, alquiltio de 1 a 4 átomos de carbono, alquilsulfinilo de 1 a 4 átomos de carbono y alquilsulfonilo de 1 a 4 átomos de carbono; alcoxi de 1 a 4 átomos de carbono; alquilamino de 1 a 4 átomos de carbono; dialquilamino de 2 a 8 átomos de carbono; cicloalquilamino de 3 a 6 átomos de carbono; alcoxicarbonilo de 2 a 6 átomos de carbono o alquilcarbonilo de 2 a 6 átomos de carbono; o

10 R² y R³ se pueden tomar junto con el nitrógeno al cual están unidos para formar un anillo que contiene 2 a 6 átomos de carbono y opcionalmente un átomo adicional de nitrógeno, azufre u oxígeno, el anillo puede estar sustituido opcionalmente con 1 a 4 sustituyentes que se
15 seleccionan del grupo que consiste de alquilo de 1 a 2 átomos de carbono, halógeno, CN, NO₂ y alcoxi de 1 a 2 átomos de carbono;

 cada R⁴ es independientemente H, alquilo de 1 a 6 átomos de carbono, alquenilo de 2 a 6 átomos de carbono,
20 alquinilo de 2 a 6 átomos de carbono, cicloalquilo de 3 a 6 átomos de carbono, haloalquilo de 1 a 6 átomos de carbono, haloalquenilo de 2 a 6 átomos de carbono, haloalquinilo de 2 a 6 átomos de carbono, halocicloalquilo de 3 a 6 átomos de carbono, halógeno, CN, CO₂H, CONH₂, NO₂, hidroxí, alcoxi de 1
25 a 4 átomos de carbono, haloalcoxi de 1 a 4 átomos de

carbono, alquiltio de 1 a 4 átomos de carbono, alquilsulfinilo de 1 a 4 átomos de carbono, alquilsulfonilo de 1 a 4 átomos de carbono, haloalquiltio de 1 a 4 átomos de carbono, haloalquilsulfinilo de 1 a 4 átomos de carbono, haloalquilsulfonilo de 1 a 4 átomos de carbono, alquilamino de 1 a 4 átomos de carbono, dialquilamino de 2 a 8 átomos de carbono, cicloalquilamino de 3 a 6 átomos de carbono, alquilcarbonilo de 2 a 6 átomos de carbono, alcoxicarbonilo de 2 a 6 átomos de carbono, alquilaminocarbonilo de 2 a 6 átomos de carbono, dialquilaminocarbonilo de 3 a 8 átomos de carbono, trialquilsililo de 3 a 6 átomos de carbono; o

cada R^4 es independientemente fenilo, bencilo o fenoxi, cada uno opcionalmente sustituido con alquilo de 1 a 4 átomos de carbono, alquenilo de 2 a 4 átomos de carbono, alquinilo de 2 a 4 átomos de carbono, cicloalquilo de 3 a 6 átomos de carbono, haloalquilo de 1 a 4 átomos de carbono, haloalquenilo de 2 a 4 átomos de carbono, haloalquinilo de 2 a 4 átomos de carbono, halocicloalquilo de 3 a 6 átomos de carbono, halógeno, CN, NO₂, alcoxi de 1 a 4 átomos de carbono, haloalcoxi de 1 a 4 átomos de carbono, alquiltio de 1 a 4 átomos de carbono, alquilsulfinilo de 1 a 4 átomos de carbono, alquilsulfonilo de 1 a 4 átomos de carbono, alquilamino de 1 a 4 átomos de carbono, dialquilamino de 2 a 8 átomos de carbono, cicloalquilamino de 3 a 6 átomos de carbono, (alquil)cicloalquilamino de 3 a 6 átomos de

carbono, alquilcarbonilo de 2 a 4 átomos de carbono,
alcoxicarbonilo de 2 a 6 átomos de carbono,
alquilaminocarbonilo de 2 a 6 átomos de carbono,
dialquilaminocarbonilo de 3 a 8 átomos de carbono, o
5 trialquilsililo de 3 a 6 átomos de carbono;

cada R^5 es independientemente alquilo de 1 a 6
átomos de carbono, alquenilo de 2 a 6 átomos de carbono,
alquinilo de 2 a 6 átomos de carbono, cicloalquilo de 3 a 6
átomos de carbono, haloalquilo de 1 a 6 átomos de carbono,
10 haloalquenilo de 2 a 6 átomos de carbono, haloalquinilo de 2
a 6 átomos de carbono, halocicloalquilo de 3 a 6 átomos de
carbono, halógeno, CN, CO_2H , $CONH_2$, NO_2 , hidroxí, alcoxi de 1
a 4 átomos de carbono, haloalcoxi de 1 a 4 átomos de
carbono, alquiltio de 1 a 4 átomos de carbono,
15 alquilsulfinilo de 1 a 4 átomos de carbono, alquilsulfonilo
de 1 a 4 átomos de carbono, haloalquiltio de 1 a 4 átomos de
carbono, haloalquilsulfinilo de 1 a 4 átomos de carbono,
haloalquilsulfonilo de 1 a 4 átomos de carbono, alquilamino
de 1 a 4 átomos de carbono, dialquilamino de 2 a 8 átomos de
20 carbono, cicloalquilamino de 3 a 6 átomos de carbono,
alquilcarbonilo de 2 a 6 átomos de carbono, alcoxicarbonilo
de 2 a 6 átomos de carbono, alquilaminocarbonilo de 2 a 6
átomos de carbono, dialquilaminocarbonilo de 3 a 8 átomos de
carbono, trialquilsililo de 3 a 6 átomos de carbono; o

25 $(R^5)_2$, cuando se une a átomos de carbono adyacentes

se pueden tomar juntos como $-\text{OCF}_2\text{O}-$ $-\text{CF}_2\text{CF}_2\text{O}-$, o $\text{OCF}_2\text{CF}_2\text{O}-$;

5 cada R^6 es independientemente H, halógeno, alquilo de 1 a 6 átomos de carbono, alquenilo de 2 a 6 átomos de carbono, alquinilo de 2 a 6 átomos de carbono, cicloalquilo de 3 a 6 átomos de carbono, alcoxi de 1 a 4 átomos de carbono; o

10 cada R^6 es independientemente un fenilo, bencilo, fenoxi, o un anillo heteroaromático de 5 6 miembros, cada anillo está opcionalmente sustituido con alquilo de 1 a 4 átomos de carbono, alquenilo de 2 a 4 átomos de carbono, alquinilo de 2 a 4 átomos de carbono, cicloalquilo de 3 a 6 átomos de carbono, haloalquilo de 1 a 4 átomos de carbono, haloalquenilo de 2 a 4 átomos de carbono, haloalquinilo de 2 a 4 átomos de carbono, halocicloalquilo de 3 a 6 átomos de carbono, halógeno, CN, NO_2 , alcoxi de 1 a 4 átomos de carbono, haloalcoxi de 1 a 4 átomos de carbono, alquiltio de 1 a 4 átomos de carbono, alquilsulfinilo de 1 a 4 átomos de carbono, alquilsulfonilo de 1 a 4 átomos de carbono, alquilamino de 1 a 4 átomos de carbono, dialquilamino de 2 a 20 8 átomos de carbono, cicloalquilamino de 3 a 6 átomos de carbono, (alquil)cicloalquilamino de 3 a 6 átomos de carbono, alquilcarbonilo de 2 a 4 átomos de carbono, alcoxicarbonilo de 2 a 6 átomos de carbono, alquilaminocarbonilo de 2 a 6 átomos de carbono, 25 dialquilaminocarbonilo de 3 a 8 átomos de carbono o

trialquilsililo de 3 a 6 átomos de carbono;

5 cada R' es independientemente H, alquilo de 1 a 6 átomos de carbono, alquenilo de 2 a 6 átomos de carbono, alquinilo de 2 a 6 átomos de carbono, cicloalquilo de 3 a 6 átomos de carbono, haloalquilo de 1 a 6 átomos de carbono, haloalquenilo de 2 a 6 átomos de carbono, haloalquinilo de 2 a 6 átomos de carbono, halocicloalquilo de 3 a 6 átomos de carbono, halógeno, CN, CO₂H, CONH₂, NO₂, hidroxí, alcoxi de 1 a 4 átomos de carbono, haloalcoxi de 1 a 4 átomos de carbono, alquiltio de 1 a 4 átomos de carbono, alquilsulfinilo de 1 a 4 átomos de carbono, alquilsulfonilo de 1 a 4 átomos de carbono, haloalquiltio de 1 a 4 átomos de carbono, haloalquilsulfinilo de 1 a 4 átomos de carbono, haloalquilsulfonilo de 1 a 4 átomos de carbono, alquilamino de 1 a 4 átomos de carbono, dialquilamino de 2 a 8 átomos de carbono, cicloalquilamino de 3 a 6 átomos de carbono, alquilcarbonilo de 2 a 6 átomos de carbono, alcóxicarbonilo de 2 a 6 átomos de carbono, alquilaminocarbonilo de 2 a 6 átomos de carbono, dialquilaminocarbonilo de 3 a 8 átomos de carbono, trialquilsililo de 3 a 6 átomos de carbono; o

25 cada R' es independientemente un fenilo, bencilo, benzoilo, fenoxi o un anillo heteroaromático de 5 ó 6 miembros, cada anillo está opcionalmente sustituido con alquilo de 1 a 4 átomos de carbono, alquenilo de 2 a 4 átomos de carbono, alquinilo de 2 a 4 átomos de carbono,

cicloalquilo de 3 a 6 átomos de carbono, haloalquilo de 1 a 4 átomos de carbono, haloalquenilo de 2 a 4 átomos de carbono, haloalquinilo de 2 a 4 átomos de carbono, halocicloalquilo de 3 a 6 átomos de carbono, halógeno, CN, NO₂, alcoxi de 1 a 4 átomos de carbono, haloalcoxi de 1 a 4 átomos de carbono, alquiltio de 1 a 4 átomos de carbono, alquilsulfinilo de 1 a 4 átomos de carbono, alquilsulfonilo de 1 a 4 átomos de carbono, alquilamino de 1 a 4 átomos de carbono, dialquilamino de 2 a 8 átomos de carbono, cicloalquilamino de 3 a 6 átomos de carbono, (alquil)cicloalquilamino de 3 a 6 átomos de carbono, alquilcarbonilo de 2 a 4 átomos de carbono, alcoxicarbonilo de 2 a 6 átomos de carbono, alquilaminocarbonilo de 2 a 6 átomos de carbono, dialquilaminocarbonilo de 3 a 8 átomos de carbono o trialquilsililo de 3 a 6 átomos de carbono;

con la condición de que cuando A y B sean ambos O, R² es H o alquilo de 1 a 3 átomos de carbono, R³ es H o alquilo de 1 a 3 átomos de carbono, y R⁴ es H, halógeno, alquilo de 1 a 6 átomos de carbono, fenilo, hidroxilo o alcoxi de 1 a 6 átomos de carbono, entonces uno de R⁵ es diferente de halógeno, alquilo de 1 a 6 átomos de carbono, hidroxilo o alcoxi de 1 a 6 átomos de carbono.

También son de notar los métodos para exterminar artrópodos, que comprende poner en contacto a los artrópodos o su ambiente con una cantidad eficaz para matar artrópodos,

de un compuesto de fórmula IV y composiciones insecticidas de las mismas.

Los métodos preferidos por razones de mejor actividad son:

5 Método preferido 1. Métodos que comprenden compuestos de fórmula 1, en donde J es un grupo fenilo sustituido con 1 a 2 de R^5 y opcionalmente sustituido con 1 a 3 de R^6 .

10 Método preferido 2. Los métodos del método preferido 1 en donde:

A y B son ambos O;

n es 1 a 2;

15 R^1 es H, alquilo de 1 a 4 átomos de carbono, alquenilo de 2 a 4 átomos de carbono, alquinilo de 2 a 4 átomos de carbono, cicloalquilo de 3 a 6 átomos de carbono, alquilcarbonilo de 2 a 6 átomos de carbono o alcoxycarbonilo de 2 a 6 átomos de carbono;

20 R^2 es H, alquilo de 1 a 4 átomos de carbono, alquenilo de 2 a 4 átomos de carbono, alquinilo de 2 a 4 átomos de carbono, cicloalquilo de 3 a 6 átomos de carbono, alquilcarbonilo de 2 a 6 átomos de carbono o alcoxycarbonilo de 2 a 6 átomos de carbono;

25 R^3 es alquilo de 1 a 6 átomos de carbono, alquenilo de 2 a 6 átomos de carbono, alquinilo de 2 a 6 átomos de carbono, o cicloalquilo de 3 a 6 átomos de

carbono, cada uno opcionalmente sustituido con uno o más
sustituyentes que se seleccionan del grupo que consiste de
halógeno, CN, alcoxi de 1 a 2 átomos de carbono, alquiltio
de 1 a 2 átomos de carbono, alquilsulfinilo de 1 a 2 átomos
5 de carbono y alquilsulfonilo de 1 a 2 átomos de carbono;

uno de los grupos R^4 está unido al anillo fenilo en
la posición 2 o en la posición 5 y R^4 es alquilo de 1 a 4
átomos de carbono, haloalquilo de 1 a 4 átomos de carbono,
halógeno, CN, NO_2 , alcoxi de 1 a 4 átomos de carbono,
10 haloalcoxi de 1 a 4 átomos de carbono, alquiltio de 1 a 4
átomos de carbono, alquilsulfinilo de 1 a 4 átomos de
carbono, alquilsulfonilo de 1 a 4 átomos de carbono,
haloalquiltio de 1 a 4 átomos de carbono,
haloalquilsulfinilo de 1 a 4 átomos de carbono o
15 haloalquilsulfonilo de 1 a 4 átomos de carbono;

cada R^5 es independientemente haloalquilo de 1 a 4
átomos de carbono, CN, NO_2 , haloalcoxi de 1 a 4 átomos de
carbono, alquiltio de 1 a 4 átomos de carbono,
alquilsulfinilo de 1 a 4 átomos de carbono, alquilsulfonilo
20 de 1 a 4 átomos de carbono, haloalquiltio de 1 a 4 átomos de
carbono, haloalquilsulfinilo de 1 a 4 átomos de carbono,
haloalquilsulfonilo de 1 a 4 átomos de carbono o
alcoxicarbonilo de 2 a 4 átomos de carbono; o

$(R^5)_2$ cuando está unido a átomos de carbono
25 adyacentes se pueden tomar juntos como $-OCF_2O-$, $-CF_2CF_2O-$ o

-OCF₂CF₂O-; y

cada R⁶ es independientemente H, halógeno, alquilo de 1 a 4 átomos de carbono, alcoxi de 1 a 2 átomos de carbono o alcoxycarbonilo de 2 a 4 átomos de carbono; o

5 cada R⁶ es independientemente un fenilo o un anillo heteroaromático de 5 o 6 miembros, cada anillo está opcionalmente sustituido con alquilo de 1 a 4 átomos de carbono, alquenilo de 2 a 4 átomos de carbono, alquinilo de 2 a 4 átomos de carbono, cicloalquilo de 3 a 6 átomos de
10 carbono, haloalquilo de 1 a 4 átomos de carbono, haloalquenilo de 2 a 4 átomos de carbono, haloalquinilo de 2 a 4 átomos de carbono, halocicloalquilo de 3 a 6 átomos de carbono, halógeno, CN, NO₂, alcoxi de 1 a 4 átomos de carbono, haloalcoxi de 1 a 4 átomos de carbono, alquiltio de
15 1 a 4 átomos de carbono, alquilsulfinilo de 1 a 4 átomos de carbono, alquilsulfonilo de 1 a 4 átomos de carbono, alquilamino de 1 a 4 átomos de carbono, dialquilamino de 2 a 8 átomos de carbono, cicloalquilamino de 3 a 6 átomos de carbono, (alquil)cicloalquilamino de 3 a 6 átomos de
20 carbono, alquilcarbonilo de 2 a 4 átomos de carbono, alcoxycarbonilo de 2 a 6 átomos de carbono, alquilaminocarbonilo de 2 a 6 átomos de carbono, dialquilaminocarbonilo de 3 a 8 átomos de carbono o trialquilsililo de 3 a 6 átomos de carbono.

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Método preferido 3. Métodos del método preferido 2, en donde

R^1 y R^2 son ambos H;

R^3 es alquilo de 1 a 4 átomos de carbono
5 opcionalmente sustituido con halógeno, CN, OCH_3 , $S(O)_pCH_3$;

cada R^4 es independientemente H, CH_3 , CF_3 , OCF_3 , $OCHF_2$, $S(O)_pCF_3$, $S(O)_pCHF_2$, CN o halógeno;

cada R^5 es independientemente CF_3 , OCF_3 , $OCHF_2$, $S(O)_pCF_3$, $S(O)_pCHF_2$, OCH_2CF_3 , OCF_2CHF_2 , $S(O)_pCH_2CF_3$ o
10 $S(O)_pCF_2CHF_2$;

cada R^6 es independientemente H, halógeno o metilo; o fenilo, pirazol, imidazol, triazol, piridina o pirimidina, cada anillo está opcionalmente sustituido con alquilo de 1 a 4 átomos de carbono, haloalquilo de 1 a 4
15 átomos de carbono, halógeno o CN; y

p es 0, 1 ó 2.

Método preferido 4. Métodos del método preferido 3, en donde R^3 es i-propilo o t-butilo.

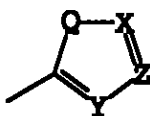
Método preferido 5. Métodos que comprenden
20 compuestos de la fórmula 1, en donde J es un anillo heteroaromático de 5 ó 6 miembros opcionalmente sustituido con 1 a 4 de R^7 .

Método preferido 6. Los métodos del método preferido 5, en donde:

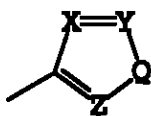
172
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J es un anillo heteroaromático de 5 ó 6 miembros que se selecciona del grupo que consiste de J-1, J-2, J-3, J-4 y J-5, cada J está opcionalmente sustituida con 1 a 3 de R^7

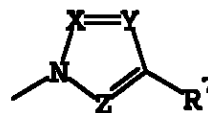
5



J-1

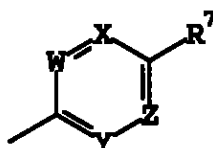


J-2

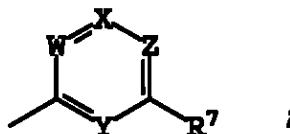


J-3

10



J-4



J-5

15

Q es O, S o NR^7 ; y

20

W, X, Y y Z son independientemente N o CR^7 , con la condición de que en J-4 y J-5, por lo menos uno de W, X, Y o Z es N.

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Método Preferido 7. Los métodos del método preferido o Método preferido 6 en donde:

A y B son O;

n es 1 a 2;

5 R^1 es H, alquilo de 1 a 4 átomos de carbono, alquenilo de 2 a 4 átomos de carbono, alquinilo de 2 a 4 átomos de carbono, alquilcarbonilo de 2 a 6 átomos de carbono o alcoxycarbonilo de 2 a 6 átomos de carbono;

10 R^2 es H, alquilo de 1 a 4 átomos de carbono, alquenilo de 2 a 4 átomos de carbono, alquinilo de 2 a 4 átomos de carbono, cicloalquilo de 3 a 6 átomos de carbono, alquilcarbonilo de 2 a 6 átomos de carbono o alcoxycarbonilo de 2 a 6 átomos de carbono;

15 R^3 es H, o alquilo de 1 a 6 átomos de carbono, alquenilo de 2 a 6 átomos de carbono, alquinilo de 2 a 6 átomos de carbono o cicloalquilo de 3 a 6 átomos de carbono, cada uno opcionalmente sustituido con uno o más sustituyentes que se seleccionan del grupo que consiste de halógeno, CN, alcoxi de 1 a 2 átomos de carbono, alquiltio de 1 a 2 átomos
20 de carbono, alquilsulfinilo de 1 a 2 átomos de carbono y alquilsulfonilo de 1 a 2 átomos de carbono;

uno de los grupos R^4 está unido al anillo fenilo en la posición 2 y el R^4 es alquilo de 1 a 4 átomos de carbono, haloalquilo de 1 a 4 átomos de carbono, halógeno, CN, NO₂,
25 alcoxi de 1 a 4 átomos de carbono, haloalcoxi de 1 a 4 átomos

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de carbono, alquiltio de 1 a 4 átomos de carbono, alquilsulfinilo de 1 a 4 átomos de carbono, alquilsulfonilo de 1 a 4 átomos de carbono, haloalquiltio de 1 a 4 átomos de carbono, haloalquilsulfinilo de 1 a 4 átomos de carbono o
5 haloalquilsulfonilo de 1 a 4 átomos de carbono; y .

cada R' es independientemente H, alquilo de 1 a 4 átomos de carbono, haloalquilo de 1 a 4 átomos de carbono, halógeno, CN, NO₂, haloalcoxi de 1 a 4 átomos de carbono, alquiltio de 1 a 4 átomos de carbono, alquilsulfinilo de 1 a
10 4 átomos de carbono, alquilsulfonilo de 1 a 4 átomos de carbono, haloalquiltio de 1 a 4 átomos de carbono, haloalquilsulfinilo de 1 a 4 átomos de carbono, haloalquilsulfonilo de 1 a 4 átomos de carbono o
alcoxicarbonilo de 2 a 4 átomos de carbono; o un fenilo o un
15 anillo heteroaromático de 5 o 6 miembros, cada anillo está opcionalmente sustituido con alquilo de 1 a 4 átomos de carbono, alquenilo de 2 a 4 átomos de carbono, alquinilo de 2 a 4 átomos de carbono, cicloalquilo de 3 a 6 átomos de carbono, haloalquilo de 1 a 4 átomos de carbono,
20 haloalquenilo de 2 a 4 átomos de carbono, haloalquinilo de 2 a 4 átomos de carbono, halocicloalquilo de 3 a 6 átomos de carbono, halógeno, CN, NO₂, alcoxi de 1 a 4 átomos de carbono, haloalcoxi de 1 a 4 átomos de carbono, alquiltio de 1 a 4 átomos de carbono, alquilsulfinilo de 1 a 4 átomos de
25 carbono, alquilsulfonilo de 1 a 4 átomos de carbono,

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alquilamino de 1 a 4 átomos de carbono, dialquilamino de 2 a 8 átomos de carbono, cicloalquilamino de 3 a 6 átomos de carbono, (alquil)cicloalquilamino de 3 a 6 átomos de carbono, alquilcarbonilo de 2 a 4 átomos de carbono, alcoxycarbonilo de 2 a 6 átomos de carbono, alquilaminocarbonilo de 2 a 6 átomos de carbono, dialquilaminocarbonilo de 3 a 8 átomos de carbono o trialquilsililo de 3 a 6 átomos de carbono.

Método preferido 8. Los métodos del método preferido 7, en donde:

10 J se selecciona del grupo que consiste de piridina, pirimidina, pirazol, imidazol, triazol, tiofeno, tiazol y oxazol, furano, isotiazol e isoxazol, cada uno opcionalmente sustituido con 1 a 3 de R⁷.

Método preferido 9. Los métodos del método preferido 8, en 15 donde:

J se selecciona del grupo que consiste de piridina, pirimidina, pirazol, tiofeno y tiazol, cada uno opcionalmente sustituido con 1 a 3 de R⁷;

R¹ y R² son ambos H;

20 R³ es alquilo de 1 a 4 átomos de carbono opcionalmente sustituido con halógeno, CN, OCH₃, S(O)_pCH₃;

cada R⁴ es independientemente H, CH₃, CF₃, OCF₃, OCHF₂, S(O)_pCF₃, S(O)_pCHF₂, CN o halógeno;

cada R⁷ es independientemente H, halógeno, CH₃, CF₃, 25 OCHF₂, S(O)_pCF₃, S(O)_pCHF₂, OCH₂CF₃, OCF₂CHF₂, S(O)_pCH₂CF₃,

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S(O)_pCF₂CHF₂; o fenilo, pirazol, imidazol, triazol, piridina o pirimidina, cada anillo está opcionalmente sustituido con alquilo de 1 a 4 átomos de carbono, haloalquilo de 1 a 4 átomos de carbono, alcoxi de 1 a 4 átomos de carbono, haloalcoxi de 1 a 4 átomos de carbono, alquiltio de 1 a 4 átomos de carbono, alquilsulfinilo de 1 a 4 átomos de carbono, alquilsulfonilo de 1 a 4 átomos de carbono, halógeno o CN;

p es 0, 1 o 2.

10 Método preferido 10. Los métodos del método preferido 9, en donde J es una piridina opcionalmente sustituida con 1 a 3 de R⁷.

Método preferido 11. Los métodos del método preferido 10, en donde uno de R⁷ es un fenilo opcionalmente sustituido con alquilo de 1 a 4 átomos de carbono, haloalquilo de 1 a 4 átomos de carbono, halógeno o CN.

Método preferido 12. Los métodos del método preferido 10, en donde un R⁷ es un pirazol, imidazol, triazol, piridina o pirimidina, cada anillo está opcionalmente sustituido con alquilo de 1 a 4 átomos de carbono, haloalquilo de 1 a 4 átomos de carbono, halógeno o CN.

Método preferido 13. Los métodos del método preferido 9, en donde J es pirimidina opcionalmente sustituida con 1 a 3 de R⁷.

Método preferido 14. Los métodos del método preferido 13, en donde un R⁷ es un fenilo opcionalmente sustituido con alquilo de 1 a 4 átomos de carbono, haloalquilo de 1 a 4 átomos de carbono, halógeno o CN.

5 Método preferido 15. Los métodos del método preferido 13, en donde un R⁷ es un pirazol, imidazol, triazol, piridina o pirimidina, cada anillo está opcionalmente sustituido con alquilo de 1 a 4 átomos de carbono, haloalquilo de 1 a 4 átomos de carbono, halógeno o
10 CN.

Método preferido 16. Los métodos del método preferido 9, en donde J es un pirazol opcionalmente sustituido con 1 a 3 de R⁷.

15 Método preferido 17. Los métodos del método preferido 16, en donde un R⁷ es un fenilo opcionalmente sustituido con alquilo de 1 a 4 átomos de carbono, haloalquilo de 1 a 4 átomos de carbono, halógeno o CN.

20 Método preferido 18. Los métodos del método preferido 16, en donde un R⁷ es un pirazol, imidazol, piridina o pirimidina, cada anillo está opcionalmente sustituido con alquilo de 1 a 4 átomos de carbono, haloalquilo de 1 a 4 átomos de carbono, halógeno o CN.

25 Método preferido 19. Los métodos del método preferido 18, en donde R⁷ es piridina opcionalmente sustituida con alquilo de 1 a 4 átomos de carbono,

haloalquilo de 1 a 4 átomos de carbono, halógeno o CN.

El método más preferido comprende un compuesto de fórmula 1 que se selecciona del grupo que consiste de:

- 3-metil-N-(1-metiletil)-2-[[4-(trifluorometil)-benzoil]amino]-benzamida,
- 2-metil-N-[2-metil-6-[[1-(1-metiletil)amino]-carbonil]fenil]-4-(trifluorometil)benzamida,
- 2-metil-N-[2-metil-6-[[1-(1-metiletil)amino]-carbonil]fenil]-6-(trifluorometil)-3-piridincarboxamida,
- 1-etil-N-[2-metil-6-[[1-(1-metiletil)amino]-carbonil]fenil]-3-(trifluorometil)-1H-pirazol-5-carboxamida,
- 1-(2-fluorofenil)-N-[2-metil-6-[[1-(1-metiletil)amino)carbonil]fenil]-3-(trifluorometil)-1H-pirazol-5-carboxamida,
- 1-(3-cloro-2-piridinil)-N-[2-metil-6-[[1-(1-metiletil)amino]carbonil]fenil]-3-(trifluorometil)-1H-pirazol-5-carboxamida,
- N-[2-cloro-6-[[1-(1-metiletil)amino]carbonil]fenil]-1-(3-cloro-2-piridinil)-3-(trifluorometil)-1H-pirazol-5-carboxamida,
- 3-bromo-1-(2-clorofenil)-N-[2-metil-6-[[1-(1-metiletil)amino]carbonil]fenil]-1H-pirazol-5-carboxamida, y
- 3-bromo-N-[2-cloro-6-[[1-(1-metiletil)amino]-carbonil]fenil]-1-(2-clorofenil)-1H-pirazol-5-carboxamida.
- Los compuestos preferidos por razones de mejor

actividad o facilidad de síntesis son:

Compuesto preferido A. Compuestos de fórmula 1, en donde J es un grupo fenilo sustituido con 1 a 2 de R⁵ y opcionalmente sustituido con 1 a 3 de R⁶.

5 Compuesto preferido B. Compuestos del compuesto preferido A, en donde:

A y B son ambos O;

n es 1 a 2;

R¹ es H, alquilo de 1 a 4 átomos de carbono,
10 alquenilo de 2 a 4 átomos de carbono, alquinilo de 2 a 4 átomos de carbono, cicloalquilo de 3 a 6 átomos de carbono, alquilcarbonilo de 2 a 6 átomos de carbono o alcoxycarbonilo de 2 a 6 átomos de carbono;

R² es H, alquilo de 1 a 4 átomos de carbono,
15 alquenilo de 2 a 4 átomos de carbono, alquinilo de 2 a 4 átomos de carbono, cicloalquilo de 3 a 6 átomos de carbono, alquilcarbonilo de 2 a 6 átomos de carbono o alcoxycarbonilo de 2 a 6 átomos de carbono;

R³ es alquilo de 1 a 6 átomos de carbono, alquenilo
20 de 2 a 6 átomos de carbono, alquinilo de 2 a 6 átomos de carbono o cicloalquilo de 3 a 6 átomos de carbono, cada uno opcionalmente sustituido con uno o más sustituyentes que se seleccionan del grupo que consiste de halógeno, CN, alcoxi de 1 a 2 átomos de carbono, alquiltio de 1 a 2 átomos de
25 carbono, alquilsulfinilo de 1 a 2 átomos de carbono y

alquilsulfonilo de 1 a 2 átomos de carbono;

uno de los grupos R^4 está unido al anillo fenilo en la posición 2 o en la posición 5, y el R^4 es alquilo de 1 a 4 átomos de carbono, haloalquilo de 1 a 4 átomos de carbono, halógeno, CN, NO_2 , alcoxi de 1 a 4 átomos de carbono, haloalcoxi de 1 a 4 átomos de carbono, alquiltio de 1 a 4 átomos de carbono, alquilsulfinilo de 1 a 4 átomos de carbono, alquilsulfonilo de 1 a 4 átomos de carbono, haloalquiltio de 1 a 4 átomos de carbono, haloalquilsulfinilo de 1 a 4 átomos de carbono o haloalquilsulfonilo de 1 a 4 átomos de carbono;

cada R^5 es independientemente haloalquilo de 1 a 4 átomos de carbono, CN, NO_2 , haloalcoxi de 1 a 4 átomos de carbono, alquiltio de 1 a 4 átomos de carbono, alquilsulfinilo de 1 a 4 átomos de carbono, alquilsulfonilo de 1 a 4 átomos de carbono, haloalquiltio de 1 a 4 átomos de carbono, haloalquilsulfinilo de 1 a 4 átomos de carbono, haloalquilsulfonilo de 1 a 4 átomos de carbono o alcóxicarbonilo de 2 a 4 átomos de carbono; o

$(R^5)_2$, cuando está unido a átomos de carbono adyacentes se pueden tomar juntos como OCF_2O- , $-CF_2CF_2O-$ o $-OCF_2CF_2O-$; y

cada R^6 es independientemente H, halógeno, alquilo de 1 a 4 átomos de carbono, alcoxi de 1 a 2 átomos de carbono o alcóxicarbonilo de 2 a 4 átomos de carbono, o

cada R^6 es independientemente un fenilo o un anillo heteroaromático de 5 o 6 miembros, cada anillo está opcionalmente sustituido con alquilo de 1 a 4 átomos de carbono, alquenilo de 2 a 4 átomos de carbono, alquinilo de 2 a 4 átomos de carbono, cicloalquilo de 3 a 6 átomos de carbono, haloalquilo de 1 a 4 átomos de carbono, haloalquenilo de 2 a 4 átomos de carbono, haloalquinilo de 2 a 4 átomos de carbono, halocicloalquilo de 3 a 6 átomos de carbono, halógeno, CN, NO₂, alcoxi de 1 a 4 átomos de carbono, haloalcoxi de 1 a 4 átomos de carbono, alquiltio de 1 a 4 átomos de carbono, alquilsulfinilo de 1 a 4 átomos de carbono, alquilsulfonilo de 1 a 4 átomos de carbono, alquilamino de 1 a 4 átomos de carbono, dialquilamino de 2 a 8 átomos de carbono, cicloalquilamino de 3 a 6 átomos de carbono, (alquil)cicloalquilamino de 3 a 6 átomos de carbono, alquilcarbonilo de 2 a 4 átomos de carbono, alcoxicarbonilo de 2 a 6 átomos de carbono, alquilaminocarbonilo de 2 a 6 átomos de carbono, dialquilaminocarbonilo de 3 a 8 átomos de carbono o trialquilsililo de 3 a 6 átomos de carbono.

Compuesto preferido C. Los compuestos del compuesto preferido B, en los que:

R^1 y R^2 son ambos H;

R^3 es alquilo de 1 a 4 átomos de carbono opcionalmente sustituido con halógeno, CN, OCH₃, S(O)_pCH₃; cada R^4 es independientemente H, CH₃, CF₃, OCH₃, OCHF₂,

$S(O)_pCF_3$, $S(O)_pCHF_2$, CN o halógeno;

cada R^5 es independientemente CF_3 , OCF_3 , $OCHF_2$,
 $S(O)_pCF_3$, $S(O)_pCHF_2$, OCH_2CF_3 , OCF_2CHF_2 , $S(O)_pCH_2CF_3$ o
 $S(O)_pCF_2CHF_2$;

5 cada R^6 es independientemente H, halógeno o metilo;
o fenilo, pirazol, imidazol, triazol, piridina o pirimidina,
cada anillo está opcionalmente sustituido con alquilo de 1 a
4 átomos de carbono, haloalquilo de 1 a 4 átomos de carbono,
halógeno o CN; y

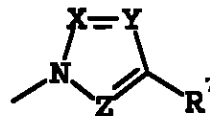
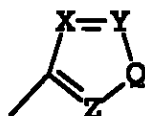
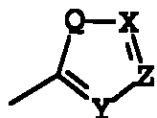
10 p es 0, 1 o 2.

Compuesto preferido D. Compuestos del compuesto
preferido C en donde R^3 es i-propilo o t-butilo.

Compuesto preferido E. Compuestos de fórmula 1, en
donde J es un anillo heteroaromático de 5 o 6 miembros
15 opcionalmente sustituido con 1 a 4 de R^7 .

Compuesto preferido F. Compuestos de los compuestos
preferidos E, en los que:

J es un anillo heteroaromático de 5 o 6 miembros
que se selecciona del grupo que consiste de J-1, J-2, J-4 y
20 J-5, cada J está opcionalmente sustituida con 1 a 3 de R^7

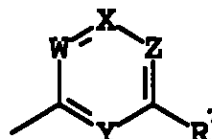
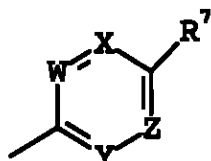


5

J-1

J-2

J-3



;

10

J-4

J-5

Q es O, S o NR⁷; y

15

W, X, Y y Z son independientemente N o CR⁷, con la condición de que en J-4 y J-5, por lo menos uno de W, X, Y o Z es N.

Compuesto preferido G. Compuestos del compuesto preferido E o compuesto preferido F, en donde:

20

A y B son O;

n es 1 a 2;

R¹ es H, alquilo de 1 a 4 átomos de carbono, alquenilo de 2 a 4 átomos de carbono, alquinilo de 2 a 4 átomos de carbono, alquilcarbonilo de 2 a 6 átomos de carbono
25 o alcóxicarbonilo de 2 a 6 átomos de carbono;

R^2 es H, alquilo de 1 a 4 átomos de carbono, alquenilo de 2 a 4 átomos de carbono, alquinilo de 2 a 4 átomos de carbono, cicloalquilo de 3 a 6 átomos de carbono, alquilcarbonilo de 2 a 6 átomos de carbono o alcóxicarbonilo de 2 a 6 átomos de carbono;

R^3 es H; o alquilo de 1 a 6 átomos de carbono, alquenilo de 2 a 6 átomos de carbono, alquinilo de 2 a 6 átomos de carbono o cicloalquilo de 3 a 6 átomos de carbono, cada uno opcionalmente sustituido con uno o más sustituyentes que se seleccionan del grupo que consiste de halógeno, CN, alcoxí de 1 a 2 átomos de carbono, alquiltio de 1 a 2 átomos de carbono, alquilsulfinilo de 1 a 2 átomos de carbono y alquilsulfonilo de 1 a 2 átomos de carbono;

uno de los grupos R^4 está unido al anillo fenilo en la posición 2 y el R^4 es alquilo de 1 a 4 átomos de carbono, haloalquilo de 1 a 4 átomos de carbono, halógeno, CN, NO_2 , alcoxí de 1 a 4 átomos de carbono, haloalcoxí de 1 a 4 átomos de carbono, alquiltio de 1 a 4 átomos de carbono, alquilsulfinilo de 1 a 4 átomos de carbono, alquilsulfonilo de 1 a 4 átomos de carbono, haloalquiltio de 1 a 4 átomos de carbono, haloalquilsulfinilo de 1 a 4 átomos de carbono o haloalquilsulfonilo de 1 a 4 átomos de carbono; y

cada R^7 es independientemente H, alquilo de 1 a 4 átomos de carbono, haloalquilo de 1 a 4 átomos de carbono, halógeno, CN, NO_2 , haloalcoxí de 1 a 4 átomos de carbono,

alquiltio de 1 a 4 átomos de carbono, alquilsulfinilo de 1 a 4 átomos de carbono, alquilsulfonilo de 1 a 4 átomos de carbono, haloalquiltio de 1 a 4 átomos de carbono, haloalquilsulfinilo de 1 a 4 átomos de carbono, haloalquilsulfonilo de 1 a 4 átomos de carbono o alcoxycarbonilo de 2 a 4 átomos de carbono; o un fenilo o un anillo heteroaromático de 5 o 6 miembros, cada anillo está opcionalmente sustituido con alquilo de 1 a 4 átomos de carbono, alquenilo de 2 a 4 átomos de carbono, alquinilo de 2 a 4 átomos de carbono, cicloalquilo de 3 a 6 átomos de carbono, haloalquilo de 1 a 4 átomos de carbono, haloalquenilo de 2 a 4 átomos de carbono, haloalquinilo de 2 a 4 átomos de carbono, halocicloalquilo de 3 a 6 átomos de carbono, halógeno, CN, NO₂, alcoxi de 1 a 4 átomos de carbono, haloalcoxi de 1 a 4 átomos de carbono, alquiltio de 1 a 4 átomos de carbono, alquilsulfinilo de 1 a 4 átomos de carbono, alquilsulfonilo de 1 a 4 átomos de carbono, alquilamino de 1 a 4 átomos de carbono, dialquilamino de 2 a 8 átomos de carbono, cicloalquilamino de 3 a 6 átomos de carbono, (alquil)cicloalquilamino de 3 a 6 átomos de carbono, alquilcarbonilo de 2 a 4 átomos de carbono, alcoxycarbonilo de 2 a 6 átomos de carbono, alquilaminocarbonilo de 2 a 6 átomos de carbono, dialquilaminocarbonilo de 3 a 8 átomos de carbono o trialquilsililo de 3 a 6 átomos de carbono.

25 Compuesto preferido H. Los compuestos del compuesto

preferido G, en donde:

J se selecciona del grupo que consiste de piridina, pirimidina, pirazol, imidazol, triazol, tiofeno, tiazol y oxazol, furano, isotiazol e isoxazol, cada uno opcionalmente
5 sustituido con 1 a 3 de R⁷.

Compuesto Preferido I. Compuestos del compuesto preferido H, en donde:

J se selecciona del grupo que consiste de piridina, pirimidina, pirazol, tiofeno y tiazol, cada uno opcionalmente
10 sustituido con 1 a 3 de R⁷;

R¹ y R² son ambos H;

R³ es alquilo de 1 a 4 átomos de carbono opcionalmente sustituido con halógeno, CN, OCH₃, OCHF₂, S(O)_pCH₃;

15 cada R⁴ es independientemente H, CH₃, CF₃, OCF₃, OCHF₂, S(O)_pCF₃, S(O)_pCHF₂, CN o halógeno;

cada R⁷ es independientemente H, halógeno, CH₃, CF₃, OCHF₂, S(O)_pCF₃, S(O)_pCHF₂, OCH₂CF₃, OCF₂CHF₂, S(O)_pCH₂CF₃, S(O)_pCF₂CHF₂; o fenilo, pirazol, imidazol, triazol, piridina o
20 pirimidina, cada anillo está opcionalmente sustituido con alquilo de 1 a 4 átomos de carbono, haloalquilo de 1 a 4 átomos de carbono, alcoxi de 1 a 4 átomos de carbono, haloalcoxi de 1 a 4 átomos de carbono, alquiltio de 1 a 4 átomos de carbono, alquilsulfinilo de 1 a 4 átomos de
25 carbono, alquilsulfonilo de 1 a 4 átomos de carbono, halógeno

o CN; y

p es 0, 1 o 2.

Compuesto Preferido J. Los compuestos del compuesto preferido I, en donde J es una piridina
5 opcionalmente sustituida con 1 a 3 de R⁷.

Compuesto Preferido K. Los compuestos del compuesto preferido J, en donde uno de R⁷ es un fenilo
opcionalmente sustituido con alquilo de 1 a 4 átomos de carbono, haloalquilo de 1 a 4 átomos de carbono, halógeno o
10 CN.

Compuesto Preferido L. Los compuestos del compuesto preferido J, en donde uno de R⁷ es un pirazol, imidazol, triazol, piridina o pirimidina, cada anillo está
opcionalmente sustituido con alquilo de 1 a 4 átomos de
15 carbono, haloalquilo de 1 a 4 átomos de carbono, halógeno o CN.

Compuesto Preferido M. Los compuestos del compuesto preferido I, en donde: J es una pirimidina
opcionalmente sustituida con 1 a 3 de R⁷.

20 Compuesto Preferido N. Los compuestos del compuesto preferido M, en donde uno de R⁷ es un fenilo
opcionalmente sustituido con alquilo de 1 a 4 átomos de carbono, haloalquilo de 1 a 4 átomos de carbono, halógeno o
CN.

25 Compuesto Preferido O. Los compuestos del

compuesto preferido M, en donde uno R⁷ es un pirazol, imidazol, triazol, piridina o pirimidina, cada anillo está opcionalmente sustituido con alquilo de 1 a 4 átomos de carbono, haloalquilo de 1 a 4 átomos de carbono, halógeno o CN.

Compuesto Preferido P. Los compuestos del compuesto preferido I, en donde J es un pirazol opcionalmente sustituido con 1 a 3 de R⁷.

Compuesto Preferido Q. Los compuestos del compuesto preferido P en donde un R⁷ es un fenilo opcionalmente sustituido con alquilo de 1 a 4 átomos de carbono, haloalquilo de 1 a 4 átomos de carbono, halógeno o CN.

Compuesto Preferido R. Los compuestos del compuesto preferido P, en donde un R⁷ es un pirazol, imidazol, triazol, piridina o pirimidina, cada anillo está opcionalmente sustituido con alquilo de 1 a 4 átomos de carbono, haloalquilo de 1 a 4 átomos de carbono, halógeno o CN.

Compuesto Preferido S. Los compuestos del compuesto preferido R, en donde R⁷ es piridina opcionalmente sustituida con alquilo de 1 a 4 átomos de carbono, haloalquilo de 1 a 4 átomos de carbono, halógeno o CN.

El compuesto más preferido de fórmula 1 se selecciona del grupo que consiste de:

3-metil-N-(1-metiletil)-2-[[4-(trifluorometil)-benzoil]amino]-benzamida,

2-metil-N-[2-metil-6-[[1-metiletil]amino]-carbonil]fenil]-4-(trifluorometil)benzamida,

5 2-metil-N-[2-metil-6-[[1-metiletil]amino]-carbonil]fenil]-6-(trifluorometil)-3-piridincarboxamida,

1-etil-N-[2-metil-6-[[1-metiletil]amino]-carbonil]fenil]-3-(trifluorometil)-1H-pirazol-5-carboxamida,

1-(2-fluorofenil)-N-[2-metil-6-[[1-metiletil]amino]carbonil]fenil]-3-(trifluorometil)-1H-pirazol-5-carboxamida,

10 1-(3-cloro-2-piridinil)-N-[2-metil-6-[[1-metiletil]amino]carbonil]fenil]-3-(trifluorometil)-1H-pirazol-5-carboxamida,

15 N-[2-cloro-6-[[1-metiletil]amino]carbonil]fenil]-1-(3-cloro-2-piridinil)-3-(trifluorometil)-1H-pirazol-5-carboxamida,

3-bromo-1-(2-clorofenil)-N-[2-metil-6-[[1-metiletil]amino]carbonil]fenil]-1H-pirazol-5-carboxamida, y

20 3-bromo-N-[2-cloro-6-[[1-metiletil]amino]-carbonil]fenil]-1-(2-clorofenil)-1H-pirazol-5-carboxamida.

Las composiciones preferidas son aquellas que comprenden compuestos de fórmula I, como se prefiere en el compuesto preferido 1 a 19, y los compuestos preferidos
25 específicamente anteriores.

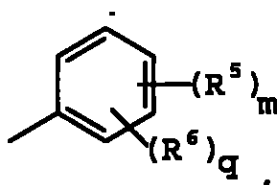
Como se indica antes, cada J es independientemente un grupo fenilo o un grupo naftilo sustituido con 1 a 2 de R^5 y opcionalmente sustituido con 1 a 3 de R^6 ; o cada J es independientemente un anillo heteroaromático de 5 o 6 miembros o un sistema de anillo heterobicíclico fusionado de 8, 9 o 10 miembros aromático en el que cada anillo o sistema de anillo está opcionalmente sustituido con 1 a 4 de R^7 . El término "opcionalmente sustituido" en relación con estos grupos J se refiere a grupos los cuales están no sustituidos o tienen por lo menos un sustituyente que no es hidrógeno, que no elimina la actividad que mata artrópodos presentada por el análogo no sustituido. Nótese además que J-1 a J-59 indican anillos heteroaromáticos de 5 o 6 miembros. Un ejemplo de fenilo sustituido con 1 a 2 de R^5 y opcionalmente sustituido con 1 a 3 de R^6 es el anillo ilustrado como J-6 en la muestra 1, en donde m es un número entero de 1 a 2 y q es un número entero de 1 a 3. Nótese que por lo menos uno de R^5 debe estar presente en J-6. Aunque los grupos R^6 se muestran en la estructura J-6, se hace notar que no necesitan representarse dado a que son sustituyentes opcionales. Un ejemplo de un grupo naftilo sustituido con 1 a 2 de R^5 y opcionalmente sustituido con 1 a 3 de R^6 es J-59 que se ilustra en la muestra 1, en donde m es un número entero de 1 a 2 y q es un número entero de 1 a 3. Nótese que por lo menos

uno de R^5 debe estar presente en J-59. Aunque se muestran grupos R^6 en la estructura J-59, se hace notar que no necesitan estar presentes dado que son sustituyentes opcionales. Los ejemplos de anillo heteroaromático de 5 a 6 miembros opcionalmente sustituido con 1 a 4 de R^7 incluyen los anillos J-7 a J-58 que se ilustran en la muestra 1 en donde r es un número entero de 1 a 4. Nótese que J-7 a J-6 son ejemplos de J-1, J-27 a J-41 son ejemplos de J-2, J-42 a J-44 son ejemplos de J-3, J-46 a J-53 son ejemplos de J-4 y J-54 a J-58 son ejemplos de J-5. Los átomos de nitrógeno que requieren sustitución para satisfacer su valencia se sustituyen con R^7 . Nótese que algunos grupos J únicamente se pueden sustituir con menos de 4 grupos R^7 (por ejemplo J-19, J-20, J-23 a J-26 y J-37 a J-40 pueden ser los únicos sustituidos con un R^7). Los ejemplos de sistemas de anillo heterobicíclicos fusionados de 8, 9 o 10 miembros, aromáticos opcionalmente sustituidos con 1 a 4 de R^7 incluyen J-60 a J-90, que se ilustra en la muestra 1, en donde R es un número entero de 1 a 4. Aunque los grupos R^7 se muestran en las estructuras J-7 a J-58 y J-60 a J-90, se hace notar que no necesitan estar presentes dado que son sustituyentes opcionales. Nótese que cuando R^5 , R^6 o R^7 son H cuando se unen a un átomo, este es el mismo que al decir que el átomo está no sustituido. Nótese que el punto de unión entre $(R^5)_m$, $(R^6)_q$ o $(R^7)_r$ el grupo J se ilustra flotante, $(R^5)_m$, $(R^6)_q$ o $(R^7)_r$ se

pueden unir a cualquier átomo de carbono disponible del grupo J. Nótese que, cuando el punto de unión en el grupo J se ilustra como flotante, el grupo J se puede unir al resto de la fórmula 1 a través de cualquier carbono disponible del grupo J por sustitución de un átomo de hidrógeno.

Muestra 1

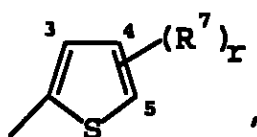
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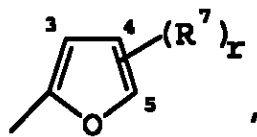
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J-6

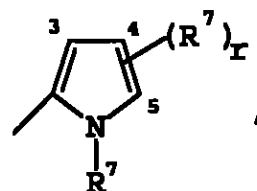
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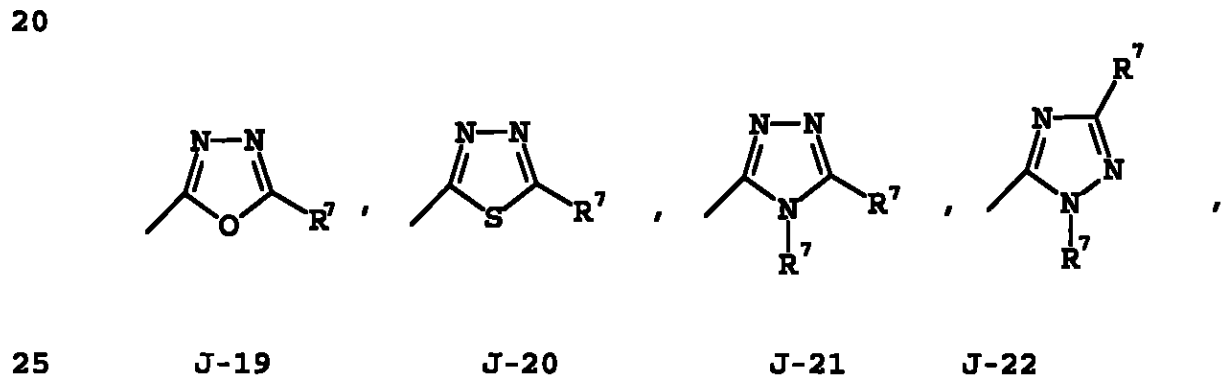
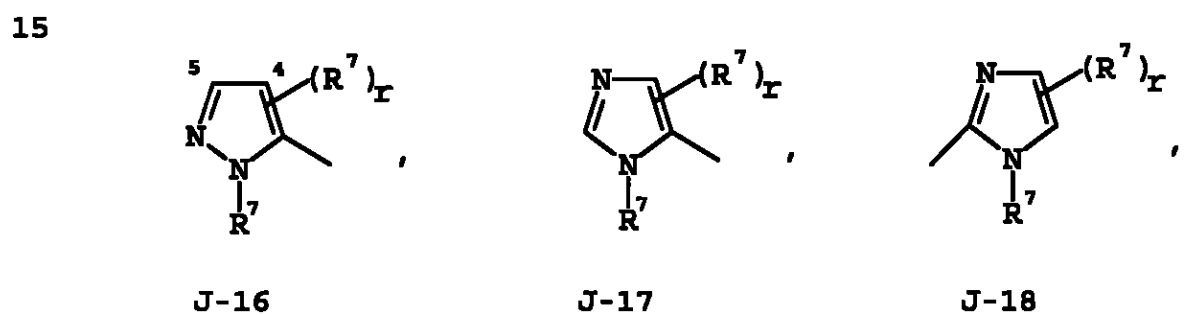
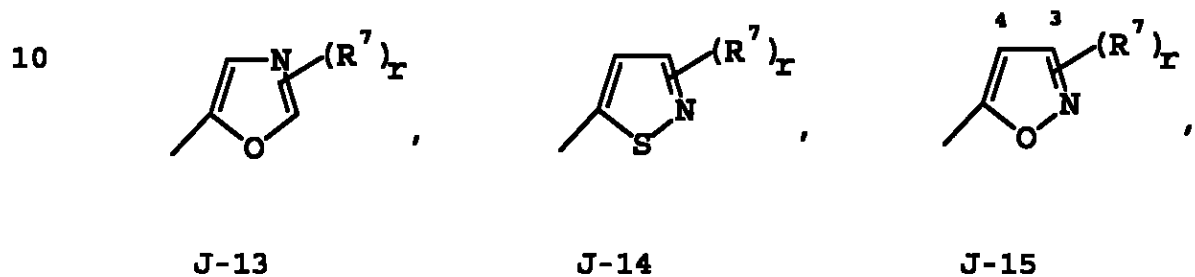
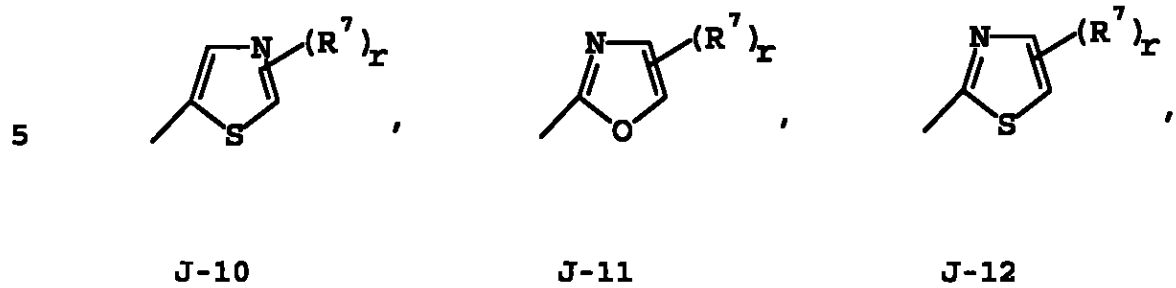


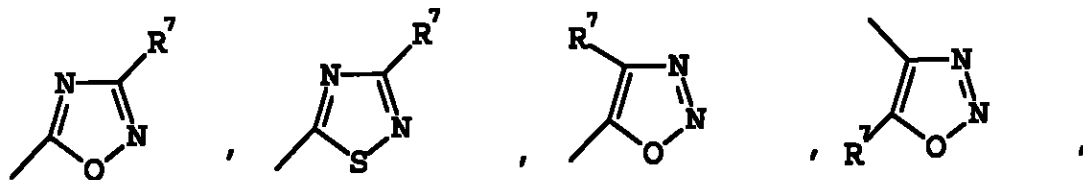
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J-9

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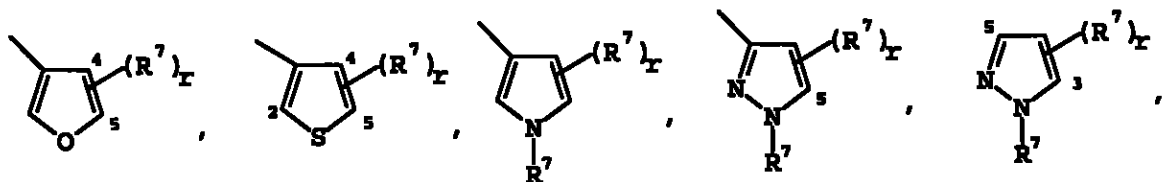
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J-24

J-25

J-26

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J-27

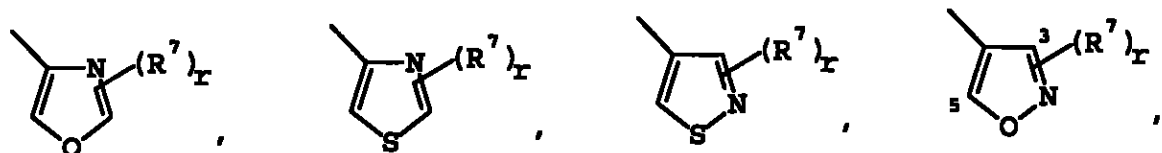
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J-30

J-31

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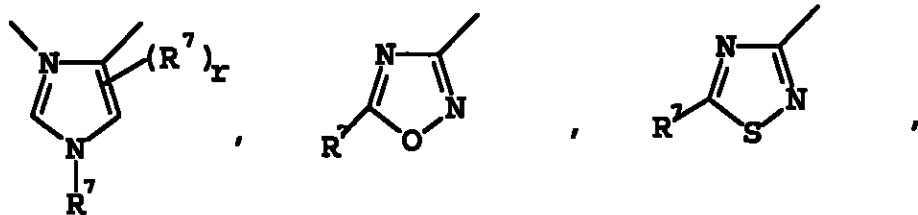
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J-33

J-34

J-35

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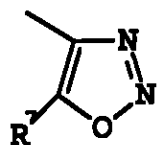
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J-36

J-37

J-38

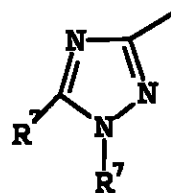
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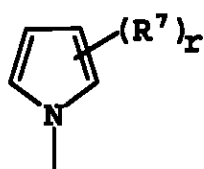


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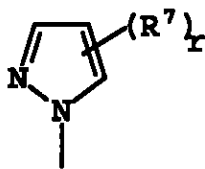


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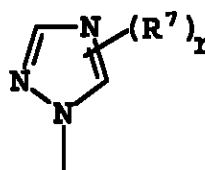
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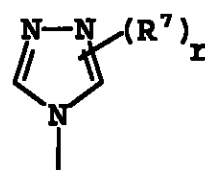
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J-43

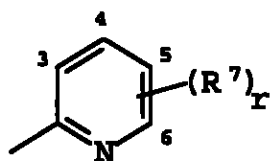


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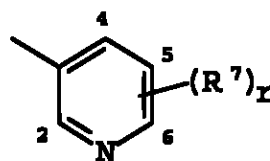


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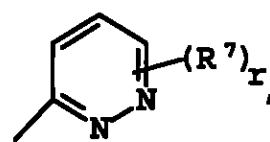
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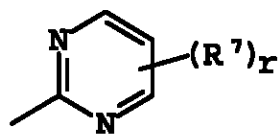


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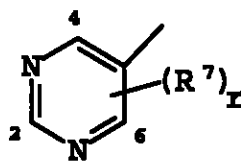


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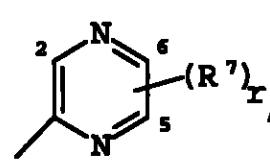
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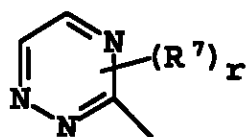


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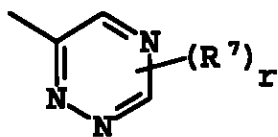


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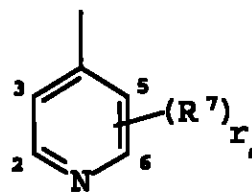
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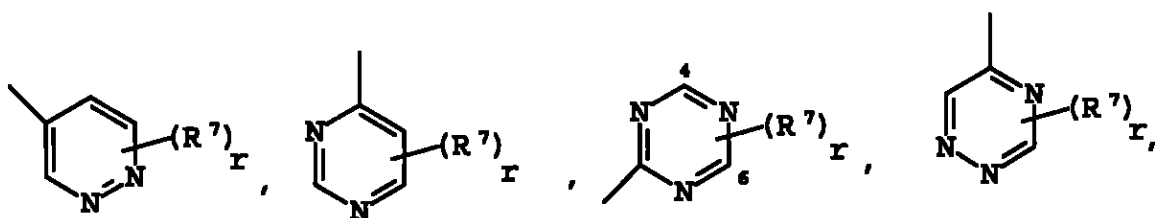
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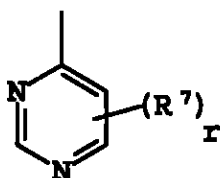
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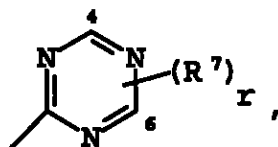
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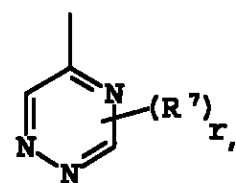
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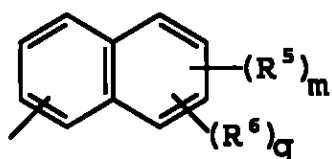
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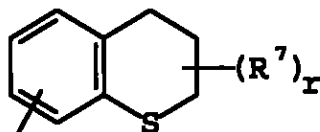
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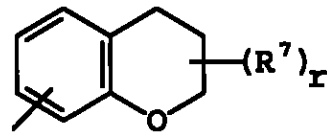
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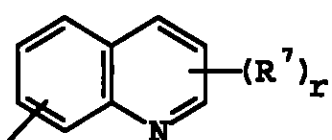
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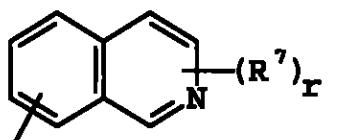
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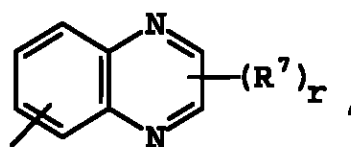
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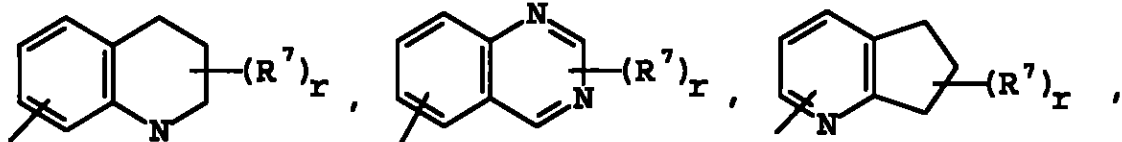


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J-64

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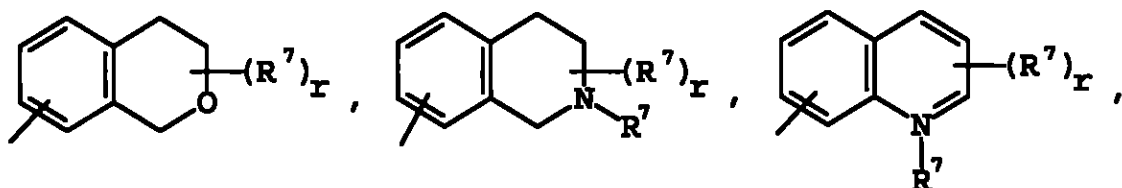


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J-67

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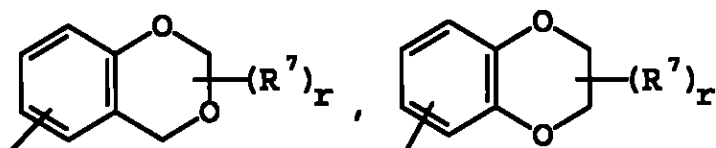


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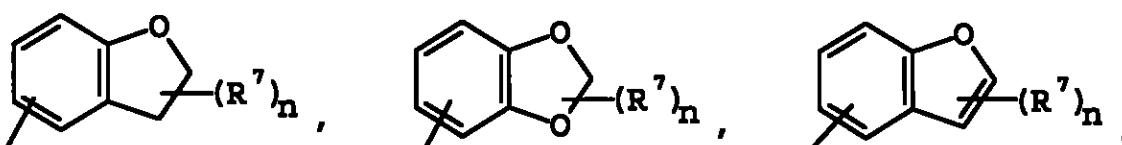
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J-71

J-72

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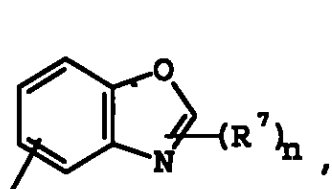


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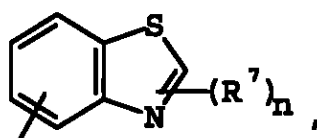
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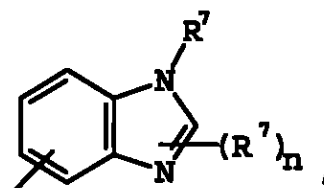
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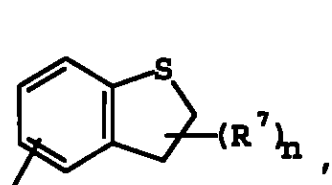
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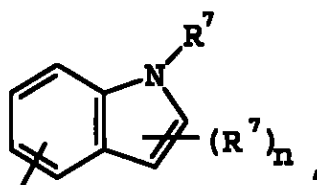
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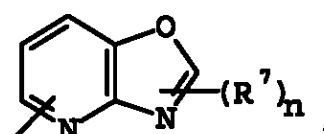
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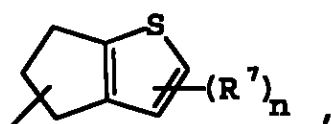
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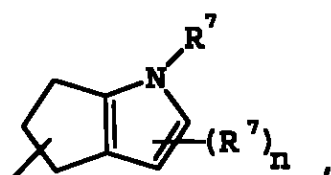
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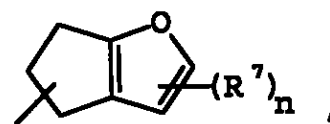
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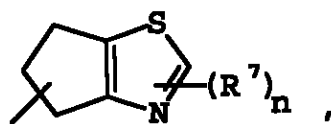
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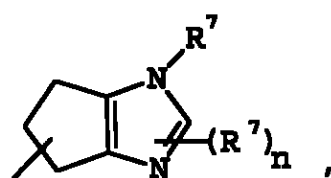
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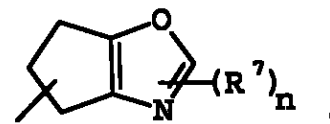
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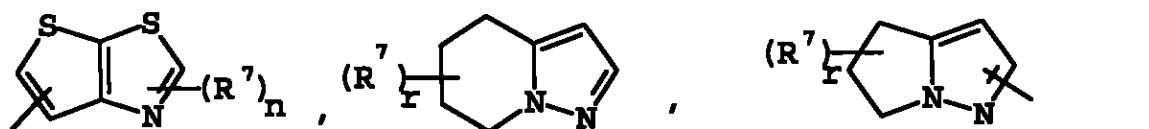
J-85



J-86



J-87



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J-88

J-89

J-90

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Como se indica en lo anterior, G es un anillo carbocíclico o heterocíclico no aromático de 5 o 6 miembros que incluye opcionalmente uno o dos miembros en el anillo que se seleccionan del grupo que consiste de C(=O), SO o S(O), y
15 opcionalmente sustituido con 1 a 4 sustituyentes que se seleccionan del grupo que consiste de alquilo de 1 a 2 átomos de carbono, halógeno, CN, NO₂ y alcoxí de 1 a 2 átomos de carbono. El término "opcionalmente sustituido" en relación con estos grupos G se refiere a grupos los cuales están no
20 sustituidos o tienen por lo menos un sustituyente que no es hidrógeno, el cual no elimina la actividad que mata artrópodos presentada por el análogo no sustituido. Nótese que cuando el punto de unión en el grupo G se ilustra como flotante, el grupo G se puede unir al resto de la fórmula 1 a
25 través de cualquier carbono disponible del grupo G por

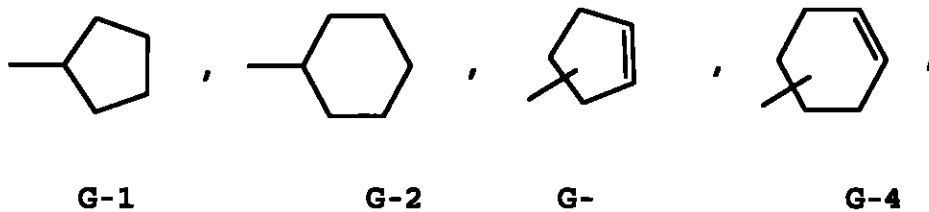
701
193

sustitución de un átomo de hidrógeno. Los sustituyentes
opcionales se pueden unir a cualquier carbono disponible al
sustituir un átomo de hidrógeno. Los ejemplos de anillos
carbocíclicos no aromáticos de 5 o 6 miembros tales como G
5 incluyen a los anillos que se ilustran en G-1 a G-8 de la
muestra 2, en donde tales anillos están opcionalmente
sustituidos con 1 a 4 sustituyentes que se seleccionan del
grupo que consiste de alquilo de 1 a 2 átomos de carbono,
halógeno, CN, NO₂ y alcoxi de 1 a 2 átomos de carbono. Los
10 ejemplos de anillos heterocíclicos no aromáticos de 5 o 6
miembros como G, incluyen los anillos que se ilustran como G-
9 a G-48 de la muestra 2, en donde tales anillos están
opcionalmente sustituidos con 1 a 4 sustituyentes que se
seleccionan del grupo que consiste de alquilo de 1 a 2 átomos
15 de carbono, halógeno, CN, NO₂ y alcoxi de 1 a 2 átomos de
carbono. Nótese que cuando G comprende un anillo que se
selecciona de G-31 a G-34, G-37 y G-38, Q¹ se selecciona de
O, S o N. Nótese que cuando G es G-11, G13, G-14, G16, G-23,
G-24, G-30 a G-34, G-37 y G-38 y Q¹ es N, el átomo de
20 nitrógeno puede completar su valencia por sustitución ya sea
con H o alquilo de 1 a 2 átomos de carbono.

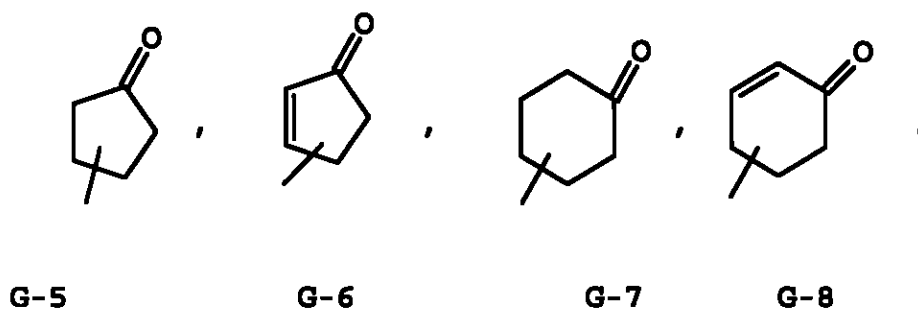
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198

Muestra 2

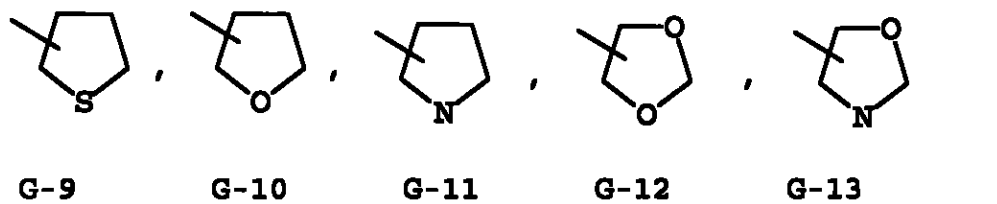
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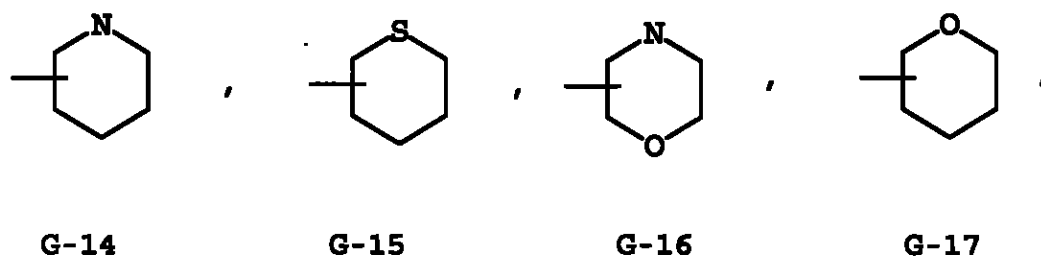
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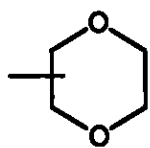


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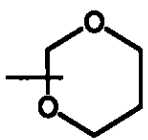
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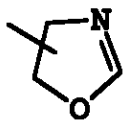


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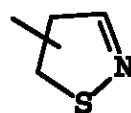
G-18



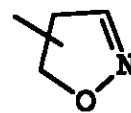
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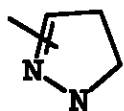
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G-21

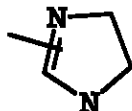


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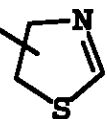


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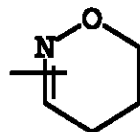
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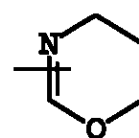
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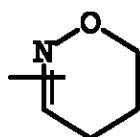
G-25



G-26

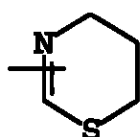


G-27

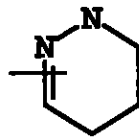


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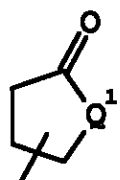
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G-29

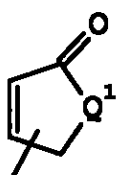


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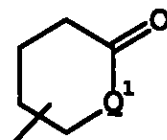


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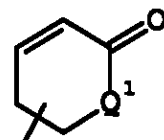
G-31



G-32



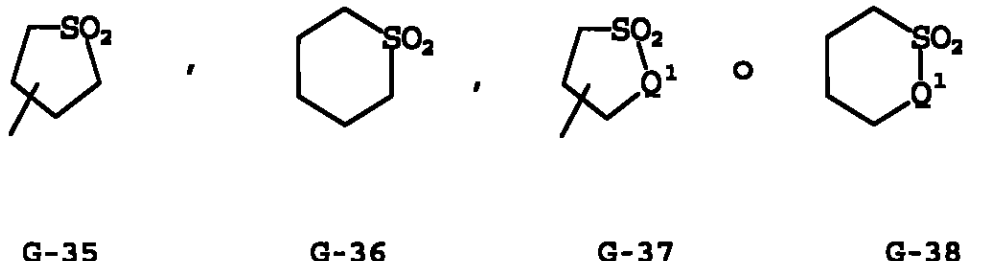
G-33



G-34

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Como se indica en lo anterior, cada R⁶ y cada R⁷ pueden ser independientemente (entre otros) anillos heteroaromáticos de 5 o 6 miembros o sistemas de anillo heterobicíclico fusionados de 8, 9 o 10 miembros aromáticos, cada anillo está opcionalmente sustituido con 1 a 3 sustituyentes que se seleccionan independientemente del grupo que consiste de alquilo de 1 a 4 átomos de carbono, alquenilo de 2 a 4 átomos de carbono, alquinilo de 2 a 4 átomos de carbono, cicloalquilo de 3 a 6 átomos de carbono, haloalquilo de 1 a 4 átomos de carbono, haloalquenilo de 2 a 4 átomos de carbono, haloalquinilo de 2 a 4 átomos de carbono, halocicloalquilo de 3 a 6 átomos de carbono, halógeno, CN, NO₂, alcoxi de 1 a 4 átomos de carbono, haloalcoxi de 1 a 4 átomos de carbono, alquiltio de 1 a 4 átomos de carbono, alquilsulfinilo de 1 a 4 átomos de carbono, alquilsulfonilo de 1 a 4 átomos de carbono, alquilamino de 1 a 4 átomos de carbono, dialquilamino de 2 a 8 átomos de carbono, cicloalquilamino de 3 a 6 átomos de carbono,

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(alquil)cicloalquilamino de 3 a 6 átomos de carbono, alquilcarbonilo de 2 a 4 átomos de carbono, alcoxycarbonilo de 2 a 6 átomos de carbono, alquilaminocarbonilo de 2 a 6 átomos de carbono, dialquilaminocarbonilo de 3 a 8 átomos de carbono o trialquilsililo de 3 a 6 átomos de carbono. Los ejemplos de tales grupos R⁶ y R⁷ incluyen los anillos o sistemas de anillo ilustrados como anillos J-7 a J-58 y J-60 a J-90 que se ilustran en la muestra 1, excepto que tales anillos están opcionalmente sustituidos con 1 a 3 sustituyentes que se seleccionan del grupo que consiste de alquilo de 1 a 4 átomos de carbono, alquenilo de 2 a 4 átomos de carbono, alquinilo de 2 a 4 átomos de carbono, cicloalquilo de 3 a 6 átomos de carbono, haloalquilo de 1 a 4 átomos de carbono, haloalquenilo de 2 a 4 átomos de carbono, haloalquinilo de 2 a 4 átomos de carbono, halocicloalquilo de 3 a 6 átomos de carbono, halógeno, CN, NO₂, alcoxi de 1 a 4 átomos de carbono, haloalcoxi de 1 a 4 átomos de carbono, alquiltio de 1 a 4 átomos de carbono, alquilsulfinilo de 1 a 4 átomos de carbono, alquilsulfonilo de 1 a 4 átomos de carbono, alquilamino de 1 a 4 átomos de carbono, dialquilamino de 2 a 8 átomos de carbono, cicloalquilamino de 3 a 6 átomos de carbono, (alquil)cicloalquilamino de 3 a 6 átomos de carbono, alquilcarbonilo de 2 a 4 átomos de carbono, alcoxycarbonilo de 2 a 6 átomos de carbono, alquilaminocarbonilo de 2 a 6 átomos de carbono,

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dialquilaminocarbonilo de 3 a 8 átomos de carbono o
trialquilsililo de 3 a 6 átomos de carbono en vez de $(R^7)_x$.
Nótese que estos sustituyentes se pueden unir a cualquier
átomo de carbono disponible del grupo J por sustitución de un
5 átomo de hidrógeno. Nótese que cuando el punto de unión en el
grupo J se ilustra como flotante, el grupo J se puede unir al
resto de la fórmula 1 a través de cualquier átomo disponible
del grupo J por sustitución de un átomo de hidrógeno.

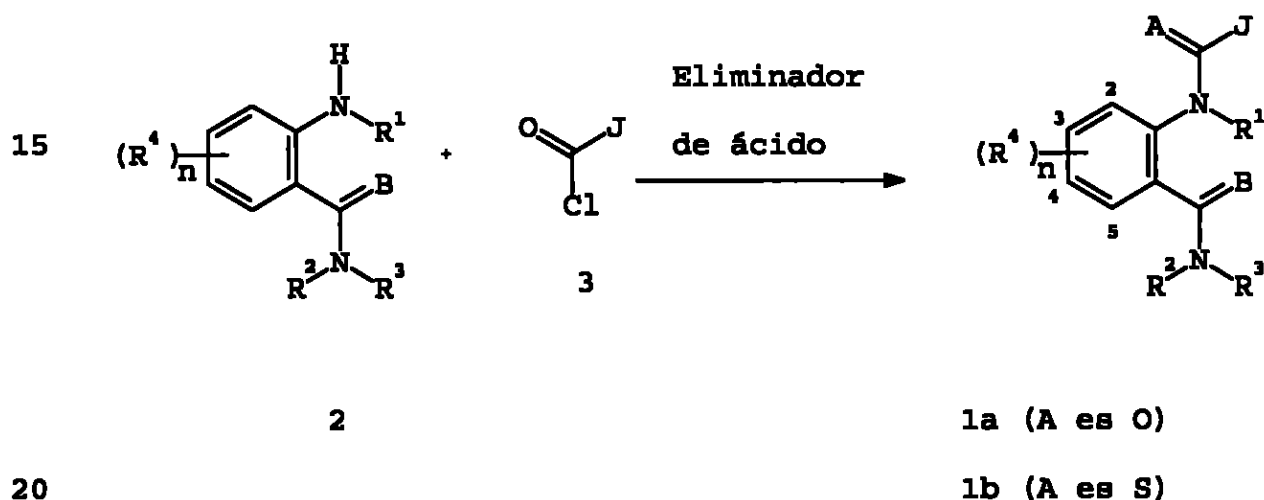
Se pueden utilizar uno o más de los siguientes
10 métodos y variaciones, como se describen en los Esquemas de
Reacción 1 a 17, para preparar los compuestos de fórmula 1.
Las definiciones de A, B, J, R^1 , R^2 , R^3 , R^4 , R^5 , R^6 , R^7 , m y n
en los compuestos de fórmulas 1 a 34 a continuación se
definen en lo anterior en el Sumario de la Invención. Los
15 compuestos de fórmulas 1a-c, 2a-b, 4a-g, 5a-b son diversos
subconjuntos de los compuestos de las fórmulas 1, 2, 4 y 5.

Los compuestos de fórmula 1 se pueden preparar por
procedimientos indicados en los Esquemas de Reacción 1 a 17.
Un procedimiento típico se detalla en el Esquema de Reacción
20 1 e involucra el acoplamiento de una amida antranflica de
fórmula 2 con un cloruro de ácido de fórmula 3 en presencia
de un eliminador de ácido para proporcionar el compuesto de
fórmula 1a. Los eliminadores de ácido típico incluyen bases
de amina tales como trietilamina, diisopropiletilamina y
25 piridina; otros eliminadores incluyen hidróxidos tales como

hidróxido de sodio y de potasio y carbonatos tales como carbonato de sodio y carbonato de potasio. En algunos casos, es útil utilizar eliminadores de ácidos soportados en polímero tales como diisopropiletilamina unido a polímero o 5 dimetilaminopiridina unido a polímero. En una etapa subsecuente, las amidas de fórmula 1a se pueden convertir a tioamidas de fórmula 1b utilizando diversos reactivos de transferencia tio estándar que incluyen pentasulfuro de fósforo y reactivo de Lawesson.

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Esquema de Reacción 1



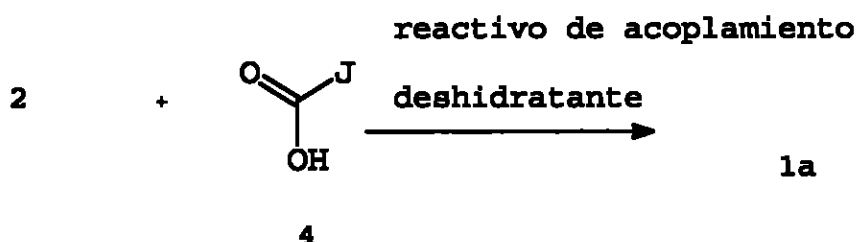
Un procedimiento alternativo para la preparación de compuestos de fórmula 1a involucra el acoplamiento de una amida antranílica de fórmula 2 con un ácido de fórmula 4 en 25 presencia de un agente deshidratante tal como

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diciclohexilcarbodiimida (DCC). Los reactivos soportados en polímero nuevamente son útiles aquí, tales como ciclohexilcarbodiimida unida a polímero. Los procedimientos de síntesis de los Esquema de Reacción 1 y 2 son solo
5 ejemplos representativos de métodos útiles para la preparación de compuestos de fórmula 1 dado que la literatura de síntesis es extensa para este tipo de reacción.

Esquema de Reacción 2

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Una persona experta en la técnica también se dará cuenta que los cloruros de ácido de fórmula 3 se pueden preparar a partir de ácidos de fórmula 4 por numerosos métodos bien conocidos.

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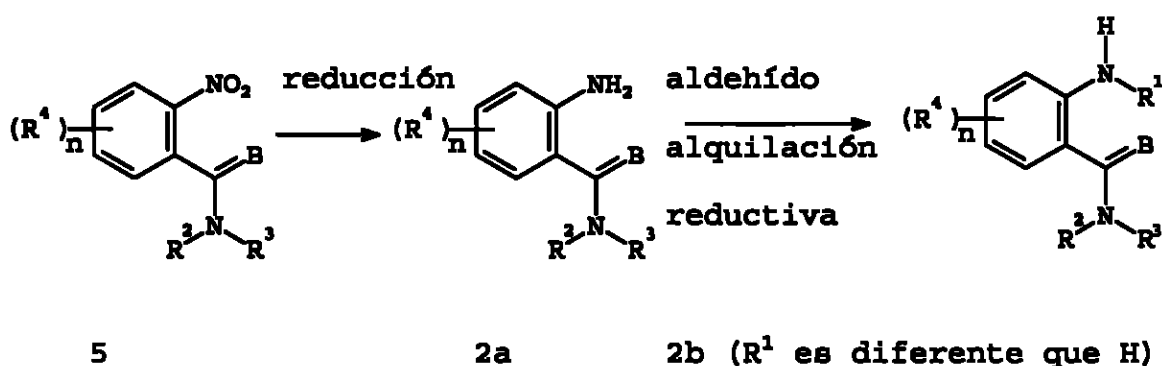
Las amidas antranílicas de fórmula 2a típicamente están disponibles de las 2-nitrobenzamidias correspondientes de fórmula 5 vía hidrogenación catalítica del grupo nitro. Los procedimientos típicos involucran reducción con hidrógeno en presencia de un catalizador metálico tal como paladio en
25 carbono u óxido de platino y en solventes hidroxílicos tales

como etanol e isopropanol. Estos procedimientos están bien documentados en la literatura química. Los sustituyentes R^1 tales como alquilo, alquilo sustituido y similares generalmente se pueden introducir en esta etapa a través de procedimientos conocidos que incluyen alquilación directa o a través del método preferido generalmente de alquilación reductiva de la amina. Un procedimiento utilizado comúnmente es combinar la anilina 2a con un aldehído en presencia de un agente reductor tal como cianoborohidruro de sodio para generar los compuestos de la fórmula 2b en donde R^1 es alquilo, alquenoilo, alquinilo o derivados sustituidos de los mismos.

Esquema de Reacción 3

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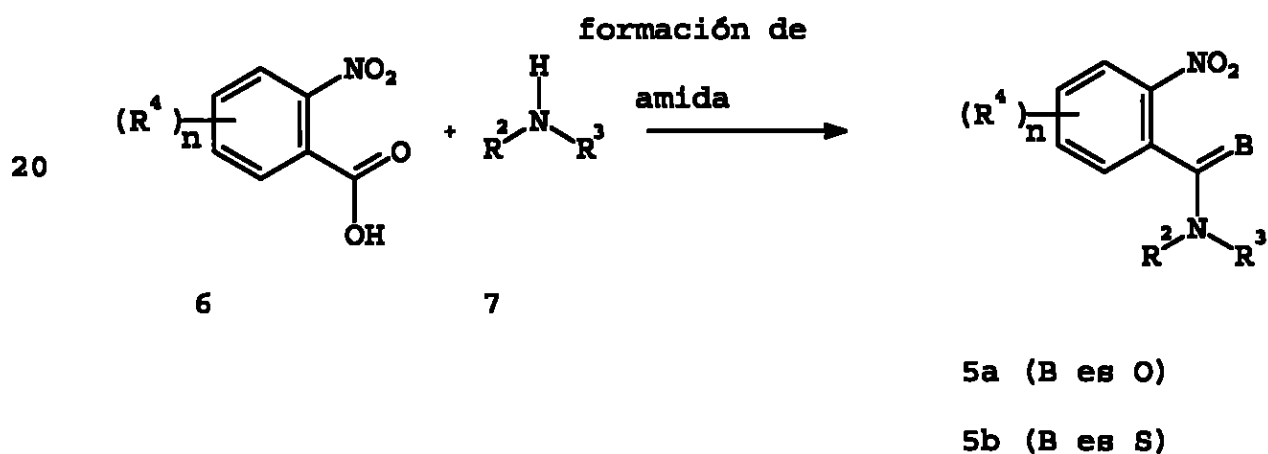


Las amidas intermediarias de fórmula 5a se preparan fácilmente a partir de ácidos 2-nitrobenzoicos disponibles comercialmente. Los métodos típicos para formación de amida

se pueden aplicar aquí. Estos incluyen acoplamiento directo de derivados, de ácidos de fórmula 6 con aminas de fórmula 7 utilizando, por ejemplo, DCC, y conversión de los ácidos a una forma activada tales como los cloruros o anhídridos de ácido y el acoplamiento subsecuente con amidas para formar amidas de fórmula 5a. Hemos encontrado que el cloroformiato de etilo es un reactivo especialmente útil para este tipo de reacciones que involucra la activación del ácido. La literatura química es extensa en este tipo de reacciones. Las amidas de fórmula 5a se convierten fácilmente a tioamidas de fórmula 5b mediante la utilización de los reactivos de transferencia tio disponibles comercialmente tales como pentasulfuro de fósforo y reactivo de Lawesson.

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Esquema de Reacción 4

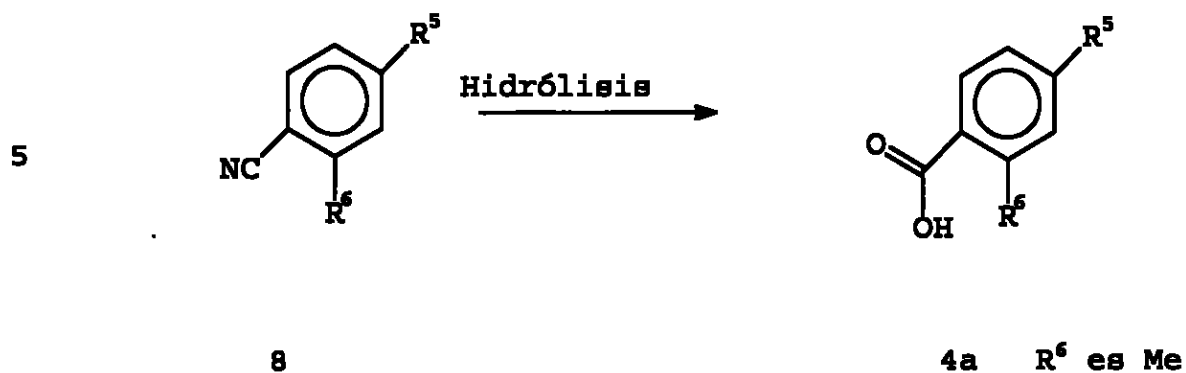


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Los ácidos benzoicos de fórmula 4 (J es fenilo opcionalmente sustituido) generalmente son bien conocidos en la técnica así como los procedimientos para su preparación.

5 Un subconjunto particularmente útil de ácidos benzoicos de esta invención son los ácidos 2-metil-4-perfluoroalquilbenzoicos de fórmula 4a (R^5 es igual, por ejemplo, CF_3 , C_2F_5 , C_3F_7). La síntesis para estos compuestos se indica en los Esquemas de Reacción 5 a 9. Los ácidos
10 benzoicos de fórmula 4a se pueden preparar a partir de los benzonitrilos de fórmula 8 por hidrólisis. Las condiciones utilizadas pueden involucrar el uso de una base tal como un hidróxido o alcóxido de metal alcalino (por ejemplo hidróxido de potasio o sodio) en un solvente tal como agua, etanol o
15 etilenglicol (por ejemplo *J. Chem. Soc.* 1984, 1025). De manera alternativa, la hidrólisis se puede llevar a cabo utilizando un ácido tal como ácido sulfúrico o ácido fosfórico en un solvente adecuado tal como agua (por ejemplo *Org. Synth.* 1995, Coll vol. 3, 557). La elección de las condiciones debe
20 concordar con la estabilidad de R^5 para las condiciones de reacción y las temperaturas elevadas que habitualmente se utilizan para obtener esta transformación.

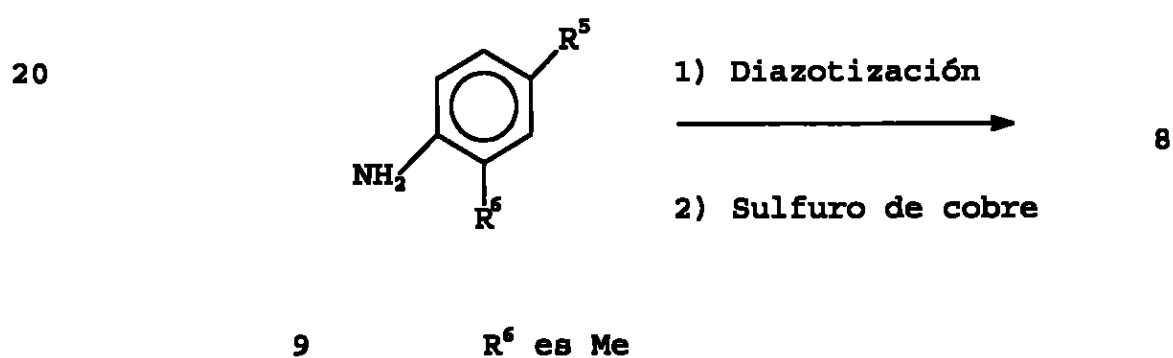
Esquema de Reacción 5



10 Los nitrilos de fórmula 8 se pueden preparar a partir de anilinas de fórmula 9 por la secuencia clásica que involucra diazotización y tratamiento de la sal de diazonio intermediaria con una sal de cianuro de cobre (por ejemplo *J. Amer. Chem. Soc.* 1902, 24, 1035).

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Esquema de Reacción 6



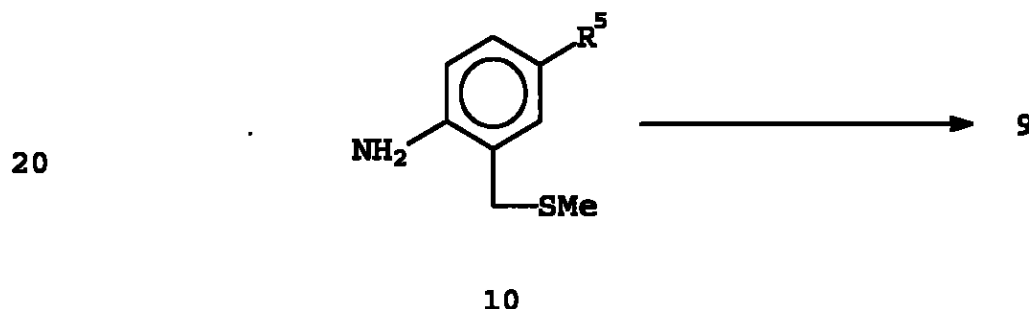
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Las anilinas de fórmula 9 se pueden preparar a partir del compuesto de fórmula 10. Esta transformación se puede obtener por un procedimiento bien conocido que utiliza níquel Raney (Org. Synth. Coll. Vol VI, 581).

5 Alternativamente, se puede llevar a cabo la misma transformación mediante el uso de un catalizador adecuado tal como paladio en presencia de hidrógeno. Habitualmente la reacción se lleva a cabo a presiones de 10^3 a 10^5 kPa en un solvente orgánico adecuado tal como, pero sin limitarse a
10 tolueno. Habitualmente se requieren temperaturas elevadas de $80-110^\circ\text{C}$ para obtener la transformación. Como lo notará un experto en la técnica, son posibles muchas modificaciones químicas a la porción tioéter y se pueden utilizar cuando sean necesarios para facilitar esta transformación.

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Esquema de Reacción 7

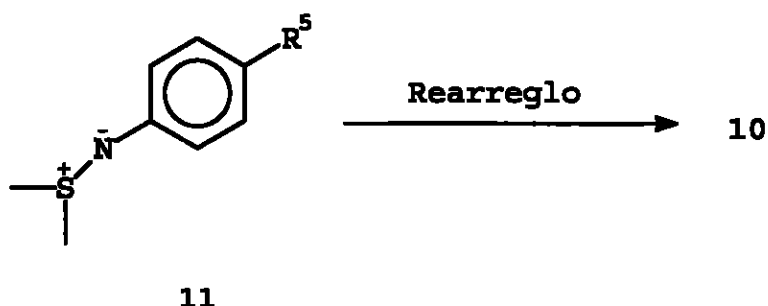


Se pueden preparar los compuestos de fórmula 10 a
25 partir de iminosulfuranos de fórmula 11. La transformación se

puede llevar a cabo en un solvente prótico tal como metanol o agua, en un solvente no prótico, tal como diclorometano o tolueno en presencia de una base adecuada tal como trietilamina (por ejemplo Org. Synth. Coll. Vol. VI, 581) o metóxido de sodio, o en una combinación de un solvente prótico, un solvente prótico y una base. La temperatura a la cual se lleva a cabo la reacción habitualmente está en el intervalo de 40-110°C. Como lo notará un experto en la técnica, también se pueden utilizar sales adecuadas de los compuestos de fórmula 11, tales como, pero sin limitarse a un clorhidrato, un sulfato o bisulfato, con la condición de que se utilice primero la cantidad apropiada de base para generar la base libre 11. Esto se puede realizar como una etapa separada o como una parte integral de la etapa que involucra la transformación de los compuestos de fórmula 11 a compuestos de fórmula 10.

Esquema de Reacción 8

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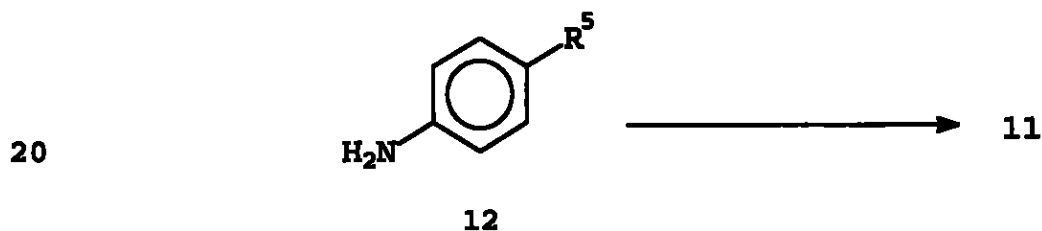
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Los compuestos de fórmula 11 se pueden preparar a partir de anilinas de fórmula 12 por reacción con sulfuro de dimetilo y un agente clorante adecuado tal como, pero sin limitarse a N-clorosuccinimida (por ejemplo Org. Synth. Coll. Vol. VI, 518), cloro o N-clorobenzotriazol. Alternativamente, las anilinas de fórmula 12 se pueden tratar con sulfóxido de dimetilo el cual se ha "activado" por tratamiento con un agente tal como anhídrido acético, anhídrido trifluoroacético, anhídrido trifluorometansulfónico, ciclohexilcarbodiimida, trióxido de azufre o pentóxido de fósforo. La reacción se lleva a cabo en un solvente orgánico adecuado tal como diclorometano o sulfóxido de dimetilo. La reacción se lleva a cabo a una temperatura de -70°C a 25°C y depende del solvente y reactivo utilizado.

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Esquema de Reacción 9

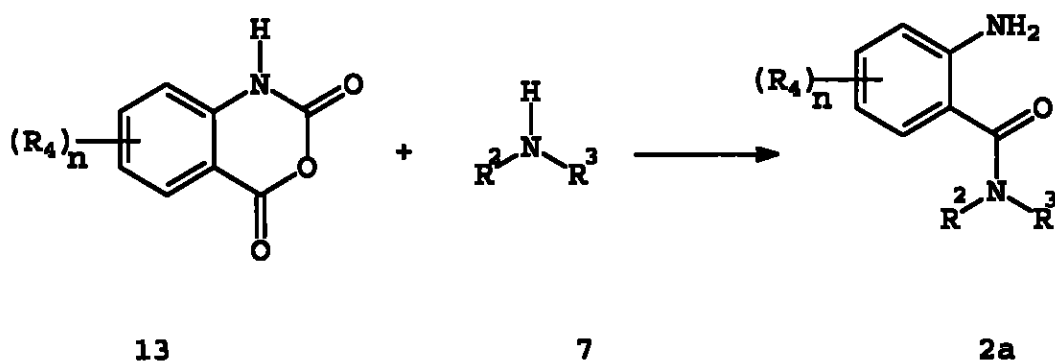


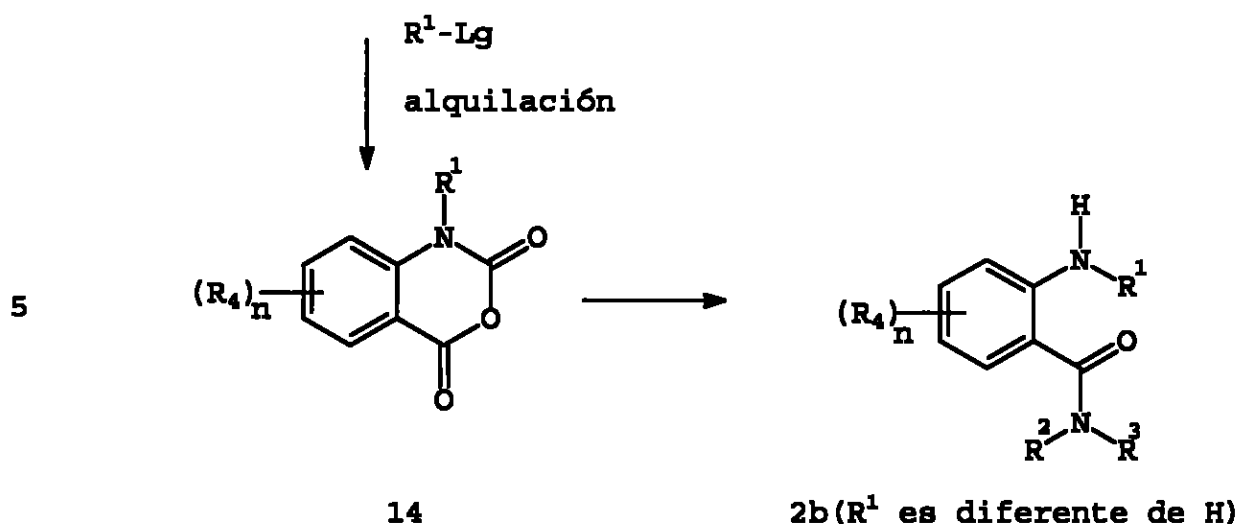
Las amidas antranílicas intermediarias de fórmula 2a y 2b también se pueden preparar a partir de anhídridos isatoicos de fórmula 13 y 14 (esquema de reacción 10). Los

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procedimientos habituales involucran la combinación de cantidades equimolares de la amina 7 con anhídrido isatoico en solventes apróticos polares tales como piridina y dimetilformamida a temperaturas que varían desde la temperatura ambiente hasta 100°C. Los sustituyentes R¹ tales como alquilo y alquilo sustituido se pueden introducir por la alquilación catalizada por base del anhídrido isatoico 13 con reactivos alquilantes conocidos R¹-Lg (en donde Lg es un grupo saliente tal como halógeno, sulfonato de alquilo o arilo, o sulfatos de alquilo) para proporcionar los intermediarios sustituidos con alquilo 14. Los anhídrido isatoicos de fórmula 13 se pueden elaborar por métodos descritos en Coppola, Synthesis 505-36 (1980).

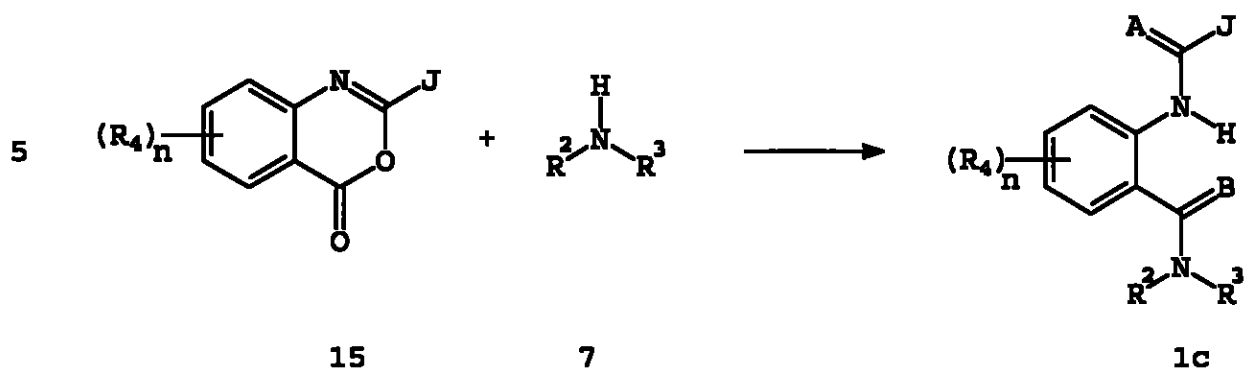
Esquema de Reacción 10





10 Un procedimiento alternativo para la preparación de
compuestos específicos de fórmula 1 (en donde A es O, B es O
y R¹ es H) involucra la reacción de una amina 7 con
benzoxazinona de la fórmula 15. Los procedimientos habituales
involucran la combinación de la amina con la benzoxazinona en
15 solventes tales como tetrahidrofurano o piridina a
temperaturas que varían desde la temperatura ambiente hasta
la temperatura de reflujo del solvente. Las benzoxazinonas
están bien documentadas en la literatura química y están
disponibles vía métodos conocidos que involucran el
20 acoplamiento ya sea de ácido antranílico o un anhídrido
isatoico con un cloruro de ácido. Para referencias para la
síntesis química de benzoxazinonas véase Jakobsen et al,
Biorganic and Medicinal Chemistry, 2000, 8, 2095-2103 y las
referencias que se mencionan en ese documento. Véase también
25 Coppola, *J. Heterocyclic Chemistry*, 1999, 36, 563-588.

Esquema de Reacción 11



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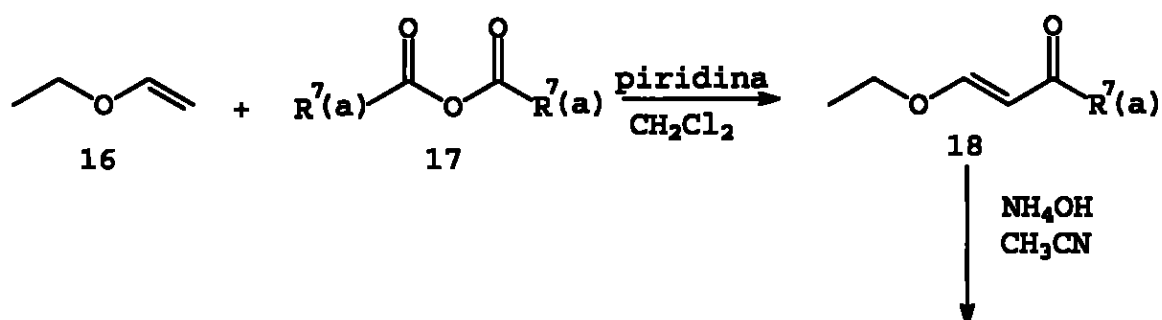
(A es O, B es O, R¹ es H)

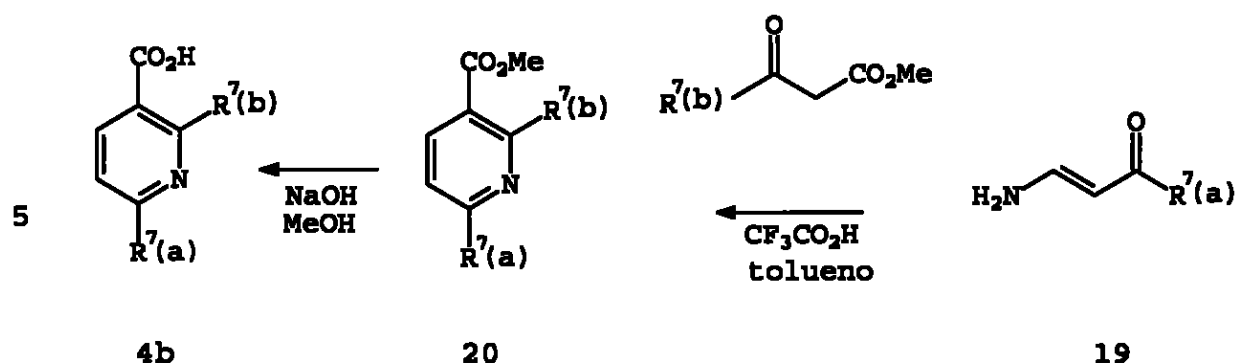
Los ácidos 4 heterocíclicos, en donde J es igual a un heterociclo opcionalmente sustituido, se pueden preparar por procedimientos que se indican en los esquemas de reacción 12 a 17. En las referencias tanto generales como específicas para una amplia variedad de ácidos heterocíclicos incluyen tiofenos, furanos, piridinas, pirimidinas, triazoles, imidazoles, pirazoles, tiazoles, oxazoles, isotiazoles, tiadiazoles, oxadiazoles, triazinas, pirazinas, piridazinas e isoxazoles que se pueden encontrar los siguiente compendios: *Rodd's Chemistry of Chemistry of Carbon Compounds*, Vol. IVa a IVI., S. Coffey editor, Elsevier Scientific Publishing, Nueva York, 1973; *Comprehensive Heterocyclic Chemistry*, Vol. 1-7, A. R. Katritzky y C. W. Rees editors, Pergamon Press, Nueva York, 1984; *Comprehensive Heterocyclic Chemistry II*, Vol. 1-

9, A. R. Katritzky, C. W. Rees, y E. F. Scriven editors, Pergamon Press, Nueva York, 1996; y la serie de *The Chemistry of Heterocyclic Compounds*, E. C. Taylor, editor, Wiley, Nueva York. Los ácidos heterocíclicos particularmente útiles de esta invención incluyen ácidos de piridina, ácidos de pirimidina y ácidos de pirazol. Los procedimientos para la síntesis de ejemplos representativos de cada uno se describen con detalle en los esquemas de reacción 12 a 17. Se pueden encontrar diversos ácidos heterocíclicos y métodos generales para sus síntesis en la Solicitud para Patente Mundial WO 98/57397.

En el Esquema de Reacción 12 se muestra la síntesis de ácidos de piridina representativos (4b). Este procedimiento involucra la síntesis conocida de piridinas a partir de β -cetoésteres y 4-aminobutenonas (19). Los grupos sustituyentes $R^7(a)$ y $R^7(b)$ incluyen, por ejemplo alquilo y haloalquilo.

Esquema de Reacción 12

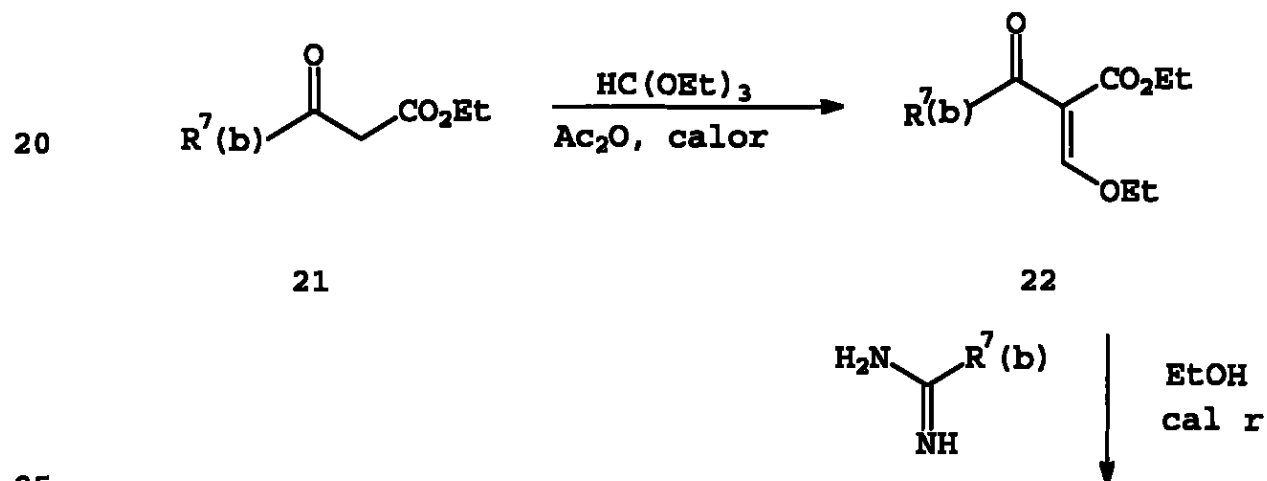


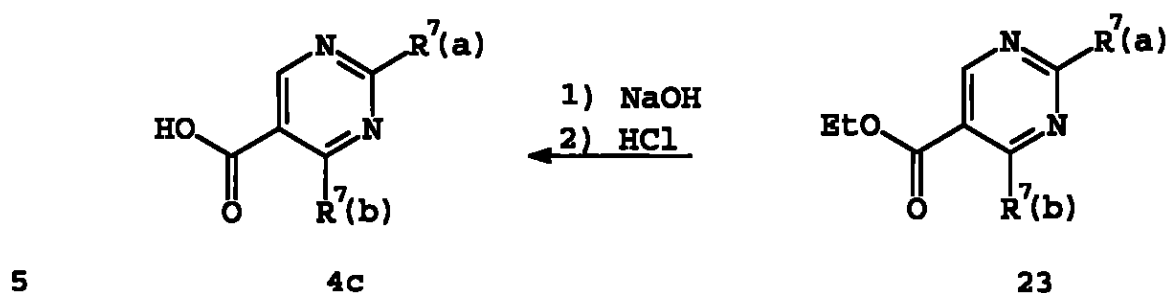


En el Esquema de Reacción 13 se muestra la síntesis de ácidos de pirimidina representativos (4c). Este procedimiento involucra la síntesis conocida de pirimidinas a partir de vinilideno-β-cetoésteres (22) y amidinas. Los grupos sustituyentes R⁷(a) y R⁷(b) incluyen, por ejemplo, alquilo y haloalquilo.

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Esquema de Reacción 13

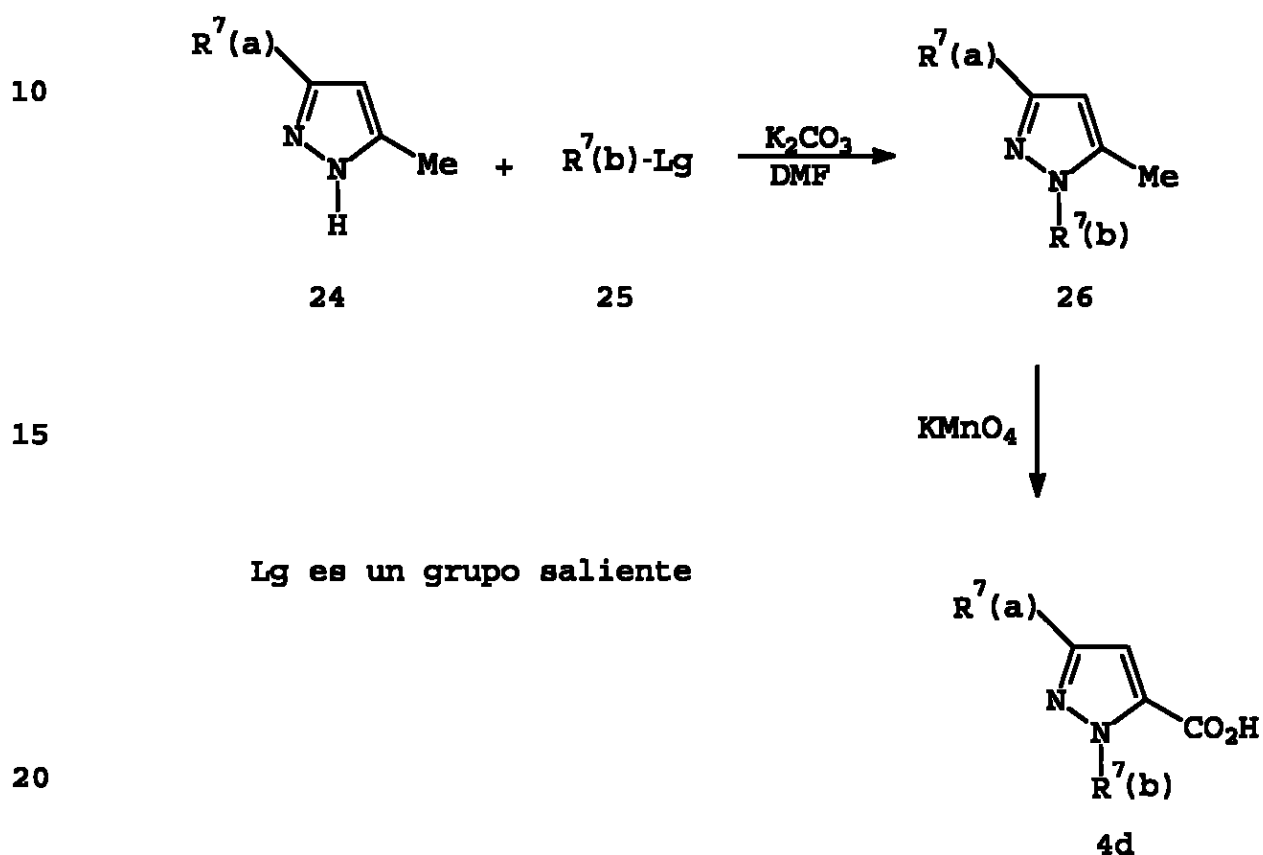




En los Esquemas de Reacción 14 a 17 se muestra la síntesis de ácidos de pirazol representativos (4d a 4g). En el Esquema de Reacción 14 se describen pirazoles 4d. La síntesis que se presenta en el Esquema de Reacción 14 involucra como la etapa clave la introducción del sustituyen R⁷(b) vía alquilación del pirazol. El agente alquilante R⁷(b)-Lg (en donde Lg es un grupo saliente tal como Cl, Br, I, sulfonatos tales como p-toluensulfonato o metansulfonato o sulfatos tales como -SO₂OR⁷(b)) incluye grupos R⁷(b) tales como alquilo de 1 a 6 átomos de carbono, alquenilo de 2 a 6 átomos de carbono, alquinilo de 2 a 6 átomos de carbono, cicloalquilo de 3 a 6 átomos de carbono, haloalquilo de 1 a 6 átomos de carbono, haloalquenilo de 2 a 6 átomos de carbono, haloalquinilo de 2 a 6 átomos de carbono, halocicloalquilo de 3 a 6 átomos de carbono, alquilcarbonilo de 2 a 6 átomos de carbono, alcoxicarbonilo de 2 a 6 átomos de carbono, dialquilaminocarbonilo de 3 a 8 átomos de carbono, trialquilsililo de 3 a 6 átomos de carbono; o fenilo, bencilo, benzoilo o un anillo heteroaromático de 5 ó 6

miembros o un sistema de anillo heterobícíclico fusionado de 8, 9 ó 10 miembros aromático, cada anillo o sistema de anillo está opcionalmente sustituido. La oxidación del grupo metilo proporciona el ácido pirazol carboxílico. Algunos de los grupos R⁷(a) más preferidos incluyen haloalquilo.

Esquema de Reacción 14

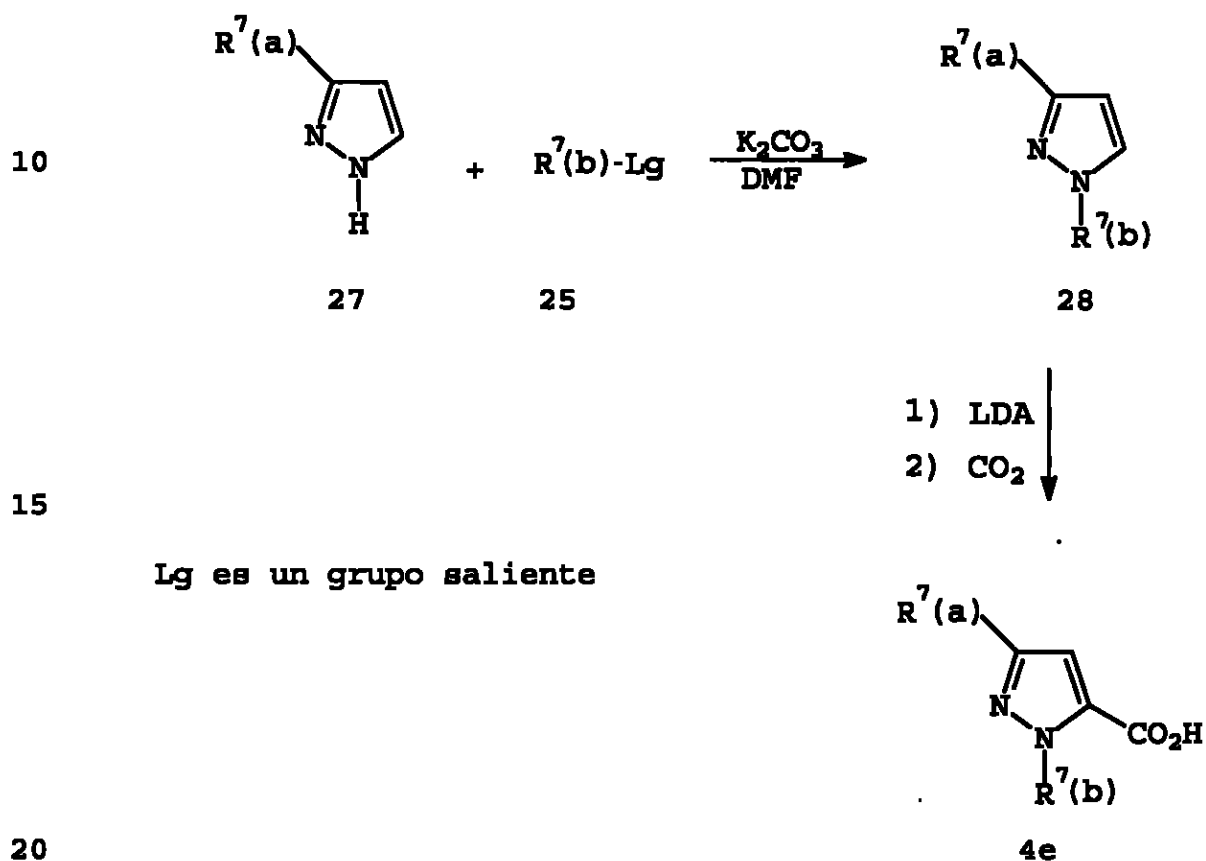


En el esquema de reacción 15 se describen los pirazoles 4e. Estos ácidos de pirazol se pueden preparar vía metalación y carboxilación de pirazoles de fórmula 28 como la

etapa clave. El grupo $R^7(b)$ se introduce de una manera similar al del Esquema de Reacción 14, es decir, vía alquilación con un agente alquilante $R^7(b)$. Los grupos $R^7(a)$ representativos incluyen ciano y haloalquilo.

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Esquema de Reacción 15

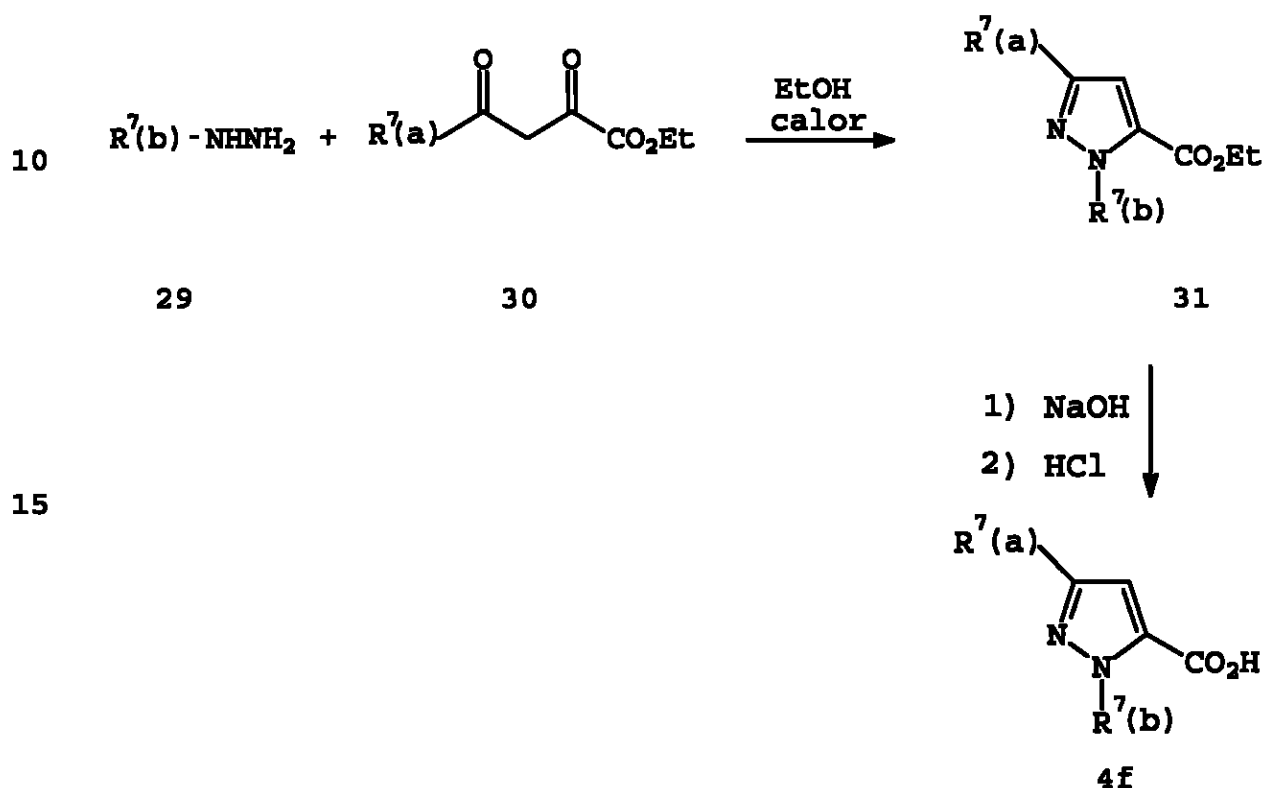


En el Esquema de Reacción 16 se describen los pirazoles 4f. Estos se pueden preparar vía reacción de una fenilhidrazina 29 opcionalmente sustituida con un piruvato 30 para proporcionar ésteres de pirazol 31. La hidrólisis del

éster proporciona los ácidos de pirazol 4f. Este procedimiento es particularmente útil para la preparación de compuestos en donde R⁷(b) es fenilo opcionalmente sustituido y R⁷(a) es haloalquilo.

5

Esquema de Reacción 16



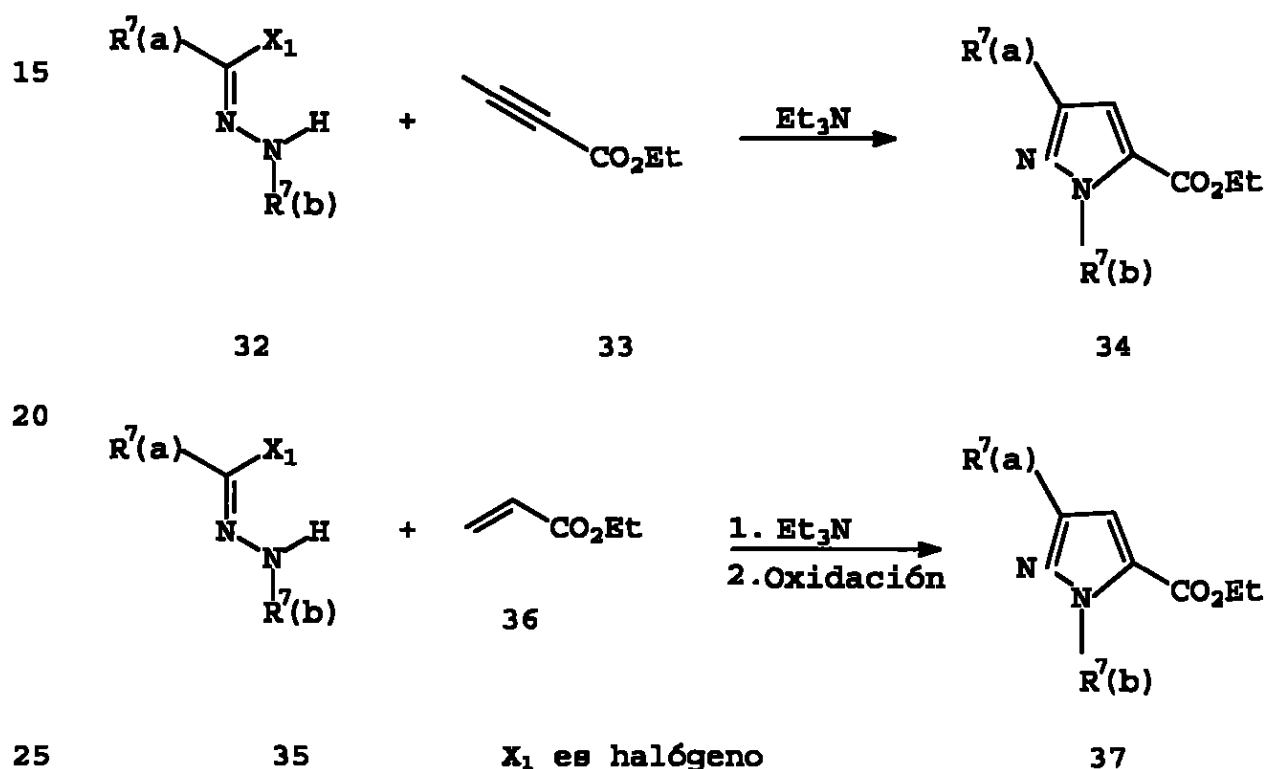
20

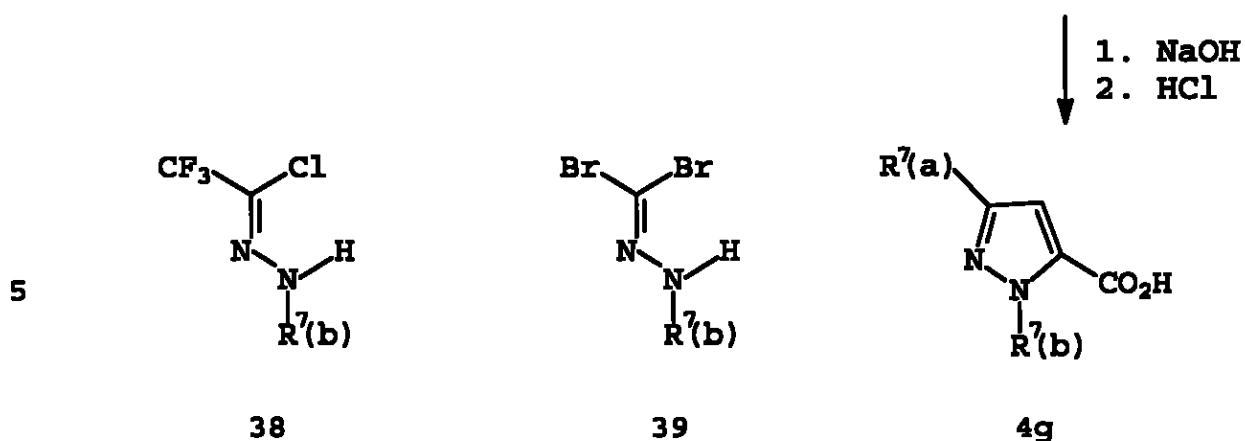
Los ácidos de pirazoles de fórmula 4g se describen en el Esquema de Reacción 17. Estos se pueden preparar vía cicloadición 3+2 de una nitrilimina sustituida apropiadamente ya sea con propiolatos (33) sustituidos o acrilatos (36). La

25 cicloadición con acrilatos requiere oxidación adicional de la

pirazolina intermediaria, al pirazol. La hidrólisis del éster proporciona los ácidos de pirazol 4g. Los iminohaluros preferidos para esta reacción incluyen el iminocloruro de trifluorometilo (38) y el iminodibromuro (39). Los compuestos tal como el marcado con el número 38 son conocidos (*J. Heterocycl. Chem.* 1985, 22(2), 565-8). Los compuestos tales como el marcado con el número 39 están disponibles por métodos conocidos (*Tetrahedron Letters* 1999, 40, 2605). Estos procedimientos son particularmente útiles para la preparación de compuestos en donde R⁷(b) es fenilo opcionalmente sustituido y R⁷(a) es haloalquilo o bromo.

Esquema de reacción 17





Se reconoce que algunos reactivos y condiciones de
 10 reacción descritas en lo anterior para preparar compuestos de
 fórmula 1 pueden no ser compatibles con algunas
 funcionalidades presentes en los intermediarios. En estos
 casos, la incorporación de secuencias de
 protección/desprotección o interconversiones entre grupos
 15 funcionales en la síntesis ayudará a obtener los productos
 deseados. El uso y elección de los grupos protectores será
 evidente para una persona experta en síntesis química (véase,
 por ejemplo, Greene, T. W.; Wuts, P. G. M. *Protective Groups*
in Organic Synthesis, 2nd ed.; Wiley: Nueva York, 1991). Un
 20 experto en la técnica reconocerá que, en algunos casos,
 después de la introducción de un reactivo dado como se
 muestra en cualquier esquema de reacción individual, puede
 ser necesario realizar etapas de síntesis habituales
 adicionales que no se describen detalladamente, para
 25 completar la síntesis de compuestos de fórmula 1. Una persona

experta en la técnica también reconocerá que se puede necesitar realizar una combinación de las etapas que se ilustran en los esquemas de reacción anteriores en cierto orden diferente al implicado por la secuencia particular
5 presentada para preparar los compuestos de fórmula 1.

Una persona experta en la técnica también reconocerá que los compuestos de fórmula 1 y los intermediarios descritos en la presente se pueden someter a diversas reacciones electrofílicas, nucleofílicas, de
10 radicales, organometálicas, de oxidación y reducción para agregar sustituyentes o modificar los sustituyentes existentes.

Sin una elaboración adicional, se considera que una persona experta en la técnica utilizando la descripción
15 precedente puede utilizar la presente invención en su más amplio alcance. Por lo tanto, los siguientes ejemplos se consideran únicamente como ilustrativos y no limitantes de la descripción de manera alguna. Los porcentajes están en peso, excepto para las mezclas de solvente cromatográfico o cuando
20 se indique de otra manera. Las partes y porcentajes para las mezclas de solventes cromatográficos están en volumen, a menos que se indique de otra manera. Los espectros de RMN ^1H se presentan en ppm campo abajo a partir de tetrametilsilano; s es un singulete, d es un doblete, t es un triplete, c es un

cuartete, m es multiplete, dd es un doblete de dobletes, dt es un doblete de triplete, sa es singulete amplio.

EJEMPLO 1

5

Etapa A: Preparación de 3-metil-N-(1-metiletil)-2-nitrobenzamida

10 Una solución de ácido 3-metil-2-nitrobenzoico (2.00 g, 11.0 mmoles) y trietilamina (1.22 g, 12.1 mmoles) en 25 ml de cloruro de metileno se enfría a 10°C. Se agrega con precaución cloroformiato de etilo y se forma un precipitado sólido. Después de agitar durante 30 minutos, se agrega isopropilamina (0.94 g, 16.0 mmoles) y se obtiene una
15 solución homogénea. La reacción se agita durante 1 hora adicional, se vierte en agua y se extrae con acetato de etilo. Los extractos orgánicos se lavan con agua, se secan sobre sulfato de magnesio y se evaporan bajo presión reducida para proporcionar 1.96 g del intermediario deseado como un
20 sólido blanco que funde a 126-128°C.

RMN ¹H (CDCl₃) δ 1.24 (d, 6H), 2.38 (s, 3H), 4.22 (m, 1H), 5.80 (s amplio, 1H); 7.4 (m, 3H).

Etapa B: Preparación de 2-amino-3-metil-N-(1-metiletil)benzamida

25

La 2-nitrobenzamida de la etapa A (1.70 g, 7.6 mmoles) se hidrogena sobre 5% de Pd/C en 40 ml de etanol a 345 kPa (50 psi). Cuando cesa la captación de hidrógeno, la reacción se filtra a través de Celite y el Celite se lava con éter. El filtrado se evapora bajo presión reducida para proporcionar 1.41 g del compuesto del título como un sólido que funde a 149-151°C.

RMN ¹H (CDCl₃) δ 1.24 (dd, 6H), 2.16 (s, 3H), 4.25 (m, 1H), 5.54 (s amplio, 2H), 5.85 (s amplio, 1H), 6.59 (t, 1H), 7.13 (d, 1H), 7.17 (d, 1H).

Etapa C. Preparación de 3-metil-N-(1-metiletil)-2-[[4-(trifluorometoxi)benzoil]amino]benzamida

Se agrega a gotas cloruro de 4-(trifluorometoxi)benzoilo (0.29 g, 1.3 mmoles) a una mezcla de la anilina de la etapa B (0.25 g, 1.3 mmoles) y trietilamina (0.13 g, 1.3 mmoles) en 5 ml de cloruro de metileno a temperatura ambiente. Después de agitar durante 1 hora, la reacción se vierte en agua y se extrae con acetato de etilo. Los extractos combinados se secan sobre sulfato de magnesio y se evaporan bajo presión reducida. Los sólidos resultantes se lavan con hexano/éter y se filtran para proporcionar 0.41 g del compuesto del título, un compuesto de la presente invención como un sólido que funde a 207-209°C.

RMN ^1H (CDCl_3) δ 1.19 (d, 6H), 2.33 (s, 3H), 4.15 (m, 1H), 5.97 (d amplio, 1H), 7.2-7.4 (m, 6H), 8.04 (d, 1H), 10.11 (s amplio, 1H).

5

EJEMPLO 2

Etapa A: Preparación del ácido 1-etil-3-trifluorometilpirazol-5-il carboxílico

10 A una mezcla de 3-trifluorometilpirazol (5 g, 37 mmoles) y carbonato de potasio pulverizado (10 g, 72 mmoles) agitado en 30 ml de *N,N*-dimetilformamida, se agrega a gotas yodoetano (8 g, 51 mmoles). Después de exotermia moderada, la
15 reacción se agita durante la noche a temperatura ambiente. La mezcla de reacción se divide entre 100 ml de dietiléter y 100 ml de agua. La capa etérea se separa, se lava con agua (3X) y salmuera, y se seca sobre sulfato de magnesio. La evaporación del solvente al vacío proporciona 4 g de aceite.

A 3.8 g de este aceite en agitación en 40 ml de
20 tetrahidrofurano bajo nitrógeno en un baño de hielo seco/acetona, se agregan a gotas 17 ml de una solución 2.5 M de *n*-butillitio en tetrahidrofurano (43 mmoles) y la solución se agita durante 20 minutos a -78°C . Se burbujea un exceso de dióxido de carbono gaseoso en la solución agitada a una
25 velocidad moderada, durante 10 minutos. Después de la adición

de dióxido de carbono, se permite que la reacción alcance lentamente la temperatura ambiente y se agita durante la noche. La mezcla de reacción se divide entre 100 ml de dietiléter y 100 ml de hidróxido de sodio acuoso 0.5 N. La
5 capa básica se separa y acidifica con ácido clorhídrico concentrado a un pH de 2-3. La mezcla acuosa se extrae con 100 ml de acetato de etilo, y el extracto orgánico se lava con agua y salmuera para secarse sobre sulfato de magnesio. El residuo oleoso, el cual permanece después de evaporar el
10 solvente al vacío, se tritura hasta un sólido a partir de una cantidad pequeña de cloruro de n--butilo. Después de filtrar y secar, se obtiene 1.4 g de una muestra ligeramente impura de ácido 1-etil-3-trifluorometil-pirazol-5-il carboxílico como un sólido con una temperatura de fusión de intervalo
15 amplio.

RMN ^1H (CDCl_3): 9.85 (s amplio, 1H), 7.23 (s, 1H), 4.68 (c, 2H), 1.51 (t, 3H) ppm.

Etapas B: Preparación de 2-[1-etil-3-
20 trifluorometilpirazol-5-il carbamoil]-3-metil-N-(1-
metiletil)benzamida

A una solución de ácido 1-etil-3-trifluorometil-pirazol-5-il carboxílico (0.5 g, 2.4 mmoles) que se agita en
25 20 ml de cloruro de metileno, se agrega cloruro de oxalilo

(1.2 ml, 14 mmoles). Ante la adición de 2 gotas de *N,N*-dimetilformamida, se produce espumado y burbujeo. La mezcla de reacción se calienta a reflujo durante 1 h como una solución amarilla. Después de enfriar, el solvente se separa
5 al vacío y el residuo resultante se disuelve en 20 ml de tetrahidrofurano. A la solución agitada se le agrega 2-amino-3-metil-*N*-(1-metiletil)benzamida (0.7 g, 3.6 mmoles) seguido por la adición a gotas de *N,N*-diisopropiletilamina (3 ml, 17 mmoles). Después de agitar a temperatura ambiente durante la
10 noche, la mezcla de reacción se divide entre 100 ml de acetato de etilo y 75 ml de ácido clorhídrico acuoso 1N. La capa orgánica separada se lava con agua y salmuera, y se seca sobre sulfato de magnesio. La evaporación al vacío proporciona un residuo sólido blanco, el cual, al purificar
15 en cromatografía instantánea en columna sobre gel de sílice (2:1 de hexanos/acetato de etilo) proporciona 0.5 g del compuesto del título, un compuesto de la presente invención, que se funde a 223-226°C.

RMN ¹H (DMSO-D₆): 10.15 (s, 1H), 8.05 (d, 1H), 7.45
20 (s, 1H), 7.43-7.25 (m, 3H), 4.58 (c, 2H), 3.97 (m, 1H), 2.45 (s, 3H), 1.36 (t, 3H), 1.06 (d, 6H) ppm.

EJEMPLO 3

Etapa A: Preparación de S,S-dimetil-N-[4-(trifluorometil)fenil]sulfilimina

5

Una solución de N-clorosuccinimida (12-43 g, 93.1 mmoles) en ~ 170 ml de diclorometano se agrega a una mezcla de 4-(trifluorometil)anilina (15 g, 93.1 mmoles) y sulfuro de dimetilo (6.35 g, 102 mmoles) en 230 ml de diclorometano a
10 -5-0°C. Después de que se completa la adición, la mezcla se agita a 0-5°C durante 1 h y se agrega N-clorosuccinimida (0.02 g, 4.64 mmoles). Después de 30 minutos adicionales, la mezcla se lava con 500 ml de hidróxido de sodio 1N.

La fase orgánica se seca y se evapora para
15 proporcionar el producto como un sólido 19-72 g que funde a 101-103°C (después de cristalización a partir de acetato de etilo/hexanos).

IR (Nujol) 1603, 1562, 1532, 1502, 1428, 1402, 1335, 1300, 1270, 1185, 1150, 1103, 1067, 1000, 972, 940,
20 906, 837, 817 cm⁻¹.

RMN ¹H (CDCl₃) δ 7.35 (d, J=8.8 Hz, 2H), 6.84 (d, J=8.8 Hz, 2H), 2.67 (s, 3H).

Etapa B: 2-[(metiltio)metil]-4-(trifluorometil)benzenamina

25

Se agrega metóxido de sodio en metanol (1.95 g, 9.02 mmoles, 25%) a S,S-dimetil-N-[4-(trifluorometil)fenil]sulfilimina de la etapa A (2 g, 9.04 mmoles) en 15 ml de tolueno. La mezcla se calienta a -80°C durante -1 h. Se permite que la mezcla se enfríe a 25°C y se vierte en 100 ml de agua. La mezcla se extrae con 2 x 100 ml de acetato de etilo y los extractos combinados se secan y evaporan para proporcionar 1.8 g del producto como un sólido que funde a 65.5-67.5°C (después de cristalización a partir de hexanos).

IR (Nujol) 3419, 3333, 1629, 1584, 1512, 1440, 1334, 1302, 1235, 1193, 1139, 1098, 1078, 979, 904, 832 cm⁻¹.

RMN ¹H (CDCl₃) δ 7.35 (dd, J=1.5 Hz x 8.2 Hz, 1H) 6.72 (d, J=8.4 Hz), 4.39 (amplio s, 2H), 3.69 (s, 2H), 1.99 (s, 3 H).

15

Etapa C: Preparación de 2-metil-4-(trifluorometil)benzenamina

Se agrega en porciones níquel Raney activado (pasta húmeda de 500 g, -50μ) a una solución de 2-[(metilito)metil]-4-(trifluorometil)benzenamina (55.3 g, 0.25 moles) en 1 l de etanol durante 30 minutos a 25-30°C. La mezcla heterogénea se agita vigorosamente durante 30 minutos después de la adición. Se detiene la agitación y se permite que los sólidos sedimenten durante 1 hora. Se decanta el líquido de los

sólidos y se vierte a través de papel filtro. El filtrado se evapora bajo presión reducida y el residuo se capta en diclorometano. La fase orgánica se separa de un volumen pequeño de agua, se seca sobre sulfato de magnesio y se
5 evapora bajo presión reducida para proporcionar 37.6 g del compuesto del título como un aceite ámbar.

RMN ^1H (CDCl_3) δ 7.28 (m, 2H), 6.68 (d, 1H), 3.87 (s amplio, 2H), 2.19 (s, 3H).

10 Etapa D: Preparación de 2-metil-4-(trifluorometil)-
benzonitrilo

Se agregan a gotas, a una velocidad moderada, 16 ml de ácido clorhídrico concentrado, a una mezcla heterogénea de
15 2-metil-4-(trifluorometil)benzenamina (14 g, 80 mmoles) y 120 ml de agua, mientras se agita vigorosamente. Se obtiene una suspensión espesa la cual se agita durante 20 minutos, se diluye con 280 ml de agua y se enfría a 5°C. Se agrega lentamente a la suspensión de reacción una solución de
20 nitrito de sodio (5.5 g, 80 mmoles) y 25 ml de agua. Después de agitar durante 30 minutos a 5°C, se obtiene una solución la cual se agita en frío durante 30 minutos adicionales y después se neutraliza con carbonato de potasio. Esta solución de sal de diazonio después se agrega en porciones por medio
25 de una cánula a una mezcla agitada, a 95°C, de cianuro de

potasio (22 g, 0.34 moles), sulfato de cobre pentahidratado (20 g, 80 mmoles) y 140 ml de agua. Después, la mezcla de adición se agita durante 30 minutos a 95°C y se permite que se enfríe a temperatura ambiente. Se agrega éter y la mezcla
5 heterogénea se filtra a través de Celite. Los sólidos se lavan con éter y el filtrado se divide. La fase acuosa se extrae con éter, y los extractos orgánicos combinados se secan sobre sulfato de magnesio y se concentran bajo presión reducida para proporcionar 13.1 g del compuesto del título
10 como un aceite café.

RMN ¹H (CDCl₃) δ 7.74 (d, 1H), 7.60 (s, 1H), 7.55 (d, 1H), 2.64 (s, 3H).

Etapas E: Preparación del ácido 2-metil-4-
15 trifluorometilbenzoico

Se agregan como una solución hidróxido de potasio (15.7 g, 0.28 mmoles) y 15 ml de agua, a una mezcla heterogénea de 2-metil-4-(trifluorometil)benzonitrilo (13 g,
20 70 mmoles) y 135 ml de etilenglicol. La mezcla de reacción se calienta a 120-130°C durante 20 horas y se permite que se enfríe a temperatura ambiente. La solución oscura se vierte en 800 ml de agua y se filtra a través de Celite. El filtrado se lava con éter y después la fase acuosa se acidifica con
25 ácido clorhídrico concentrado. Esta fase acuosa se extrae

tres veces con acetato de etilo, los extractos orgánicos se combinan, se secan sobre sulfato de magnesio y se evaporan bajo presión reducida para proporcionar el compuesto del título como un sólido canela.

5 RMN ¹H (CDCl₃) δ 7.98 (d, 1H), 7.70 (s, 1H), 7.65 (d, 1H), 2.60 (s, 3H).

Etapa F: Preparación de cloruro de 2-metil-4-(trifluorometoxi)benzoilo

10

Se agrega cloruro de tionilo (0.42 g, 3.5 mmoles) a una solución del ácido benzoico de la etapa E (0.50 g, 2.4 mmoles) en 10 ml de tolueno a temperatura ambiente. La reacción se somete a reflujo durante 3 horas y después se
15 enfria a temperatura ambiente. El solvente se evapora bajo presión reducida y se elimina el exceso de cloruro de tionilo al someter a destilación azeotrópica con tolueno. El cloruro de benzoilo que se obtiene se utiliza directamente en la etapa G.

20

Etapa G: Preparación de 2-metil-N-[2-metil-6-[[1-metiletil)amino]-carbonil]fenil]-4-(trifluorometil)benzamida

El cloruro de benzoilo de la etapa F (0.29 g, 1.3
25 mmoles) se agrega a una mezcla de la anilina del ejemplo 1,

etapa B (0.36 g, 1.9 mmoles) y diisopropiletilamina (0.26 g, 2.0 mmoles) en 10 ml de cloroformo a temperatura ambiente. Se permite que la reacción se agite durante la noche. El precipitado sólido se filtra y se seca para proporcionar
5 0.38 g del compuesto del título, un compuesto de la presente invención, como un sólido que funde a 247-248°C.

RMN ¹H (CDCl₃) α 1.24 (d, 6H), 2.41 (s, 3H), 2.58 (s, 3H), 4.20 (m, 1H), 5.94 (d amplio, 1H), 7.2-7.3 (m, 2H), 7.40 (d, 1H), 7.52 (s, 1H), 7.53 (d, 1H), 7.70 (d, 1H), 9.36
10 (s amplio, 1H).

EJEMPLO 4

Etapa A: Preparación de cloruro de 2-metil-6-
15 (trifluorometil)-3-piridinocarbonilo

Se agrega cloruro de tionilo (4.35 g, 36.5 mmoles) a una mezcla de ácido 2-metil-6-trifluorometilnicotínico (5.00 g, 24.4 mmoles) en 75 ml de tolueno y la mezcla se
20 calienta a reflujo durante 3 horas. La reacción se enfría a temperatura ambiente y el solvente se separa bajo presión reducida. Se elimina el exceso de cloruro de tionilo por destilación azeotrópica con tolueno. El cloruro de ácido resultante se utiliza como en el ejemplo 4, etapa B.

Etapa B: Preparación de 8-metil-2-[2-metil-6-(trifluorometil)-3-piridinil]-4H-3, 1-benzoxazina

Una mezcla de anhídrido 6-metilisatoico (3.92 g, 22.1 mmoles), y el cloruro de ácido de la etapa A (5.45 g, 24.3 mmoles) se agita a reflujo en piridina durante 16 horas. La solución de café oscuro se enfría hasta la temperatura ambiente y el solvente se separa bajo presión reducida. El exceso de piridina se elimina por destilación azeotrópica con tolueno. Se agrega éter y el sólido café resultante se separa por filtración. El sólido se capta en una mezcla de bicarbonato de sodio acuoso y cloroformo, los extractos de cloroformo se secan sobre sulfato de magnesio y se evaporan. El exceso de piridina se separa nuevamente por destilación azeotrópica con tolueno para proporcionar 5.1 g del compuesto del título como un sólido café.

RMN ¹H (CDCl₃) δ 2.65 (s, 3H), 3.11 (s, 3H), 7.49 (t, 1H), 7.40 (m, 1H), 7.68-7.73 (m, 2H), 1.11 (d, 1H), 8.58 (d, 1H).

20

Etapa C: Preparación de 2-metil-N-[2-metil-6-[(1-metiletil)amino]carbonil]fenil]-6-(trifluorometil)-3-piridina

Se agrega isopropilamina (7.37 g, 0.125 mmoles) a una mezcla de benzoxazinona de la etapa B (4.00 g, 12.5

25

mmoles) en 30 ml de tetrahidrofurano. Se forma una solución homogénea. La mezcla se calienta brevemente después de que se forma un precipitado blanco espeso. El solvente se separa bajo presión reducida y el sólido resultante se lava con éter y se filtra para proporcionar 4.48 g del compuesto del título como un sólido que funde a 247-248°C.

RMN ¹H (CDCl₃) δ 1.24 (d, 6H), 2.41 (s, 3H), 2.77 (s, 3H), 4.17 (m, 1H), 5.96 (d amplio, 1H), 7.21 (m, 2H), 7.40 (m, 1H), 7.53 (d, 1H), 7.97 (d, 1H), 9.80 (s amplio, 1H).

EJEMPLO 5

Etapas A: Preparación de 4-metil-N-[2-metil-6-[(1-metiletil)amino]carbonil]fenil]-2-(trifluorometil)-5-pirimidinocarboxamida

A una solución de 0.8 g (4 mmoles) de ácido 4-metil-2-trifluorometilpirimidina-5-carboxílico [elaborado por el método de Palanki et al., *J. Med. Chem.* 2000, 43, 3995] agitando en 15 ml de cloruro de metileno, se agrega cloruro de oxalilo (2 ml, 23 mmoles). Ante la adición de 2 gotas de *N,N*-dimetilformamida se produce espumado y burbujeo. La mezcla de reacción se calienta a reflujo durante 1 h como una solución amarilla. Después se enfriar, el solvente se separa

al vacío y el residuo resultante se disuelve en 20 ml de
tratrahidrofurano. A la solución agitada se le agregan 2-
amino-3-metil-N-(1-metiletil)benzamida (1 g, 5 mmoles)
seguido por la adición a gotas de N,N-diisopropiletilamina (3
5 ml, 17 mmoles). Después de agitar a temperatura ambiente
durante la noche, la mezcla reacción se divide entre 200 ml
de acetato de etilo y 75 ml de ácido clorhídrico acuoso 1N.
La capa orgánica separada se lava con agua y salmuera y se
seca sobre sulfato de magnesio. La evaporación al vacío
10 proporciona un sólido blanco, el cual se suspende en una
cantidad pequeña de acetato de etilo y se filtra para
proporcionar (después de secado) 650 mg del compuesto del
título, un compuesto de la presente invención, que funde a
248-251°C.

15 RMN ¹H (DMSO-D₆): 10.3 (s, NH), 9.07 (s, 1H), 8.25
(d, NH), 7.43-7.25 (m, 3H), 4.03 (m, 1H), 2.73 (s, 3H), 2.32
(s, 3H), 1.12 (d, 6H) ppm.

EJEMPLO 6

20

Etapas A: Preparación de 2-metil-1-fenil-4-
(trifluorometil)-1H-pirazol

Una solución de 1,1,1-trifluoropentano-2,4-diona
25 (20.0 g, 0.130 moles) en 60 ml de ácido acético glacial se

enfria a 7°C utilizando un baño de hielo/agua. Se agrega a gotas, durante un período de 60 minutos fenilhidrazina (14.1 g, 0.130 moles). Se incrementa la temperatura de la masa de reacción a 15°C durante la adición. La solución
5 naranja resultante se mantiene bajo condiciones ambientales durante 60 minutos. El volumen de ácido acético se separa al destilar en un evaporador giratorio a una temperatura de baño de 65°C. El residuo se disuelve en 150 ml de cloruro de metileno. La solución se lava con bicarbonato de sodio acuoso
10 (3 g en 50 ml de agua). La capa orgánica de color púrpura-rojo se separa, se trata con 2 g de carbón activado y MgSO₄, y después se filtra. Las fracciones volátiles se separan en un evaporador giratorio. El producto crudo consiste de 28.0 g de un aceite de color rosa el cual contiene -89% del producto
15 deseado y 11% de 1-fenil-5-(trifluorometil)-3-metilpirazol.

RMN ¹H (DMSO-D₆) δ 2.35 (s, 3H), 6.76 (s, 1H), 7.6-7.5 (m, 5H).

Etapas B: Preparación del ácido 1-fenil-3-(trifluorometil)-
20 1H-pirazol-5-carboxílico

Una muestra de 1-fenil-3-(trifluorometil)-5-metilpirazol crudo (~89%, 50.0 g, 0.221 moles) se mezcla con 400 ml de agua y cloruro de cetiltrimetilamonio (4.00 g,
25 0.011 moles). La mezcla se calienta a 95°C. Se agrega

permanganato de potasio en 10 porciones iguales, separadas a intervalos de ~8 minutos. Se mantiene la masa de reacción a 95-100°C durante este período. Después de que se ha agregado la última porción, la mezcla se mantiene durante ~15 minutos a 95-100°C, después de lo cual el color de permanganato púrpura se ha descargado. La masa de reacción se filtra mientras está caliente (~75°C) a través de un lecho de 1 cm de espesor de Celite^{MR} en una frita de vidrio gruesa de 150 ml. La torta de filtro se lava con agua caliente (~50°C) (3 x 100 ml). El filtrado combinado y los lavados se extraen con éter (2 x 100 ml) para eliminar una cantidad pequeña de material amarillo insoluble en agua. La capa acuosa se purga con nitrógeno para eliminar el éter residual. La solución alcalina incolora transparente se acidifica al agregar a gotas ácido clorhídrico concentrado hasta que se alcanza el pH de ~1.3 (28 g, 0.28 moles). La generación de gas es vigorosa durante los primeros dos tercios de la adición. El producto se recolecta por filtración, se lava con agua (3 x 40 ml) y después se seca durante la noche a 55°C al vacío. El producto consiste de 11.7 g de un polvo cristalino blanco el cual es esencialmente puro, en base en la RMN ¹H.

RMN ¹H (CDCl₃) δ 7.33 (s, 1H), 7.4-7.5 (m, 5H).

Etapas C: Preparación de cloruro de 1-fenil-3-(trifluorometil)-1H-pirazol-5-carbonilo

Una muestra de ácido 1-fenil-3-(trifluorometil)-1H-pirazol-5-carboxílico crudo (4.13 g, 16.1 μ moles), se disuelve en 45 ml de cloruro de metileno. La solución se trata con cloruro de oxalilo (1.80 ml, 20.6 μ moles), seguido
5 por *N,N*-dimetilformamida (0.010 ml, 0.13 μ moles). La desgasificación comienza poco después de agregar el catalizador de *N,N*-dimetilformamida. La mezcla de reacción se agita durante ~20 minutos bajo condiciones ambientales, y después se calienta a reflujo durante un período de 35
10 minutos. Las fracciones volátiles se separan por destilación de la mezcla de reacción en un evaporador giratorio a una temperatura de baño de 55°C. El producto consiste de 4.43 g de un aceite amarillo claro. La única impureza que se observa por RMN ^1H es *N,N*-dimetilformamida.

15 RMN ^1H (CDCl_3) δ 7.40 (m, 1H), 7.42 (s, 1H), 7.50-7.53 (m, 4H).

Etapas D: Preparación de *N*-[2-metil-6-
20 [[*N*-(metiletil)amino]carbonil]fenil]-1-fenil-3-
(trifluorometil)-1H-pirazol-5-carboxamida

Una muestra de anhídrido 3-metilisatoico (0.30 g, 1.7 μ moles) parcialmente disuelto en 4,0 ml de piridina se trata con cloruro de 1-fenil-3-(trifluorometilpirazol)-5-
25 carboxilo (0.55 g, 1.9 μ moles). La mezcla se calienta a -95°C

durante un período de 2 horas. la solución naranja resultante se enfría a 29°C, y después se trata con isopropilamina (1.00 g, 16.9 mmoles). La masa de la reacción se calienta a sí misma a 39°C. Posteriormente se calienta adicionalmente a 5 55°C durante un período de 30 minutos, en el cual se forma un precipitado. La masa de reacción se disuelve en 150 ml de cloruro de metileno. La solución se lava con ácido acuoso (5 ml de HCl concentrado en 45 ml de agua), y después con una base acuosa (2 g de carbonato de sodio en 50 ml de agua). La 10 capa orgánica se seca sobre MgSO₄, se filtra y se concentra en un evaporador giratorio. Después de reducción a ~4 ml, se han formado cristales de producto. La suspensión se diluye con ~10 ml de éter, después de lo cual precipita más producto. El producto se aísla por filtración, se lava con 15 éter (2 x 10 ml), y después se lava con agua (2 x 50 ml). La torta húmeda se seca durante 30 minutos a 70°C al vacío. El producto consiste de 0.52 g de un polvo blancuzco que funde a 260-262°C.

RMN ¹H (DMSO-D₆) δ 1.07 (d, 6H), 2.21 (s, 3H), 4.02 20 (octeto, 1H), 7.2-7.4 (m, 3H), 7.45-7.6 (m, 6H), 8.10 (d, 1H), 10.31 (s, 1H).

EJEMPLO 7

Etapa A: Preparación de 3-trifluorometil-2-[3-(trifluorometil)-1H-pirazol-1-il]piridina

Una mezcla de 2-cloro-3-trifluorometilpiridina (3.62 g, 21 mmoles), 3-trifluorometilpirazol (2.7 g, 20 mmoles) y carbonato de potasio (6.0 g, 43 mmoles) se calienta a 100°C durante 18 h. La mezcla de reacción enfriada se agrega a 100 ml de hielo/agua. La mezcla se extrae dos veces con 100 ml de éter y los extractos etéreos combinados se lavan dos veces con 100 ml de agua. La capa orgánica se seca con sulfato de magnesio y se concentra hasta un aceite. La cromatografía en gel de sílice con hexanos:acetato de etilo 8:1 a 4:1 como eluyente proporciona 3.5 g del compuesto del título como un aceite.

RMN ¹H (CDCl₃) δ 6.75 (m, 1H), 7.5 (m, 1H), 8.2 (m, 2H), 8.7 (m, 1H).

Etapa B: Preparación de ácido 3-(trifluorometil)-1-[3-(trifluorometil)-2-piridinil]1H-pirazol-5-carboxílico

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Una mezcla del compuesto del título del ejemplo 5, etapa A (3.4 g, 13 mmoles) se disuelve en 30 ml de tetrahidrofurano y se enfría a -70°C. Se agrega diisopropilamida de litio (2N en heptano/tetrahidrofurano (Aldrich) 9.5 ml, 19 mmoles) y la mezcla oscura resultante se

25

agita durante 10 minutos. Se burbujea dióxido de carbono seco a través de la mezcla, durante 15 minutos. Se permite que la mezcla se caliente a 23°C y se trata con 50 ml de agua y 10 ml de hidróxido de sodio 1 N. La mezcla acuosa se extrae con 100 ml de éter y después con 100 ml de acetato de etilo. La capa acuosa se acidifica con ácido clorhídrico 6N a pH 1-2 y se extrae dos veces con diclorometano. La capa orgánica se seca con sulfato de magnesio y se concentra para proporcionar 1.5 g del compuesto del título.

10 RMN ¹H (CDCl₃) δ 7.6 (m, 1H), 7.95 (m, 1H), 8.56 (m, 1H), 8.9 (m, 1H), 14.2 (amplio, 1H).

Etapa C: Preparación de N-[2-metil-6-[(1-metiletil)amino]carbonil]fenil]-3-(trifluorometil)-1-[3-(trifluorometil)-2-piridinil]-1H-pirazol-5-carboxamida

15

Una mezcla del compuesto del título del ejemplo 5, etapa B (0.54 g, 1.1. mmoles), el compuesto del título del ejemplo 1, etapa B (0.44 g, 2.4 mmoles) y cloruro de bop cloruro de (bis(2-oxo-oxaxolidinil)fosfinilo, 0.54 g, 2.1 mmoles) en 13 ml de acetonitrilo se trata con 0.9 ml de trietilamina. La mezcla se agita en un frasco de centelleo cerrado durante 18 h. La reacción se divide entre 100 ml de acetato de etilo y ácido clorhídrico 1N. La capa de acetato de etilo se lava sucesivamente con 50 ml de ácido clorhídrico

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1N, 50 ml de hidróxido de sodio 1N y 50 ml de una solución de cloruro de sodio saturada. La capa orgánica se seca sobre sulfato de magnesio y se concentra. El residuo se somete a cromatografía en columna sobre gel de sílice con
5 hexanos/acetato de etilo (5:1 a 3:1) como eluyente. Se aíslan 0.43 g del compuesto del título como un sólido blanco.

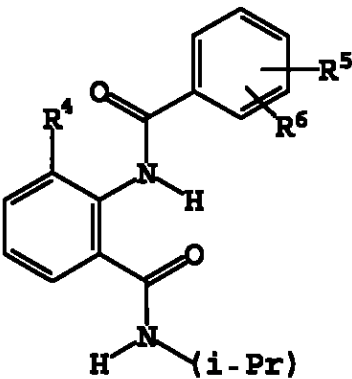
p.f. 227-230°C.

RMN ¹H (CDCl₃) δ 1.2 (m, 6H), 4.15 (m, 1H), 5.9 (d
amplio, 1H), 7.1 (m, 1H), 7.2 (m, 2H), 7.4 (s, 1H), 7.6 (m,
10 1H), 8.15 (m, 1H), 8.74 (m, 1H), 10.4 (amplio, 1H).

Mediante los procedimientos que se describen en la presente, junto con los métodos conocidos en la técnica, se pueden preparar los siguientes compuestos de las tablas 1 a
15 17. Se utilizan las siguientes abreviaturas en las tablas: t es terciario, s es secundario, n es normal, i es iso, c es ciclo, Me es metilo, Et es etilo, Pr es propilo, i-Pr es isopropilo, t-Bu es terbutilo, Ph es fenilo, OMe es metoxi, OEt es etoxi, SMe es metiltio, SEt es etiltio, CN es ciano,
20 NO₂ es nitro, TMS es trimetilsililo, S(O)Me es metilsulfinilo y S(O)₂Me es metilsulfonilo.

Tabla 1

5



10

	R ⁴	R ⁵ y/o R ⁶	R ⁴	R ⁵ y/o R ⁶	R ⁴	R ⁵ y/o R ⁶
	Me	2-CF ₃	Me	3-CF ₃	Me	4-CF ₃
	Me	2-OCF ₃	Me	3-OCF ₃	Me	4-OCF ₃
	Me	2-OCF ₂ H	Me	3-OCF ₂ H	Me	4-OCF ₂ H
15	Me	2-OCF ₂ CF ₂ H	Me	3-OCF ₂ CF ₂ H	Me	4-OCF ₂ CF ₂ H
	Me	2-OCH ₂ CF ₃	Me	3-OCH ₂ CF ₃	Me	4-OCH ₂ CF ₃
	Me	2-SCF ₃	Me	3-SCF ₃	Me	4-SCF ₃
	Me	2-SOCF ₃	Me	3-SOCF ₃	Me	4-SOCF ₃
	Me	2-SO ₂ CF ₃	Me	3-SO ₂ CF ₃	Me	4-SO ₂ CF ₃
20	Me	2-SCF ₂ H	Me	3-SCF ₂ H	Me	4-SCF ₂ H
	Me	2-SOCF ₂ H	Me	3-SOCF ₂ H	Me	4-SOCF ₂ H
	Me	2-SO ₂ CF ₂ H	Me	3-SO ₂ CF ₂ H	Me	4-SO ₂ CF ₂ H
	Cl	2-CF ₃	Cl	3-CF ₃	Cl	4-CF ₃
	Cl	2-OCF ₃	Cl	3-OCF ₃	Cl	4-OCF ₃
25	Cl	2-OCF ₂ H	Cl	3-OCF ₂ H	Cl	4-OCF ₂ H

	Cl	2-OCF ₂ CF ₂ H	Cl	3-OCF ₂ CF ₂ H	Cl	4-OCF ₂ CF ₂ H
	Cl	2-OCH ₂ CF ₃	Cl	3-OCH ₂ CF ₃	Cl	4-OCH ₂ CF ₃
	Cl	2-SCF ₃	Cl	3-SCF ₃	Cl	4-SCF ₃
	Cl	2-SOCF ₃	Cl	3-SOCF ₃	Cl	4-SOCF ₃
5	Cl	2-SO ₂ CF ₃	Cl	3-SO ₂ CF ₃	Cl	4-SO ₂ CF ₃
	Cl	2-SCF ₂ H	Cl	3-SCF ₂ H	Cl	4-SCF ₂ H
	Cl	2-SOCF ₂ H	Cl	3-SOCF ₂ H	Cl	4-SOCF ₂ H
	Cl	2-SO ₂ CF ₂ H	Cl	3-SO ₂ CF ₂ H	Cl	4-SO ₂ CF ₂ H
	F	2-CF ₃	F	3-CF ₃	F	4-CF ₃
10	F	2-OCF ₃	F	3-OCF ₃	F	4-OCF ₃
	F	2-OCF ₂ H	F	3-OCF ₂ H	F	4-OCF ₂ H
	F	2-OCF ₂ CF ₂ H	F	3-OCF ₂ CF ₂ H	F	4-OCF ₂ CF ₂ H
	F	2-OCH ₂ CF ₃	F	3-OCH ₂ CF ₃	F	4-OCH ₂ CF ₃
	F	2-SCF ₃	F	3-SCF ₃	F	4-SCF ₃
15	F	2-SOCF ₃	F	3-SOCF ₃	F	4-SOCF ₃
	F	2-SO ₂ CF ₃	F	3-SO ₂ CF ₃	F	4-SO ₂ CF ₃
	F	2-SCF ₂ H	F	3-SCF ₂ H	F	4-SCF ₂ H
	F	2-SOCF ₂ H	F	3-SOCF ₂ H	F	4-SOCF ₂ H
..	F	2-SO ₂ CF ₂ H	F	3-SO ₂ CF ₂ H	F	4-SO ₂ CF ₂ H
20	Br	2-CF ₃	Br	3-CF ₃	Br	4-CF ₃
	Br	2-OCF ₃	Br	3-OCF ₃	Br	4-OCF ₃
	Br	2-OCF ₂ H	Br	3-OCF ₂ H	Br	4-OCF ₂ H
	Br	2-OCF ₂ CF ₂ H	Br	3-OCF ₂ CF ₂ H	Br	4-OCF ₂ CF ₂ H
	Br	2-OCH ₂ CF ₃	Br	3-OCH ₂ CF ₃	Br	4-OCH ₂ CF ₃
25	Br	2-SCF ₃	Br	3-SCF ₃	Br	4-SCF ₃

	Br	2-SOCF ₃	Br	3-SOCF ₃	Br	4-SOCF ₃
	Br	2-SO ₂ CF ₃	Br	3-SO ₂ CF ₃	Br	4-SO ₂ CF ₃
	Br	2-SCF ₂ H	Br	3-SCF ₂ H	Br	4-SCF ₂ H
	Br	2-SOCF ₂ H	Br	3-SOCF ₂ H	Br	4-SOCF ₂ H
5	Br	2-SO ₂ CF ₂ H	Br	3-SO ₂ CF ₂ H	Br	4-SO ₂ CF ₂ H
	I	2-CF ₃	I	3-CF ₃	I	4-CF ₃
	I	2-OCF ₃	I	3-OCF ₃	I	4-OCF ₃
	I	2-OCF ₂ H	I	3-OCF ₂ H	I	4-OCF ₂ H
	I	2-OCF ₂ CF ₂ H	I	3-OCF ₂ CF ₂ H	I	4-OCF ₂ CF ₂ H
10	I	2-OCH ₂ CF ₃	I	3-OCH ₂ CF ₃	I	4-OCH ₂ CF ₃
	I	2-SCF ₃	I	3-SCF ₃	I	4-SCF ₃
	I	2-SOCF ₃	I	3-SOCF ₃	I	4-SOCF ₃
	I	2-SO ₂ CF ₃	I	3-SO ₂ CF ₃	I	4-SO ₂ CF ₃
	I	2-SCF ₂ H	I	3-SCF ₂ H	I	4-SCF ₂ H
15	I	2-SOCF ₂ H	I	3-SOCF ₂ H	I	4-SOCF ₂ H
	I	2-SO ₂ CF ₂ H	I	3-SO ₂ CF ₂ H	I	4-SO ₂ CF ₂ H
	OMe	2-CF ₃	OMe	3-CF ₃	OMe	4-CF ₃
	OMe	2-OCF ₃	OMe	3-OCF ₃	OMe	4-OCF ₃
	OMe	2-OCF ₂ H	OMe	3-OCF ₂ H	OMe	4-OCF ₂ H
20	OMe	2-OCF ₂ CF ₂ H	OMe	3-OCF ₂ CF ₂ H	OMe	4-OCF ₂ CF ₂ H
	OMe	2-OCH ₂ CF ₃	OMe	3-OCH ₂ CF ₃	OMe	4-OCH ₂ CF ₃
	OMe	2-SCF ₃	OMe	3-SCF ₃	OMe	4-SCF ₃
	OMe	2-SOCF ₃	OMe	3-SOCF ₃	OMe	4-SOCF ₃
	OMe	2-SO ₂ CF ₃	OMe	3-SO ₂ CF ₃	OMe	4-SO ₂ CF ₃
25	OMe	2-SCF ₂ H	OMe	3-SCF ₂ H	OMe	4-SCF ₂ H

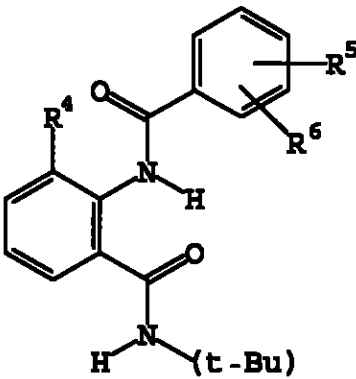
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	OMe	2-SO ₂ CF ₂ H	OMe	3-SO ₂ CF ₂ H	OMe	4-SO ₂ CF ₂ H
	CF ₃	2-CF ₃	CF ₃	3-CF ₃	CF ₃	4-CF ₃
	CF ₃	2-OCF ₃	CF ₃	3-OCF ₃	CF ₃	4-OCF ₃
5	CF ₃	2-OCF ₂ H	CF ₃	3-OCF ₂ H	CF ₃	4-OCF ₂ H
	CF ₃	2-OCF ₂ CF ₂ H	CF ₃	3-OCF ₂ CF ₂ H	CF ₃	4-OCF ₂ CF ₂ H
	CF ₃	2-OCH ₂ CF ₃	CF ₃	3-OCH ₂ CF ₃	CF ₃	4-OCH ₂ CF ₃
	CF ₃	2-SCF ₃	CF ₃	3-SCF ₃	CF ₃	4-SCF ₃
	CF ₃	2-SOCF ₃	CF ₃	3-SOCF ₃	CF ₃	4-SOCF ₃
10	CF ₃	2-SO ₂ CF ₃	CF ₃	3-SO ₂ CF ₃	CF ₃	4-SO ₂ CF ₃
	CF ₃	2-SCF ₂ H	CF ₃	3-SCF ₂ H	CF ₃	4-SCF ₂ H
	CF ₃	2-SOCF ₂ H	CF ₃	3-SOCF ₂ H	CF ₃	4-SOCF ₂ H
	CF ₃	2-SO ₂ CF ₂ H	CF ₃	3-SO ₂ CF ₂ H	CF ₃	4-SO ₂ CF ₂ H
	OCF ₂ H	2-CF ₃	OCF ₂ H	3-CF ₃	OCF ₂ H	4-CF ₃
15	OCF ₂ H	2-OCF ₃	OCF ₂ H	3-OCF ₃	OCF ₂ H	4-OCF ₃
	OCF ₂ H	2-OCF ₂ H	OCF ₂ H	3-OCF ₂ H	OCF ₂ H	4-OCF ₂ H
	OCF ₂ H	2-OCF ₂ CF ₂ H	OCF ₂ H	3-OCF ₂ CF ₂ H	OCF ₂ H	4-OCF ₂ CF ₂ H
	OCF ₂ H	2-OCH ₂ CF ₃	OCF ₂ H	3-OCH ₂ CF ₃	OCF ₂ H	4-OCH ₂ CF ₃
	OCF ₂ H	2-SCF ₃	OCF ₂ H	3-SCF ₃	OCF ₂ H	4-SCF ₃
20	OCF ₂ H	2-SOCF ₃	OCF ₂ H	3-SOCF ₃	OCF ₂ H	4-SOCF ₃
	OCF ₂ H	2-SO ₂ CF ₃	OCF ₂ H	3-SO ₂ CF ₃	OCF ₂ H	4-SO ₂ CF ₃
	OCF ₂ H	2-SCF ₂ H	OCF ₂ H	3-SCF ₂ H	OCF ₂ H	4-SCF ₂ H
	OCF ₂ H	2-SOCF ₂ H	OCF ₂ H	3-SOCF ₂ H	OCF ₂ H	4-SOCF ₂ H
	OCF ₂ H	2-SO ₂ CF ₂ H	OCF ₂ H	3-SO ₂ CF ₂ H	OCF ₂ H	4-SO ₂ CF ₂ H
25	Me	2-Me-4-CF ₃	F	2-Me-4-CF ₃	Cl	2-Me-4-CF ₃

	Me	2-Me-4-OCF ₃	F	2-Me-4-OCF ₃	Cl	2-Me-4-OCF ₃
	Me	2-Me-4-OCF ₂ H	F	2-Me-4-OCF ₂ H	Cl	2-Me-4-OCF ₂ H
	Me	2-Me-4-OCH ₂ CF ₃	F	2-Me-4-OCH ₂ CF ₃	Cl	2-Me-4-OCH ₂ CF ₃
	Me	2-Me-4-SCF ₃	F	2-Me-4-SCF ₃	Cl	2-Me-4-SCF ₃
5	Me	2-Me-4-SOCF ₃	F	2-Me-4-SOCF ₃	Cl	2-Me-4-SOCF ₃
	Me	2-Me-4-SO ₂ CF ₃	F	2-Me-4-SO ₂ CF ₃	Cl	2-Me-4-SO ₂ CF ₃
	Me	2-Me-4-SCF ₂ H	F	2-Me-4-SCF ₂ H	Cl	2-Me-4-SCF ₂ H
	Me	2-Me-4-SOCF ₂ H	F	2-Me-4-SOCF ₂ H	Cl	2-Me-4-SOCF ₂ H
	Me	2-Me-4-SO ₂ CF ₂ H	F	2-Me-4-SO ₂ CF ₂ H	Cl	2-Me-4-SO ₂ CF ₂ H
10	Br	2-Me-4-CF ₃	I	2-Me-4-CF ₃	OMe	2-Me-4-CF ₃
	Br	2-Me-4-OCF ₃	I	2-Me-4-OCF ₃	OMe	2-Me-4-OCF ₃
	Br	2-Me-4-OCF ₂ H	I	2-Me-4-OCF ₂ H	OMe	2-Me-4-OCF ₂ H
	Br	2-Me-4-OCH ₂ -CF ₃	I	2-Me-4-OCH ₂ CF ₃	OMe	2-Me-4-OCH ₂ CF ₃
	Br	2-Me-4-SCF ₃	I	2-Me-4-SCF ₃	OMe	2-Me-4-SCF ₃
15	Br	2-Me-4-SOCF ₃	I	2-Me-4-SOCF ₃	OMe	2-Me-4-SOCF ₃
	Br	2-Me-4-SO ₂ CF ₃	I	2-Me-4-SO ₂ CF ₃	OMe	2-Me-4-SO ₂ CF ₃
	Br	2-Me-4-SCF ₂ H	I	2-Me-4-SCF ₂ H	OMe	2-Me-4-SCF ₂ H
	Br	2-Me-4-SOCF ₂ H	I	2-Me-4-SOCF ₂ H	OMe	2-Me-4-SOCF ₂ H
	Br	2-Me-4-SO ₂ CF ₂ H	I	2-Me-4-SO ₂ CF ₂ H	OMe	2-Me-4-SO ₂ CF ₂ H
20	CF ₃	2-Me-4-CF ₃	NO ₂	2-Me-4-CF ₃	SMe	2-Me-4-CF ₃
	CF ₃	2-Me-4-OCF ₃	NO ₂	2-Me-4-OCF ₃	SMe	2-Me-4-OCF ₃
	CF ₃	2-Me-4-OCF ₂ H	NO ₂	2-Me-4-OCF ₂ H	SMe	2-Me-4-OCF ₂ H
	CF ₃	2-Me-4-OCH ₂ CF ₃	NO ₂	2-Me-4-OCH ₂ CF ₃	SMe	2-Me-4-OCH ₂ CF ₃
	CF ₃	2-Me-4-SCF ₃	NO ₂	2-Me-4-SCF ₃	SMe	2-Me-4-SCF ₃
25	CF ₃	2-Me-4-SOCF ₃	NO ₂	2-Me-4-SOCF ₃	SMe	2-Me-4-SOCF ₃

CF ₃	2-Me-4-SO ₂ CF ₃	NO ₂	2-Me-4-SO ₂ CF ₃	SMe	2-Me-4-SO ₂ CF ₃
CF ₃	2-Me-4-SCF ₂ H	NO ₂	2-Me-4-SCF ₂ H	SMe	2-Me-4-SCF ₂ H
CF ₃	2-Me-4-SOCF ₂ H	NO ₂	2-Me-4-SOCF ₂ H	SMe	2-Me-4-SOCF ₂ H
CF ₃	2-Me-4-SO ₂ CF ₂ H	NO ₂	2-Me-4-SO ₂ CF ₂ H	SMe	2-Me-4-SO ₂ CF ₂ H

5

Tabla 2



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	R ⁴	R ⁵ y/o R ⁶	R ⁴	R ⁵ y/o R ⁶	R ⁴	R ⁵ y/o R ⁶
	Me	2-CF ₃	Me	3-CF ₃	Me	4-CF ₃
	Me	2-OCF ₃	Me	3-OCF ₃	Me	4-OCF ₃
20	Me	2-OCF ₂ H	Me	3-OCF ₂ H	Me	4-OCF ₂ H
	Me	2-OCF ₂ CF ₂ H	Me	3-OCF ₂ CF ₂ H	Me	4-OCF ₂ CF ₂ H
	Me	2-OCH ₂ CF ₃	Me	3-OCH ₂ CF ₃	Me	4-OCH ₂ CF ₃
	Me	2-SCF ₃	Me	3-SCF ₃	Me	4-SCF ₃
	Me	2-SOCF ₃	Me	3-SOCF ₃	Me	4-SOCF ₃
25	Me	2-SO ₂ CF ₃	Me	3-SO ₂ CF ₃	Me	4-SO ₂ CF ₃

	Me	2-SCF ₂ H	Me	3-SCF ₂ H	Me	4-SCF ₂ H
	Me	2-SOCF ₂ H	Me	3-SOCF ₂ H	Me	4-SOCF ₂ H
	Me	2-SO ₂ CF ₂ H	Me	3-SO ₂ CF ₂ H	Me	4-SO ₂ CF ₂ H
	Cl	2-CF ₃	Cl	3-CF ₃	Cl	4-CF ₃
5	Cl	2-OCF ₃	Cl	3-OCF ₃	Cl	4-OCF ₃
	Cl	2-OCF ₂ H	Cl	3-OCF ₂ H	Cl	4-OCF ₂ H
	Cl	2-OCF ₂ CF ₂ H	Cl	3-OCF ₂ CF ₂ H	Cl	4-OCF ₂ CF ₂ H
	Cl	2-OCH ₂ CF ₃	Cl	3-OCH ₂ CF ₃	Cl	4-OCH ₂ CF ₃
	Cl	2-SCF ₃	Cl	3-SCF ₃	Cl	4-SCF ₃
10	Cl	2-SOCF ₃	Cl	3-SOCF ₃	Cl	4-SOCF ₃
	Cl	2-SO ₂ CF ₃	Cl	3-SO ₂ CF ₃	Cl	4-SO ₂ CF ₃
	Cl	2-SCF ₂ H	Cl	3-SCF ₂ H	Cl	4-SCF ₂ H
	Cl	2-SOCF ₂ H	Cl	3-SOCF ₂ H	Cl	4-SOCF ₂ H
	Cl	2-SO ₂ CF ₂ H	Cl	3-SO ₂ CF ₂ H	Cl	4-SO ₂ CF ₂ H
15	F	2-CF ₃	F	3-CF ₃	F	4-CF ₃
	F	2-OCF ₃	F	3-OCF ₃	F	4-OCF ₃
	F	2-OCF ₂ H	F	3-OCF ₂ H	F	4-OCF ₂ H
	F	2-OCF ₂ CF ₂ H	F	3-OCF ₂ CF ₂ H	F	4-OCF ₂ CF ₂ H
	F	2-OCH ₂ CF ₃	F	3-OCH ₂ CF ₃	F	4-OCH ₂ CF ₃
20	F	2-SCF ₃	F	3-SCF ₃	F	4-SCF ₃
	F	2-SOCF ₃	F	3-SOCF ₃	F	4-SOCF ₃
	F	2-SO ₂ CF ₃	F	3-SO ₂ CF ₃	F	4-SO ₂ CF ₃
	F	2-SCF ₂ H	F	3-SCF ₂ H	F	4-SCF ₂ H
	F	2-SOCF ₂ H	F	3-SOCF ₂ H	F	4-SOCF ₂ H
25	F	2-SO ₂ CF ₂ H	F	3-SO ₂ CF ₂ H	F	4-SO ₂ CF ₂ H

	Br	2-CF ₃	Br	3-CF ₃	Br	4-CF ₃
	Br	2-OCF ₃	Br	3-OCF ₃	Br	4-OCF ₃
	Br	2-OCF ₂ H	Br	3-OCF ₂ H	Br	4-OCF ₂ H
	Br	2-OCF ₂ CF ₂ H	Br	3-OCF ₂ CF ₂ H	Br	4-OCF ₂ CF ₂ H
5	Br	2-OCH ₂ CF ₃	Br	3-OCH ₂ CF ₃	Br	4-OCH ₂ CF ₃
	Br	2-SCF ₃	Br	3-SCF ₃	Br	4-SCF ₃
	Br	2-SOCF ₃	Br	3-SOCF ₃	Br	4-SOCF ₃
	Br	2-SO ₂ CF ₃	Br	3-SO ₂ CF ₃	Br	4-SO ₂ CF ₃
	Br	2-SCF ₂ H	Br	3-SCF ₂ H	Br	4-SCF ₂ H
10	Br	2-SOCF ₂ H	Br	3-SOCF ₂ H	Br	4-SOCF ₂ H
	Br	2-SO ₂ CF ₂ H	Br	3-SO ₂ CF ₂ H	Br	4-SO ₂ CF ₂ H
	I	2-CF ₃	I	3-CF ₃	I	4-CF ₃
	I	2-OCF ₃	I	3-OCF ₃	I	4-OCF ₃
	I	2-OCF ₂ H	I	3-OCF ₂ H	I	4-OCF ₂ H
15	I	2-OCF ₂ CF ₂ H	I	3-OCF ₂ CF ₂ H	I	4-OCF ₂ CF ₂ H
	I	2-OCH ₂ CF ₃	I	3-OCH ₂ CF ₃	I	4-OCH ₂ CF ₃
	I	2-SCF ₃	I	3-SCF ₃	I	4-SCF ₃
	I	2-SOCF ₃	I	3-SOCF ₃	I	4-SOCF ₃
	I	2-SO ₂ CF ₃	I	3-SO ₂ CF ₃	I	4-SO ₂ CF ₃
20	I	2-SCF ₂ H	I	3-SCF ₂ H	I	4-SCF ₂ H
	I	2-SOCF ₂ H	I	3-SOCF ₂ H	I	4-SOCF ₂ H
	I	2-SO ₂ CF ₂ H	I	3-SO ₂ CF ₂ H	I	4-SO ₂ CF ₂ H
	OMe	2-CF ₃	OMe	3-CF ₃	OMe	4-CF ₃
	OMe	2-OCF ₃	OMe	3-OCF ₃	OMe	4-OCF ₃
25	OMe	2-OCF ₂ H	OMe	3-OCF ₂ H	OMe	4-OCF ₂ H

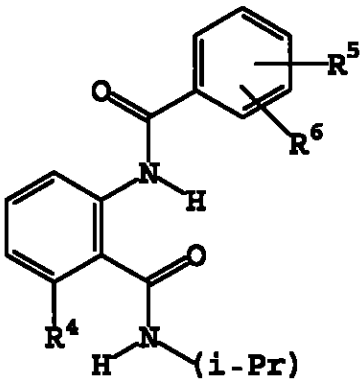
	OMe	2-OCF ₂ CF ₂ H	OMe	3-OCF ₂ CF ₂ H	OMe	4-OCF ₂ CF ₂ H
	OMe	2-OCH ₂ CF ₃	OMe	3-OCH ₂ CF ₃	OMe	4-OCH ₂ CF ₃
	OMe	2-SCF ₃	OMe	3-SCF ₃	OMe	4-SCF ₃
	OMe	2-SOCF ₃	OMe	3-SOCF ₃	OMe	4-SOCF ₃
5	OMe	2-SO ₂ CF ₃	OMe	3-SO ₂ CF ₃	OMe	4-SO ₂ CF ₃
	OMe	2-SCF ₂ H	OMe	3-SCF ₂ H	OMe	4-SCF ₂ H
	OMe	2-SOCF ₂ H	OMe	3-SOCF ₂ H	OMe	4-SOCF ₂ H
	OMe	2-SO ₂ CF ₂ H	OMe	3-SO ₂ CF ₂ H	OMe	4-SO ₂ CF ₂ H
	CF ₃	2-CF ₃	CF ₃	3-CF ₃	CF ₃	4-CF ₃
10	CF ₃	2-OCF ₃	CF ₃	3-OCF ₃	CF ₃	4-OCF ₃
	CF ₃	2-OCF ₂ H	CF ₃	3-OCF ₂ H	CF ₃	4-OCF ₂ H
	CF ₃	2-OCF ₂ CF ₂ H	CF ₃	3-OCF ₂ CF ₂ H	CF ₃	4-OCF ₂ CF ₂ H
	CF ₃	2-OCH ₂ CF ₃	CF ₃	3-OCH ₂ CF ₃	CF ₃	4-OCH ₂ CF ₃
	CF ₃	2-SCF ₃	CF ₃	3-SCF ₃	CF ₃	4-SCF ₃
15	CF ₃	2-SOCF ₃	CF ₃	3-SOCF ₃	CF ₃	4-SOCF ₃
	CF ₃	2-SO ₂ CF ₃	CF ₃	3-SO ₂ CF ₃	CF ₃	4-SO ₂ CF ₃
	CF ₃	2-SCF ₂ H	CF ₃	3-SCF ₂ H	CF ₃	4-SCF ₂ H
	CF ₃	2-SOCF ₂ H	CF ₃	3-SOCF ₂ H	CF ₃	4-SOCF ₂ H
	CF ₃	2-SO ₂ CF ₂ H	CF ₃	3-SO ₂ CF ₂ H	CF ₃	4-SO ₂ CF ₂ H
20	OCF ₂ H	2-CF ₃	OCF ₂ H	3-CF ₃	OCF ₂ H	4-CF ₃
	OCF ₂ H	2-OCF ₃	OCF ₂ H	3-OCF ₃	OCF ₂ H	4-OCF ₃
	OCF ₂ H	2-OCF ₂ H	OCF ₂ H	3-OCF ₂ H	OCF ₂ H	4-OCF ₂ H
	OCF ₂ H	2-OCF ₂ CF ₂ H	OCF ₂ H	3-OCF ₂ CF ₂ H	OCF ₂ H	4-OCF ₂ CF ₂ H
	OCF ₂ H	2-OCH ₂ CF ₃	OCF ₂ H	3-OCH ₂ CF ₃	OCF ₂ H	4-OCH ₂ CF ₃
25	OCF ₂ H	2-SCF ₃	OCF ₂ H	3-SCF ₃	OCF ₂ H	4-SCF ₃

	OCF ₂ H	2-SOCF ₃	OCF ₂ H	3-SOCF ₃	OCF ₂ H	4-SOCF ₃
	OCF ₂ H	2-SO ₂ CF ₃	OCF ₂ H	3-SO ₂ CF ₃	OCF ₂ H	4-SO ₂ CF ₃
	OCF ₂ H	2-SCF ₂ H	OCF ₂ H	3-SCF ₂ H	OCF ₂ H	4-SCF ₂ H
	OCF ₂ H	2-SOCF ₂ H	OCF ₂ H	3-SOCF ₂ H	OCF ₂ H	4-SOCF ₂ H
5	OCF ₂ H	2-SO ₂ CF ₂ H	OCF ₂ H	3-SO ₂ CF ₂ H	OCF ₂ H	4-SO ₂ CF ₂ H
	Me	2-Me-4-CF ₃	F	2-Me-4-CF ₃	Cl	2-Me-4-CF ₃
	Me	2-Me-4-OCF ₃	F	2-Me-4-OCF ₃	Cl	2-Me-4-OCF ₃
	Me	2-Me-4-OCF ₂ H	F	2-Me-4-OCF ₂ H	Cl	2-Me-4-OCF ₂ H
	Me	2-Me-4-OCH ₂ CF ₃	F	2-Me-4-OCH ₂ CF ₃	Cl	2-Me-4-OCH ₂ CF ₃
10	Me	2-Me-4-SCF ₃	F	2-Me-4-SCF ₃	Cl	2-Me-4-SCF ₃
	Me	2-Me-4-SOCF ₃	F	2-Me-4-SOCF ₃	Cl	2-Me-4-SOCF ₃
	Me	2-Me-4-SO ₂ CF ₃	F	2-Me-4-SO ₂ CF ₃	Cl	2-Me-4-SO ₂ CF ₃
	Me	2-Me-4-SCF ₂ H	F	2-Me-4-SCF ₂ H	Cl	2-Me-4-SCF ₂ H
	Me	2-Me-4-SOCF ₂ H	F	2-Me-4-SOCF ₂ H	Cl	2-Me-4-SOCF ₂ H
15	Me	2-Me-4-SO ₂ CF ₂ H	F	2-Me-4-SO ₂ CF ₂ H	Cl	2-Me-4-SO ₂ CF ₂ H
	Br	2-Me-4-CF ₃	I	2-Me-4-CF ₃	OMe	2-Me-4-CF ₃
	Br	2-Me-4-OCF ₃	I	2-Me-4-OCF ₃	OMe	2-Me-4-OCF ₃
	Br	2-Me-4-OCF ₂ H	I	2-Me-4-OCF ₂ H	OMe	2-Me-4-OCF ₂ H
	Br	2-Me-4-OCH ₂ CF ₃	I	2-Me-4-OCH ₂ CF ₃	OMe	2-Me-4-OCH ₂ CF ₃
20	Br	2-Me-4-SCF ₃	I	2-Me-4-SCF ₃	OMe	2-Me-4-SCF ₃
	Br	2-Me-4-SOCF ₃	I	2-Me-4-SOCF ₃	OMe	2-Me-4-SOCF ₃
	Br	2-Me-4-SO ₂ CF ₃	I	2-Me-4-SO ₂ CF ₃	OMe	2-Me-4-SO ₂ CF ₃
	Br	2-Me-4-SCF ₂ H	I	2-Me-4-SCF ₂ H	OMe	2-Me-4-SCF ₂ H
	Br	2-Me-4-SOCF ₂ H	I	2-Me-4-SOCF ₂ H	OMe	2-Me-4-SOCF ₂ H
25	Br	2-Me-4-SO ₂ CF ₂ H	I	2-Me-4-SO ₂ CF ₂ H	OMe	2-Me-4-SO ₂ CF ₂ H

	CF ₃	2-Me-4-CF ₃	NO ₂	2-Me-4-CF ₃	SMe	2-Me-4-CF ₃
	CF ₃	2-Me-4-OCF ₃	NO ₂	2-Me-4-OCF ₃	SMe	2-Me-4-OCF ₃
	CF ₃	2-Me-4-OCF ₂ H	NO ₂	2-Me-4-OCF ₂ H	SMe	2-Me-4-OCF ₂ H
	CF ₃	2-Me-4-OCH ₂ CF ₃	NO ₂	2-Me-4-OCH ₂ CF ₃	SMe	2-Me-4-OCH ₂ CF ₃
5	CF ₃	2-Me-4-SCF ₃	NO ₂	2-Me-4-SCF ₃	SMe	2-Me-4-SCF ₃
	CF ₃	2-Me-4-SOCF ₃	NO ₂	2-Me-4-SOCF ₃	SMe	2-Me-4-SOCF ₃
	CF ₃	2-Me-4-SO ₂ CF ₃	NO ₂	2-Me-4-SO ₂ CF ₃	SMe	2-Me-4-SO ₂ CF ₃
	CF ₃	2-Me-4-SCF ₂ H	NO ₂	2-Me-4-SCF ₂ H	SMe	2-Me-4-SCF ₂ H
	CF ₃	2-Me-4-SOCF ₂ H	NO ₂	2-Me-4-SOCF ₂ H	SMe	2-Me-4-SOCF ₂ H
10	CF ₃	2-Me-4-SO ₂ CF ₂ H	NO ₂	2-Me-4-SO ₂ CF ₂ H	SMe	2-Me-4-SO ₂ CF ₂ H

Tabla 3

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	R ⁴	R ⁵ y/o R ⁶	R ⁴	R ⁵ y/o R ⁶	R ⁴	R ⁵ y/o R ⁶
	Me	2-CF ₃	Me	3-CF ₃	Me	4-CF ₃
	Me	2-OCF ₃	Me	3-OCF ₃	Me	4-OCF ₃
25	Me	2-OCF ₂ H	Me	3-OCF ₂ H	Me	4-OCF ₂ H

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	Me	2-OCF ₂ CF ₂ H	Me	3-OCF ₂ CF ₂ H	Me	4-OCF ₂ CF ₂ H
	Me	2-OCH ₂ CF ₃	Me	3-OCH ₂ CF ₃	Me	4-OCH ₂ CF ₃
	Me	2-SCF ₃	Me	3-SCF ₃	Me	4-SCF ₃
	Me	2-SOCF ₃	Me	3-SOCF ₃	Me	4-SOCF ₃
5	Me	2-SO ₂ CF ₃	Me	3-SO ₂ CF ₃	Me	4-SO ₂ CF ₃
	Me	2-SCF ₂ H	Me	3-SCF ₂ H	Me	4-SCF ₂ H
	Me	2-SOCF ₂ H	Me	3-SOCF ₂ H	Me	4-SOCF ₂ H
	Me	2-SO ₂ CF ₂ H	Me	3-SO ₂ CF ₂ H	Me	4-SO ₂ CF ₂ H
	Cl	2-CF ₃	Cl	3-CF ₃	Cl	4-CF ₃
10	Cl	2-OCF ₃	Cl	3-OCF ₃	Cl	4-OCF ₃
	Cl	2-OCF ₂ H	Cl	3-OCF ₂ H	Cl	4-OCF ₂ H
	Cl	2-OCF ₂ CF ₂ H	Cl	3-OCF ₂ CF ₂ H	Cl	4-OCF ₂ CF ₂ H
	Cl	2-OCH ₂ CF ₃	Cl	3-OCH ₂ CF ₃	Cl	4-OCH ₂ CF ₃
	Cl	2-SCF ₃	Cl	3-SCF ₃	Cl	4-SCF ₃
15	Cl	2-SOCF ₃	Cl	3-SOCF ₃	Cl	4-SOCF ₃
	Cl	2-SO ₂ CF ₃	Cl	3-SO ₂ CF ₃	Cl	4-SO ₂ CF ₃
	Cl	2-SCF ₂ H	Cl	3-SCF ₂ H	Cl	4-SCF ₂ H
	Cl	2-SOCF ₂ H	Cl	3-SOCF ₂ H	Cl	4-SOCF ₂ H
	Cl	2-SO ₂ CF ₂ H	Cl	3-SO ₂ CF ₂ H	Cl	4-SO ₂ CF ₂ H
20	F	2-CF ₃	F	3-CF ₃	F	4-CF ₃
	F	2-OCF ₃	F	3-OCF ₃	F	4-OCF ₃
	F	2-OCF ₂ H	F	3-OCF ₂ H	F	4-OCF ₂ H
	F	2-OCF ₂ CF ₂ H	F	3-OCF ₂ CF ₂ H	F	4-OCF ₂ CF ₂ H
	F	2-OCH ₂ CF ₃	F	3-OCH ₂ CF ₃	F	4-OCH ₂ CF ₃
25	F	2-SCF ₃	F	3-SCF ₃	F	4-SCF ₃

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257

	F	2-SOCF ₃	F	3-SOCF ₃	F	4-SOCF ₃
	F	2-SO ₂ CF ₃	F	3-SO ₂ CF ₃	F	4-SO ₂ CF ₃
	F	2-SCF ₂ H	F	3-SCF ₂ H	F	4-SCF ₂ H
	F	2-SOCF ₂ H	F	3-SOCF ₂ H	F	4-SOCF ₂ H
5	F	2-SO ₂ CF ₂ H	F	3-SO ₂ CF ₂ H	F	4-SO ₂ CF ₂ H
	Br	2-CF ₃	Br	3-CF ₃	Br	4-CF ₃
	Br	2-OCF ₃	Br	3-OCF ₃	Br	4-OCF ₃
	Br	2-OCF ₂ H	Br	3-OCF ₂ H	Br	4-OCF ₂ H
	Br	2-OCF ₂ CF ₂ H	Br	3-OCF ₂ CF ₂ H	Br	4-OCF ₂ CF ₂ H
10	Br	2-OCH ₂ CF ₃	Br	3-OCH ₂ CF ₃	Br	4-OCH ₂ CF ₃
	Br	2-SCF ₃	Br	3-SCF ₃	Br	4-SCF ₃
	Br	2-SOCF ₃	Br	3-SOCF ₃	Br	4-SOCF ₃
	Br	2-SO ₂ CF ₃	Br	3-SO ₂ CF ₃	Br	4-SO ₂ CF ₃
	Br	2-SCF ₂ H	Br	3-SCF ₂ H	Br	4-SCF ₂ H
15	Br	2-SOCF ₂ H	Br	3-SOCF ₂ H	Br	4-SOCF ₂ H
	Br	2-SO ₂ CF ₂ H	Br	3-SO ₂ CF ₂ H	Br	4-SO ₂ CF ₂ H
	I	2-CF ₃	I	3-CF ₃	I	4-CF ₃
	I	2-OCF ₃	I	3-OCF ₃	I	4-OCF ₃
	I	2-OCF ₂ H	I	3-OCF ₂ H	I	4-OCF ₂ H
20	I	2-OCF ₂ CF ₂ H	I	3-OCF ₂ CF ₂ H	I	4-OCF ₂ CF ₂ H
	I	2-OCH ₂ CF ₃	I	3-OCH ₂ CF ₃	I	4-OCH ₂ CF ₃
	I	2-SCF ₃	I	3-SCF ₃	I	4-SCF ₃
	I	2-SOCF ₃	I	3-SOCF ₃	I	4-SOCF ₃
	I	2-SO ₂ CF ₃	I	3-SO ₂ CF ₃	I	4-SO ₂ CF ₃
25	I	2-SCF ₂ H	I	3-SCF ₂ H	I	4-SCF ₂ H

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258

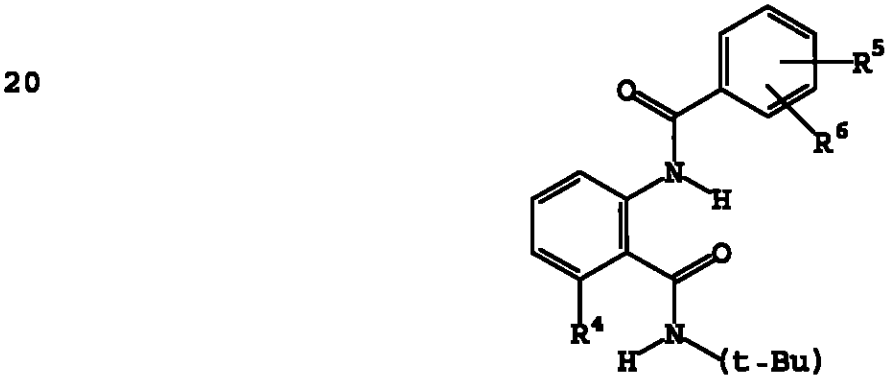
	I	2-SOCF ₂ H	I	3-SOCF ₂ H	I	4-SOCF ₂ H
	I	2-SO ₂ CF ₂ H	I	3-SO ₂ CF ₂ H	I	4-SO ₂ CF ₂ H
	OMe	2-CF ₃	OMe	3-CF ₃	OMe	4-CF ₃
	OMe	2-OCF ₃	OMe	3-OCF ₃	OMe	4-OCF ₃
5	OMe	2-OCF ₂ H	OMe	3-OCF ₂ H	OMe	4-OCF ₂ H
	OMe	2-OCF ₂ CF ₂ H	OMe	3-OCF ₂ CF ₂ H	OMe	4-OCF ₂ CF ₂ H
	OMe	2-OCH ₂ CF ₃	OMe	3-OCH ₂ CF ₃	OMe	4-OCH ₂ CF ₃
	OMe	2-SCF ₃	OMe	3-SCF ₃	OMe	4-SCF ₃
	OMe	2-SOCF ₃	OMe	3-SOCF ₃	OMe	4-SOCF ₃
10	OMe	2-SO ₂ CF ₃	OMe	3-SO ₂ CF ₃	OMe	4-SO ₂ CF ₃
	OMe	2-SCF ₂ H	OMe	3-SCF ₂ H	OMe	4-SCF ₂ H
	OMe	2-SOCF ₂ H	OMe	3-SOCF ₂ H	OMe	4-SOCF ₂ H
	OMe	2-SO ₂ CF ₂ H	OMe	3-SO ₂ CF ₂ H	OMe	4-SO ₂ CF ₂ H
	CF ₃	2-CF ₃	CF ₃	3-CF ₃	CF ₃	4-CF ₃
15	CF ₃	2-OCF ₃	CF ₃	3-OCF ₃	CF ₃	4-OCF ₃
	CF ₃	2-OCF ₂ H	CF ₃	3-OCF ₂ H	CF ₃	4-OCF ₂ H
	CF ₃	2-OCF ₂ CF ₂ H	CF ₃	3-OCF ₂ CF ₂ H	CF ₃	4-OCF ₂ CF ₂ H
	CF ₃	2-OCH ₂ CF ₃	CF ₃	3-OCH ₂ CF ₃	CF ₃	4-OCH ₂ CF ₃
	CF ₃	2-SCF ₃	CF ₃	3-SCF ₃	CF ₃	4-SCF ₃
20	CF ₃	2-SOCF ₃	CF ₃	3-SOCF ₃	CF ₃	4-SOCF ₃
	CF ₃	2-SO ₂ CF ₃	CF ₃	3-SO ₂ CF ₃	CF ₃	4-SO ₂ CF ₃
	CF ₃	2-SCF ₂ H	CF ₃	3-SCF ₂ H	CF ₃	4-SCF ₂ H
	CF ₃	2-SOCF ₂ H	CF ₃	3-SOCF ₂ H	CF ₃	4-SOCF ₂ H
	CF ₃	2-SO ₂ CF ₂ H	CF ₃	3-SO ₂ CF ₂ H	CF ₃	4-SO ₂ CF ₂ H
25	OCF ₂ H	2-CF ₃	OCF ₂ H	3-CF ₃	OCF ₂ H	4-CF ₃

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	OCF ₂ H	2-OCF ₃	OCF ₂ H	3-OCF ₃	OCF ₂ H	4-OCF ₃
	OCF ₂ H	2-OCF ₂ H	OCF ₂ H	3-OCF ₂ H	OCF ₂ H	4-OCF ₂ H
	OCF ₂ H	2-OCF ₂ CF ₂ H	OCF ₂ H	3-OCF ₂ CF ₂ H	OCF ₂ H	4-OCF ₂ CF ₂ H
	OCF ₂ H	2-OCH ₂ CF ₃	OCF ₂ H	3-OCH ₂ CF ₃	OCF ₂ H	4-OCH ₂ CF ₃
5	OCF ₂ H	2-SCF ₃	OCF ₂ H	3-SCF ₃	OCF ₂ H	4-SCF ₃
	OCF ₂ H	2-SOCF ₃	OCF ₂ H	3-SOCF ₃	OCF ₂ H	4-SOCF ₃
	OCF ₂ H	2-SO ₂ CF ₃	OCF ₂ H	3-SO ₂ CF ₃	OCF ₂ H	4-SO ₂ CF ₃
	OCF ₂ H	2-SCF ₂ H	OCF ₂ H	3-SCF ₂ H	OCF ₂ H	4-SCF ₂ H
	OCF ₂ H	2-SOCF ₂ H	OCF ₂ H	3-SOCF ₂ H	OCF ₂ H	4-SOCF ₂ H
10	OCF ₂ H	2-SO ₂ CF ₂ H	OCF ₂ H	3-SO ₂ CF ₂ H	OCF ₂ H	4-SO ₂ CF ₂ H
	Me	2-Me-4-CF ₃	F	2-Me-4-CF ₃	Cl	2-Me-4-CF ₃
	Me	2-Me-4-OCF ₃	F	2-Me-4-OCF ₃	Cl	2-Me-4-OCF ₃
	Me	2-Me-4-OCF ₂ H	F	2-Me-4-OCF ₂ H	Cl	2-Me-4-OCF ₂ H
	Me	2-Me-4-OCH ₂ CF ₃	F	2-Me-4-OCH ₂ CF ₃	Cl	2-Me-4-OCH ₂ CF ₃
15	Me	2-Me-4-SCF ₃	F	2-Me-4-SCF ₃	Cl	2-Me-4-SCF ₃
	Me	2-Me-4-SOCF ₃	F	2-Me-4-SOCF ₃	Cl	2-Me-4-SOCF ₃
	Me	2-Me-4-SO ₂ CF ₃	F	2-Me-4-SO ₂ CF ₃	Cl	2-Me-4-SO ₂ CF ₃
	Me	2-Me-4-SCF ₂ H	F	2-Me-4-SCF ₂ H	Cl	2-Me-4-SCF ₂ H
	Me	2-Me-4-SOCF ₂ H	F	2-Me-4-SOCF ₂ H	Cl	2-Me-4-SOCF ₂ H
20	Me	2-Me-4-SO ₂ CF ₂ H	F	2-Me-4-SO ₂ CF ₂ H	Cl	2-Me-4-SO ₂ CF ₂ H
	Br	2-Me-4-CF ₃	I	2-Me-4-CF ₃	OMe	2-Me-4-CF ₃
	Br	2-Me-4-OCF ₃	I	2-Me-4-OCF ₃	OMe	2-Me-4-OCF ₃
	Br	2-Me-4-OCF ₂ H	I	2-Me-4-OCF ₂ H	OMe	2-Me-4-OCF ₂ H
	Br	2-Me-4-OCH ₂ CF ₃	I	2-Me-4-OCH ₂ CF ₃	OMe	2-Me-4-OCH ₂ CF ₃
25	Br	2-Me-4-SCF ₃	I	2-Me-4-SCF ₃	OMe	2-Me-4-SCF ₃

	Br	2-Me-4-SOCF ₃	I	2-Me-4-SOCF ₃	OMe	2-Me-4-SOCF ₃
	Br	2-Me-4-SO ₂ CF ₃	I	2-Me-4-SO ₂ CF ₃	OMe	2-Me-4-SO ₂ CF ₃
	Br	2-Me-4-SCF ₂ H	I	2-Me-4-SCF ₂ H	OMe	2-Me-4-SCF ₂ H
	Br	2-Me-4-SOCF ₂ H	I	2-Me-4-SOCF ₂ H	OMe	2-Me-4-SOCF ₂ H
5	Br	2-Me-4-SO ₂ CF ₂ H	I	2-Me-4-SO ₂ CF ₂ H	OMe	2-Me-4-SO ₂ CF ₂ H
	CF ₃	2-Me-4-CF ₃	NO ₂	2-Me-4-CF ₃	SMe	2-Me-4-CF ₃
	CF ₃	2-Me-4-OCF ₃	NO ₂	2-Me-4-OCF ₃	SMe	2-Me-4-OCF ₃
	CF ₃	2-Me-4-OCF ₂ H	NO ₂	2-Me-4-OCF ₂ H	SMe	2-Me-4-OCF ₂ H
	CF ₃	2-Me-4-OCH ₂ -CF ₃	NO ₂	2-Me-4-OCH ₂ CF ₃	SMe	2-Me-4-OCH ₂ CF ₃
10	CF ₃	2-Me-4-SCF ₃	NO ₂	2-Me-4-SCF ₃	SMe	2-Me-4-SCF ₃
	CF ₃	2-Me-4-SOCF ₃	NO ₂	2-Me-4-SOCF ₃	SMe	2-Me-4-SOCF ₃
	CF ₃	2-Me-4-SO ₂ CF ₃	NO ₂	2-Me-4-SO ₂ CF ₃	SMe	2-Me-4-SO ₂ CF ₃
	CF ₃	2-Me-4-SCF ₂ H	NO ₂	2-Me-4-SCF ₂ H	SMe	2-Me-4-SCF ₂ H
	CF ₃	2-Me-4-SOCF ₂ H	NO ₂	2-Me-4-SOCF ₂ H	SMe	2-Me-4-SOCF ₂ H
15	CF ₃	2-Me-4-SO ₂ CF ₂ H	NO ₂	2-Me-4-SO ₂ CF ₂ H	SMe	2-Me-4-SO ₂ CF ₂ H

Tabla 4



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	R ⁴	R ⁵ y/o R ⁶	R ⁴	R ⁵ y/o R ⁶	R ⁴	R ⁵ y/o R ⁶
	Me	2-CF ₃	Me	3-CF ₃	Me	4-CF ₃
5	Me	2-OCF ₃	Me	3-OCF ₃	Me	4-OCF ₃
	Me	2-OCF ₂ H	Me	3-OCF ₂ H	Me	4-OCF ₂ H
	Me	2-OCF ₂ CF ₂ H	Me	3-OCF ₂ CF ₂ H	Me	4-OCF ₂ CF ₂ H
	Me	2-OCH ₂ CF ₃	Me	3-OCH ₂ CF ₃	Me	4-OCH ₂ CF ₃
	Me	2-SCF ₃	Me	3-SCF ₃	Me	4-SCF ₃
10	Me	2-SOCF ₃	Me	3-SOCF ₃	Me	4-SOCF ₃
	Me	2-SO ₂ CF ₃	Me	3-SO ₂ CF ₃	Me	4-SO ₂ CF ₃
	Me	2-SCF ₂ H	Me	3-SCF ₂ H	Me	4-SCF ₂ H
	Me	2-SOCF ₂ H	Me	3-SOCF ₂ H	Me	4-SOCF ₂ H
	Me	2-SO ₂ CF ₂ H	Me	3-SO ₂ CF ₂ H	Me	4-SO ₂ CF ₂ H
15	Cl	2-CF ₃	Cl	3-CF ₃	Cl	4-CF ₃
	Cl	2-OCF ₃	Cl	3-OCF ₃	Cl	4-OCF ₃
	Cl	2-OCF ₂ H	Cl	3-OCF ₂ H	Cl	4-OCF ₂ H
	Cl	2-OCF ₂ CF ₂ H	Cl	3-OCF ₂ CF ₂ H	Cl	4-OCF ₂ CF ₂ H
	Cl	2-OCH ₂ CF ₃	Cl	3-OCH ₂ CF ₃	Cl	4-OCH ₂ CF ₃
20	Cl	2-SCF ₃	Cl	3-SCF ₃	Cl	4-SCF ₃
	Cl	2-SOCF ₃	Cl	3-SOCF ₃	Cl	4-SOCF ₃
	Cl	2-SO ₂ CF ₃	Cl	3-SO ₂ CF ₃	Cl	4-SO ₂ CF ₃
	Cl	2-SCF ₂ H	Cl	3-SCF ₂ H	Cl	4-SCF ₂ H
	Cl	2-SOCF ₂ H	Cl	3-SOCF ₂ H	Cl	4-SOCF ₂ H
25	Cl	2-SO ₂ CF ₂ H	Cl	3-SO ₂ CF ₂ H	Cl	4-SO ₂ CF ₂ H

	F	2-CF ₃	F	3-CF ₃	F	4-CF ₃
	F	2-OCF ₃	F	3-OCF ₃	F	4-OCF ₃
	F	2-OCF ₂ H	F	3-OCF ₂ H	F	4-OCF ₂ H
	F	2-OCF ₂ CF ₂ H	F	3-OCF ₂ CF ₂ H	F	4-OCF ₂ CF ₂ H
5	F	2-OCH ₂ CF ₃	F	3-OCH ₂ CF ₃	F	4-OCH ₂ CF ₃
	F	2-SCF ₃	F	3-SCF ₃	F	4-SCF ₃
	F	2-SOCF ₃	F	3-SOCF ₃	F	4-SOCF ₃
	F	2-SO ₂ CF ₃	F	3-SO ₂ CF ₃	F	4-SO ₂ CF ₃
	F	2-SCF ₂ H	F	3-SCF ₂ H	F	4-SCF ₂ H
10	F	2-SOCF ₂ H	F	3-SOCF ₂ H	F	4-SOCF ₂ H
	F	2-SO ₂ CF ₂ H	F	3-SO ₂ CF ₂ H	F	4-SO ₂ CF ₂ H
	Br	2-CF ₃	Br	3-CF ₃	Br	4-CF ₃
	Br	2-OCF ₃	Br	3-OCF ₃	Br	4-OCF ₃
	Br	2-OCF ₂ H	Br	3-OCF ₂ H	Br	4-OCF ₂ H
15	Br	2-OCF ₂ CF ₂ H	Br	3-OCF ₂ CF ₂ H	Br	4-OCF ₂ CF ₂ H
	Br	2-OCH ₂ CF ₃	Br	3-OCH ₂ CF ₃	Br	4-OCH ₂ CF ₃
	Br	2-SCF ₃	Br	3-SCF ₃	Br	4-SCF ₃
	Br	2-SOCF ₃	Br	3-SOCF ₃	Br	4-SOCF ₃
	Br	2-SO ₂ CF ₃	Br	3-SO ₂ CF ₃	Br	4-SO ₂ CF ₃
20	Br	2-SCF ₂ H	Br	3-SCF ₂ H	Br	4-SCF ₂ H
	Br	2-SOCF ₂ H	Br	3-SOCF ₂ H	Br	4-SOCF ₂ H
	Br	2-SO ₂ CF ₂ H	Br	3-SO ₂ CF ₂ H	Br	4-SO ₂ CF ₂ H
	I	2-CF ₃	I	3-CF ₃	I	4-CF ₃
	I	2-OCF ₃	I	3-OCF ₃	I	4-OCF ₃
25	I	2-OCF ₂ H	I	3-OCF ₂ H	I	4-OCF ₂ H

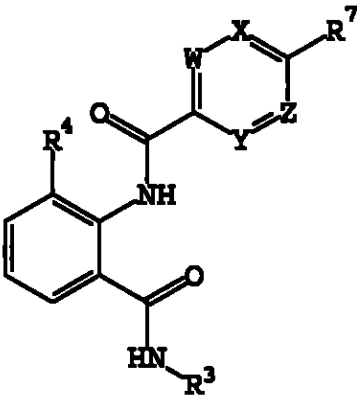
	I	2-OCF ₂ CF ₂ H	I	3-OCF ₂ CF ₂ H	I	4-OCF ₂ CF ₂ H
	I	2-OCH ₂ CF ₃	I	3-OCH ₂ CF ₃	I	4-OCH ₂ CF ₃
	I	2-SCF ₃	I	3-SCF ₃	I	4-SCF ₃
	I	2-SOCF ₃	I	3-SOCF ₃	I	4-SOCF ₃
5	I	2-SO ₂ CF ₃	I	3-SO ₂ CF ₃	I	4-SO ₂ CF ₃
	I	2-SCF ₂ H	I	3-SCF ₂ H	I	4-SCF ₂ H
	I	2-SOCF ₂ H	I	3-SOCF ₂ H	I	4-SOCF ₂ H
	I	2-SO ₂ CF ₂ H	I	3-SO ₂ CF ₂ H	I	4-SO ₂ CF ₂ H
	OMe	2-CF ₃	OMe	3-CF ₃	OMe	4-CF ₃
10	OMe	2-OCF ₃	OMe	3-OCF ₃	OMe	4-OCF ₃
	OMe	2-OCF ₂ H	OMe	3-OCF ₂ H	OMe	4-OCF ₂ H
	OMe	2-OCF ₂ CF ₂ H	OMe	3-OCF ₂ CF ₂ H	OMe	4-OCF ₂ CF ₂ H
	OMe	2-OCH ₂ CF ₃	OMe	3-OCH ₂ CF ₃	OMe	4-OCH ₂ CF ₃
	OMe	2-SCF ₃	OMe	3-SCF ₃	OMe	4-SCF ₃
15	OMe	2-SOCF ₃	OMe	3-SOCF ₃	OMe	4-SOCF ₃
	OMe	2-SO ₂ CF ₃	OMe	3-SO ₂ CF ₃	OMe	4-SO ₂ CF ₃
	OMe	2-SCF ₂ H	OMe	3-SCF ₂ H	OMe	4-SCF ₂ H
	OMe	2-SOCF ₂ H	OMe	3-SOCF ₂ H	OMe	4-SOCF ₂ H
	OMe	2-SO ₂ CF ₂ H	OMe	3-SO ₂ CF ₂ H	OMe	4-SO ₂ CF ₂ H
20	CF ₃	2-CF ₃	CF ₃	3-CF ₃	CF ₃	4-CF ₃
	CF ₃	2-OCF ₃	CF ₃	3-OCF ₃	CF ₃	4-OCF ₃
	CF ₃	2-OCF ₂ H	CF ₃	3-OCF ₂ H	CF ₃	4-OCF ₂ H
	CF ₃	2-OCF ₂ CF ₂ H	CF ₃	3-OCF ₂ CF ₂ H	CF ₃	4-OCF ₂ CF ₂ H
	CF ₃	2-OCH ₂ CF ₃	CF ₃	3-OCH ₂ CF ₃	CF ₃	4-OCH ₂ CF ₃
25	CF ₃	2-SCF ₃	CF ₃	3-SCF ₃	CF ₃	4-SCF ₃

	CF ₃	2-SOCF ₃	CF ₃	3-SOCF ₃	CF ₃	4-SOCF ₃
	CF ₃	2-SO ₂ CF ₃	CF ₃	3-SO ₂ CF ₃	CF ₃	4-SO ₂ CF ₃
	CF ₃	2-SCF ₂ H	CF ₃	3-SCF ₂ H	CF ₃	4-SCF ₂ H
	CF ₃	2-SOCF ₂ H	CF ₃	3-SOCF ₂ H	CF ₃	4-SOCF ₂ H
5	CF ₃	2-SO ₂ CF ₂ H	CF ₃	3-SO ₂ CF ₂ H	CF ₃	4-SO ₂ CF ₂ H
	OCF ₂ H	2-CF ₃	OCF ₂ H	3-CF ₃	OCF ₂ H	4-CF ₃
	OCF ₂ H	2-OCF ₃	OCF ₂ H	3-OCF ₃	OCF ₂ H	4-OCF ₃
	OCF ₂ H	2-OCF ₂ H	OCF ₂ H	3-OCF ₂ H	OCF ₂ H	4-OCF ₂ H
	OCF ₂ H	2-OCF ₂ CF ₂ H	OCF ₂ H	3-OCF ₂ CF ₂ H	OCF ₂ H	4-OCF ₂ CF ₂ H
10	OCF ₂ H	2-OCH ₂ CF ₃	OCF ₂ H	3-OCH ₂ CF ₃	OCF ₂ H	4-OCH ₂ CF ₃
	OCF ₂ H	2-SCF ₃	OCF ₂ H	3-SCF ₃	OCF ₂ H	4-SCF ₃
	OCF ₂ H	2-SOCF ₃	OCF ₂ H	3-SOCF ₃	OCF ₂ H	4-SOCF ₃
	OCF ₂ H	2-SO ₂ CF ₃	OCF ₂ H	3-SO ₂ CF ₃	OCF ₂ H	4-SO ₂ CF ₃
	OCF ₂ H	2-SCF ₂ H	OCF ₂ H	3-SCF ₂ H	OCF ₂ H	4-SCF ₂ H
15	OCF ₂ H	2-SOCF ₂ H	OCF ₂ H	3-SOCF ₂ H	OCF ₂ H	4-SOCF ₂ H
	OCF ₂ H	2-SO ₂ CF ₂ H	OCF ₂ H	3-SO ₂ CF ₂ H	OCF ₂ H	4-SO ₂ CF ₂ H
	Me	2-Me-4-CF ₃	F	2-Me-4-CF ₃	Cl	2-Me-4-CF ₃
	Me	2-Me-4-OCF ₃	F	2-Me-4-OCF ₃	Cl	2-Me-4-OCF ₃
	Me	2-Me-4-OCF ₂ H	F	2-Me-4-OCF ₂ H	Cl	2-Me-4-OCF ₂ H
20	Me	2-Me-4-OCH ₂ -CF ₃	F	2-Me-4-OCH ₂ CF ₃	Cl	2-Me-4-OCH ₂ CF ₃
	Me	2-Me-4-SCF ₃	F	2-Me-4-SCF ₃	Cl	2-Me-4-SCF ₃
	Me	2-Me-4-SOCF ₃	F	2-Me-4-SOCF ₃	Cl	2-Me-4-SOCF ₃
	Me	2-Me-4-SO ₂ CF ₃	F	2-Me-4-SO ₂ CF ₃	Cl	2-Me-4-SO ₂ CF ₃
	Me	2-Me-4-SCF ₂ H	F	2-Me-4-SCF ₂ H	Cl	2-Me-4-SCF ₂ H
25	Me	2-Me-4-SOCF ₂ H	F	2-Me-4-SOCF ₂ H	Cl	2-Me-4-SOCF ₂ H

	Me	2-Me-4-SO ₂ CF ₂ H	F	2-Me-4-SO ₂ CF ₂ H	Cl	2-Me-4-SO ₂ CF ₂ H
	Br	2-Me-4-CF ₃	I	2-Me-4-CF ₃	OMe	2-Me-4-CF ₃
	Br	2-Me-4-OCF ₃	I	2-Me-4-OCF ₃	OMe	2-Me-4-OCF ₃
	Br	2-Me-4-OCF ₂ H	I	2-Me-4-OCF ₂ H	OMe	2-Me-4-OCF ₂ H
5	Br	2-Me-4-OCH ₂ -CF ₃	I	2-Me-4-OCH ₂ CF ₃	OMe	2-Me-4-OCH ₂ CF ₃
	Br	2-Me-4-SCF ₃	I	2-Me-4-SCF ₃	OMe	2-Me-4-SCF ₃
	Br	2-Me-4-SOCF ₃	I	2-Me-4-SOCF ₃	OMe	2-Me-4-SOCF ₃
	Br	2-Me-4-SO ₂ CF ₃	I	2-Me-4-SO ₂ CF ₃	OMe	2-Me-4-SO ₂ CF ₃
	Br	2-Me-4-SCF ₂ H	I	2-Me-4-SCF ₂ H	OMe	2-Me-4-SCF ₂ H
10	Br	2-Me-4-SOCF ₂ H	I	2-Me-4-SOCF ₂ H	OMe	2-Me-4-SOCF ₂ H
	Br	2-Me-4-SO ₂ CF ₂ H	I	2-Me-4-SO ₂ CF ₂ H	OMe	2-Me-4-SO ₂ CF ₂ H
	CF ₃	2-Me-4-CF ₃	NO ₂	2-Me-4-CF ₃	SMe	2-Me-4-CF ₃
	CF ₃	2-Me-4-OCF ₃	NO ₂	2-Me-4-OCF ₃	SMe	2-Me-4-OCF ₃
	CF ₃	2-Me-4-OCF ₂ H	NO ₂	2-Me-4-OCF ₂ H	SMe	2-Me-4-OCF ₂ H
15	CF ₃	2-Me-4-OCH ₂ CF ₃	NO ₂	2-Me-4-OCH ₂ CF ₃	SMe	2-Me-4-OCH ₂ CF ₃
	CF ₃	2-Me-4-SCF ₃	NO ₂	2-Me-4-SCF ₃	SMe	2-Me-4-SCF ₃
	CF ₃	2-Me-4-SOCF ₃	NO ₂	2-Me-4-SOCF ₃	SMe	2-Me-4-SOCF ₃
	CF ₃	2-Me-4-SO ₂ CF ₃	NO ₂	2-Me-4-SO ₂ CF ₃	SMe	2-Me-4-SO ₂ CF ₃
	CF ₃	2-Me-4-SCF ₂ H	NO ₂	2-Me-4-SCF ₂ H	SMe	2-Me-4-SCF ₂ H
20	CF ₃	2-Me-4-SOCF ₂ H	NO ₂	2-Me-4-SOCF ₂ H	SMe	2-Me-4-SOCF ₂ H
	CF ₃	2-Me-4-SO ₂ CF ₂ H	NO ₂	2-Me-4-SO ₂ CF ₂ H	SMe	2-Me-4-SO ₂ CF ₂ H

Tabla 5

5



10

	R ³	R ⁴	R ⁷	W	X	Y	Z
	<i>i</i> -Pr	Me	CF ₃	CMe	N	CH	CH
	<i>i</i> -Pr	Cl	CF ₃	CMe	N	CH	CH
15	<i>i</i> -Pr	Br	CF ₃	CMe	N	CH	CH
	<i>i</i> -Pr	I	CF ₃	CMe	N	CH	CH
	<i>i</i> -Pr	F	CF ₃	CMe	N	CH	CH
	<i>i</i> -Pr	H	CF ₃	CMe	N	CH	CH
	<i>i</i> -Pr	Et	CF ₃	CMe	N	CH	CH
20	<i>i</i> -Pr	Me	CF ₃	CMe	CH	N	CH
	<i>i</i> -Pr	Cl	CF ₃	CMe	CH	N	CH
	<i>i</i> -Pr	Br	CF ₃	CMe	CH	N	CH
	<i>i</i> -Pr	I	CF ₃	CMe	CH	N	CH
	<i>i</i> -Pr	F	CF ₃	CMe	CH	N	CH
25	<i>i</i> -Pr	H	CF ₃	CMe	CH	N	CH

	<i>i</i> -Pr	Et	CF ₃	CMe	CH	N	CH
	<i>i</i> -Pr	Me	CF ₃	CMe	CH	CH	N
	<i>i</i> -Pr	Cl	CF ₃	CMe	CH	CH	N
	<i>i</i> -Pr	Br	CF ₃	CMe	CH	CH	N
5	<i>i</i> -Pr	I	CF ₃	CMe	CH	CH	N
	<i>i</i> -Pr	F	CF ₃	CMe	CH	CH	N
	<i>i</i> -Pr	H	CF ₃	CMe	CH	CH	N
	<i>i</i> -Pr	Et	CF ₃	CMe	CH	CH	N
	<i>i</i> -Pr	Me	CF ₃	CMe	N	CH	N
10	<i>i</i> -Pr	Cl	CF ₃	CMe	N	CH	N
	<i>i</i> -Pr	Br	CF ₃	CMe	N	CH	N
	<i>i</i> -Pr	I	CF ₃	CMe	N	CH	N
	<i>i</i> -Pr	F	CF ₃	CMe	N	CH	N
	<i>i</i> -Pr	H	CF ₃	CMe	N	CH	N
15	<i>i</i> -Pr	Et	CF ₃	CMe	N	CH	N
	<i>t</i> -Bu	Me	CF ₃	CMe	N	CH	CH
	<i>t</i> -Bu	Cl	CF ₃	CMe	N	CH	CH
	<i>t</i> -Bu	Br	CF ₃	CMe	N	CH	CH
	<i>t</i> -Bu	I	CF ₃	CMe	N	CH	CH
20	<i>t</i> -Bu	F	CF ₃	CMe	N	CH	CH
	<i>t</i> -Bu	H	CF ₃	CMe	N	CH	CH
	<i>t</i> -Bu	Et	CF ₃	CMe	N	CH	CH
	<i>t</i> -Bu	Me	CF ₃	CMe	CH	N	CH
	<i>t</i> -Bu	Cl	CF ₃	CMe	CH	N	CH
25	<i>t</i> -Bu	Br	CF ₃	CMe	CH	N	CH

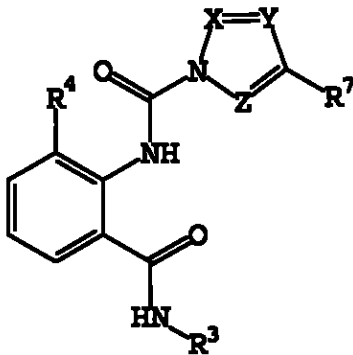
	t-Bu	I	CF ₃	CMe	CH	N	CH
	t-Bu	F	CF ₃	CMe	CH	N	CH
	t-Bu	H	CF ₃	CMe	CH	N	CH
	t-Bu	Et	CF ₃	CMe	CH	N	CH
5	t-Bu	Me	CF ₃	CMe	CH	CH	N
	t-Bu	Cl	CF ₃	CMe	CH	CH	N
	t-Bu	Br	CF ₃	CMe	CH	CH	N
	t-Bu	I	CF ₃	CMe	CH	CH	N
	t-Bu	F	CF ₃	CMe	CH	CH	N
10	t-Bu	H	CF ₃	CMe	CH	CH	N
	t-Bu	Et	CF ₃	CMe	CH	CH	N
	i-Pr	Me	OCF ₃	CMe	N	CH	CH
	i-Pr	Cl	OCF ₃	CMe	N	CH	CH
	i-Pr	Br	OCF ₃	CMe	N	CH	CH
15	i-Pr	I	OCF ₃	CMe	N	CH	CH
	i-Pr	F	OCF ₃	CMe	N	CH	CH
	i-Pr	H	OCF ₃	CMe	N	CH	CH
	i-Pr	Et	OCF ₃	CMe	N	CH	CH
	i-Pr	Me	CF ₃	CH	N	CH	CH
20	i-Pr	Cl	CF ₃	CH	N	CH	CH
	i-Pr	Br	CF ₃	CH	N	CH	CH
	i-Pr	I	CF ₃	CH	N	CH	CH
	i-Pr	F	CF ₃	CH	N	CH	CH
	i-Pr	H	CF ₃	CH	N	CH	CH
25	i-Pr	Et	CF ₃	CH	N	CH	CH

	<i>i</i> -Pr	Me	Cl	CMe	CH	CH	N
	<i>i</i> -Pr	Cl	Cl	CMe	CH	CH	N
	<i>i</i> -Pr	Br	Cl	CMe	CH	CH	N
	<i>i</i> -Pr	I	Cl	CMe	CH	CH	N
5	<i>i</i> -Pr	F	Cl	CMe	CH	CH	N
	<i>i</i> -Pr	H	Cl	CMe	CH	CH	N
	<i>i</i> -Pr	Et	Cl	CMe	CH	CH	N

Tabla 6

10

15



20	<u>R³</u>	<u>R⁴</u>	<u>R⁷</u>	<u>X</u>	<u>Y</u>	<u>Z</u>
	<i>i</i> -Pr	Me	CF ₃	CMe	N	CH
	<i>i</i> -Pr	Cl	CF ₃	CMe	N	CH
	<i>i</i> -Pr	Br	CF ₃	CMe	N	CH
25	<i>i</i> -Pr	I	CF ₃	CMe	N	CH

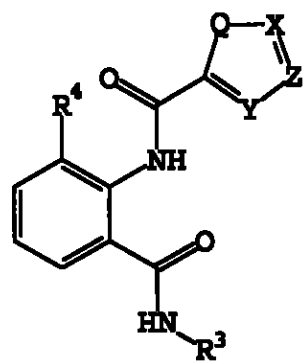
	<i>i</i> -Pr	F	CF ₃	CMe	N	CH
	<i>i</i> -Pr	H	CF ₃	CMe	N	CH
	<i>i</i> -Pr	Et	CF ₃	CMe	N	CH
	<i>i</i> -Pr	Me	CF ₃	CMe	CH	N
5	<i>i</i> -Pr	Cl	CF ₃	CMe	CH	N
	<i>i</i> -Pr	Br	CF ₃	CMe	CH	N
	<i>i</i> -Pr	I	CF ₃	CMe	CH	N
	<i>i</i> -Pr	F	CF ₃	CMe	CH	N
	<i>i</i> -Pr	H	CF ₃	CMe	CH	N
10	<i>i</i> -Pr	Et	CF ₃	CMe	CH	N
	<i>i</i> -Pr	Me	CF ₃	CMe	N	N
	<i>i</i> -Pr	Cl	CF ₃	CMe	N	N
	<i>i</i> -Pr	Br	CF ₃	CMe	N	N
	<i>i</i> -Pr	I	CF ₃	CMe	N	N
15	<i>i</i> -Pr	F	CF ₃	CMe	N	N
	<i>i</i> -Pr	H	CF ₃	CMe	N	N
	<i>i</i> -Pr	Et	CF ₃	CMe	N	N
	<i>i</i> -Pr	Me	CF ₃	CEt	CH	N
	<i>i</i> -Pr	Cl	CF ₃	CEt	CH	N
20	<i>i</i> -Pr	Br	CF ₃	CEt	CH	N
	<i>i</i> -Pr	I	CF ₃	CEt	CH	N
	<i>i</i> -Pr	F	CF ₃	CEt	CH	N
	<i>i</i> -Pr	H	CF ₃	CEt	CH	N
	<i>i</i> -Pr	Et	CF ₃	CEt	CH	N
25	<i>t</i> -Bu	Me	CF ₃	CMe	N	CH

	t-Bu	Cl	CF ₃	CMe	N	CH
	t-Bu	Br	CF ₃	CMe	N	CH
	t-Bu	I	CF ₃	CMe	N	CH
	t-Bu	F	CF ₃	CMe	N	CH
5	t-Bu	H	CF ₃	CMe	N	CH
	t-Bu	Et	CF ₃	CMe	N	CH
	t-Bu	Me	CF ₃	CMe	CH	N
	t-Bu	Cl	CF ₃	CMe	CH	N
	t-Bu	Br	CF ₃	CMe	CH	N
10	t-Bu	I	CF ₃	CMe	CH	N
	t-Bu	F	CF ₃	CMe	CH	N
	t-Bu	H	CF ₃	CMe	CH	N
	t-Bu	Et	CF ₃	CMe	CH	N
	t-Bu	Me	CF ₃	CMe	N	N
15	t-Bu	Cl	CF ₃	CMe	N	N
	t-Bu	Br	CF ₃	CMe	N	N
	t-Bu	I	CF ₃	CMe	N	N
	t-Bu	F	CF ₃	CMe	N	N
	t-Bu	H	CF ₃	CMe	N	N
20	t-Bu	Et	CF ₃	CMe	N	N
	i-Pr	Me	OCF ₃	CMe	CH	N
	i-Pr	Cl	OCF ₃	CMe	CH	N
	i-Pr	Br	OCF ₃	CMe	CH	N
	i-Pr	I	OCF ₃	CMe	CH	N
25	i-Pr	F	OCF ₃	CMe	CH	N

	<i>i</i> -Pr	H	OCF ₃	CMe	CH	N
	<i>i</i> -Pr	Et	OCF ₃	CMe	CH	N
	<i>i</i> -Pr	Me	CF ₃	CH	CH	N
	<i>i</i> -Pr	Cl	CF ₃	CH	CH	N
5	<i>i</i> -Pr	Br	CF ₃	CH	CH	N
	<i>i</i> -Pr	I	CF ₃	CH	CH	N
	<i>i</i> -Pr	F	CF ₃	CH	CH	N
	<i>i</i> -Pr	H	CF ₃	CH	CH	N
	<i>i</i> -Pr	Et	CF ₃	CH	CH	N
10	<i>i</i> -Pr	Me	Cl	CMe	CH	N
	<i>i</i> -Pr	Cl	Cl	CMe	CH	N
	<i>i</i> -Pr	Br	Cl	CMe	CH	N
	<i>i</i> -Pr	I	Cl	CMe	CH	N
	<i>i</i> -Pr	F	Cl	CMe	CH	N
15	<i>i</i> -Pr	H	Cl	CMe	CH	N
	<i>i</i> -Pr	Et	Cl	CMe	CH	N

Tabla 7

20



25

	<u>R³</u>	<u>R⁴</u>	<u>Q</u>	<u>X</u>	<u>Y</u>	<u>Z</u>
	<i>i</i> -Pr	Me	S	CCF ₃	CH	CH
5	<i>i</i> -Pr	Cl	S	CCF ₃	CH	CH
	<i>i</i> -Pr	Br	S	CCF ₃	CH	CH
	<i>i</i> -Pr	I	S	CCF ₃	CH	CH
	<i>i</i> -Pr	F	S	CCF ₃	CH	CH
	<i>i</i> -Pr	H	S	CCF ₃	CH	CH
10	<i>i</i> -Pr	Et	S	CCF ₃	CH	CH
	<i>i</i> -Pr	Me	S	CCF ₃	CMe	CH
	<i>i</i> -Pr	Cl	S	CCF ₃	CMe	CH
	<i>i</i> -Pr	Br	S	CCF ₃	CMe	CH
	<i>i</i> -Pr	I	S	CCF ₃	CMe	CH
15	<i>i</i> -Pr	F	S	CCF ₃	CMe	CH
	<i>i</i> -Pr	H	S	CCF ₃	CMe	CH
	<i>i</i> -Pr	Et	S	CCF ₃	CMe	CH
	<i>t</i> -Bu	Me	S	CCF ₃	CMe	CH
	<i>t</i> -Bu	Cl	S	CCF ₃	CMe	CH
20	<i>t</i> -Bu	Br	S	CCF ₃	CMe	CH
	<i>t</i> -Bu	I	S	CCF ₃	CMe	CH
	<i>t</i> -Bu	F	S	CCF ₃	CMe	CH
	<i>t</i> -Bu	H	S	CCF ₃	CMe	CH
	<i>t</i> -Bu	Et	S	CCF ₃	CMe	CH
25	<i>i</i> -Pr	Me	S	CCF ₃	CMe	N

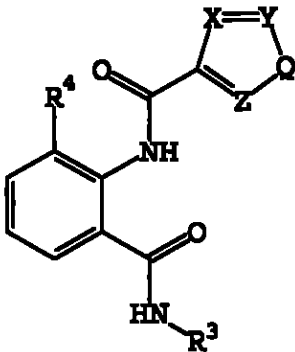
	<i>i</i> -Pr	Cl	S	CCF ₃	CMe	N
	<i>i</i> -Pr	Br	S	CCF ₃	CMe	N
	<i>i</i> -Pr	I	S	CCF ₃	CMe	N
	<i>i</i> -Pr	F	S	CCF ₃	CMe	N
5	<i>i</i> -Pr	H	S	CCF ₃	CMe	N
	<i>i</i> -Pr	Et	S	CCF ₃	CMe	N
	<i>i</i> -Pr	Me	S	COCH ₂ CF ₃	CMe	N
	<i>i</i> -Pr	Cl	S	COCH ₂ CF ₃	CMe	N
	<i>i</i> -Pr	Br	S	COCH ₂ CF ₃	CMe	N
10	<i>i</i> -Pr	I	S	COCH ₂ CF ₃	CMe	N
	<i>i</i> -Pr	F	S	COCH ₂ CF ₃	CMe	N
	<i>i</i> -Pr	H	S	COCH ₂ CF ₃	CMe	N
	<i>i</i> -Pr	Et	S	COCH ₂ CF ₃	CMe	N
	<i>i</i> -Pr	Me	S	COCHF ₂	CMe	N
15	<i>i</i> -Pr	Cl	S	COCHF ₂	CMe	N
	<i>i</i> -Pr	Br	S	COCHF ₂	CMe	N
	<i>i</i> -Pr	I	S	COCHF ₂	CMe	N
	<i>i</i> -Pr	F	S	COCHF ₂	CMe	N
	<i>i</i> -Pr	H	S	COCHF ₂	CMe	N
20	<i>i</i> -Pr	Et	S	COCHF ₂	CMe	N
	<i>i</i> -Pr	Me	O	CCF ₃	CMe	N
	<i>i</i> -Pr	Cl	O	CCF ₃	CMe	N
	<i>i</i> -Pr	Br	O	CCF ₃	CMe	N
	<i>i</i> -Pr	I	O	CCF ₃	CMe	N
25	<i>i</i> -Pr	F	O	CCF ₃	CMe	N

	<i>i</i> -Pr	H	O	CCF ₃	CMe	N
	<i>i</i> -Pr	Et	O	CCF ₃	CMe	N
	<i>i</i> -Pr	Me	NMe	N	CH	CCF ₃
	<i>i</i> -Pr	Cl	NMe	N	CH	CCF ₃
5	<i>i</i> -Pr	Br	NMe	N	CH	CCF ₃
	<i>i</i> -Pr	I	NMe	N	CH	CCF ₃
	<i>i</i> -Pr	F	NMe	N	CH	CCF ₃
	<i>i</i> -Pr	H	NMe	N	CH	CCF ₃
	<i>i</i> -Pr	Et	NMe	N	CH	CCF ₃
10	<i>i</i> -Pr	Me	NEt	N	CH	CCF ₃
	<i>i</i> -Pr	Cl	NEt	N	CH	CCF ₃
	<i>i</i> -Pr	Br	NEt	N	CH	CCF ₃
	<i>i</i> -Pr	I	NEt	N	CH	CCF ₃
	<i>i</i> -Pr	F	NEt	N	CH	CCF ₃
15	<i>i</i> -Pr	H	NEt	N	CH	CCF ₃
	<i>i</i> -Pr	Et	NEt	N	CH	CCF ₃
	<i>i</i> -Pr	Me	NMe	N	CH	CC ₂ F ₃
	<i>i</i> -Pr	Cl	NMe	N	CH	CC ₂ F ₃
	<i>i</i> -Pr	Br	NMe	N	CH	CCF ₃
20	<i>i</i> -Pr	I	NMe	N	CH	CCF ₃
	<i>i</i> -Pr	F	NMe	N	CH	CCF ₃
	<i>i</i> -Pr	H	NMe	N	CH	CCF ₃
	<i>i</i> -Pr	Et	NMe	N	CH	CCF ₃
	<i>t</i> -Bu	Me	NMe	N	CH	CCF ₃
25	<i>t</i> -Bu	Cl	NMe	N	CH	CCF ₃

	t-Bu	Br	NMe	N	CH	CCF ₃
	t-Bu	I	NMe	N	CH	CCF ₃
	t-Bu	F	NMe	N	CH	CCF ₃
	t-Bu	H	NMe	N	CH	CCF ₃
5	t-Bu	Et	NMe	N	CH	CCF ₃
	i-Pr	Me	NMe	CH	N	CCF ₃
	i-Pr	Cl	NMe	CH	N	CCF ₃
	i-Pr	Br	NMe	CH	N	CCF ₃
	i-Pr	I	NMe	CH	N	CCF ₃
10	i-Pr	F	NMe	CH	N	CCF ₃
	i-Pr	H	NMe	CH	N	CCF ₃
	i-Pr	Et	NMe	CH	N	CCF ₃
	i-Pr	Me	NMe	N	N	CCF ₃
	i-Pr	Cl	NMe	N	N	CCF ₃
15	i-Pr	Br	NMe	N	N	CCF ₃
	i-Pr	I	NMe	N	N	CCF ₃
	i-Pr	F	NMe	N	N	CCF ₃
	i-Pr	H	NMe	N	N	CCF ₃
	i-Pr	Et	NMe	N	N	CCF ₃

Tabla 8

5



10

	<u>R³</u>	<u>R⁴</u>	<u>Q</u>	<u>X</u>	<u>Y</u>	<u>Z</u>
15	<i>i</i> -Pr	Me	NCHF ₂	CMe	N	CH
	<i>i</i> -Pr	Cl	NCHF ₂	CMe	N	CH
	<i>i</i> -Pr	Br	NCHF ₂	CMe	N	CH
	<i>i</i> -Pr	I	NCHF ₂	CMe	N	CH
	<i>i</i> -Pr	F	NCHF ₂	CMe	N	CH
20	<i>i</i> -Pr	H	NCHF ₂	CMe	N	CH
	<i>i</i> -Pr	Et	NCHF ₂	CMe	N	CH
	<i>i</i> -Pr	Me	NCHF ₂	CH	N	CMe
	<i>i</i> -Pr	Cl	NCHF ₂	CH	N	CMe
	<i>i</i> -Pr	Br	NCHF ₂	CH	N	CMe
25	<i>i</i> -Pr	I	NCHF ₂	CH	N	CMe

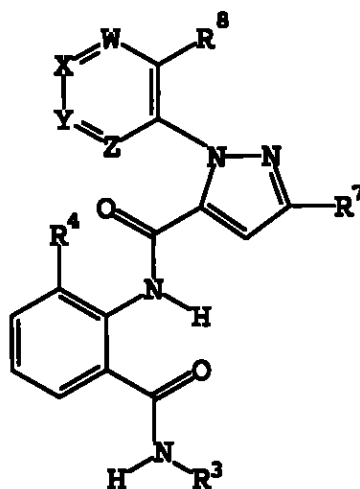
	<i>i</i> -Pr	F	NCHF ₂	CH	N	CMe
	<i>i</i> -Pr	H	NCHF ₂	CH	N	CMe
	<i>i</i> -Pr	Et	NCHF ₂	CH	N	CMe
	<i>i</i> -Pr	Me	NCF ₂ CHF ₂	CMe	N	CH
5	<i>i</i> -Pr	Cl	NCF ₂ CHF ₂	CMe	N	CH
	<i>i</i> -Pr	Br	NCF ₂ CHF ₂	CMe	N	CH
	<i>i</i> -Pr	I	NCF ₂ CHF ₂	CMe	N	CH
	<i>i</i> -Pr	F	NCF ₂ CHF ₂	CMe	N	CH
	<i>i</i> -Pr	H	NCF ₂ CHF ₂	CMe	N	CH
10	<i>i</i> -Pr	Et	NCF ₂ CHF ₂	CMe	N	CH
	<i>i</i> -Pr	Me	NCF ₂ CHF ₂	CH	N	CMe
	<i>i</i> -Pr	Cl	NCF ₂ CHF ₂	CH	N	CMe
	<i>i</i> -Pr	Br	NCF ₂ CHF ₂	CH	N	CMe
	<i>i</i> -Pr	I	NCF ₂ CHF ₂	CH	N	CMe
15	<i>i</i> -Pr	F	NCF ₂ CHF ₂	CH	N	CMe
	<i>i</i> -Pr	H	NCF ₂ CHF ₂	CH	N	CMe
	<i>i</i> -Pr	Et	NCF ₂ CHF ₂	CH	N	CMe
	<i>i</i> -Pr	Me	NCH ₂ CF ₃	CMe	N	CH
	<i>i</i> -Pr	Cl	NCH ₂ CF ₃	CMe	N	CH
20	<i>i</i> -Pr	Br	NCH ₂ CF ₃	CMe	N	CH
	<i>i</i> -Pr	I	NCH ₂ CF ₃	CMe	N	CH
	<i>i</i> -Pr	F	NCH ₂ CF ₃	CMe	N	CH
	<i>i</i> -Pr	H	NCH ₂ CF ₃	CMe	N	CH
	<i>i</i> -Pr	Et	NCH ₂ CF ₃	CMe	N	CH
25	<i>i</i> -Pr	Me	NCH ₂ CF ₃	CH	N	CMe

	<i>i</i> -Pr	Cl	NCH ₂ CF ₃	CH	N	CMe
	<i>i</i> -Pr	Br	NCH ₂ CF ₃	CH	N	CMe
	<i>i</i> -Pr	I	NCH ₂ CF ₃	CH	N	CMe
	<i>i</i> -Pr	F	NCH ₂ CF ₃	CH	N	CMe
5	<i>i</i> -Pr	H	NCH ₂ CF ₃	CH	N	CMe
	<i>i</i> -Pr	Et	NCH ₂ CF ₃	CH	N	CMe
	<i>i</i> -Pr	Me	NCF ₂ CHF ₂	N	CH	CMe.
	<i>i</i> -Pr	Cl	NCF ₂ CHF ₂	N	CH	CMe
	<i>i</i> -Pr	Br	NCF ₂ CHF ₂	N	CH	CMe
10	<i>i</i> -Pr	I	NCF ₂ CHF ₃	N	CH	CMe
	<i>i</i> -Pr	F	NCF ₂ CHF ₂	N	CH	CMe
	<i>i</i> -Pr	H	NCF ₂ CHF ₂	N	CH	CMe
	<i>i</i> -Pr	Et	NCF ₂ CHF ₂	N	CH	CMe

15

Tabla 9

20



25

	W	X	Y	Z	R ³	R ⁴	R ⁷	R ⁸
	CH	CH	CH	CH	<i>i</i> -Pr	Me	CF ₃	Me
5	CH	CH	CH	CH	<i>t</i> -Bu	Me	CF ₃	Me
	CH	CH	CH	CH	<i>i</i> -Pr	Cl	CF ₃	Me
	CH	CH	CH	CH	<i>t</i> -Bu	Cl	CF ₃	Me
	CH	CH	CH	CH	<i>i</i> -Pr	Br	CF ₃	Me
	CH	CH	CH	CH	<i>t</i> -Bu	Br	CF ₃	Me
10	CH	CH	CH	CH	<i>i</i> -Pr	Me	Cl	Me
	CH	CH	CH	CH	<i>t</i> -Bu	Me	Cl	Me
	CH	CH	CH	CH	<i>i</i> -Pr	Cl	Cl	Me
	CH	CH	CH	CH	<i>t</i> -Bu	Cl	Cl	Me
	CH	CH	CH	CH	<i>i</i> -Pr	Br	Cl	Me
15	CH	CH	CH	CH	<i>t</i> -Bu	Br	Cl	Me
	CH	CH	CH	CH	<i>i</i> -Pr	Me	Br	Me
	CH	CH	CH	CH	<i>t</i> -Bu	Me	Br	Me
	CH	CH	CH	CH	<i>i</i> -Pr	Cl	Br	Me
	CH	CH	CH	CH	<i>t</i> -Bu	Cl	Br	Me
20	CH	CH	CH	CH	<i>i</i> -Pr	Br	Br	Me
	CH	CH	CH	CH	<i>t</i> -Bu	Br	Br	Me
	CH	CH	CH	CH	<i>i</i> -Pr	Me	CN	Me
	CH	CH	CH	CH	<i>t</i> -Bu	Me	CN	Me
	CH	CH	CH	CH	<i>i</i> -Pr	Cl	CN	Me
25	CH	CH	CH	CH	<i>t</i> -Bu	Cl	CN	Me

	CH	CH	CH	CH	<i>i</i> -Pr	Br	CN	Me
	CH	CH	CH	CH	<i>t</i> -Bu	Br	CN	Me
	CH	CH	CH	CH	<i>i</i> -Pr	Me	CF ₃	F
	CH	CH	CH	CH	<i>t</i> -Bu	Me	CF ₃	F
5	CH	CH	CH	CH	<i>i</i> -Pr	Cl	CF ₃	F
	CH	CH	CH	CH	<i>t</i> -Bu	Cl	CF ₃	F
	CH	CH	CH	CH	<i>i</i> -Pr	Br	CF ₃	F
	CH	CH	CH	CH	<i>t</i> -Bu	Br	CF ₃	F
	CH	CH	CH	CH	<i>i</i> -Pr	Me	Cl	F
10	CH	CH	CH	CH	<i>t</i> -Bu	Me	Cl	F
	CH	CH	CH	CH	<i>i</i> -Pr	Cl	Cl	F
	CH	CH	CH	CH	<i>t</i> -Bu	Cl	Cl	F
	CH	CH	CH	CH	<i>i</i> -Pr	Br	Cl	F
	CH	CH	CH	CH	<i>t</i> -Bu	Br	Cl	F
15	CH	CH	CH	CH	<i>i</i> -Pr	Me	Br	F
	CH	CH	CH	CH	<i>t</i> -Bu	Me	Br	F
	CH	CH	CH	CH	<i>i</i> -Pr	Cl	Br	F
	CH	CH	CH	CH	<i>t</i> -Bu	Cl	Br	F
	CH	CH	CH	CH	<i>i</i> -Pr	Br	Br	F
20	CH	CH	CH	CH	<i>t</i> -Bu	Br	Br	F
	CH	CH	CH	CH	<i>i</i> -Pr	Me	CN	F
	CH	CH	CH	CH	<i>t</i> -Bu	Me	CN	F
	CH	CH	CH	CH	<i>i</i> -Pr	Cl	CN	F
	CH	CH	CH	CH	<i>t</i> -Bu	Cl	CN	F
25	CH	CH	CH	CH	<i>i</i> -Pr	Br	CN	F

	CH	CH	CH	CH	t-Bu	Br	CN	F
	CH	CH	CH	CH	i-Pr	Me	CF ₃	Cl
	CH	CH	CH	CH	t-Bu	Me	CF ₃	Cl
	CH	CH	CH	CH	i-Pr	Cl	CF ₃	Cl
5	CH	CH	CH	CH	t-Bu	Cl	CF ₃	Cl
	CH	CH	CH	CH	i-Pr	Br	CF ₃	Cl
	CH	CH	CH	CH	t-Bu	Br	CF ₃	Cl
	CH	CH	CH	CH	i-Pr	Me	Cl	Cl
	CH	CH	CH	CH	t-Bu	Me	Cl	Cl
10	CH	CH	CH	CH	i-Pr	Cl	Cl	Cl
	CH	CH	CH	CH	t-Bu	Cl	Cl	Cl
	CH	CH	CH	CH	i-Pr	Br	Cl	Cl
	CH	CH	CH	CH	t-Bu	Br	Cl	Cl
	CH	CH	CH	CH	i-Pr	Me	Br	Cl
15	CH	CH	CH	CH	t-Bu	Me	Br	Cl
	CH	CH	CH	CH	i-Pr	Cl	Br	Cl
	CH	CH	CH	CH	t-Bu	Cl	Br	Cl
	CH	CH	CH	CH	i-Pr	Br	Br	Cl
	CH	CH	CH	CH	t-Bu	Br	Br	Cl
20	CH	CH	CH	CH	i-Pr	Me	CN	Cl
	CH	CH	CH	CH	t-Bu	Me	CN	Cl
	CH	CH	CH	CH	i-Pr	Cl	CN	Cl
	CH	CH	CH	CH	t-Bu	Cl	CN	Cl
	CH	CH	CH	CH	i-Pr	Br	CN	Cl
25	CH	CH	CH	CH	t-Bu	Br	CN	Cl

	CH	CH	CH	CH	<i>i</i> -Pr	Me	CF ₃	Br
	CH	CH	CH	CH	<i>t</i> -Bu	Me	CF ₃	Br
	CH	CH	CH	CH	<i>i</i> -Pr	Cl	CF ₃	Br
	CH	CH	CH	CH	<i>t</i> -Bu	Cl	CF ₃	Br
5	CH	CH	CH	CH	<i>i</i> -Pr	Br	CF ₃	Br
	CH	CH	CH	CH	<i>t</i> -Bu	Br	CF ₃	Br
	CH	CH	CH	CH	<i>i</i> -Pr	Me	Cl	Br
	CH	CH	CH	CH	<i>t</i> -Bu	Me	Cl	Br
	CH	CH	CH	CH	<i>i</i> -Pr	Cl	Cl	Br
10	CH	CH	CH	CH	<i>t</i> -Bu	Cl	Cl	Br
	CH	CH	CH	CH	<i>i</i> -Pr	Br	Cl	Br
	CH	CH	CH	CH	<i>t</i> -Bu	Br	Cl	Br
	CH	CH	CH	CH	<i>i</i> -Pr	Me	Br	Br
	CH	CH	CH	CH	<i>t</i> -Bu	Me	Br	Br
15	CH	CH	CH	CH	<i>i</i> -Pr	Cl	Br	Br
	CH	CH	CH	CH	<i>t</i> -Bu	Cl	Br	Br
	CH	CH	CH	CH	<i>i</i> -Pr	Br	Br	Br
	CH	CH	CH	CH	<i>t</i> -Bu	Br	Br	Br
	CH	CH	CH	CH	<i>i</i> -Pr	Me	CN	Br
20	CH	CH	CH	CH	<i>t</i> -Bu	Me	CN	Br
	CH	CH	CH	CH	<i>i</i> -Pr	Cl	CN	Br
	CH	CH	CH	CH	<i>t</i> -Bu	Cl	CN	Br
	CH	CH	CH	CH	<i>i</i> -Pr	Br	CN	Br
	CH	CH	CH	CH	<i>t</i> -Bu	Br	CN	Br
25	CH	CH	CH	CH	<i>i</i> -Pr	Me	CF ₃	CN

	CH	CH	CH	CH	<i>t</i> -Bu	Me	CF ₃	CN
	CH	CH	CH	CH	<i>i</i> -Pr	Cl	CF ₃	CN
	CH	CH	CH	CH	<i>t</i> -Bu	Cl	CF ₃	CN
	CH	CH	CH	CH	<i>i</i> -Pr	Br	CF ₃	CN
5	CH	CH	CH	CH	<i>t</i> -Bu	Br	CF ₃	CN
	CH	CH	CH	CH	<i>i</i> -Pr	Me	Cl	CN
	CH	CH	CH	CH	<i>t</i> -Bu	Me	Cl	CN
	CH	CH	CH	CH	<i>i</i> -Pr	Cl	Cl	CN
	CH	CH	CH	CH	<i>t</i> -Bu	Cl	Cl	CN
10	CH	CH	CH	CH	<i>i</i> -Pr	Br	Cl	CN
	CH	CH	CH	CH	<i>t</i> -Bu	Br	Cl	CN
	CH	CH	CH	CH	<i>i</i> -Pr	Me	Br	CN
	CH	CH	CH	CH	<i>t</i> -Bu	Me	Br	CN
	CH	CH	CH	CH	<i>i</i> -Pr	Cl	Br	CN
15	CH	CH	CH	CH	<i>t</i> -Bu	Cl	Br	CN
	CH	CH	CH	CH	<i>i</i> -Pr	Br	Br	CN
	CH	CH	CH	CH	<i>t</i> -Bu	Br	Br	CN
	CH	CH	CH	CH	<i>i</i> -Pr	Me	CN	CN
	CH	CH	CH	CH	<i>t</i> -Bu	Me	CN	CN
20	CH	CH	CH	CH	<i>i</i> -Pr	Cl	CN	CN
	CH	CH	CH	CH	<i>t</i> -Bu	Cl	CN	CN
	CH	CH	CH	CH	<i>i</i> -Pr	Br	CN	CN
	CH	CH	CH	CH	<i>t</i> -Bu	Br	CN	CN
	CH	CH	CH	N	<i>i</i> -Pr	Me	CF ₃	Me

	CH	CH	CH	N	t-Bu	Me	CF ₃	Me
	CH	CH	CH	N	i-Pr	Cl	CF ₃	Me
	CH	CH	CH	N	t-Bu	Cl	CF ₃	Me
	CH	CH	CH	N	i-Pr	Br	CF ₃	Me
5	CH	CH	CH	N	t-Bu	Br	CF ₃	Me
	CH	CH	CH	N	i-Pr	Me	Cl	Me
	CH	CH	CH	N	t-Bu	Me	Cl	Me
	CH	CH	CH	N	i-Pr	Cl	Cl	Me
	CH	CH	CH	N	t-Bu	Cl	Cl	Me
10	CH	CH	CH	N	i-Pr	Br	Cl	Me
	CH	CH	CH	N	t-Bu	Br	Cl	Me
	CH	CH	CH	N	i-Pr	Me	Br	Me
	CH	CH	CH	N	t-Bu	Me	Br	Me
	CH	CH	CH	N	i-Pr	Cl	Br	Me
15	CH	CH	CH	N	t-Bu	Cl	Br	Me
	CH	CH	CH	N	i-Pr	Br	Br	Me
	CH	CH	CH	N	t-Bu	Br	Br	Me
	CH	CH	CH	N	i-Pr	Me	CN	Me
	CH	CH	CH	N	t-Bu	Me	CN	Me
20	CH	CH	CH	N	i-Pr	Cl	CN	Me
	CH	CH	CH	N	t-Bu	Cl	CN	Me
	CH	CH	CH	N	i-Pr	Br	CN	Me
	CH	CH	CH	N	t-Bu	Br	CN	Me
	CH	CH	CH	N	i-Pr	Me	CF ₃	F
25	CH	CH	CH	N	t-Bu	Me	CF ₃	F

	CH	CH	CH	N	i-Pr	Cl	CF ₃	F
	CH	CH	CH	N	t-Bu	Cl	CF ₃	F
	CH	CH	CH	N	i-Pr	Br	CF ₃	F
	CH	CH	CH	N	t-Bu	Br	CF ₃	F
5	CH	CH	CH	N	i-Pr	Me	Cl	F
	CH	CH	CH	N	t-Bu	Me	Cl	F
	CH	CH	CH	N	i-Pr	Cl	Cl	F
	CH	CH	CH	N	t-Bu	Cl	Cl	F
	CH	CH	CH	N	i-Pr	Br	Cl	F
10	CH	CH	CH	N	t-Bu	Br	Cl	F
	CH	CH	CH	N	i-Pr	Me	Br	F
	CH	CH	CH	N	t-Bu	Me	Br	F
	CH	CH	CH	N	i-Pr	Cl	Br	F
	CH	CH	CH	N	t-Bu	Cl	Br	F
15	CH	CH	CH	N	i-Pr	Br	Br	F
	CH	CH	CH	N	t-Bu	Br	Br	F
	CH	CH	CH	N	i-Pr	Me	CN	F
	CH	CH	CH	N	t-Bu	Me	CN	F
	CH	CH	CH	N	i-Pr	Cl	CN	F
20	CH	CH	CH	N	t-Bu	Cl	CN	F
	CH	CH	CH	N	i-Pr	Br	CN	F
	CH	CH	CH	N	t-Bu	Br	CN	F
	CH	CH	CH	N	i-Pr	Me	CF ₃	Cl
	CH	CH	CH	N	t-Bu	Me	CF ₃	Cl
25	CH	CH	CH	N	i-Pr	Cl	CF ₃	Cl

261
78)

	CH	CH	CH	N	t-Bu	Cl	CF ₃	Cl
	CH	CH	CH	N	i-Pr	Br	CF ₃	Cl
	CH	CH	CH	N	t-Bu	Br	CF ₃	Cl
	CH	CH	CH	N	i-Pr	Me	Cl	Cl
5	CH	CH	CH	N	t-Bu	Me	Cl	Cl
	CH	CH	CH	N	i-Pr	Cl	Cl	Cl
	CH	CH	CH	N	t-Bu	Cl	Cl	Cl
	CH	CH	CH	N	i-Pr	Br	Cl	Cl
	CH	CH	CH	N	t-Bu	Br	Cl	Cl
10	CH	CH	CH	N	i-Pr	Me	Br	Cl
	CH	CH	CH	N	t-Bu	Me	Br	Cl
	CH	CH	CH	N	i-Pr	Cl	Br	Cl
	CH	CH	CH	N	t-Bu	Cl	Br	Cl
	CH	CH	CH	N	i-Pr	Br	Br	Cl
15	CH	CH	CH	N	t-Bu	Br	Br	Cl
	CH	CH	CH	N	i-Pr	Me	CN	Cl
	CH	CH	CH	N	t-Bu	Me	CN	Cl
	CH	CH	CH	N	i-Pr	Cl	CN	Cl
	CH	CH	CH	N	t-Bu	Cl	CN	Cl
20	CH	CH	CH	N	i-Pr	Br	CN	Cl
	CH	CH	CH	N	t-Bu	Br	CN	Cl
	CH	CH	CH	N	i-Pr	Me	CF ₃	Br
	CH	CH	CH	N	t-Bu	Me	CF ₃	Br
	CH	CH	CH	N	i-Pr	Cl	CF ₃	Br
25	CH	CH	CH	N	t-Bu	Cl	CF ₃	Br

202
288

	CH	CH	CH	N	i-Pr	Br	CF ₃	Br
	CH	CH	CH	N	t-Bu	Br	CF ₃	Br
	CH	CH	CH	N	i-Pr	Me	Cl	Br
	CH	CH	CH	N	t-Bu	Me	Cl	Br
5	CH	CH	CH	N	i-Pr	Cl	Cl	Br
	CH	CH	CH	N	t-Bu	Cl	Cl	Br
	CH	CH	CH	N	i-Pr	Br	Cl	Br
	CH	CH	CH	N	t-Bu	Br	Cl	Br
	CH	CH	CH	N	i-Pr	Me	Br	Br
10	CH	CH	CH	N	t-Bu	Me	Br	Br
	CH	CH	CH	N	i-Pr	Cl	Br	Br
	CH	CH	CH	N	t-Bu	Cl	Br	Br
	CH	CH	CH	N	i-Pr	Br	Br	Br
	CH	CH	CH	N	t-Bu	Br	Br	Br
15	CH	CH	CH	N	i-Pr	Me	CN	Br
	CH	CH	CH	N	t-Bu	Me	CN	Br
	CH	CH	CH	N	i-Pr	Cl	CN	Br
	CH	CH	CH	N	t-Bu	Cl	CN	Br
	CH	CH	CH	N	i-Pr	Br	CN	Br
20	CH	CH	CH	N	t-Bu	Br	CN	Br
	CH	CH	CH	N	i-Pr	Me	CF ₃	CN
	CH	CH	CH	N	t-Bu	Me	CF ₃	CN
	CH	CH	CH	N	i-Pr	Cl	CF ₃	CN
	CH	CH	CH	N	t-Bu	Cl	CF ₃	CN
25	CH	CH	CH	N	i-Pr	Br	CF ₃	CN

273

289

	CH	CH	CH	N	t-Bu	Br	CF ₃	CN
	CH	CH	CH	N	i-Pr	Me	Cl	CN
	CH	CH	CH	N	t-Bu	Me	Cl	CN
	CH	CH	CH	N	i-Pr	Cl	Cl	CN
5	CH	CH	CH	N	t-Bu	Cl	Cl	CN
	CH	CH	CH	N	i-Pr	Br	Cl	CN
	CH	CH	CH	N	t-Bu	Br	Cl	CN
	CH	CH	CH	N	i-Pr	Me	Br	CN
	CH	CH	CH	N	t-Bu	Me	Br	CN
10	CH	CH	CH	N	i-Pr	Cl	Br	CN
	CH	CH	CH	N	t-Bu	Cl	Br	CN
	CH	CH	CH	N	i-Pr	Br	Br	CN
	CH	CH	CH	N	t-Bu	Br	Br	CN
	CH	CH	CH	N	i-Pr	Me	CN	CN
15	CH	CH	CH	N	t-Bu	Me	CN	CN
	CH	CH	CH	N	i-Pr	Cl	CN	CN
	CH	CH	CH	N	t-Bu	Cl	CN	CN
	CH	CH	CH	N	i-Pr	Br	CN	CN
	CH	CH	CH	N	t-Bu	Br	CN	CN
20	CH	CH	CH	CH	Me	Me	CF ₃	F
	CH	CH	CH	CH	Et	Me	CF ₃	F
	CH	CH	CH	CH	CH(CH ₃)CH ₂ OCH ₃	Me	CF ₃	F
	CH	CH	CH	CH	CH(CH ₃)CH ₂ SCH ₃	Me	CF ₃	F
	CH	CH	CH	CH	propargilo	Me	CF ₃	F
25	CH	CH	CH	CH	Me	Me	CF ₃	Cl

294
290

	CH	CH	CH	CH	Et	Me	CF ₃	Cl
	CH	CH	CH	CH	CH(CH ₃)CH ₂ OCH ₃	Me	CF ₃	Cl
	CH	CH	CH	CH	CH(CH ₃)CH ₂ SCH ₃	Me	CF ₃	Cl
	CH	CH	CH	CH	propargilo	Me	CF ₃	Cl
5	CH	CH	CH	CH	Me	Me	Br	F
	CH	CH	CH	CH	Et	Me	Br	F
	CH	CH	CH	CH	CH(CH ₃)CH ₂ OCH ₃	Me	Br	F
	CH	CH	CH	CH	CH(CH ₃)CH ₂ SCH ₃	Me	Br	F
	CH	CH	CH	CH	propargilo	Me	Br	F
10	CH	CH	CH	CH	Me	Me	Br	Cl
	CH	CH	CH	CH	Et	Me	Br	Cl
	CH	CH	CH	CH	CH(CH ₃)CH ₂ OCH ₃	Me	Br	Cl
	CH	CH	CH	CH	CH(CH ₃)CH ₂ SCH ₃	Me	Br	Cl
	CH	CH	CH	CH	propargilo	Me	Br	Cl
15	CH	CH	CH	CH	Me	Cl	CF ₃	F
	CH	CH	CH	CH	Et	Cl	CF ₃	F
	CH	CH	CH	CH	CH(CH ₃)CH ₂ OCH ₃	Cl	CF ₃	F
	CH	CH	CH	CH	CH(CH ₃)CH ₂ SCH ₃	Cl	CF ₃	F
	CH	CH	CH	CH	propargilo	Cl	CF ₃	F
20	CH	CH	CH	CH	Me	Cl	CF ₃	Cl
	CH	CH	CH	CH	Et	Cl	CF ₃	Cl
	CH	CH	CH	CH	CH(CH ₃)CH ₂ OCH ₃	Cl	CF ₃	Cl
	CH	CH	CH	CH	CH(CH ₃)CH ₂ SCH ₃	Cl	CF ₃	Cl
	CH	CH	CH	CH	propargilo	Cl	CF ₃	Cl
25	CH	CH	CH	CH	Me	Cl	Br	F

291

	CH	CH	CH	CH	Et	Cl	Br	F
	CH	CH	CH	CH	CH(CH ₃)CH ₂ OCH ₃	Cl	Br	F
	CH	CH	CH	CH	CH(CH ₃)CH ₂ SCH ₃	Cl	Br	F
	CH	CH	CH	CH	propargilo	Cl	Br	F
5	CH	CH	CH	CH	Me	Cl	Br	Cl
	CH	CH	CH	CH	Et	Cl	Br	Cl
	CH	CH	CH	CH	CH(CH ₃)CH ₂ OCH ₃	Cl	Br	Cl
	CH	CH	CH	CH	CH(CH ₃)CH ₂ SCH ₃	Cl	Br	Cl
	CH	CH	CH	CH	propargilo	Cl	Br	Cl
10	CH	CH	CH	N	Me	Me	CF ₃	F
	CH	CH	CH	N	Et	Me	CF ₃	F
	CH	CH	CH	N	CH(CH ₃)CH ₂ OCH ₃	Me	CF ₃	F
	CH	CH	CH	N	CH(CH ₃)CH ₂ SCH ₃	Me	CF ₃	F
	CH	CH	CH	N	propargilo	Me	CF ₃	F
15	CH	CH	CH	N	Me	Me	CF ₃	Cl
	CH	CH	CH	N	Et	Me	CF ₃	Cl
	CH	CH	CH	N	CH(CH ₃)CH ₂ OCH ₃	Me	CF ₃	Cl
	CH	CH	CH	N	CH(CH ₃)CH ₂ SCH ₃	Me	CF ₃	Cl
	CH	CH	CH	N	propargilo	Me	CF ₃	Cl
20	CH	CH	CH	N	Me	Me	Br	F
	CH	CH	CH	N	Et	Me	Br	F
	CH	CH	CH	N	CH(CH ₃)CH ₂ OCH ₃	Me	Br	F
	CH	CH	CH	N	CH(CH ₃)CH ₂ SCH ₃	Me	Br	F
	CH	CH	CH	N	propargilo	Me	Br	F
25	CH	CH	CH	N	Me	Me	Br	Cl

26
792

	CH	CH	CH	N	Et	Me	Br	Cl
	CH	CH	CH	N	CH(CH ₃)CH ₂ OCH ₃	Me	Br	Cl
	CH	CH	CH	N	CH(CH ₃)CH ₂ SCH ₃	Me	Br	Cl
	CH	CH	CH	N	propargilo	Me	Br	Cl
5	CH	CH	CH	N	Me	Cl	CF ₃	F
	CH	CH	CH	N	Et	Cl	CF ₃	F
	CH	CH	CH	N	CH(CH ₃)CH ₂ OCH ₃	Cl	CF ₃	F
	CH	CH	CH	N	CH(CH ₃)CH ₂ SCH ₃	Cl	CF ₃	F
	CH	CH	CH	N	propargilo	Cl	CF ₃	F
10	CH	CH	CH	N	Me	Cl	CF ₃	Cl
	CH	CH	CH	N	Et	Cl	CF ₃	Cl
	CH	CH	CH	N	CH(CH ₃)CH ₂ OCH ₃	Cl	CF ₃	Cl
	CH	CH	CH	N	CH(CH ₃)CH ₂ SCH ₃	Cl	CF ₃	Cl
	CH	CH	CH	N	propargilo	Cl	CF ₃	Cl
15	CH	CH	CH	N	Me	Cl	Br	F
	CH	CH	CH	N	Et	Cl	Br	F
	CH	CH	CH	N	CH(CH ₃)CH ₂ OCH ₃	Cl	Br	F
	CH	CH	CH	N	CH(CH ₃)CH ₂ SCH ₃	Cl	Br	F
	CH	CH	CH	N	propargilo	Cl	Br	F
20	CH	CH	CH	N	Me	Cl	Br	Cl
	CH	CH	CH	N	Et	Cl	Br	Cl
	CH	CH	CH	N	CH(CH ₃)CH ₂ OCH ₃	Cl	Br	Cl
	CH	CH	CH	N	CH(CH ₃)CH ₂ SCH ₃	Cl	Br	Cl
	CH	CH	CH	N	propargilo	Cl	Br	Cl
25	C-Cl	CH	CH	CH	i-Pr	Me	CF ₃	Cl

247
293

	C-F	CH	CH	CH	i-Pr	Me	CF ₃	F
	CH	CH	CH	CH	i-Pr	Me	CF ₃	acetileno
	CH	CH	CH	CH	i-Pr	Me	CF ₃	I
	CH	CH	CH	CH	i-Pr	Me	CF ₃	SO ₂ Me
5	C-Cl	CH	CH	CH	i-Pr	Cl	CF ₃	Cl
	C-F	CH	CH	CH	i-Pr	Cl	CF ₃	F
	CH	CH	CH	CH	i-Pr	Cl	CF ₃	acetileno
	CH	CH	CH	CH	i-Pr	Cl	CF ₃	I
	CH	CH	CH	CH	i-Pr	Cl	CF ₃	SO ₂ Me
10	C-Cl	CH	CH	CH	i-Pr	Me	Br	Cl
	C-F	CH	CH	CH	i-Pr	Me	Br	F
	CH	CH	CH	CH	i-Pr	Me	Br	acetileno
	CH	CH	CH	CH	i-Pr	Me	Br	I
	CH	CH	CH	CH	i-Pr	Me	Br	SO ₂ Me
15	C-Cl	CH	CH	CH	i-Pr	Cl	Br	Cl
	C-F	CH	CH	CH	i-Pr	Cl	Br	F
	CH	CH	CH	CH	i-Pr	Cl	Br	acetileno
	CH	CH	CH	CH	i-Pr	Cl	Br	I
	CH	CH	CH	CH	i-Pr	Cl	Br	SO ₂ Me
20	C-Cl	CH	CH	N	i-Pr	Me	CF ₃	Cl
	C-F	CH	CH	N	i-Pr	Me	CF ₃	F
	CH	CH	CH	N	i-Pr	Me	CF ₃	acetileno
	CH	CH	CH	N	i-Pr	Me	CF ₃	I
	CH	CH	CH	N	i-Pr	Me	CF ₃	SO ₂ Me
25	C-Cl	CH	CH	N	i-Pr	Cl	CF ₃	Cl

248
294

	C-F	CH	CH	N	i-Pr	Cl	CF ₃	F
	CH	CH	CH	N	i-Pr	Cl	CF ₃	acetileno
	CH	CH	CH	N	i-Pr	Cl	CF ₃	I
	CH	CH	CH	N	i-Pr	Cl	CF ₃	SO ₂ Me
5	C-Cl	CH	CH	N	i-Pr	Me	Br	Cl
	C-F	CH	CH	N	i-Pr	Me	Br	F
	CH	CH	CH	N	i-Pr	Me	Br	acetileno
	CH	CH	CH	N	i-Pr	Me	Br	I
	CH	CH	CH	N	i-Pr	Me	Br	SO ₂ Me
10	C-Cl	CH	CH	N	i-Pr	Cl	Br	Cl
	C-F	CH	CH	N	i-Pr	Cl	Br	F
	CH	CH	CH	N	i-Pr	Cl	Br	acetileno
	CH	CH	CH	N	i-Pr	Cl	Br	I
	CH	CH	CH	N	i-Pr	Cl	Br	SO ₂ Me
15	CH	N	CH	N	i-Pr	Me	CF ₃	H
	CH	N	CH	N	i-Pr	Me	CF ₃	Me
	CH	N	CH	N	i-Pr	Me	CF ₃	Cl
	CH	N	CH	N	i-Pr	Cl	CF ₃	H
	CH	N	CH	N	i-Pr	Cl	CF ₃	Me
20	CH	N	CH	N	i-Pr	Cl	CF ₃	Cl
	CH	N	CH	N	i-Pr	Me	CN	H
	CH	N	CH	N	i-Pr	Me	CN	Me
	CH	N	CH	N	i-Pr	Me	CN	Cl
	CH	N	CH	N	i-Pr	Cl	CN	H
25	CH	N	CH	N	i-Pr	Cl	CN	Me

294
295

	CH	N	CH	N	i-Pr	Cl	CN	Cl
	CH	N	CH	N	i-Pr	Me	Br	H
	CH	N	CH	N	i-Pr	Me	Br	Me
	CH	N	CH	N	i-Pr	Me	Br	Cl
5	CH	N	CH	N	i-Pr	Cl	Br	H
	CH	N	CH	N	i-Pr	Cl	Br	Me
	CH	N	CH	N	i-Pr	Cl	Br	Cl
	CH	N	CH	N	t-Bu	Me	CF ₃	H
	CH	N	CH	N	t-Bu	Me	CF ₃	Me
10	CH	N	CH	N	t-Bu	Me	CF ₃	Cl
	CH	N	CH	N	t-Bu	Cl	CF ₃	H
	CH	N	CH	N	t-Bu	Cl	CF ₃	Me
	CH	N	CH	N	t-Bu	Cl	CF ₃	Cl
	CH	N	CH	N	t-Bu	Me	CN	H
15	CH	N	CH	N	t-Bu	Me	CN	Me
	CH	N	CH	N	t-Bu	Me	CN	Cl
	CH	N	CH	N	t-Bu	Cl	CN	H
	CH	N	CH	N	t-Bu	Cl	CN	Me
	CH	N	CH	N	t-Bu	Cl	CN	Cl
20	CH	N	CH	N	t-Bu	Me	Br	H
	CH	N	CH	N	t-Bu	Me	Br	Me
	CH	N	CH	N	t-Bu	Me	Br	Cl
	CH	N	CH	N	t-Bu	Cl	Br	H
	CH	N	CH	N	t-Bu	Cl	Br	Me
25	CH	N	CH	N	t-Bu	Cl	Br	Cl

200
196

	CH	CH	N	N	i-Pr	Me	CF ₃	H
	CH	CH	N	N	i-Pr	Me	CF ₃	Me
	CH	CH	N	N	i-Pr	Me	CF ₃	Cl
	CH	CH	N	N	i-Pr	Cl	CF ₃	H
5	CH	CH	N	N	i-Pr	Cl	CF ₃	Me
	CH	CH	N	N	i-Pr	Cl	CF ₃	Cl
	CH	CH	N	N	i-Pr	Me	CN	H
	CH	CH	N	N	i-Pr	Me	CN	Me
	CH	CH	N	N	i-Pr	Me	CN	Cl
10	CH	CH	N	N	i-Pr	Cl	CN	H
	CH	CH	N	N	i-Pr	Cl	CN	Me
	CH	CH	N	N	i-Pr	Cl	CN	Cl
	CH	CH	N	N	i-Pr	Me	Br	H
	CH	CH	N	N	i-Pr	Me	Br	Me
15	CH	CH	N	N	i-Pr	Me	Br	Cl
	CH	CH	N	N	i-Pr	Cl	Br	H
	CH	CH	N	N	i-Pr	Cl	Br	Me
	CH	CH	N	N	i-Pr	Cl	Br	Cl
	CH	CH	N	N	i-Pr	Me	CF ₃	H
20	CH	CH	N	N	i-Pr	Me	CF ₃	Me
	CH	CH	N	N	i-Pr	Me	CF ₃	Cl
	CH	CH	N	N	i-Pr	Cl	CF ₃	H
	CH	CH	N	N	i-Pr	Cl	CF ₃	Me
	CH	CH	N	N	i-Pr	Cl	CF ₃	Cl
25	CH	CH	N	N	i-Pr	Me	CN	H

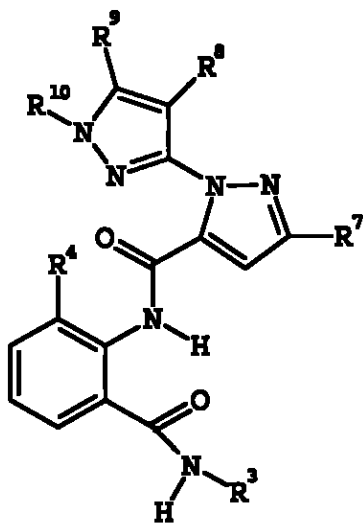
302
298

	R ³	R ⁴	R ⁷	R ⁸	R ⁹	R ¹⁰
	Me	CF ₃	i-Pr	Me	H	H
	Me	CF ₃	i-Pr	Me	H	Me
5	Me	CF ₃	i-Pr	Me	Cl	H
	Me	CF ₃	i-Pr	Me	Cl	Me
	Me	CF ₃	i-Pr	Me	Me	Me
	Cl	CF ₃	i-Pr	Me	H	H
	Cl	CF ₃	i-Pr	Me	H	Me
10	Cl	CF ₃	i-Pr	Me	Cl	H
	Cl	CF ₃	i-Pr	Me	Cl	Me
	Cl	CF ₃	i-Pr	Me	Me	Me
	Me	CF ₃	t-Bu	Me	H	H
	Me	CF ₃	t-Bu	Me	H	Me
15	Me	CF ₃	t-Bu	Me	Cl	H
	Me	CF ₃	t-Bu	Me	Cl	Me
	Me	CF ₃	t-Bu	Me	Me	Me
	Cl	CF ₃	t-Bu	Me	H	H
	Cl	CF ₃	t-Bu	Me	H	Me
20	Cl	CF ₃	t-Bu	Me	Cl	H
	Cl	CF ₃	t-Bu	Me	Cl	Me
	Cl	CF ₃	t-Bu	Me	Me	Me

703
299

Tabla 11

5



10

	<u>R³</u>	<u>R⁴</u>	<u>R⁷</u>	<u>R⁸</u>	<u>R⁹</u>	<u>R¹⁰</u>
15	Me	CF ₃	i-Pr	Me	H	Me
	Me	CF ₃	i-Pr	Me	Me	Me
	Me	CF ₃	i-Pr	Cl	H	Me
	Me	CF ₃	i-Pr	Cl	Me	Me
	Cl	CF ₃	i-Pr	Me	H	Me
20	Cl	CF ₃	i-Pr	Me	Me	Me
	Cl	CF ₃	i-Pr	Cl	H	Me
	Cl	CF ₃	i-Pr	Cl	Me	Me
	Me	CF ₃	t-Bu	Me	H	Me
	Me	CF ₃	t-Bu	Me	Me	Me
25	Me	CF ₃	t-Bu	Cl	H	Me

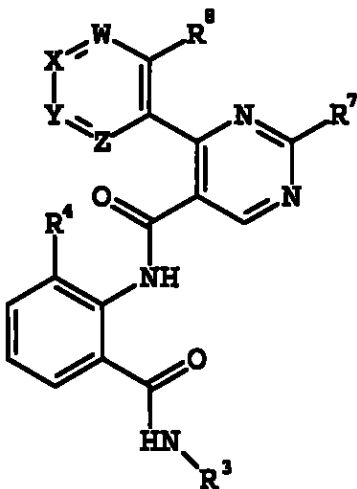
309
300

	Me	CF ₃	t-Bu	Cl	Me	Me
	Cl	CF ₃	t-Bu	Me	H	Me
	Cl	CF ₃	t-Bu	Me	Me	Me
	Cl	CF ₃	t-Bu	Cl	H	Me
5	Cl	CF ₃	t-Bu	Cl	Me	Me

Tabla 12

10

15



20

25

W	X	Y	Z	R ³	R ⁴	R ⁷	R ⁸
CH	CH	CH	CH	Et	Me	CF ₃	Cl
CH	CH	CH	CH	i-Pr	Me	CF ₃	Cl
CH	CH	CH	CH	t-Bu	Me	CF ₃	Cl
CH	CH	CH	CH	Et	Me	CF ₃	Br
CH	CH	CH	CH	i-Pr	Me	CF ₃	Br

	CH	CH	CH	CH	t-Bu	Me	CF ₃	Br
	CH	CH	CH	CH	Et	Me	CF ₃	I
	CH	CH	CH	CH	i-Pr	Me	CF ₃	I
	CH	CH	CH	CH	t-Bu	Me	CF ₃	I
5	CH	CH	CH	CH	Et	Me	CF ₃	F
	CH	CH	CH	CH	i-Pr	Me	CF ₃	F
	CH	CH	CH	CH	t-Bu	Me	CF ₃	F
	CH	CH	CH	CH	Et	Me	CF ₃	Me
	CH	CH	CH	CH	i-Pr	Me	CF ₃	Me
10	CH	CH	CH	CH	t-Bu	Me	CF ₃	Me
	CH	CH	CH	CH	Et	Me	CF ₃	CF ₃
	CH	CH	CH	CH	i-Pr	Me	CF ₃	CF ₃
	CH	CH	CH	CH	t-Bu	Me	CF ₃	CF ₃
	CH	CH	CH	CH	Et	Me	CF ₃	OMe
15	CH	CH	CH	CH	i-Pr	Me	CF ₃	OMe
	CH	CH	CH	CH	t-Bu	Me	CF ₃	OMe
	CH	CH	CH	CH	Et	Me	CF ₃	CN
	CH	CH	CH	CH	i-Pr	Me	CF ₃	CN
	CH	CH	CH	CH	t-Bu	Me	CF ₃	CN
20	CH	CH	CH	CH	Et	Cl	CF ₃	Cl
	CH	CH	CH	CH	i-Pr	Cl	CF ₃	Cl
	CH	CH	CH	CH	t-Bu	Cl	CF ₃	Cl
	CH	CH	CH	CH	Et	Cl	CF ₃	Br
	CH	CH	CH	CH	i-Pr	Cl	CF ₃	Br
25	CH	CH	CH	CH	t-Bu	Cl	CF ₃	Br

	CH	CH	CH	CH	Et	Cl	CF ₃	I
	CH	CH	CH	CH	i-Pr	Cl	CF ₃	I
	CH	CH	CH	CH	t-Bu	Cl	CF ₃	I
	CH	CH	CH	CH	Et	Cl	CF ₃	F
5	CH	CH	CH	CH	i-Pr	Cl	CF ₃	F
	CH	CH	CH	CH	t-Bu	Cl	CF ₃	F
	CH	CH	CH	CH	Et	Cl	CF ₃	Me
	CH	CH	CH	CH	i-Pr	Cl	CF ₃	Me
	CH	CH	CH	CH	t-Bu	Cl	CF ₃	Me
10	CH	CH	CH	CH	Et	Cl	CF ₃	CF ₃
	CH	CH	CH	CH	i-Pr	Cl	CF ₃	CF ₃
	CH	CH	CH	CH	t-Bu	Cl	CF ₃	CF ₃
	CH	CH	CH	CH	Et	Cl	CF ₃	OMe
	CH	CH	CH	CH	i-Pr	Cl	CF ₃	OMe
15	CH	CH	CH	CH	t-Bu	Cl	CF ₃	OMe
	CH	CH	CH	CH	Et	Cl	CF ₃	CN
	CH	CH	CH	CH	i-Pr	Cl	CF ₃	CN
	CH	CH	CH	CH	t-Bu	Cl	CF ₃	CN
	CH	CH	CH	N	Et	Me	CF ₃	Cl
20	CH	CH	CH	N	i-Pr	Me	CF ₃	Cl
	CH	CH	CH	N	t-Bu	Me	CF ₃	Cl
	CH	CH	CH	N	Et	Me	CF ₃	Br
	CH	CH	CH	N	i-Pr	Me	CF ₃	Br
	CH	CH	CH	N	t-Bu	Me	CF ₃	Br
25	CH	CH	CH	N	Et	Me	CF ₃	I

	CH	CH	CH	N	i-Pr	Me	CF ₃	I
	CH	CH	CH	N	t-Bu	Me	CF ₃	I
	CH	CH	CH	N	Et	Me	CF ₃	F
	CH	CH	CH	N	i-Pr	Me	CF ₃	F
5	CH	CH	CH	N	t-Bu	Me	CF ₃	F
	CH	CH	CH	N	Et	Me	CF ₃	Me
	CH	CH	CH	N	i-Pr	Me	CF ₃	Me
	CH	CH	CH	N	t-Bu	Me	CF ₃	Me
	CH	CH	CH	N	Et	Me	CF ₃	CF ₃
10	CH	CH	CH	N	i-Pr	Me	CF ₃	CF ₃
	CH	CH	CH	N	t-Bu	Me	CF ₃	CF ₃
	CH	CH	CH	N	Et	Me	CF ₃	OMe
	CH	CH	CH	N	i-Pr	Me	CF ₃	OMe
	CH	CH	CH	N	t-Bu	Me	CF ₃	OMe
15	CH	CH	CH	N	Et	Me	CF ₃	CN
	CH	CH	CH	N	i-Pr	Me	CF ₃	CN
	CH	CH	CH	N	t-Bu	Me	CF ₃	CN
	CH	CH	CH	N	Et	Cl	CF ₃	Cl
	CH	CH	CH	N	i-Pr	Cl	CF ₃	Cl
20	CH	CH	CH	N	t-Bu	Cl	CF ₃	Cl
	CH	CH	CH	N	Et	Cl	CF ₃	Br
	CH	CH	CH	N	i-Pr	Cl	CF ₃	Br
	CH	CH	CH	N	t-Bu	Cl	CF ₃	Br
	CH	CH	CH	N	Et	Cl	CF ₃	I
25	CH	CH	CH	N	i-Pr	Cl	CF ₃	I

	CH	CH	CH	N	t-Bu	Cl	CF ₃	I
	CH	CH	CH	N	Et	Cl	CF ₃	F
	CH	CH	CH	N	i-Pr	Cl	CF ₃	F
	CH	CH	CH	N	t-Bu	Cl	CF ₃	F
5	CH	CH	CH	N	Et	Cl	CF ₃	Me
	CH	CH	CH	N	i-Pr	Cl	CF ₃	Me
	CH	CH	CH	N	t-Bu	Cl	CF ₃	Me
	CH	CH	CH	N	Et	Cl	CF ₃	CF ₃
	CH	CH	CH	N	i-Pr	Cl	CF ₃	CF ₃
10	CH	CH	CH	N	t-Bu	Cl	CF ₃	CF ₃
	CH	CH	CH	N	Et	Cl	CF ₃	OMe
	CH	CH	CH	N	i-Pr	Cl	CF ₃	OMe
	CH	CH	CH	N	t-Bu	Cl	CF ₃	OMe
	CH	CH	CH	N	Et	Cl	CF ₃	CN
15	CH	CH	CH	N	i-Pr	Cl	CF ₃	CN
	CH	CH	CH	N	t-Bu	Cl	CF ₃	CN
	CH	CH	N	CH	Et	Me	CF ₃	Cl
	CH	CH	N	CH	i-Pr	Me	CF ₃	Cl
	CH	CH	N	CH	t-Bu	Me	CF ₃	Cl
20	CH	CH	N	CH	Et	Me	CF ₃	Br
	CH	CH	N	CH	i-Pr	Me	CF ₃	Br
	CH	CH	N	CH	t-Bu	Me	CF ₃	Br
	CH	CH	N	CH	Et	Me	CF ₃	I
	CH	CH	N	CH	i-Pr	Me	CF ₃	I
25	CH	CH	N	CH	t-Bu	Me	CF ₃	I

	CH	CH	N	CH	Et	Me	CF ₃	F
	CH	CH	N	CH	i-Pr	Me	CF ₃	F
	CH	CH	N	CH	t-Bu	Me	CF ₃	F
	CH	CH	N	CH	Et	Me	CF ₃	Me
5	CH	CH	N	CH	i-Pr	Me	CF ₃	Me
	CH	CH	N	CH	t-Bu	Me	CF ₃	Me
	CH	CH	N	CH	Et	Me	CF ₃	CF ₃
	CH	CH	N	CH	i-Pr	Me	CF ₃	CF ₃
	CH	CH	N	CH	t-Bu	Me	CF ₃	CF ₃
10	CH	CH	N	CH	Et	Me	CF ₃	OMe
	CH	CH	N	CH	i-Pr	Me	CF ₃	OMe
	CH	CH	N	CH	t-Bu	Me	CF ₃	OMe
	CH	CH	N	CH	Et	Me	CF ₃	CN
	CH	CH	N	CH	i-Pr	Me	CF ₃	CN
15	CH	CH	N	CH	t-Bu	Me	CF ₃	CN
	CH	CH	N	CH	Et	Cl	CF ₃	Cl
	CH	CH	N	CH	i-Pr	Cl	CF ₃	Cl
	CH	CH	N	CH	t-Bu	Cl	CF ₃	Cl
	CH	CH	N	CH	Et	Cl	CF ₃	Br
20	CH	CH	N	CH	i-Pr	Cl	CF ₃	Br
	CH	CH	N	CH	t-Bu	Cl	CF ₃	Br
	CH	CH	N	CH	Et	Cl	CF ₃	I
	CH	CH	N	CH	i-Pr	Cl	CF ₃	I
	CH	CH	N	CH	t-Bu	Cl	CF ₃	I
25	CH	CH	N	CH	Et	Cl	CF ₃	F

	CH	CH	N	CH	i-Pr	Cl	CF ₃	F
	CH	CH	N	CH	t-Bu	Cl	CF ₃	F
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5	CH	CH	N	CH	t-Bu	Cl	CF ₃	Me
	CH	CH	N	CH	Et	Cl	CF ₃	CF ₃
	CH	CH	N	CH	i-Pr	Cl	CF ₃	CF ₃
	CH	CH	N	CH	t-Bu	Cl	CF ₃	CF ₃
	CH	CH	N	CH	Et	Cl	CF ₃	OMe
10	CH	CH	N	CH	i-Pr	Cl	CF ₃	OMe
	CH	CH	N	CH	t-Bu	Cl	CF ₃	OMe
	CH	CH	N	CH	Et	Cl	CF ₃	CN
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	CH	N	CH	CH	t-Bu	Me	CF ₃	Cl
	CH	N	CH	CH	Et	Me	CF ₃	Br
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	CH	N	CH	CH	t-Bu	Me	CF ₃	I
	CH	N	CH	CH	Et	Me	CF ₃	F
25	CH	N	CH	CH	i-Pr	Me	CF ₃	F

	CH	N	CH	CH	t-Bu	Me	CF ₃	F
	CH	N	CH	CH	Et	Me	CF ₃	Me
	CH	N	CH	CH	i-Pr	Me	CF ₃	Me
	CH	N	CH	CH	t-Bu	Me	CF ₃	Me
5	CH	N	CH	CH	Et	Me	CF ₃	CF ₃
	CH	N	CH	CH	i-Pr	Me	CF ₃	CF ₃
	CH	N	CH	CH	t-Bu	Me	CF ₃	CF ₃
	CH	N	CH	CH	Et	Me	CF ₃	OMe
	CH	N	CH	CH	i-Pr	Me	CF ₃	OMe
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	CH	N	CH	CH	t-Bu	Me	CF ₃	CN
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	CH	N	CH	CH	t-Bu	Cl	CF ₃	Cl
	CH	N	CH	CH	Et	Cl	CF ₃	Br
	CH	N	CH	CH	i-Pr	Cl	CF ₃	Br
	CH	N	CH	CH	t-Bu	Cl	CF ₃	Br
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	CH	N	CH	CH	i-Pr	Cl	CF ₃	I
	CH	N	CH	CH	t-Bu	Cl	CF ₃	I
	CH	N	CH	CH	Et	Cl	CF ₃	F
	CH	N	CH	CH	i-Pr	Cl	CF ₃	F
25	CH	N	CH	CH	t-Bu	Cl	CF ₃	F

	CH	N	CH	CH	Et	Cl	CF ₃	Me
	CH	N	CH	CH	i-Pr	Cl	CF ₃	Me
	CH	N	CH	CH	t-Bu	Cl	CF ₃	Me
	CH	N	CH	CH	Et	Cl	CF ₃	CF ₃
5	CH	N	CH	CH	i-Pr	Cl	CF ₃	CF ₃
	CH	N	CH	CH	t-Bu	Cl	CF ₃	CF ₃
	CH	N	CH	CH	Et	Cl	CF ₃	OMe
	CH	N	CH	CH	i-Pr	Cl	CF ₃	OMe
	CH	N	CH	CH	t-Bu	Cl	CF ₃	OMe
10	CH	N	CH	CH	Et	Cl	CF ₃	CN
	CH	N	CH	CH	i-Pr	Cl	CF ₃	CN
	CH	N	CH	CH	t-Bu	Cl	CF ₃	CN
	N	CH	CH	CH	Et	Me	CF ₃	Cl
	N	CH	CH	CH	i-Pr	Me	CF ₃	Cl
15	N	CH	CH	CH	t-Bu	Me	CF ₃	Cl
	N	CH	CH	CH	Et	Me	CF ₃	Br
	N	CH	CH	CH	i-Pr	Me	CF ₃	Br
	N	CH	CH	CH	t-Bu	Me	CF ₃	Br
	N	CH	CH	CH	Et	Me	CF ₃	I
20	N	CH	CH	CH	i-Pr	Me	CF ₃	I
	N	CH	CH	CH	t-Bu	Me	CF ₃	I
	N	CH	CH	CH	Et	Me	CF ₃	F
	N	CH	CH	CH	i-Pr	Me	CF ₃	F
	N	CH	CH	CH	t-Bu	Me	CF ₃	F
25	N	CH	CH	CH	Et	Me	CF ₃	Me

	N	CH	CH	CH	i-Pr	Me	CF ₃	Me
	N	CH	CH	CH	t-Bu	Me	CF ₃	Me
	N	CH	CH	CH	Et	Me	CF ₃	CF ₃
	N	CH	CH	CH	i-Pr	Me	CF ₃	CF ₃
5	N	CH	CH	CH	t-Bu	Me	CF ₃	CF ₃
	N	CH	CH	CH	Et	Me	CF ₃	OMe
	N	CH	CH	CH	i-Pr	Me	CF ₃	OMe
	N	CH	CH	CH	t-Bu	Me	CF ₃	OMe
	N	CH	CH	CH	Et	Me	CF ₃	CN
10	N	CH	CH	CH	i-Pr	Me	CF ₃	CN
	N	CH	CH	CH	t-Bu	Me	CF ₃	CN
	N	CH	CH	CH	Et	Cl	CF ₃	Cl
	N	CH	CH	CH	i-Pr	Cl	CF ₃	Cl
	N	CH	CH	CH	t-Bu	Cl	CF ₃	Cl
15	N	CH	CH	CH	Et	Cl	CF ₃	Br
	N	CH	CH	CH	i-Pr	Cl	CF ₃	Br
	N	CH	CH	CH	t-Bu	Cl	CF ₃	Br
	N	CH	CH	CH	Et	Cl	CF ₃	I
	N	CH	CH	CH	i-Pr	Cl	CF ₃	I
20	N	CH	CH	CH	t-Bu	Cl	CF ₃	I
	N	CH	CH	CH	Et	Cl	CF ₃	F
	N	CH	CH	CH	i-Pr	Cl	CF ₃	F
	N	CH	CH	CH	t-Bu	Cl	CF ₃	F
	N	CH	CH	CH	Et	Cl	CF ₃	Me
25	N	CH	CH	CH	i-Pr	Cl	CF ₃	Me

	N	CH	CH	CH	t-Bu	Cl	CF ₃	Me
	N	CH	CH	CH	Et	Cl	CF ₃	CF ₃
	N	CH	CH	CH	i-Pr	Cl	CF ₃	CF ₃
	N	CH	CH	CH	t-Bu	Cl	CF ₃	CF ₃
5	N	CH	CH	CH	Et	Cl	CF ₃	OMe
	N	CH	CH	CH	i-Pr	Cl	CF ₃	OMe
	N	CH	CH	CH	t-Bu	Cl	CF ₃	OMe
	N	CH	CH	CH	Et	Cl	CF ₃	CN
	N	CH	CH	CH	i-Pr	Cl	CF ₃	CN
10	N	CH	CH	CH	t-Bu	Cl	CF ₃	CN
	CH	N	CH	N	Et	Me	CF ₃	Cl
	CH	N	CH	N	i-Pr	Me	CF ₃	Cl
	CH	N	CH	N	t-Bu	Me	CF ₃	Cl
	CH	N	CH	N	Et	Me	CF ₃	Br
15	CH	N	CH	N	i-Pr	Me	CF ₃	Br
	CH	N	CH	N	t-Bu	Me	CF ₃	Br
	CH	N	CH	N	Et	Me	CF ₃	I
	CH	N	CH	N	i-Pr	Me	CF ₃	I
	CH	N	CH	N	t-Bu	Me	CF ₃	I
20	CH	N	CH	N	Et	Me	CF ₃	F
	CH	N	CH	N	i-Pr	Me	CF ₃	F
	CH	N	CH	N	t-Bu	Me	CF ₃	F
	CH	N	CH	N	Et	Me	CF ₃	Me
	CH	N	CH	N	i-Pr	Me	CF ₃	Me
25	CH	N	CH	N	t-Bu	Me	CF ₃	Me

	CH	N	CH	N	Et	Me	CF ₃	CF ₃
	CH	N	CH	N	i-Pr	Me	CF ₃	CF ₃
	CH	N	CH	N	t-Bu	Me	CF ₃	CF ₃
	CH	N	CH	N	Et	Me	CF ₃	OMe
5	CH	N	CH	N	i-Pr	Me	CF ₃	OMe
	CH	N	CH	N	t-Bu	Me	CF ₃	OMe
	CH	N	CH	N	Et	Me	CF ₃	CN
	CH	N	CH	N	i-Pr	Me	CF ₃	CN
	CH	N	CH	N	t-Bu	Me	CF ₃	CN
10	CH	N	CH	N	Et	Cl	CF ₃	Cl
	CH	N	CH	N	i-Pr	Cl	CF ₃	Cl
	CH	N	CH	N	t-Bu	Cl	CF ₃	Cl
	CH	N	CH	N	Et	Cl	CF ₃	Br
	CH	N	CH	N	i-Pr	Cl	CF ₃	Br
15	CH	N	CH	N	t-Bu	Cl	CF ₃	Br
	CH	N	CH	N	Et	Cl	CF ₃	I
	CH	N	CH	N	i-Pr	Cl	CF ₃	I
	CH	N	CH	N	t-Bu	Cl	CF ₃	I
	CH	N	CH	N	Et	Cl	CF ₃	F
20	CH	N	CH	N	i-Pr	Cl	CF ₃	F
	CH	N	CH	N	t-Bu	Cl	CF ₃	F
	CH	N	CH	N	Et	Cl	CF ₃	Me
	CH	N	CH	N	i-Pr	Cl	CF ₃	Me
	CH	N	CH	N	t-Bu	Cl	CF ₃	Me
25	CH	N	CH	N	Et	Cl	CF ₃	CF ₃

	CH	N	CH	N	i-Pr	Cl	CF ₃	CF ₃
	CH	N	CH	N	t-Bu	Cl	CF ₃	CF ₃
	CH	N	CH	N	Et	Cl	CF ₃	OMe
	CH	N	CH	N	i-Pr	Cl	CF ₃	OMe
5	CH	N	CH	N	t-Bu	Cl	CF ₃	OMe
	CH	N	CH	N	Et	Cl	CF ₃	CN
	CH	N	CH	N	i-Pr	Cl	CF ₃	CN
	CH	N	CH	N	t-Bu	Cl	CF ₃	CN
	CH	CH	CH	CCl	Et	Me	CF ₃	Cl
10	CH	CH	CH	CCl	i-Pr	Me	CF ₃	Cl
	CH	CH	CH	CCl	t-Bu	Me	CF ₃	Cl
	CH	CH	CH	CCl	Et	Me	CF ₃	Br
	CH	CH	CH	CCl	i-Pr	Me	CF ₃	Br
	CH	CH	CH	CCl	t-Bu	Me	CF ₃	Br
15	CH	CH	CH	CCl	Et	Me	CF ₃	I
	CH	CH	CH	CCl	i-Pr	Me	CF ₃	I
	CH	CH	CH	CCl	t-Bu	Me	CF ₃	I
	CH	CH	CH	CCl	Et	Me	CF ₃	F
	CH	CH	CH	CCl	i-Pr	Me	CF ₃	F
20	CH	CH	CH	CCl	t-Bu	Me	CF ₃	F
	CH	CH	CH	CCl	Et	Me	CF ₃	Me
	CH	CH	CH	CCl	i-Pr	Me	CF ₃	Me
	CH	CH	CH	CCl	t-Bu	Me	CF ₃	Me
	CH	CH	CH	CCl	Et	Me	CF ₃	CF ₃
25	CH	CH	CH	CCl	i-Pr	Me	CF ₃	CF ₃

	CH	CH	CH	CCl	t-Bu	Me	CF ₃	CF ₃
	CH	CH	CH	CCl	Et	Me	CF ₃	OMe
	CH	CH	CH	CCl	i-Pr	Me	CF ₃	OMe
	CH	CH	CH	CCl	t-Bu	Me	CF ₃	OMe
5	CH	CH	CH	CCl	Et	Me	CF ₃	CN
	CH	CH	CH	CCl	i-Pr	Me	CF ₃	CN
	CH	CH	CH	CCl	t-Bu	Me	CF ₃	CN
	CH	CH	CH	CCl	Et	Cl	CF ₃	Cl
	CH	CH	CH	CCl	i-Pr	Cl	CF ₃	Cl
10	CH	CH	CH	CCl	t-Bu	Cl	CF ₃	Cl
	CH	CH	CH	CCl	Et	Cl	CF ₃	Br
	CH	CH	CH	CCl	i-Pr	Cl	CF ₃	Br
	CH	CH	CH	CCl	t-Bu	Cl	CF ₃	Br
	CH	CH	CH	CCl	Et	Cl	CF ₃	I
15	CH	CH	CH	CCl	i-Pr	Cl	CF ₃	I
	CH	CH	CH	CCl	t-Bu	Cl	CF ₃	I
	CH	CH	CH	CCl	Et	Cl	CF ₃	F
	CH	CH	CH	CCl	i-Pr	Cl	CF ₃	F
	CH	CH	CH	CCl	t-Bu	Cl	CF ₃	F
20	CH	CH	CH	CCl	Et	Cl	CF ₃	Me
	CH	CH	CH	CCl	i-Pr	Cl	CF ₃	Me
	CH	CH	CH	CCl	t-Bu	Cl	CF ₃	Me
	CH	CH	CH	CCl	Et	Cl	CF ₃	CF ₃
	CH	CH	CH	CCl	i-Pr	Cl	CF ₃	CF ₃
25	CH	CH	CH	CCl	t-Bu	Cl	CF ₃	CF ₃

	CH	CH	CH	CCl	Et	Cl	CF ₃	OMe
	CH	CH	CH	CCl	i-Pr	Cl	CF ₃	OMe
	CH	CH	CH	CCl	t-Bu	Cl	CF ₃	OMe
	CH	CH	CH	CCl	Et	Cl	CF ₃	CN
5	CH	CH	CH	CCl	i-Pr	Cl	CF ₃	CN
	CH	CH	CH	CCl	t-Bu	Cl	CF ₃	CN
	CH	CH	CH	CF	Et	Me	CF ₃	Cl
	CH	CH	CH	CF	i-Pr	Me	CF ₃	Cl
	CH	CH	CH	CF	t-Bu	Me	CF ₃	Cl
10	CH	CH	CH	CF	Et	Me	CF ₃	Br
	CH	CH	CH	CF	i-Pr	Me	CF ₃	Br
	CH	CH	CH	CF	t-Bu	Me	CF ₃	Br
	CH	CH	CH	CF	Et	Me	CF ₃	I
	CH	CH	CH	CF	i-Pr	Me	CF ₃	I
15	CH	CH	CH	CF	t-Bu	Me	CF ₃	I
	CH	CH	CH	CF	Et	Me	CF ₃	F
	CH	CH	CH	CF	i-Pr	Me	CF ₃	F
	CH	CH	CH	CF	t-Bu	Me	CF ₃	F
	CH	CH	CH	CF	Et	Me	CF ₃	Me
20	CH	CH	CH	CF	i-Pr	Me	CF ₃	Me
	CH	CH	CH	CF	t-Bu	Me	CF ₃	Me
	CH	CH	CH	CF	Et	Me	CF ₃	CF ₃
	CH	CH	CH	CF	i-Pr	Me	CF ₃	CF ₃
	CH	CH	CH	CF	t-Bu	Me	CF ₃	CF ₃
25	CH	CH	CH	CF	Et	Me	CF ₃	OMe

	CH	CH	CH	CF	i-Pr	Me	CF ₃	OMe
	CH	CH	CH	CF	t-Bu	Me	CF ₃	OMe
	CH	CH	CH	CF	Et	Me	CF ₃	CN
	CH	CH	CH	CF	i-Pr	Me	CF ₃	CN
5	CH	CH	CH	CF	t-Bu	Me	CF ₃	CN
	CH	CH	CH	CF	Et	Cl	CF ₃	Cl
	CH	CH	CH	CF	i-Pr	Cl	CF ₃	Cl
	CH	CH	CH	CF	t-Bu	Cl	CF ₃	Cl
	CH	CH	CH	CF	Et	Cl	CF ₃	Br
10	CH	CH	CH	CF	i-Pr	Cl	CF ₃	Br
	CH	CH	CH	CF	t-Bu	Cl	CF ₃	Br
	CH	CH	CH	CF	Et	Cl	CF ₃	I
	CH	CH	CH	CF	i-Pr	Cl	CF ₃	I
	CH	CH	CH	CF	t-Bu	Cl	CF ₃	I
15	CH	CH	CH	CF	i-Pr	Cl	CF ₃	F
	CH	CH	CH	CF	t-Bu	Cl	CF ₃	F
	CH	CH	CH	CF	Et	Cl	CF ₃	Me
	CH	CH	CH	CF	i-Pr	Cl	CF ₃	Me
	CH	CH	CH	CF	t-Bu	Cl	CF ₃	Me
20	CH	CH	CH	CF	Et	Cl	CF ₃	CF ₃
	CH	CH	CH	CF	i-Pr	Cl	CF ₃	CF ₃
	CH	CH	CH	CF	t-Bu	Cl	CF ₃	CF ₃
	CH	CH	CH	CF	Et	Cl	CF ₃	OMe
	CH	CH	CH	CF	i-Pr	Cl	CF ₃	OMe
25	CH	CH	CH	CF	t-Bu	Cl	CF ₃	OMe

	CH	CH	CH	CF	Et	Cl	CF ₃	CN
	CH	CH	CH	CF	i-Pr	Cl	CF ₃	CN
	CH	CH	CH	CF	t-Bu	Cl	CF ₃	CN
	CH	CH	CH	CH	Et	Me	C ₂ F ₅	Cl
5	CH	CH	CH	CH	i-Pr	Me	C ₂ F ₅	Cl
	CH	CH	CH	CH	t-Bu	Me	C ₂ F ₅	Cl
	CH	CH	CH	CH	Et	Me	C ₂ F ₅	Br
	CH	CH	CH	CH	i-Pr	Me	C ₂ F ₅	Br
	CH	CH	CH	CH	t-Bu	Me	C ₂ F ₅	Br
10	CH	CH	CH	CH	Et	Me	C ₂ F ₅	I
	CH	CH	CH	CH	i-Pr	Me	C ₂ F ₅	I
	CH	CH	CH	CH	t-Bu	Me	C ₂ F ₅	I
	CH	CH	CH	CH	Et	Me	C ₂ F ₅	F
	CH	CH	CH	CH	i-Pr	Me	C ₂ F ₅	F
15	CH	CH	CH	CH	t-Bu	Me	C ₂ F ₅	F
	CH	CH	CH	CH	Et	Me	C ₂ F ₅	Me
	CH	CH	CH	CH	i-Pr	Me	C ₂ F ₅	Me
	CH	CH	CH	CH	t-Bu	Me	C ₂ F ₅	Me
	CH	CH	CH	CH	Et	Me	C ₂ F ₅	CF ₃
20	CH	CH	CH	CH	i-Pr	Me	C ₂ F ₅	CF ₃
	CH	CH	CH	CH	t-Bu	Me	C ₂ F ₅	CF ₃
	CH	CH	CH	CH	Et	Me	C ₂ F ₅	OMe
	CH	CH	CH	CH	i-Pr	Me	C ₂ F ₅	OMe
	CH	CH	CH	CH	t-Bu	Me	C ₂ F ₅	OMe
25	CH	CH	CH	CH	Et	Me	C ₂ F ₅	CN

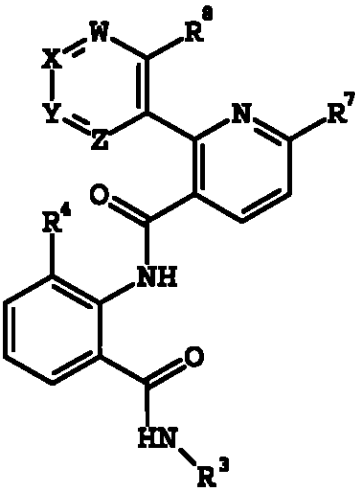
	CH	CH	CH	CH	i-Pr	Me	C ₂ F ₅	CN
	CH	CH	CH	CH	t-Bu	Me	C ₂ F ₅	CN
	CH	CH	CH	CH	Et	Cl	C ₂ F ₅	Cl
	CH	CH	CH	CH	i-Pr	Cl	C ₂ F ₅	Cl
5	CH	CH	CH	CH	t-Bu	Cl	C ₂ F ₅	Cl
	CH	CH	CH	CH	Et	Cl	C ₂ F ₅	Br
	CH	CH	CH	CH	i-Pr	Cl	C ₂ F ₅	Br
	CH	CH	CH	CH	t-Bu	Cl	C ₂ F ₅	Br
	CH	CH	CH	CH	Et	Cl	C ₂ F ₅	I
10	CH	CH	CH	CH	i-Pr	Cl	C ₂ F ₅	I
	CH	CH	CH	CH	t-Bu	Cl	C ₂ F ₅	I
	CH	CH	CH	CH	Et	Cl	C ₂ F ₅	F
	CH	CH	CH	CH	i-Pr	Cl	C ₂ F ₅	F
	CH	CH	CH	CH	t-Bu	Cl	C ₂ F ₅	F
15	CH	CH	CH	CH	Et	Cl	C ₂ F ₅	Me
	CH	CH	CH	CH	i-Pr	Cl	C ₂ F ₅	Me
	CH	CH	CH	CH	t-Bu	Cl	C ₂ F ₅	Me
	CH	CH	CH	CH	Et	Cl	C ₂ F ₅	CF ₃
	CH	CH	CH	CH	i-Pr	Cl	C ₂ F ₅	CF ₃
20	CH	CH	CH	CH	t-Bu	Cl	C ₂ F ₅	CF ₃
	CH	CH	CH	CH	Et	Cl	C ₂ F ₅	OMe
	CH	CH	CH	CH	i-Pr	Cl	C ₂ F ₅	OMe
	CH	CH	CH	CH	t-Bu	Cl	C ₂ F ₅	OMe
	CH	CH	CH	CH	Et	Cl	C ₂ F ₅	CN
25	CH	CH	CH	CH	i-Pr	Cl	C ₂ F ₅	CN

CH CH CH CH t-Bu Cl C₂F₅ CN

Tabla 13

5

10



15 W X Y Z R³ R⁴ R⁷ R⁸

	CH	CH	CH	CH	Et	Me	CF ₃	Cl
	CH	CH	CH	CH	i-Pr	Me	CF ₃	Cl
	CH	CH	CH	CH	t-Bu	Me	CF ₃	Cl
20	CH	CH	CH	CH	Et	Me	CF ₃	Br
	CH	CH	CH	CH	i-Pr	Me	CF ₃	Br
	CH	CH	CH	CH	t-Bu	Me	CF ₃	Br
	CH	CH	CH	CH	Et	Me	CF ₃	I
	CH	CH	CH	CH	i-Pr	Me	CF ₃	I
25	CH	CH	CH	CH	t-Bu	Me	CF ₃	I

	CH	CH	CH	CH	Et	Me	CF ₃	F
	CH	CH	CH	CH	i-Pr	Me	CF ₃	F
	CH	CH	CH	CH	t-Bu	Me	CF ₃	F
	CH	CH	CH	CH	Et	Me	CF ₃	Me
5	CH	CH	CH	CH	i-Pr	Me	CF ₃	Me
	CH	CH	CH	CH	t-Bu	Me	CF ₃	Me
	CH	CH	CH	CH	Et	Me	CF ₃	CF ₃
	CH	CH	CH	CH	i-Pr	Me	CF ₃	CF ₃
	CH	CH	CH	CH	t-Bu	Me	CF ₃	CF ₃
10	CH	CH	CH	CH	Et	Me	CF ₃	OMe
	CH	CH	CH	CH	i-Pr	Me	CF ₃	OMe
	CH	CH	CH	CH	t-Bu	Me	CF ₃	OMe
	CH	CH	CH	CH	Et	Me	CF ₃	CN
	CH	CH	CH	CH	i-Pr	Me	CF ₃	CN
15	CH	CH	CH	CH	t-Bu	Me	CF ₃	CN
	CH	CH	CH	CH	Et	Cl	CF ₃	Cl
	CH	CH	CH	CH	i-Pr	Cl	CF ₃	Cl
	CH	CH	CH	CH	t-Bu	Cl	CF ₃	Cl
	CH	CH	CH	CH	Et	Cl	CF ₃	Br
20	CH	CH	CH	CH	i-Pr	Cl	CF ₃	Br
	CH	CH	CH	CH	t-Bu	Cl	CF ₃	Br
	CH	CH	CH	CH	Et	Cl	CF ₃	I
	CH	CH	CH	CH	i-Pr	Cl	CF ₃	I
	CH	CH	CH	CH	t-Bu	Cl	CF ₃	I
25	CH	CH	CH	CH	Et	Cl	CF ₃	F

	CH	CH	CH	CH	i-Pr	Cl	CF ₃	F
	CH	CH	CH	CH	t-Bu	Cl	CF ₃	F
	CH	CH	CH	CH	Et	Cl	CF ₃	Me
	CH	CH	CH	CH	i-Pr	Cl	CF ₃	Me
5	CH	CH	CH	CH	t-Bu	Cl	CF ₃	Me
	CH	CH	CH	CH	Et	Cl	CF ₃	CF ₃
	CH	CH	CH	CH	i-Pr	Cl	CF ₃	CF ₃
	CH	CH	CH	CH	t-Bu	Cl	CF ₃	CF ₃
	CH	CH	CH	CH	Et	Cl	CF ₃	OMe
10	CH	CH	CH	CH	i-Pr	Cl	CF ₃	OMe
	CH	CH	CH	CH	t-Bu	Cl	CF ₃	OMe
	CH	CH	CH	CH	Et	Cl	CF ₃	CN
	CH	CH	CH	CH	i-Pr	Cl	CF ₃	CN
	CH	CH	CH	CH	t-Bu	Cl	CF ₃	CN
15	CH	CH	CH	N	Et	Me	CF ₃	Cl
	CH	CH	CH	N	i-Pr	Me	CF ₃	Cl
	CH	CH	CH	N	t-Bu	Me	CF ₃	Cl
	CH	CH	CH	N	Et	Me	CF ₃	Br
	CH	CH	CH	N	i-Pr	Me	CF ₃	Br
20	CH	CH	CH	N	t-Bu	Me	CF ₃	Br
	CH	CH	CH	N	Et	Me	CF ₃	I
	CH	CH	CH	N	i-Pr	Me	CF ₃	I
	CH	CH	CH	N	t-Bu	Me	CF ₃	I
	CH	CH	CH	N	Et	Me	CF ₃	F
25	CH	CH	CH	N	i-Pr	Me	CF ₃	F

	CH	CH	CH	N	t-Bu	Me	CF ₃	F
	CH	CH	CH	N	Et	Me	CF ₃	Me
	CH	CH	CH	N	i-Pr	Me	CF ₃	Me
	CH	CH	CH	N	t-Bu	Me	CF ₃	Me
5	CH	CH	CH	N	Et	Me	CF ₃	CF ₃
	CH	CH	CH	N	i-Pr	Me	CF ₃	CF ₃
	CH	CH	CH	N	t-Bu	Me	CF ₃	CF ₃
	CH	CH	CH	N	Et	Me	CF ₃	OMe
	CH	CH	CH	N	i-Pr	Me	CF ₃	OMe
10	CH	CH	CH	N	t-Bu	Me	CF ₃	OMe
	CH	CH	CH	N	Et	Me	CF ₃	CN
	CH	CH	CH	N	i-Pr	Me	CF ₃	CN
	CH	CH	CH	N	t-Bu	Me	CF ₃	CN
	CH	CH	CH	N	Et	Cl	CF ₃	Cl
15	CH	CH	CH	N	i-Pr	Cl	CF ₃	Cl
	CH	CH	CH	N	t-Bu	Cl	CF ₃	Cl
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	CH	CH	CH	N	i-Pr	Cl	CF ₃	Br
	CH	CH	CH	N	t-Bu	Cl	CF ₃	Br
20	CH	CH	CH	N	Et	Cl	CF ₃	I
	CH	CH	CH	N	i-Pr	Cl	CF ₃	I
	CH	CH	CH	N	t-Bu	Cl	CF ₃	I
	CH	CH	CH	N	Et	Cl	CF ₃	F
	CH	CH	CH	N	i-Pr	Cl	CF ₃	F
25	CH	CH	CH	N	t-Bu	Cl	CF ₃	F

	CH	CH	CH	N	Et	Cl	CF ₃	Me
	CH	CH	CH	N	i-Pr	Cl	CF ₃	Me
	CH	CH	CH	N	t-Bu	Cl	CF ₃	Me
	CH	CH	CH	N	Et	Cl	CF ₃	CF ₃
5	CH	CH	CH	N	i-Pr	Cl	CF ₃	CF ₃
	CH	CH	CH	N	t-Bu	Cl	CF ₃	CF ₃
	CH	CH	CH	N	Et	Cl	CF ₃	OMe
	CH	CH	CH	N	i-Pr	Cl	CF ₃	OMe
	CH	CH	CH	N	t-Bu	Cl	CF ₃	OMe
10	CH	CH	CH	N	Et	Cl	CF ₃	CN
	CH	CH	CH	N	i-Pr	Cl	CF ₃	CN
	CH	CH	CH	N	t-Bu	Cl	CF ₃	CN
	CH	CH	N	CH	Et	Me	CF ₃	Cl
	CH	CH	N	CH	i-Pr	Me	CF ₃	Cl
15	CH	CH	N	CH	t-Bu	Me	CF ₃	Cl
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	CH	CH	N	CH	i-Pr	Me	CF ₃	Br
	CH	CH	N	CH	t-Bu	Me	CF ₃	Br
	CH	CH	N	CH	Et	Me	CF ₃	I
20	CH	CH	N	CH	i-Pr	Me	CF ₃	I
	CH	CH	N	CH	t-Bu	Me	CF ₃	I
	CH	CH	N	CH	Et	Me	CF ₃	F
	CH	CH	N	CH	i-Pr	Me	CF ₃	F
	CH	CH	N	CH	t-Bu	Me	CF ₃	F
25	CH	CH	N	CH	Et	Me	CF ₃	Me

	CH	CH	N	CH	i-Pr	Me	CF ₃	Me
	CH	CH	N	CH	t-Bu	Me	CF ₃	Me
	CH	CH	N	CH	Et	Me	CF ₃	CF ₃
	CH	CH	N	CH	i-Pr	Me	CF ₃	CF ₃
5	CH	CH	N	CH	t-Bu	Me	CF ₃	CF ₃
	CH	CH	N	CH	Et	Me	CF ₃	OMe
	CH	CH	N	CH	i-Pr	Me	CF ₃	OMe
	CH	CH	N	CH	t-Bu	Me	CF ₃	OMe
	CH	CH	N	CH	Et	Me	CF ₃	CN
10	CH	CH	N	CH	i-Pr	Me	CF ₃	CN
	CH	CH	N	CH	t-Bu	Me	CF ₃	CN
	CH	CH	N	CH	Et	Cl	CF ₃	Cl
	CH	CH	N	CH	i-Pr	Cl	CF ₃	Cl
	CH	CH	N	CH	t-Bu	Cl	CF ₃	Cl
15	CH	CH	N	CH	Et	Cl	CF ₃	Br
	CH	CH	N	CH	i-Pr	Cl	CF ₃	Br
	CH	CH	N	CH	t-Bu	Cl	CF ₃	Br
	CH	CH	N	CH	Et	Cl	CF ₃	I
	CH	CH	N	CH	i-Pr	Cl	CF ₃	I
20	CH	CH	N	CH	t-Bu	Cl	CF ₃	I
	CH	CH	N	CH	Et	Cl	CF ₃	F
	CH	CH	N	CH	i-Pr	Cl	CF ₃	F
	CH	CH	N	CH	t-Bu	Cl	CF ₃	F
	CH	CH	N	CH	Et	Cl	CF ₃	Me

	CH	CH	N	CH	<i>i</i> -Pr	Cl	CF ₃	Me
	CH	CH	N	CH	<i>t</i> -Bu	Cl	CF ₃	Me
	CH	CH	N	CH	Et	Cl	CF ₃	CF ₃
	CH	CH	N	CH	<i>i</i> -Pr	Cl	CF ₃	CF ₃
5	CH	CH	N	CH	<i>t</i> -Bu	Cl	CF ₃	CF ₃
	CH	CH	N	CH	Et	Cl	CF ₃	OMe
	CH	CH	N	CH	<i>i</i> -Pr	Cl	CF ₃	OMe
	CH	CH	N	CH	<i>t</i> -Bu	Cl	CF ₃	OMe
	CH	CH	N	CH	Et	Cl	CF ₃	CN
10	CH	CH	N	CH	<i>i</i> -Pr	Cl	CF ₃	CN
	CH	CH	N	CH	<i>t</i> -Bu	Cl	CF ₃	CN
	CH	N	CH	CH	Et	Me	CF ₃	Cl
	CH	N	CH	CH	<i>i</i> -Pr	Me	CF ₃	Cl
	CH	N	CH	CH	<i>t</i> -Bu	Me	CF ₃	Cl
15	CH	N	CH	CH	Et	Me	CF ₃	Br
	CH	N	CH	CH	<i>i</i> -Pr	Me	CF ₃	Br
	CH	N	CH	CH	<i>t</i> -Bu	Me	CF ₃	Br
	CH	N	CH	CH	Et	Me	CF ₃	I
	CH	N	CH	CH	<i>i</i> -Pr	Me	CF ₃	I
20	CH	N	CH	CH	<i>t</i> -Bu	Me	CF ₃	I
	CH	N	CH	CH	Et	Me	CF ₃	F
	CH	N	CH	CH	<i>i</i> -Pr	Me	CF ₃	F
	CH	N	CH	CH	<i>t</i> -Bu	Me	CF ₃	F
	CH	N	CH	CH	Et	Me	CF ₃	Me
25	CH	N	CH	CH	<i>i</i> -Pr	Me	CF ₃	Me

	CH	N	CH	CH	t-Bu	Me	CF ₃	Me
	CH	N	CH	CH	Et	Me	CF ₃	CF ₃
	CH	N	CH	CH	i-Pr	Me	CF ₃	CF ₃
	CH	N	CH	CH	t-Bu	Me	CF ₃	CF ₃
5	CH	N	CH	CH	Et	Me	CF ₃	OMe
	CH	N	CH	CH	i-Pr	Me	CF ₃	OMe
	CH	N	CH	CH	t-Bu	Me	CF ₃	OMe
	CH	N	CH	CH	Et	Me	CF ₃	CN
	CH	N	CH	CH	i-Pr	Me	CF ₃	CN
10	CH	N	CH	CH	t-Bu	Me	CF ₃	CN
	CH	N	CH	CH	Et	Cl	CF ₃	Cl
	CH	N	CH	CH	i-Pr	Cl	CF ₃	Cl
	CH	N	CH	CH	t-Bu	Cl	CF ₃	Cl
	CH	N	CH	CH	Et	Cl	CF ₃	Br
15	CH	N	CH	CH	i-Pr	Cl	CF ₃	Br
	CH	N	CH	CH	t-Bu	Cl	CF ₃	Br
	CH	N	CH	CH	Et	Cl	CF ₃	I
	CH	N	CH	CH	i-Pr	Cl	CF ₃	I
	CH	N	CH	CH	t-Bu	Cl	CF ₃	I
20	CH	N	CH	CH	Et	Cl	CF ₃	F
	CH	N	CH	CH	i-Pr	Cl	CF ₃	F
	CH	N	CH	CH	t-Bu	Cl	CF ₃	F
	CH	N	CH	CH	Et	Cl	CF ₃	Me
	CH	N	CH	CH	i-Pr	Cl	CF ₃	Me
25	CH	N	CH	CH	t-Bu	Cl	CF ₃	Me

	CH	N	CH	CH	Et	Cl	CF ₃	CF ₃
	CH	N	CH	CH	<i>i</i> -Pr	Cl	CF ₃	CF ₃
	CH	N	CH	CH	<i>t</i> -Bu	Cl	CF ₃	CF ₃
	CH	N	CH	CH	Et	Cl	CF ₃	OMe
5	CH	N	CH	CH	<i>i</i> -Pr	Cl	CF ₃	OMe
	CH	N	CH	CH	<i>t</i> -Bu	Cl	CF ₃	OMe
	CH	N	CH	CH	Et	Cl	CF ₃	CN
	CH	N	CH	CH	<i>i</i> -Pr	Cl	CF ₃	CN
	CH	N	CH	CH	<i>t</i> -Bu	Cl	CF ₃	CN
10	N	CH	CH	CH	Et	Me	CF ₃	Cl
	N	CH	CH	CH	<i>i</i> -Pr	Me	CF ₃	Cl
	N	CH	CH	CH	<i>t</i> -Bu	Me	CF ₃	Cl
	N	CH	CH	CH	Et	Me	CF ₃	Br
	N	CH	CH	CH	<i>i</i> -Pr	Me	CF ₃	Br
15	N	CH	CH	CH	<i>t</i> -Bu	Me	CF ₃	Br
	N	CH	CH	CH	Et	Me	CF ₃	I
	N	CH	CH	CH	<i>i</i> -Pr	Me	CF ₃	I
	N	CH	CH	CH	<i>t</i> -Bu	Me	CF ₃	I
	N	CH	CH	CH	Et	Me	CF ₃	F
20	N	CH	CH	CH	<i>i</i> -Pr	Me	CF ₃	F
	N	CH	CH	CH	<i>t</i> -Bu	Me	CF ₃	F
	N	CH	CH	CH	Et	Me	CF ₃	Me
	N	CH	CH	CH	<i>i</i> -Pr	Me	CF ₃	Me
	N	CH	CH	CH	<i>t</i> -Bu	Me	CF ₃	Me
25	N	CH	CH	CH	Et	Me	CF ₃	CF ₃

	N	CH	CH	CH	<i>i</i> -Pr	Me	CF ₃	CF ₃
	N	CH	CH	CH	<i>t</i> -Bu	Me	CF ₃	CF ₃
	N	CH	CH	CH	Et	Me	CF ₃	OMe
	N	CH	CH	CH	<i>i</i> -Pr	Me	CF ₃	OMe
5	N	CH	CH	CH	<i>t</i> -Bu	Me	CF ₃	OMe
	N	CH	CH	CH	Et	Me	CF ₃	CN
	N	CH	CH	CH	<i>i</i> -Pr	Me	CF ₃	CN
	N	CH	CH	CH	<i>t</i> -Bu	Me	CF ₃	CN
	N	CH	CH	CH	Et	Cl	CF ₃	Cl
10	N	CH	CH	CH	<i>i</i> -Pr	Cl	CF ₃	Cl
	N	CH	CH	CH	<i>t</i> -Bu	Cl	CF ₃	Cl
	N	CH	CH	CH	Et	Cl	CF ₃	Br
	N	CH	CH	CH	<i>i</i> -Pr	Cl	CF ₃	Br
	N	CH	CH	CH	<i>t</i> -Bu	Cl	CF ₃	Br
15	N	CH	CH	CH	Et	Cl	CF ₃	I
	N	CH	CH	CH	<i>i</i> -Pr	Cl	CF ₃	I
	N	CH	CH	CH	<i>t</i> -Bu	Cl	CF ₃	I
	N	CH	CH	CH	Et	Cl	CF ₃	F
	N	CH	CH	CH	<i>i</i> -Pr	Cl	CF ₃	F
20	N	CH	CH	CH	<i>t</i> -Bu	Cl	CF ₃	F
	N	CH	CH	CH	Et	Cl	CF ₃	Me
	N	CH	CH	CH	<i>i</i> -Pr	Cl	CF ₃	Me
	N	CH	CH	CH	<i>t</i> -Bu	Cl	CF ₃	Me
	N	CH	CH	CH	Et	Cl	CF ₃	CF ₃

	N	CH	CH	CH	<i>i</i> -Pr	Cl	CF ₃	CF ₃
	N	CH	CH	CH	<i>t</i> -Bu	Cl	CF ₃	CF ₃
	N	CH	CH	CH	Et	Cl	CF ₃	OMe
	N	CH	CH	CH	<i>i</i> -Pr	Cl	CF ₃	OMe
5	N	CH	CH	CH	<i>t</i> -Bu	Cl	CF ₃	OMe
	N	CH	CH	CH	Et	Cl	CF ₃	CN
	N	CH	CH	CH	<i>i</i> -Pr	Cl	CF ₃	CN
	N	CH	CH	CH	<i>t</i> -Bu	Cl	CF ₃	CN
	CH	N	CH	N	Et	Me	CF ₃	Cl
10	CH	N	CH	N	<i>i</i> -Pr	Me	CF ₃	Cl
	CH	N	CH	N	<i>t</i> -Bu	Me	CF ₃	Cl
	CH	N	CH	N	Et	Me	CF ₃	Br
	CH	N	CH	N	<i>i</i> -Pr	Me	CF ₃	Br
	CH	N	CH	N	<i>t</i> -Bu	Me	CF ₃	Br
15	CH	N	CH	N	Et	Me	CF ₃	I
	CH	N	CH	N	<i>i</i> -Pr	Me	CF ₃	I
	CH	N	CH	N	<i>t</i> -Bu	Me	CF ₃	I
	CH	N	CH	N	Et	Me	CF ₃	F
	CH	N	CH	N	<i>i</i> -Pr	Me	CF ₃	F
20	CH	N	CH	N	<i>t</i> -Bu	Me	CF ₃	F
	CH	N	CH	N	Et	Me	CF ₃	Me
	CH	N	CH	N	<i>i</i> -Pr	Me	CF ₃	Me
	CH	N	CH	N	<i>t</i> -Bu	Me	CF ₃	Me
	CH	N	CH	N	Et	Me	CF ₃	CF ₃
25	CH	N	CH	N	<i>i</i> -Pr	Me	CF ₃	CF ₃

	CH	N	CH	N	t-Bu	Me	CF ₃	CF ₃
	CH	N	CH	N	Et	Me	CF ₃	OMe
	CH	N	CH	N	i-Pr	Me	CF ₃	OMe
	CH	N	CH	N	t-Bu	Me	CF ₃	OMe
5	CH	N	CH	N	Et	Me	CF ₃	CN
	CH	N	CH	N	i-Pr	Me	CF ₃	CN
	CH	N	CH	N	t-Bu	Me	CF ₃	CN
	CH	N	CH	N	Et	Cl	CF ₃	Cl
	CH	N	CH	N	i-Pr	Cl	CF ₃	Cl
10	CH	N	CH	N	t-Bu	Cl	CF ₃	Cl
	CH	N	CH	N	Et	Cl	CF ₃	Br
	CH	N	CH	N	i-Pr	Cl	CF ₃	Br
	CH	N	CH	N	t-Bu	Cl	CF ₃	Br
	CH	N	CH	N	Et	Cl	CF ₃	I
15	CH	N	CH	N	i-Pr	Cl	CF ₃	I
	CH	N	CH	N	t-Bu	Cl	CF ₃	I
	CH	N	CH	N	Et	Cl	CF ₃	F
	CH	N	CH	N	i-Pr	Cl	CF ₃	F
	CH	N	CH	N	t-Bu	Cl	CF ₃	F
20	CH	N	CH	N	Et	Cl	CF ₃	Me
	CH	N	CH	N	i-Pr	Cl	CF ₃	Me
	CH	N	CH	N	t-Bu	Cl	CF ₃	Me
	CH	N	CH	N	Et	Cl	CF ₃	CF ₃
	CH	N	CH	N	i-Pr	Cl	CF ₃	CF ₃
25	CH	N	CH	N	t-Bu	Cl	CF ₃	CF ₃

	CH	N	CH	N	Et	Cl	CF ₃	OMe
	CH	N	CH	N	<i>i</i> -Pr	Cl	CF ₃	OMe
	CH	N	CH	N	<i>t</i> -Bu	Cl	CF ₃	OMe
	CH	N	CH	N	Et	Cl	CF ₃	CN
5	CH	N	CH	N	<i>i</i> -Pr	Cl	CF ₃	CN
	CH	N	CH	N	<i>t</i> -Bu	Cl	CF ₃	CN
	CH	CH	CH	CCl	Et	Me	CF ₃	Cl
	CH	CH	CH	CCl	<i>i</i> -Pr	Me	CF ₃	Cl
	CH	CH	CH	CCl	<i>t</i> -Bu	Me	CF ₃	Cl
10	CH	CH	CH	CCl	Et	Me	CF ₃	Br
	CH	CH	CH	CCl	<i>i</i> -Pr	Me	CF ₃	Br
	CH	CH	CH	CCl	<i>t</i> -Bu	Me	CF ₃	Br
	CH	CH	CH	CCl	Et	Me	CF ₃	I
	CH	CH	CH	CCl	<i>i</i> -Pr	Me	CF ₃	I
15	CH	CH	CH	CCl	<i>t</i> -Bu	Me	CF ₃	I
	CH	CH	CH	CCl	Et	Me	CF ₃	F
	CH	CH	CH	CCl	<i>i</i> -Pr	Me	CF ₃	F
	CH	CH	CH	CCl	<i>t</i> -Bu	Me	CF ₃	F
	CH	CH	CH	CCl	Et	Me	CF ₃	Me
20	CH	CH	CH	CCl	<i>i</i> -Pr	Me	CF ₃	Me
	CH	CH	CH	CCl	<i>t</i> -Bu	Me	CF ₃	Me
	CH	CH	CH	CCl	Et	Me	CF ₃	CF ₃
	CH	CH	CH	CCl	<i>i</i> -Pr	Me	CF ₃	CF ₃
	CH	CH	CH	CCl	<i>t</i> -Bu	Me	CF ₃	CF ₃
25	CH	CH	CH	CCl	Et	Me	CF ₃	OMe

	CH	CH	CH	CCl	<i>i</i> -Pr	Me	CF ₃	OMe
	CH	CH	CH	CCl	<i>t</i> -Bu	Me	CF ₃	OMe
	CH	CH	CH	CCl	Et	Me	CF ₃	CN
	CH	CH	CH	CCl	<i>i</i> -Pr	Me	CF ₃	CN
5	CH	CH	CH	CCl	<i>t</i> -Bu	Me	CF ₃	CN
	CH	CH	CH	CCl	Et	Cl	CF ₃	Cl
	CH	CH	CH	CCl	<i>i</i> -Pr	Cl	CF ₃	Cl
	CH	CH	CH	CCl	<i>t</i> -Bu	Cl	CF ₃	Cl
	CH	CH	CH	CCl	Et	Cl	CF ₃	Br
10	CH	CH	CH	CCl	<i>i</i> -Pr	Cl	CF ₃	Br
	CH	CH	CH	CCl	<i>t</i> -Bu	Cl	CF ₃	Br
	CH	CH	CH	CCl	Et	Cl	CF ₃	I
	CH	CH	CH	CCl	<i>i</i> -Pr	Cl	CF ₃	I
	CH	CH	CH	CCl	<i>t</i> -Bu	Cl	CF ₃	I
15	CH	CH	CH	CCl	Et	Cl	CF ₃	F
	CH	CH	CH	CCl	<i>i</i> -Pr	Cl	CF ₃	F
	CH	CH	CH	CCl	<i>t</i> -Bu	Cl	CF ₃	F
	CH	CH	CH	CCl	Et	Cl	CF ₃	Me
	CH	CH	CH	CCl	<i>i</i> -Pr	Cl	CF ₃	Me
20	CH	CH	CH	CCl	<i>t</i> -Bu	Cl	CF ₃	Me
	CH	CH	CH	CCl	Et	Cl	CF ₃	CF ₃
	CH	CH	CH	CCl	<i>i</i> -Pr	Cl	CF ₃	CF ₃
	CH	CH	CH	CCl	<i>t</i> -Bu	Cl	CF ₃	CF ₃
	CH	CH	CH	CCl	Et	Cl	CF ₃	OMe
25	CH	CH	CH	CCl	<i>i</i> -Pr	Cl	CF ₃	OMe

	CH	CH	CH	CCl	<i>t</i> -Bu	Cl	CF ₃	OMe
	CH	CH	CH	CCl	Et	Cl	CF ₃	CN
	CH	CH	CH	CCl	<i>i</i> -Pr	Cl	CF ₃	CN
	CH	CH	CH	CCl	<i>t</i> -Bu	Cl	CF ₃	CN
5	CH	CH	CH	CF	Et	Me	CF ₃	Cl
	CH	CH	CH	CF	<i>i</i> -Pr	Me	CF ₃	Cl
	CH	CH	CH	CF	<i>t</i> -Bu	Me	CF ₃	Cl
	CH	CH	CH	CF	Et	Me	CF ₃	Br
	CH	CH	CH	CF	<i>i</i> -Pr	Me	CF ₃	Br
10	CH	CH	CH	CF	<i>t</i> -Bu	Me	CF ₃	Br
	CH	CH	CH	CF	Et	Me	CF ₃	I
	CH	CH	CH	CF	<i>i</i> -Pr	Me	CF ₃	I
	CH	CH	CH	CF	<i>t</i> -Bu	Me	CF ₃	I
	CH	CH	CH	CF	Et	Me	CF ₃	F
15	CH	CH	CH	CF	<i>i</i> -Pr	Me	CF ₃	F
	CH	CH	CH	CF	<i>t</i> -Bu	Me	CF ₃	F
	CH	CH	CH	CF	Et	Me	CF ₃	Me
	CH	CH	CH	CF	<i>i</i> -Pr	Me	CF ₃	Me
	CH	CH	CH	CF	<i>t</i> -Bu	Me	CF ₃	Me
20	CH	CH	CH	CF	Et	Me	CF ₃	CF ₃
	CH	CH	CH	CF	<i>i</i> -Pr	Me	CF ₃	CF ₃
	CH	CH	CH	CF	<i>t</i> -Bu	Me	CF ₃	CF ₃
	CH	CH	CH	CF	Et	Me	CF ₃	OMe
	CH	CH	CH	CF	<i>i</i> -Pr	Me	CF ₃	OMe
25	CH	CH	CH	CF	<i>t</i> -Bu	Me	CF ₃	OMe

	CH	CH	CH	CF	Et	Me	CF ₃	CN
	CH	CH	CH	CF	<i>i</i> -Pr	Me	CF ₃	CN
	CH	CH	CH	CF	<i>t</i> -Bu	Me	CF ₃	CN
	CH	CH	CH	CF	Et	Cl	CF ₃	Cl
5	CH	CH	CH	CF	<i>i</i> -Pr	Cl	CF ₃	Cl
	CH	CH	CH	CF	<i>t</i> -Bu	Cl	CF ₃	Cl
	CH	CH	CH	CF	Et	Cl	CF ₃	Br
	CH	CH	CH	CF	<i>i</i> -Pr	Cl	CF ₃	Br
	CH	CH	CH	CF	<i>t</i> -Bu	Cl	CF ₃	Br
10	CH	CH	CH	CF	Et	Cl	CF ₃	I
	CH	CH	CH	CF	<i>i</i> -Pr	Cl	CF ₃	I
	CH	CH	CH	CF	<i>t</i> -Bu	Cl	CF ₃	I
	CH	CH	CH	CF	<i>i</i> -Pr	Cl	CF ₃	F
	CH	CH	CH	CF	<i>t</i> -Bu	Cl	CF ₃	F
15	CH	CH	CH	CF	Et	Cl	CF ₃	Me
	CH	CH	CH	CF	<i>i</i> -Pr	Cl	CF ₃	Me
	CH	CH	CH	CF	<i>t</i> -Bu	Cl	CF ₃	Me
	CH	CH	CH	CF	Et	Cl	CF ₃	CF ₃
	CH	CH	CH	CF	<i>i</i> -Pr	Cl	CF ₃	CF ₃
20	CH	CH	CH	CF	<i>t</i> -Bu	Cl	CF ₃	CF ₃
	CH	CH	CH	CF	Et	Cl	CF ₃	OMe
	CH	CH	CH	CF	<i>i</i> -Pr	Cl	CF ₃	OMe
	CH	CH	CH	CF	<i>t</i> -Bu	Cl	CF ₃	OMe
	CH	CH	CH	CF	Et	Cl	CF ₃	CN
25	CH	CH	CH	CF	<i>i</i> -Pr	Cl	CF ₃	CN

	CH	CH	CH	CF	<i>t</i> -Bu	Cl	CF ₃	CN
	CH	CH	CH	CH	Et	Me	C ₂ F ₅	Cl
	CH	CH	CH	CH	<i>i</i> -Pr	Me	C ₂ F ₅	Cl
	CH	CH	CH	CH	<i>t</i> -Bu	Me	C ₂ F ₅	Cl
5	CH	CH	CH	CH	Et	Me	C ₂ F ₅	Br
	CH	CH	CH	CH	<i>i</i> -Pr	Me	C ₂ F ₅	Br
	CH	CH	CH	CH	<i>t</i> -Bu	Me	C ₂ F ₅	Br
	CH	CH	CH	CH	Et	Me	C ₂ F ₅	I
	CH	CH	CH	CH	<i>i</i> -Pr	Me	C ₂ F ₅	I
10	CH	CH	CH	CH	<i>t</i> -Bu	Me	C ₂ F ₅	I
	CH	CH	CH	CH	Et	Me	C ₂ F ₅	F
	CH	CH	CH	CH	<i>i</i> -Pr	Me	C ₂ F ₅	F
	CH	CH	CH	CH	<i>t</i> -Bu	Me	C ₂ F ₅	F
	CH	CH	CH	CH	Et	Me	C ₂ F ₅	Me
15	CH	CH	CH	CH	<i>i</i> -Pr	Me	C ₂ F ₅	Me
	CH	CH	CH	CH	<i>t</i> -Bu	Me	C ₂ F ₅	Me
	CH	CH	CH	CH	Et	Me	C ₂ F ₅	CF ₃
	CH	CH	CH	CH	<i>i</i> -Pr	Me	C ₂ F ₅	CF ₃
	CH	CH	CH	CH	<i>t</i> -Bu	Me	C ₂ F ₅	CF ₃
20	CH	CH	CH	CH	Et	Me	C ₂ F ₅	OMe
	CH	CH	CH	CH	<i>i</i> -Pr	Me	C ₂ F ₅	OMe
	CH	CH	CH	CH	<i>t</i> -Bu	Me	C ₂ F ₅	OMe
	CH	CH	CH	CH	Et	Me	C ₂ F ₅	CN
	CH	CH	CH	CH	<i>i</i> -Pr	Me	C ₂ F ₅	CN
25	CH	CH	CH	CH	<i>t</i> -Bu	Me	C ₂ F ₅	CN

	CH	CH	CH	CH	Et	Cl	C ₂ F ₅	Cl
	CH	CH	CH	CH	<i>i</i> -Pr	Cl	C ₂ F ₅	Cl
	CH	CH	CH	CH	<i>t</i> -Bu	Cl	C ₂ F ₅	Cl
	CH	CH	CH	CH	Et	Cl	C ₂ F ₅	Br
5	CH	CH	CH	CH	<i>i</i> -Pr	Cl	C ₂ F ₅	Br
	CH	CH	CH	CH	<i>t</i> -Bu	Cl	C ₂ F ₅	Br
	CH	CH	CH	CH	Et	Cl	C ₂ F ₅	I
	CH	CH	CH	CH	<i>i</i> -Pr	Cl	C ₂ F ₅	I
	CH	CH	CH	CH	<i>t</i> -Bu	Cl	C ₂ F ₅	I
10	CH	CH	CH	CH	Et	Cl	C ₂ F ₅	F
	CH	CH	CH	CH	<i>Hi</i> -Pr	Cl	C ₂ F ₅	F
	CH	CH	CH	CH	<i>t</i> -Bu	Cl	C ₂ F ₅	F
	CH	CH	CH	CH	Et	Cl	C ₂ F ₅	Me
	CH	CH	CH	CH	<i>i</i> -Pr	Cl	C ₂ F ₅	Me
15	CH	CH	CH	CH	<i>t</i> -Bu	Cl	C ₂ F ₅	Me
	CH	CH	CH	CH	Et	Cl	C ₂ F ₅	CF ₃
	CH	CH	CH	CH	<i>i</i> -Pr	Cl	C ₂ F ₅	CF ₃
	CH	CH	CH	CH	<i>t</i> -Bu	Cl	C ₂ F ₅	CF ₃
	CH	CH	CH	CH	Et	Cl	C ₂ F ₅	OMe
20	CH	CH	CH	CH	<i>i</i> -Pr	Cl	C ₂ F ₅	OMe
	CH	CH	CH	CH	<i>t</i> -Bu	Cl	C ₂ F ₅	OMe
	CH	CH	CH	CH	Et	Cl	C ₂ F ₅	CN
	CH	CH	CH	CH	<i>i</i> -Pr	Cl	C ₂ F ₅	CN
	CH	CH	CH	CH	<i>t</i> -Bu	Cl	C ₂ F ₅	CN

	CH	CH	CH	CH	<i>i</i> -Pr	Me	CF ₃	F
	CH	CH	CH	CH	<i>t</i> -Bu	Me	CF ₃	F
	CH	CH	CH	CH	Et	Me	CF ₃	Me
	CH	CH	CH	CH	<i>i</i> -Pr	Me	CF ₃	Me
5	CH	CH	CH	CH	<i>t</i> -Bu	Me	CF ₃	Me
	CH	CH	CH	CH	Et	Me	CF ₃	CF ₃
	CH	CH	CH	CH	<i>i</i> -Pr	Me	CF ₃	CF ₃
	CH	CH	CH	CH	<i>t</i> -Bu	Me	CF ₃	CF ₃
	CH	CH	CH	CH	Et	Me	CF ₃	OMe
10	CH	CH	CH	CH	<i>i</i> -Pr	Me	CF ₃	OMe
	CH	CH	CH	CH	<i>t</i> -Bu	Me	CF ₃	OMe
	CH	CH	CH	CH	Et	Me	CF ₃	CN
	CH	CH	CH	CH	<i>i</i> -Pr	Me	CF ₃	CN
	CH	CH	CH	CH	<i>t</i> -Bu	Me	CF ₃	CN
15	CH	CH	CH	CH	Et	Cl	CF ₃	Cl
	CH	CH	CH	CH	<i>i</i> -Pr	Cl	CF ₃	Cl
	CH	CH	CH	CH	<i>t</i> -Bu	Cl	CF ₃	Cl
	CH	CH	CH	CH	Et	Cl	CF ₃	Br
	CH	CH	CH	CH	<i>i</i> -Pr	Cl	CF ₃	Br
20	CH	CH	CH	CH	<i>t</i> -Bu	Cl	CF ₃	Br
	CH	CH	CH	CH	Et	Cl	CF ₃	I
	CH	CH	CH	CH	<i>i</i> -Pr	Cl	CF ₃	I
	CH	CH	CH	CH	<i>t</i> -Bu	Cl	CF ₃	I
	CH	CH	CH	CH	Et	Cl	CF ₃	F
25	CH	CH	CH	CH	<i>i</i> -Pr	Cl	CF ₃	F

	CH	CH	CH	CH	<i>t</i> -Bu	Cl	CF ₃	F
	CH	CH	CH	CH	Et	Cl	CF ₃	Me
	CH	CH	CH	CH	<i>i</i> -Pr	Cl	CF ₃	Me
	CH	CH	CH	CH	<i>t</i> -Bu	Cl	CF ₃	Me
5	CH	CH	CH	CH	Et	Cl	CF ₃	CF ₃
	CH	CH	CH	CH	<i>i</i> -Pr	Cl	CF ₃	CF ₃
	CH	CH	CH	CH	<i>t</i> -Bu	Cl	CF ₃	CF ₃
	CH	CH	CH	CH	Et	Cl	CF ₃	OMe
	CH	CH	CH	CH	<i>i</i> -Pr	Cl	CF ₃	OMe
10	CH	CH	CH	CH	<i>t</i> -Bu	Cl	CF ₃	OMe
	CH	CH	CH	CH	Et	Cl	CF ₃	CN
	CH	CH	CH	CH	<i>i</i> -Pr	Cl	CF ₃	CN
	CH	CH	CH	CH	<i>t</i> -Bu	Cl	CF ₃	CN
	CH	CH	CH	N	Et	Me	CF ₃	Cl
15	CH	CH	CH	N	<i>i</i> -Pr	Me	CF ₃	Cl
	CH	CH	CH	N	<i>t</i> -Bu	Me	CF ₃	Cl
	CH	CH	CH	N	Et	Me	CF ₃	Br
	CH	CH	CH	N	<i>i</i> -Pr	Me	CF ₃	Br
	CH	CH	CH	N	<i>t</i> -Bu	Me	CF ₃	Br
20	CH	CH	CH	N	Et	Me	CF ₃	I
	CH	CH	CH	N	<i>i</i> -Pr	Me	CF ₃	I
	CH	CH	CH	N	<i>t</i> -Bu	Me	CF ₃	I
	CH	CH	CH	N	Et	Me	CF ₃	F
	CH	CH	CH	N	<i>i</i> -Pr	Me	CF ₃	F
25	CH	CH	CH	N	<i>t</i> -Bu	Me	CF ₃	F

	CH	CH	CH	N	Et	Me	CF ₃	Me
	CH	CH	CH	N	<i>i</i> -Pr	Me	CF ₃	Me
	CH	CH	CH	N	<i>t</i> -Bu	Me	CF ₃	Me
	CH	CH	CH	N	Et	Me	CF ₃	CF ₃
5	CH	CH	CH	N	<i>i</i> -Pr	Me	CF ₃	CF ₃
	CH	CH	CH	N	<i>t</i> -Bu	Me	CF ₃	CF ₃
	CH	CH	CH	N	Et	Me	CF ₃	OMe
	CH	CH	CH	N	<i>i</i> -Pr	Me	CF ₃	OMe
	CH	CH	CH	N	<i>t</i> -Bu	Me	CF ₃	OMe
10	CH	CH	CH	N	Et	Me	CF ₃	CN
	CH	CH	CH	N	<i>i</i> -Pr	Me	CF ₃	CN
	CH	CH	CH	N	<i>t</i> -Bu	Me	CF ₃	CN
	CH	CH	CH	N	Et	Cl	CF ₃	Cl
	CH	CH	CH	N	<i>i</i> -Pr	Cl	CF ₃	Cl
15	CH	CH	CH	N	<i>t</i> -Bu	Cl	CF ₃	Cl
	CH	CH	CH	N	Et	Cl	CF ₃	Br
	CH	CH	CH	N	<i>i</i> -Pr	Cl	CF ₃	Br
	CH	CH	CH	N	<i>t</i> -Bu	Cl	CF ₃	Br
	CH	CH	CH	N	Et	Cl	CF ₃	I
20	CH	CH	CH	N	<i>i</i> -Pr	Cl	CF ₃	I
	CH	CH	CH	N	<i>t</i> -Bu	Cl	CF ₃	I
	CH	CH	CH	N	Et	Cl	CF ₃	F
	CH	CH	CH	N	<i>i</i> -Pr	Cl	CF ₃	F
	CH	CH	CH	N	<i>t</i> -Bu	Cl	CF ₃	F
25	CH	CH	CH	N	Et	Cl	CF ₃	Me

	CH	CH	CH	N	<i>i</i> -Pr	Cl	CF ₃	Me
	CH	CH	CH	N	<i>t</i> -Bu	Cl	CF ₃	Me
	CH	CH	CH	N	Et	Cl	CF ₃	CF ₃
	CH	CH	CH	N	<i>i</i> -Pr	Cl	CF ₃	CF ₃
5	CH	CH	CH	N	<i>t</i> -Bu	Cl	CF ₃	CF ₃
	CH	CH	CH	N	Et	Cl	CF ₃	OMe
	CH	CH	CH	N	<i>i</i> -Pr	Cl	CF ₃	OMe
	CH	CH	CH	N	<i>t</i> -Bu	Cl	CF ₃	OMe
	CH	CH	CH	N	Et	Cl	CF ₃	CN
10	CH	CH	CH	N	<i>i</i> -Pr	Cl	CF ₃	CN
	CH	CH	CH	N	<i>t</i> -Bu	Cl	CF ₃	CN
	CH	CH	N	CH	Et	Me	CF ₃	Cl
	CH	CH	N	CH	<i>i</i> -Pr	Me	CF ₃	Cl
	CH	CH	N	CH	<i>t</i> -Bu	Me	CF ₃	Cl
15	CH	CH	N	CH	Et	Me	CF ₃	Br
	CH	CH	N	CH	<i>i</i> -Pr	Me	CF ₃	Br
	CH	CH	N	CH	<i>t</i> -Bu	Me	CF ₃	Br
	CH	CH	N	CH	Et	Me	CF ₃	I
	CH	CH	N	CH	<i>i</i> -Pr	Me	CF ₃	I
20	CH	CH	N	CH	<i>t</i> -Bu	Me	CF ₃	I
	CH	CH	N	CH	Et	Me	CF ₃	F
	CH	CH	N	CH	<i>i</i> -Pr	Me	CF ₃	F
	CH	CH	N	CH	<i>t</i> -Bu	Me	CF ₃	F
	CH	CH	N	CH	Et	Me	CF ₃	Me
25	CH	CH	N	CH	<i>i</i> -Pr	Me	CF ₃	Me

	CH	CH	N	CH	<i>t</i> -Bu	Me	CF ₃	Me
	CH	CH	N	CH	Et	Me	CF ₃	CF ₃
	CH	CH	N	CH	<i>i</i> -Pr	Me	CF ₃	CF ₃
	CH	CH	N	CH	<i>t</i> -Bu	Me	CF ₃	CF ₃
5	CH	CH	N	CH	Et	Me	CF ₃	OMe
	CH	CH	N	CH	<i>i</i> -Pr	Me	CF ₃	OMe
	CH	CH	N	CH	<i>t</i> -Bu	Me	CF ₃	OMe
	CH	CH	N	CH	Et	Me	CF ₃	CN
	CH	CH	N	CH	<i>i</i> -Pr	Me	CF ₃	CN
10	CH	CH	N	CH	<i>t</i> -Bu	Me	CF ₃	CN
	CH	CH	N	CH	Et	Cl	CF ₃	Cl
	CH	CH	N	CH	<i>i</i> -Pr	Cl	CF ₃	Cl
	CH	CH	N	CH	<i>t</i> -Bu	Cl	CF ₃	Cl
	CH	CH	N	CH	Et	Cl	CF ₃	Br
15	CH	CH	N	CH	<i>i</i> -Pr	Cl	CF ₃	Br
	CH	CH	N	CH	<i>t</i> -Bu	Cl	CF ₃	Br
	CH	CH	N	CH	Et	Cl	CF ₃	I
	CH	CH	N	CH	<i>i</i> -Pr	Cl	CF ₃	I
	CH	CH	N	CH	<i>t</i> -Bu	Cl	CF ₃	I
20	CH	CH	N	CH	Et	Cl	CF ₃	F
	CH	CH	N	CH	<i>i</i> -Pr	Cl	CF ₃	F
	CH	CH	N	CH	<i>t</i> -Bu	Cl	CF ₃	F
	CH	CH	N	CH	Et	Cl	CF ₃	Me
	CH	CH	N	CH	<i>i</i> -Pr	Cl	CF ₃	Me
25	CH	CH	N	CH	<i>t</i> -Bu	Cl	CF ₃	Me

	CH	CH	N	CH	Et	Cl	CF ₃	CF ₃
	CH	CH	N	CH	<i>i</i> -Pr	Cl	CF ₃	CF ₃
	CH	CH	N	CH	<i>t</i> -Bu	Cl	CF ₃	CF ₃
	CH	CH	N	CH	Et	Cl	CF ₃	OMe
5	CH	CH	N	CH	<i>i</i> -Pr	Cl	CF ₃	OMe
	CH	CH	N	CH	<i>t</i> -Bu	Cl	CF ₃	OMe
	CH	CH	N	CH	Et	Cl	CF ₃	CN
	CH	CH	N	CH	<i>i</i> -Pr	Cl	CF ₃	CN
	CH	CH	N	CH	<i>t</i> -Bu	Cl	CF ₃	CN
10	CH	N	CH	CH	Et	Me	CF ₃	Cl
	CH	N	CH	CH	<i>i</i> -Pr	Me	CF ₃	Cl
	CH	N	CH	CH	<i>t</i> -Bu	Me	CF ₃	Cl
	CH	N	CH	CH	Et	Me	CF ₃	Br
	CH	N	CH	CH	<i>i</i> -Pr	Me	CF ₃	Br
15	CH	N	CH	CH	<i>t</i> -Bu	Me	CF ₃	Br
	CH	N	CH	CH	Et	Me	CF ₃	I
	CH	N	CH	CH	<i>i</i> -Pr	Me	CF ₃	I
	CH	N	CH	CH	<i>t</i> -Bu	Me	CF ₃	I
	CH	N	CH	CH	Et	Me	CF ₃	F
20	CH	N	CH	CH	<i>i</i> -Pr	Me	CF ₃	F
	CH	N	CH	CH	<i>t</i> -Bu	Me	CF ₃	F
	CH	N	CH	CH	Et	Me	CF ₃	Me
	CH	N	CH	CH	<i>i</i> -Pr	Me	CF ₃	Me
	CH	N	CH	CH	<i>t</i> -Bu	Me	CF ₃	Me
25	CH	N	CH	CH	Et	Me	CF ₃	CF ₃

	CH	N	CH	CH	<i>i</i> -Pr	Me	CF ₃	CF ₃
	CH	N	CH	CH	<i>t</i> -Bu	Me	CF ₃	CF ₃
	CH	N	CH	CH	Et	Me	CF ₃	OMe
	CH	N	CH	CH	<i>i</i> -Pr	Me	CF ₃	OMe
5	CH	N	CH	CH	<i>t</i> -Bu	Me	CF ₃	OMe
	CH	N	CH	CH	Et	Me	CF ₃	CN
	CH	N	CH	CH	<i>i</i> -Pr	Me	CF ₃	CN
	CH	N	CH	CH	<i>t</i> -Bu	Me	CF ₃	CN
	CH	N	CH	CH	Et	Cl	CF ₃	Cl
10	CH	N	CH	CH	<i>i</i> -Pr	Cl	CF ₃	Cl
	CH	N	CH	CH	<i>t</i> -Bu	Cl	CF ₃	Cl
	CH	N	CH	CH	Et	Cl	CF ₃	Br
	CH	N	CH	CH	<i>i</i> -Pr	Cl	CF ₃	Br
	CH	N	CH	CH	<i>t</i> -Bu	Cl	CF ₃	Br
15	CH	N	CH	CH	Et	Cl	CF ₃	I
	CH	N	CH	CH	<i>i</i> -Pr	Cl	CF ₃	I
	CH	N	CH	CH	<i>t</i> -Bu	Cl	CF ₃	I
	CH	N	CH	CH	Et	Cl	CF ₃	F
	CH	N	CH	CH	<i>i</i> -Pr	Cl	CF ₃	F
20	CH	N	CH	CH	<i>t</i> -Bu	Cl	CF ₃	F
	CH	N	CH	CH	Et	Cl	CF ₃	Me
	CH	N	CH	CH	<i>i</i> -Pr	Cl	CF ₃	Me
	CH	N	CH	CH	<i>t</i> -Bu	Cl	CF ₃	Me
	CH	N	CH	CH	Et	Cl	CF ₃	CF ₃
25	CH	N	CH	CH	<i>i</i> -Pr	Cl	CF ₃	CF ₃

	CH	N	CH	CH	t-Bu	Cl	CF ₃	CF ₃
	CH	N	CH	CH	Et	Cl	CF ₃	OMe
	CH	N	CH	CH	i-Pr	Cl	CF ₃	OMe
	CH	N	CH	CH	t-Bu	Cl	CF ₃	OMe
5	CH	N	CH	CH	Et	Cl	CF ₃	CN
	CH	N	CH	CH	i-Pr	Cl	CF ₃	CN
	CH	N	CH	CH	t-Bu	Cl	CF ₃	CN
	N	CH	CH	CH	Et	Me	CF ₃	Cl
	N	CH	CH	CH	i-Pr	Me	CF ₃	Cl
10	N	CH	CH	CH	t-Bu	Me	CF ₃	Cl
	N	CH	CH	CH	Et	Me	CF ₃	Br
	N	CH	CH	CH	i-Pr	Me	CF ₃	Br
	N	CH	CH	CH	t-Bu	Me	CF ₃	Br
	N	CH	CH	CH	Et	Me	CF ₃	I
15	N	CH	CH	CH	i-Pr	Me	CF ₃	I
	N	CH	CH	CH	t-Bu	Me	CF ₃	I
	N	CH	CH	CH	Et	Me	CF ₃	F
	N	CH	CH	CH	i-Pr	Me	CF ₃	F
	N	CH	CH	CH	t-Bu	Me	CF ₃	F
20	N	CH	CH	CH	Et	Me	CF ₃	Me
	N	CH	CH	CH	i-Pr	Me	CF ₃	Me
	N	CH	CH	CH	t-Bu	Me	CF ₃	Me
	N	CH	CH	CH	Et	Me	CF ₃	CF ₃
	N	CH	CH	CH	i-Pr	Me	CF ₃	CF ₃
25	N	CH	CH	CH	t-Bu	Me	CF ₃	CF ₃

	N	CH	CH	CH	Et	Me	CF ₃	OMe
	N	CH	CH	CH	<i>i</i> -Pr	Me	CF ₃	OMe
	N	CH	CH	CH	<i>t</i> -Bu	Me	CF ₃	OMe
	N	CH	CH	CH	Et	Me	CF ₃	CN
5	N	CH	CH	CH	<i>i</i> -Pr	Me	CF ₃	CN
	N	CH	CH	CH	<i>t</i> -Bu	Me	CF ₃	CN
	N	CH	CH	CH	Et	Cl	CF ₃	Cl
	N	CH	CH	CH	<i>i</i> -Pr	Cl	CF ₃	Cl
	N	CH	CH	CH	<i>t</i> -Bu	Cl	CF ₃	Cl
10	N	CH	CH	CH	Et	Cl	CF ₃	Br
	N	CH	CH	CH	<i>i</i> -Pr	Cl	CF ₃	Br
	N	CH	CH	CH	<i>t</i> -Bu	Cl	CF ₃	Br
	N	CH	CH	CH	Et	Cl	CF ₃	I
	N	CH	CH	CH	<i>i</i> -Pr	Cl	CF ₃	I
15	N	CH	CH	CH	<i>t</i> -Bu	Cl	CF ₃	I
	N	CH	CH	CH	Et	Cl	CF ₃	F
	N	CH	CH	CH	<i>i</i> -Pr	Cl	CF ₃	F
	N	CH	CH	CH	<i>t</i> -Bu	Cl	CF ₃	F
	N	CH	CH	CH	Et	Cl	CF ₃	Me
20	N	CH	CH	CH	<i>i</i> -Pr	Cl	CF ₃	Me
	N	CH	CH	CH	<i>t</i> -Bu	Cl	CF ₃	Me
	N	CH	CH	CH	Et	Cl	CF ₃	CF ₃
	N	CH	CH	CH	<i>i</i> -Pr	Cl	CF ₃	CF ₃
	N	CH	CH	CH	<i>t</i> -Bu	Cl	CF ₃	CF ₃
25	N	CH	CH	CH	Et	Cl	CF ₃	OMe

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	N	CH	CH	CH	<i>i</i> -Pr	Cl	CF ₃	OMe
	N	CH	CH	CH	<i>t</i> -Bu	Cl	CF ₃	OMe
	N	CH	CH	CH	Et	Cl	CF ₃	CN
	N	CH	CH	CH	<i>i</i> -Pr	Cl	CF ₃	CN
5	N	CH	CH	CH	<i>t</i> -Bu	Cl	CF ₃	CN
	CH	N	CH	N	Et	Me	CF ₃	Cl
	CH	N	CH	N	<i>i</i> -Pr	Me	CF ₃	Cl
	CH	N	CH	N	<i>t</i> -Bu	Me	CF ₃	Cl
	CH	N	CH	N	Et	Me	CF ₃	Br
10	CH	N	CH	N	<i>i</i> -Pr	Me	CF ₃	Br
	CH	N	CH	N	<i>t</i> -Bu	Me	CF ₃	Br
	CH	N	CH	N	Et	Me	CF ₃	I
	CH	N	CH	N	<i>i</i> -Pr	Me	CF ₃	I
	CH	N	CH	N	<i>t</i> -Bu	Me	CF ₃	I
15	CH	N	CH	N	Et	Me	CF ₃	F
	CH	N	CH	N	<i>i</i> -Pr	Me	CF ₃	F
	CH	N	CH	N	<i>t</i> -Bu	Me	CF ₃	F
	CH	N	CH	N	Et	Me	CF ₃	Me
	CH	N	CH	N	<i>i</i> -Pr	Me	CF ₃	Me
20	CH	N	CH	N	<i>t</i> -Bu	Me	CF ₃	Me
	CH	N	CH	N	Et	Me	CF ₃	CF ₃
	CH	N	CH	N	<i>i</i> -Pr	Me	CF ₃	CF ₃
	CH	N	CH	N	<i>t</i> -Bu	Me	CF ₃	CF ₃
	CH	N	CH	N	Et	Me	CF ₃	OMe
25	CH	N	CH	N	<i>i</i> -Pr	Me	CF ₃	OMe

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	CH	N	CH	N	t-Bu	Me	CF ₃	OMe
	CH	N	CH	N	Et	Me	CF ₃	CN
	CH	N	CH	N	i-Pr	Me	CF ₃	CN
	CH	N	CH	N	t-Bu	Me	CF ₃	CN
5	CH	N	CH	N	Et	Cl	CF ₃	Cl
	CH	N	CH	N	i-Pr	Cl	CF ₃	Cl
	CH	N	CH	N	t-Bu	Cl	CF ₃	Cl
	CH	N	CH	N	Et	Cl	CF ₃	Br
	CH	N	CH	N	i-Pr	Cl	CF ₃	Br
10	CH	N	CH	N	t-Bu	Cl	CF ₃	Br
	CH	N	CH	N	Et	Cl	CF ₃	I
	CH	N	CH	N	i-Pr	Cl	CF ₃	I
	CH	N	CH	N	t-Bu	Cl	CF ₃	I
	CH	N	CH	N	Et	Cl	CF ₃	F
15	CH	N	CH	N	i-Pr	Cl	CF ₃	F
	CH	N	CH	N	t-Bu	Cl	CF ₃	F
	CH	N	CH	N	Et	Cl	CF ₃	Me
	CH	N	CH	N	i-Pr	Cl	CF ₃	Me
	CH	N	CH	N	t-Bu	Cl	CF ₃	Me
20	CH	N	CH	N	Et	Cl	CF ₃	CF ₃
	CH	N	CH	N	i-Pr	Cl	CF ₃	CF ₃
	CH	N	CH	N	t-Bu	Cl	CF ₃	CF ₃
	CH	N	CH	N	Et	Cl	CF ₃	OMe
	CH	N	CH	N	i-Pr	Cl	CF ₃	OMe
25	CH	N	CH	N	t-Bu	Cl	CF ₃	OMe

	CH	N	CH	N	Et	Cl	CF ₃	CN
	CH	N	CH	N	<i>i</i> -Pr	Cl	CF ₃	CN
	CH	N	CH	N	<i>t</i> -Bu	Cl	CF ₃	CN
	CH	CH	CH	CCl	Et	Me	CF ₃	Cl
5	CH	CH	CH	CCl	<i>i</i> -Pr	Me	CF ₃	Cl
	CH	CH	CH	CCl	<i>t</i> -Bu	Me	CF ₃	Cl
	CH	CH	CH	CCl	Et	Me	CF ₃	Br
	CH	CH	CH	CCl	<i>i</i> -Pr	Me	CF ₃	Br
	CH	CH	CH	CCl	<i>t</i> -Bu	Me	CF ₃	Br
10	CH	CH	CH	CCl	Et	Me	CF ₃	I
	CH	CH	CH	CCl	<i>i</i> -Pr	Me	CF ₃	I
	CH	CH	CH	CCl	<i>t</i> -Bu	Me	CF ₃	I
	CH	CH	CH	CCl	Et	Me	CF ₃	F
	CH	CH	CH	CCl	<i>i</i> -Pr	Me	CF ₃	F
15	CH	CH	CH	CCl	<i>t</i> -Bu	Me	CF ₃	F
	CH	CH	CH	CCl	Et	Me	CF ₃	Me
	CH	CH	CH	CCl	<i>i</i> -Pr	Me	CF ₃	Me
	CH	CH	CH	CCl	<i>t</i> -Bu	Me	CF ₃	Me
	CH	CH	CH	CCl	Et	Me	CF ₃	CF ₃
20	CH	CH	CH	CCl	<i>i</i> -Pr	Me	CF ₃	CF ₃
	CH	CH	CH	CCl	<i>t</i> -Bu	Me	CF ₃	CF ₃
	CH	CH	CH	CCl	Et	Me	CF ₃	OMe
	CH	CH	CH	CCl	<i>i</i> -Pr	Me	CF ₃	OMe
	CH	CH	CH	CCl	<i>t</i> -Bu	Me	CF ₃	OMe
25	CH	CH	CH	CCl	Et	Me	CF ₃	CN

	CH	CH	CH	CCl	<i>i</i> -Pr	Me	CF ₃	CN
	CH	CH	CH	CCl	<i>t</i> -Bu	Me	CF ₃	CN
	CH	CH	CH	CCl	Et	Cl	CF ₃	Cl
	CH	CH	CH	CCl	<i>i</i> -Pr	Cl	CF ₃	Cl
5	CH	CH	CH	CCl	<i>t</i> -Bu	Cl	CF ₃	Cl
	CH	CH	CH	CCl	Et	Cl	CF ₃	Br
	CH	CH	CH	CCl	<i>i</i> -Pr	Cl	CF ₃	Br
	CH	CH	CH	CCl	<i>t</i> -Bu	Cl	CF ₃	Br
	CH	CH	CH	CCl	Et	Cl	CF ₃	I
10	CH	CH	CH	CCl	<i>i</i> -Pr	Cl	CF ₃	I
	CH	CH	CH	CCl	<i>t</i> -Bu	Cl	CF ₃	I
	CH	CH	CH	CCl	Et	Cl	CF ₃	F
	CH	CH	CH	CCl	<i>i</i> -Pr	Cl	CF ₃	F
	CH	CH	CH	CCl	<i>t</i> -Bu	Cl	CF ₃	F
15	CH	CH	CH	CCl	Et	Cl	CF ₃	Me
	CH	CH	CH	CCl	<i>i</i> -Pr	Cl	CF ₃	Me
	CH	CH	CH	CCl	<i>t</i> -Bu	Cl	CF ₃	Me
	CH	CH	CH	CCl	Et	Cl	CF ₃	CF ₃
	CH	CH	CH	CCl	<i>i</i> -Pr	Cl	CF ₃	CF ₃
20	CH	CH	CH	CCl	<i>t</i> -Bu	Cl	CF ₃	CF ₃
	CH	CH	CH	CCl	Et	Cl	CF ₃	OMe
	CH	CH	CH	CCl	<i>i</i> -Pr	Cl	CF ₃	OMe
	CH	CH	CH	CCl	<i>t</i> -Bu	Cl	CF ₃	OMe
	CH	CH	CH	CCl	Et	Cl	CF ₃	CN
25	CH	CH	CH	CCl	<i>i</i> -Pr	Cl	CF ₃	CN

350

	CH	CH	CH	CCl	<i>t</i> -Bu	Cl	CF ₃	CN
	CH	CH	CH	CF	Et	Me	CF ₃	Cl
	CH	CH	CH	CF	<i>i</i> -Pr	Me	CF ₃	Cl
	CH	CH	CH	CF	<i>t</i> -Bu	Me	CF ₃	Cl
5	CH	CH	CH	CF	Et	Me	CF ₃	Br
	CH	CH	CH	CF	<i>i</i> -Pr	Me	CF ₃	Br
	CH	CH	CH	CF	<i>t</i> -Bu	Me	CF ₃	Br
	CH	CH	CH	CF	Et	Me	CF ₃	I
	CH	CH	CH	CF	<i>i</i> -Pr	Me	CF ₃	I
10	CH	CH	CH	CF	<i>t</i> -Bu	Me	CF ₃	I
	CH	CH	CH	CF	Et	Me	CF ₃	F
	CH	CH	CH	CF	<i>i</i> -Pr	Me	CF ₃	F
	CH	CH	CH	CF	<i>t</i> -Bu	Me	CF ₃	F
	CH	CH	CH	CF	Et	Me	CF ₃	Me
15	CH	CH	CH	CF	<i>i</i> -Pr	Me	CF ₃	Me
	CH	CH	CH	CF	<i>t</i> -Bu	Me	CF ₃	Me
	CH	CH	CH	CF	Et	Me	CF ₃	CF ₃
	CH	CH	CH	CF	<i>i</i> -Pr	Me	CF ₃	CF ₃
	CH	CH	CH	CF	<i>t</i> -Bu	Me	CF ₃	CF ₃
20	CH	CH	CH	CF	Et	Me	CF ₃	OMe
	CH	CH	CH	CF	<i>i</i> -Pr	Me	CF ₃	OMe
	CH	CH	CH	CF	<i>t</i> -Bu	Me	CF ₃	OMe
	CH	CH	CH	CF	Et	Me	CF ₃	CN
	CH	CH	CH	CF	<i>i</i> -Pr	Me	CF ₃	CN
25	CH	CH	CH	CF	<i>t</i> -Bu	Me	CF ₃	CN

	CH	CH	CH	CF	Et	Cl	CF ₃	Cl
	CH	CH	CH	CF	<i>i</i> -Pr	Cl	CF ₃	Cl
	CH	CH	CH	CF	<i>t</i> -Bu	Cl	CF ₃	Cl
	CH	CH	CH	CF	Et	Cl	CF ₃	Br
5	CH	CH	CH	CF	<i>i</i> -Pr	Cl	CF ₃	Br
	CH	CH	CH	CF	<i>t</i> -Bu	Cl	CF ₃	Br
	CH	CH	CH	CF	Et	Cl	CF ₃	I
	CH	CH	CH	CF	<i>i</i> -Pr	Cl	CF ₃	I
	CH	CH	CH	CF	<i>t</i> -Bu	Cl	CF ₃	I
10	CH	CH	CH	CF	Et	Cl	CF ₃	F
	CH	CH	CH	CF	<i>i</i> -Pr	Cl	CF ₃	F
	CH	CH	CH	CF	<i>t</i> -Bu	Cl	CF ₃	F
	CH	CH	CH	CF	Et	Cl	CF ₃	Me
	CH	CH	CH	CF	<i>i</i> -Pr	Cl	CF ₃	Me
15	CH	CH	CH	CF	<i>t</i> -Bu	Cl	CF ₃	Me
	CH	CH	CH	CF	Et	Cl	CF ₃	CF ₃
	CH	CH	CH	CF	<i>i</i> -Pr	Cl	CF ₃	CF ₃
	CH	CH	CH	CF	<i>t</i> -Bu	Cl	CF ₃	CF ₃
	CH	CH	CH	CF	Et	Cl	CF ₃	OMe
20	CH	CH	CH	CF	<i>i</i> -Pr	Cl	CF ₃	OMe
	CH	CH	CH	CF	<i>t</i> -Bu	Cl	CF ₃	OMe
	CH	CH	CH	CF	Et	Cl	CF ₃	CN
	CH	CH	CH	CF	<i>i</i> -Pr	Cl	CF ₃	CN
	CH	CH	CH	CF	<i>t</i> -Bu	Cl	CF ₃	CN
25	CH	CH	CH	CH	Et	Me	C ₂ F ₅	Cl

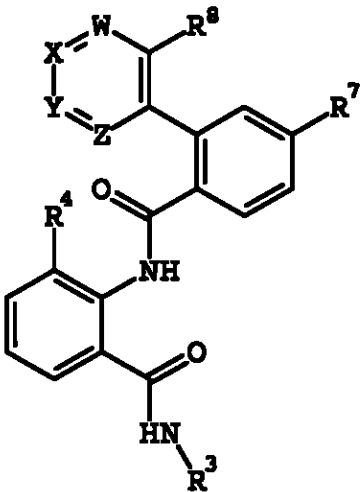
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	CH	CH	CH	CH	<i>t</i> -Bu	Me	C ₂ F ₅	Cl
	CH	CH	CH	CH	Et	Me	C ₂ F ₅	Br
	CH	CH	CH	CH	<i>i</i> -Pr	Me	C ₂ F ₅	Br
5	CH	CH	CH	CH	<i>t</i> -Bu	Me	C ₂ F ₅	Br
	CH	CH	CH	CH	Et	Me	C ₂ F ₅	I
	CH	CH	CH	CH	<i>i</i> -Pr	Me	C ₂ F ₅	I
	CH	CH	CH	CH	<i>t</i> -Bu	Me	C ₂ F ₅	I
	CH	CH	CH	CH	Et	Me	C ₂ F ₅	F
10	CH	CH	CH	CH	<i>i</i> -Pr	Me	C ₂ F ₅	F
	CH	CH	CH	CH	<i>t</i> -Bu	Me	C ₂ F ₅	F
	CH	CH	CH	CH	Et	Me	C ₂ F ₅	Me
	CH	CH	CH	CH	<i>i</i> -Pr	Me	C ₂ F ₅	Me
	CH	CH	CH	CH	<i>t</i> -Bu	Me	C ₂ F ₅	Me
15	CH	CH	CH	CH	Et	Me	C ₂ F ₅	CF ₃
	CH	CH	CH	CH	<i>i</i> -Pr	Me	C ₂ F ₅	CF ₃
	CH	CH	CH	CH	<i>t</i> -Bu	Me	C ₂ F ₅	CF ₃
	CH	CH	CH	CH	Et	Me	C ₂ F ₅	OMe
	CH	CH	CH	CH	<i>i</i> -Pr	Me	C ₂ F ₅	OMe
20	CH	CH	CH	CH	<i>t</i> -Bu	Me	C ₂ F ₅	OMe
	CH	CH	CH	CH	Et	Me	C ₂ F ₅	CN
	CH	CH	CH	CH	<i>i</i> -Pr	Me	C ₂ F ₅	CN
	CH	CH	CH	CH	<i>t</i> -Bu	Me	C ₂ F ₅	CN
	CH	CH	CH	CH	Et	Cl	C ₂ F ₅	Cl
25	CH	CH	CH	CH	<i>i</i> -Pr	Cl	C ₂ F ₅	Cl

	CH	CH	CH	CH	<i>t</i> -Bu	Cl	C ₂ F ₅	Cl
	CH	CH	CH	CH	Et	Cl	C ₂ F ₅	Br
	CH	CH	CH	CH	<i>i</i> -Pr	Cl	C ₂ F ₅	Br
	CH	CH	CH	CH	<i>t</i> -Bu	Cl	C ₂ F ₅	Br
5	CH	CH	CH	CH	Et	Cl	C ₂ F ₅	I
	CH	CH	CH	CH	<i>i</i> -Pr	Cl	C ₂ F ₅	I
	CH	CH	CH	CH	<i>t</i> -Bu	Cl	C ₂ F ₅	I
	CH	CH	CH	CH	Et	Cl	C ₂ F ₅	F
	CH	CH	CH	CH	<i>i</i> -Pr	Cl	C ₂ F ₅	F
10	CH	CH	CH	CH	<i>t</i> -Bu	Cl	C ₂ F ₅	F
	CH	CH	CH	CH	Et	Cl	C ₂ F ₅	Me
	CH	CH	CH	CH	<i>i</i> -Pr	Cl	C ₂ F ₅	Me
	CH	CH	CH	CH	<i>t</i> -Bu	Cl	C ₂ F ₅	Me
	CH	CH	CH	CH	Et	Cl	C ₂ F ₅	CF ₃
15	CH	CH	CH	CH	<i>i</i> -Pr	Cl	C ₂ F ₅	CF ₃
	CH	CH	CH	CH	<i>t</i> -Bu	Cl	C ₂ F ₅	CF ₃
	CH	CH	CH	CH	Et	Cl	C ₂ F ₅	OMe
	CH	CH	CH	CH	<i>i</i> -Pr	Cl	C ₂ F ₅	OMe
	CH	CH	CH	CH	<i>t</i> -Bu	Cl	C ₂ F ₅	OMe
20	CH	CH	CH	CH	Et	Cl	C ₂ F ₅	CN
	CH	CH	CH	CH	<i>i</i> -Pr	Cl	C ₂ F ₅	CN
	CH	CH	CH	CH	<i>t</i> -Bu	Cl	C ₂ F ₅	CN

Tabla 15

5

10



	W	X	Y	Z	R ³	R ⁴	R ⁷	R ⁸
15	CH	CH	CH	CH	Et	Me	CF ₃	Cl
	CH	CH	CH	CH	<i>i</i> -Pr	Me	CF ₃	Cl
	CH	CH	CH	CH	<i>t</i> -Bu	Me	CF ₃	Cl
	CH	CH	CH	CH	Et	Me	CF ₃	Br
	CH	CH	CH	CH	<i>i</i> -Pr	Me	CF ₃	Br
20	CH	CH	CH	CH	<i>t</i> -Bu	Me	CF ₃	Br
	CH	CH	CH	CH	Et	Me	CF ₃	I
	CH	CH	CH	CH	<i>i</i> -Pr	Me	CF ₃	I
	CH	CH	CH	CH	<i>t</i> -Bu	Me	CF ₃	I
	CH	CH	CH	CH	Et	Me	CF ₃	F
25	CH	CH	CH	CH	<i>i</i> -Pr	Me	CF ₃	F

	CH	CH	CH	CH	t-Bu	Me	CF ₃	F
	CH	CH	CH	CH	Et	Me	CF ₃	Me
	CH	CH	CH	CH	i-Pr	Me	CF ₃	Me
	CH	CH	CH	CH	t-Bu	Me	CF ₃	Me
5	CH	CH	CH	CH	Et	Me	CF ₃	CF ₃
	CH	CH	CH	CH	i-Pr	Me	CF ₃	CF ₃
	CH	CH	CH	CH	t-Bu	Me	CF ₃	CF ₃
	CH	CH	CH	CH	Et	Me	CF ₃	OMe
	CH	CH	CH	CH	i-Pr	Me	CF ₃	OMe
10	CH	CH	CH	CH	t-Bu	Me	CF ₃	OMe
	CH	CH	CH	CH	Et	Me	CF ₃	CN
	CH	CH	CH	CH	i-Pr	Me	CF ₃	CN
	CH	CH	CH	CH	t-Bu	Me	CF ₃	CN
	CH	CH	CH	CH	Et	Cl	CF ₃	Cl
15	CH	CH	CH	CH	i-Pr	Cl	CF ₃	Cl
	CH	CH	CH	CH	t-Bu	Cl	CF ₃	Cl
	CH	CH	CH	CH	Et	Cl	CF ₃	Br
	CH	CH	CH	CH	i-Pr	Cl	CF ₃	Br
	CH	CH	CH	CH	t-Bu	Cl	CF ₃	Br
20	CH	CH	CH	CH	Et	Cl	CF ₃	I
	CH	CH	CH	CH	i-Pr	Cl	CF ₃	I
	CH	CH	CH	CH	t-Bu	Cl	CF ₃	I
	CH	CH	CH	CH	Et	Cl	CF ₃	F
	CH	CH	CH	CH	i-Pr	Cl	CF ₃	F
25	CH	CH	CH	CH	t-Bu	Cl	CF ₃	F

	CH	CH	CH	CH	Et	Cl	CF ₃	Me
	CH	CH	CH	CH	<i>i</i> -Pr	Cl	CF ₃	Me
	CH	CH	CH	CH	<i>t</i> -Bu	Cl	CF ₃	Me
	CH	CH	CH	CH	Et	Cl	CF ₃	CF ₃
5	CH	CH	CH	CH	<i>i</i> -Pr	Cl	CF ₃	CF ₃
	CH	CH	CH	CH	<i>t</i> -Bu	Cl	CF ₃	CF ₃
	CH	CH	CH	CH	Et	Cl	CF ₃	OMe
	CH	CH	CH	CH	<i>i</i> -Pr	Cl	CF ₃	OMe
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10	CH	CH	CH	CH	Et	Cl	CF ₃	CN
	CH	CH	CH	CH	<i>i</i> -Pr	Cl	CF ₃	CN
	CH	CH	CH	CH	<i>t</i> -Bu	Cl	CF ₃	CN
	CH	CH	CH	N	Et	Me	CF ₃	Cl
	CH	CH	CH	N	<i>i</i> -Pr	Me	CF ₃	Cl
15	CH	CH	CH	N	<i>t</i> -Bu	Me	CF ₃	Cl
	CH	CH	CH	N	Et	Me	CF ₃	Br
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	CH	CH	CH	N	<i>t</i> -Bu	Me	CF ₃	Br
	CH	CH	CH	N	Et	Me	CF ₃	I
20	CH	CH	CH	N	<i>i</i> -Pr	Me	CF ₃	I
	CH	CH	CH	N	<i>t</i> -Bu	Me	CF ₃	I
	CH	CH	CH	N	Et	Me	CF ₃	F
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25	CH	CH	CH	N	Et	Me	CF ₃	Me

	CH	CH	CH	N	<i>i</i> -Pr	Me	CF ₃	Me
	CH	CH	CH	N	<i>t</i> -Bu	Me	CF ₃	Me
	CH	CH	CH	N	Et	Me	CF ₃	CF ₃
	CH	CH	CH	N	<i>i</i> -Pr	Me	CF ₃	CF ₃
5	CH	CH	CH	N	<i>t</i> -Bu	Me	CF ₃	CF ₃
	CH	CH	CH	N	Et	Me	CF ₃	OMe
	CH	CH	CH	N	<i>i</i> -Pr	Me	CF ₃	OMe
	CH	CH	CH	N	<i>t</i> -Bu	Me	CF ₃	OMe
	CH	CH	CH	N	Et	Me	CF ₃	CN
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	CH	CH	CH	N	<i>t</i> -Bu	Me	CF ₃	CN
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	CH	CH	CH	N	<i>i</i> -Pr	Cl	CF ₃	Cl
	CH	CH	CH	N	<i>t</i> -Bu	Cl	CF ₃	Cl
15	CH	CH	CH	N	Et	Cl	CF ₃	Br
	CH	CH	CH	N	<i>i</i> -Pr	Cl	CF ₃	Br
	CH	CH	CH	N	<i>t</i> -Bu	Cl	CF ₃	Br
	CH	CH	CH	N	Et	Cl	CF ₃	I
	CH	CH	CH	N	<i>i</i> -Pr	Cl	CF ₃	I
20	CH	CH	CH	N	<i>t</i> -Bu	Cl	CF ₃	I
	CH	CH	CH	N	Et	Cl	CF ₃	F
	CH	CH	CH	N	<i>i</i> -Pr	Cl	CF ₃	F
	CH	CH	CH	N	<i>t</i> -Bu	Cl	CF ₃	F
	CH	CH	CH	N	Et	Cl	CF ₃	Me
25	CH	CH	CH	N	<i>i</i> -Pr	Cl	CF ₃	Me

	CH	CH	CH	N	<i>t</i> -Bu	Cl	CF ₃	Me
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	CH	CH	CH	N	<i>i</i> -Pr	Cl	CF ₃	CF ₃
	CH	CH	CH	N	<i>t</i> -Bu	Cl	CF ₃	CF ₃
5	CH	CH	CH	N	Et	Cl	CF ₃	OMe
	CH	CH	CH	N	<i>i</i> -Pr	Cl	CF ₃	OMe
	CH	CH	CH	N	<i>t</i> -Bu	Cl	CF ₃	OMe
	CH	CH	CH	N	Et	Cl	CF ₃	CN
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	CH	CH	N	CH	Et	Me	CF ₃	Cl
	CH	CH	N	CH	<i>i</i> -Pr	Me	CF ₃	Cl
	CH	CH	N	CH	<i>t</i> -Bu	Me	CF ₃	Cl
	CH	CH	N	CH	Et	Me	CF ₃	Br
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	CH	CH	N	CH	<i>t</i> -Bu	Me	CF ₃	Br
	CH	CH	N	CH	Et	Me	CF ₃	I
	CH	CH	N	CH	<i>i</i> -Pr	Me	CF ₃	I
	CH	CH	N	CH	<i>t</i> -Bu	Me	CF ₃	I
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	CH	CH	N	CH	<i>i</i> -Pr	Me	CF ₃	F
	CH	CH	N	CH	<i>t</i> -Bu	Me	CF ₃	F
	CH	CH	N	CH	Et	Me	CF ₃	Me
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25	CH	CH	N	CH	<i>t</i> -Bu	Me	CF ₃	Me

	CH	CH	N	CH	Et	Me	CF ₃	CF ₃
	CH	CH	N	CH	<i>i</i> -Pr	Me	CF ₃	CF ₃
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	CH	CH	N	CH	Et	Me	CF ₃	OMe
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	CH	CH	N	CH	<i>t</i> -Bu	Me	CF ₃	OMe
	CH	CH	N	CH	Et	Me	CF ₃	CN
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	CH	CH	N	CH	<i>t</i> -Bu	Cl	CF ₃	Cl
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	CH	CH	N	CH	<i>t</i> -Bu	Cl	CF ₃	I
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	CH	CH	N	CH	Et	Cl	CF ₃	Me
	CH	CH	N	CH	<i>i</i> -Pr	Cl	CF ₃	Me
	CH	CH	N	CH	<i>t</i> -Bu	Cl	CF ₃	Me
25	CH	CH	N	CH	Et	Cl	CF ₃	CF ₃

	CH	CH	N	CH	<i>i</i> -Pr	Cl	CF ₃	CF ₃
	CH	CH	N	CH	<i>t</i> -Bu	Cl	CF ₃	CF ₃
	CH	CH	N	CH	Et	Cl	CF ₃	OMe
	CH	CH	N	CH	<i>i</i> -Pr	Cl	CF ₃	OMe
5	CH	CH	N	CH	<i>t</i> -Bu	Cl	CF ₃	OMe
	CH	CH	N	CH	Et	Cl	CF ₃	CN
	CH	CH	N	CH	<i>i</i> -Pr	Cl	CF ₃	CN
	CH	CH	N	CH	<i>t</i> -Bu	Cl	CF ₃	CN
	CH	N	CH	CH	Et	Me	CF ₃	Cl
10	CH	N	CH	CH	<i>i</i> -Pr	Me	CF ₃	Cl
	CH	N	CH	CH	<i>t</i> -Bu	Me	CF ₃	Cl
	CH	N	CH	CH	Et	Me	CF ₃	Br
	CH	N	CH	CH	<i>i</i> -Pr	Me	CF ₃	Br
	CH	N	CH	CH	<i>t</i> -Bu	Me	CF ₃	Br
15	CH	N	CH	CH	Et	Me	CF ₃	I
	CH	N	CH	CH	<i>i</i> -Pr	Me	CF ₃	I
	CH	N	CH	CH	<i>t</i> -Bu	Me	CF ₃	I
	CH	N	CH	CH	Et	Me	CF ₃	F
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	CH	N	CH	CH	<i>i</i> -Pr	Me	CF ₃	Me
	CH	N	CH	CH	<i>t</i> -Bu	Me	CF ₃	Me
	CH	N	CH	CH	Et	Me	CF ₃	CF ₃
25	CH	N	CH	CH	<i>i</i> -Pr	Me	CF ₃	CF ₃

	CH	N	CH	CH	<i>t</i> -Bu	Me	CF ₃	CF ₃
	CH	N	CH	CH	Et	Me	CF ₃	OMe
	CH	N	CH	CH	<i>i</i> -Pr	Me	CF ₃	OMe
	CH	N	CH	CH	<i>t</i> -Bu	Me	CF ₃	OMe
5	CH	N	CH	CH	Et	Me	CF ₃	CN
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	CH	N	CH	CH	<i>t</i> -Bu	Cl	CF ₃	Br
	CH	N	CH	CH	Et	Cl	CF ₃	I
15	CH	N	CH	CH	<i>i</i> -Pr	Cl	CF ₃	I
	CH	N	CH	CH	<i>t</i> -Bu	Cl	CF ₃	I
	CH	N	CH	CH	Et	Cl	CF ₃	F
	CH	N	CH	CH	<i>i</i> -Pr	Cl	CF ₃	F
	CH	N	CH	CH	<i>t</i> -Bu	Cl	CF ₃	F
20	CH	N	CH	CH	Et	Cl	CF ₃	Me
	CH	N	CH	CH	<i>i</i> -Pr	Cl	CF ₃	Me
	CH	N	CH	CH	<i>t</i> -Bu	Cl	CF ₃	Me
	CH	N	CH	CH	Et	Cl	CF ₃	CF ₃
	CH	N	CH	CH	<i>i</i> -Pr	Cl	CF ₃	CF ₃
25	CH	N	CH	CH	<i>t</i> -Bu	Cl	CF ₃	CF ₃

	CH	N	CH	CH	Et	Cl	CF ₃	OMe
	CH	N	CH	CH	<i>i</i> -Pr	Cl	CF ₃	OMe
	CH	N	CH	CH	<i>t</i> -Bu	Cl	CF ₃	OMe
	CH	N	CH	CH	Et	Cl	CF ₃	CN
5	CH	N	CH	CH	<i>i</i> -Pr	Cl	CF ₃	CN
	CH	N	CH	CH	<i>t</i> -Bu	Cl	CF ₃	CN
	N	CH	CH	CH	Et	Me	CF ₃	Cl
	N	CH	CH	CH	<i>i</i> -Pr	Me	CF ₃	Cl
	N	CH	CH	CH	<i>t</i> -Bu	Me	CF ₃	Cl
10	N	CH	CH	CH	Et	Me	CF ₃	Br
	N	CH	CH	CH	<i>i</i> -Pr	Me	CF ₃	Br
	N	CH	CH	CH	<i>t</i> -Bu	Me	CF ₃	Br
	N	CH	CH	CH	Et	Me	CF ₃	I
	N	CH	CH	CH	<i>i</i> -Pr	Me	CF ₃	I
15	N	CH	CH	CH	<i>t</i> -Bu	Me	CF ₃	I
	N	CH	CH	CH	Et	Me	CF ₃	F
	N	CH	CH	CH	<i>i</i> -Pr	Me	CF ₃	F
	N	CH	CH	CH	<i>t</i> -Bu	Me	CF ₃	F
	N	CH	CH	CH	Et	Me	CF ₃	Me
20	N	CH	CH	CH	<i>i</i> -Pr	Me	CF ₃	Me
	N	CH	CH	CH	<i>t</i> -Bu	Me	CF ₃	Me

	N	CH	CH	CH	Et	Me	CF ₃	CF ₃	363
	N	CH	CH	CH	i-Pr	Me	CF ₃	cr ³	
	N	CH	CH	CH	t-Bu	Me	CF ₃	cr ³	
	N	CH	CH	CH	Et	Me	CF ₃	OMe	
5	N	CH	CH	CH	i-Pr	Me	CF ₃	OMe	
	N	CH	CH	CH	t-Bu	Me	CF ₃	OMe	
	N	CH	CH	CH	Et	Me	CF ₃	CN	
	N	CH	CH	CH	i-Pr	Me	CF ₃	CN	
	N	CH	CH	CH	t-Bu	Me	CF ₃	CN	
10	N	CH	CH	CH	Et	Cl	CF ₃	Cl	
	N	CH	CH	CH	i-Pr	Cl	CF ₃	Cl	
	N	CH	CH	CH	t-Bu	Cl	CF ₃	Cl	
	N	CH	CH	CH	Et	Cl	CF ₃	Br	
	N	CH	CH	CH	i-Pr	Cl	CF ₃	Br	
15	N	CH	CH	CH	t-Bu	Cl	CF ₃	Br	
	N	CH	CH	CH	Et	Cl	CF ₃	I	
	N	CH	CH	CH	i-Pr	Cl	CF ₃	I	
	N	CH	CH	CH	t-Bu	Cl	CF ₃	I	
	N	CH	CH	CH	Et	Cl	CF ₃	F	
20	N	CH	CH	CH	i-Pr	Cl	CF ₃	F	
	N	CH	CH	CH	t-Bu	Cl	CF ₃	F	
	N	CH	CH	CH	Et	Cl	CF ₃	Me	
	N	CH	CH	CH	i-Pr	Cl	CF ₃	Me	
	N	CH	CH	CH	t-Bu	Cl	CF ₃	Me	
25	N	CH	CH	CH	Et	Cl	CF ₃	CF ₃	

	N	CH	CH	CH	i-Pr	Cl	CF ₃	CF ₃
	N	CH	CH	CH	t-Bu	Cl	CF ₃	CF ₃
	N	CH	CH	CH	Et	Cl	CF ₃	OMe
	N	CH	CH	CH	i-Pr	Cl	CF ₃	OMe
5	N	CH	CH	CH	t-Bu	Cl	CF ₃	OMe
	N	CH	CH	CH	Et	Cl	CF ₃	CN
	N	CH	CH	CH	i-Pr	Cl	CF ₃	CN
	N	CH	CH	CH	t-Bu	Cl	CF ₃	CN
	CH	N	CH	N	Et	Me	CF ₃	Cl
10	CH	N	CH	N	i-Pr	Me	CF ₃	Cl
	CH	N	CH	N	t-Bu	Me	CF ₃	Cl
	CH	N	CH	N	Et	Me	CF ₃	Br
	CH	N	CH	N	i-Pr	Me	CF ₃	Br
	CH	N	CH	N	t-Bu	Me	CF ₃	Br
15	CH	N	CH	N	Et	Me	CF ₃	I
	CH	N	CH	N	i-Pr	Me	CF ₃	I
	CH	N	CH	N	t-Bu	Me	CF ₃	I
	CH	N	CH	N	Et	Me	CF ₃	F
	CH	N	CH	N	i-Pr	Me	CF ₃	F
20	CH	N	CH	N	t-Bu	Me	CF ₃	F
	CH	N	CH	N	Et	Me	CF ₃	Me
	CH	N	CH	N	i-Pr	Me	CF ₃	Me
	CH	N	CH	N	t-Bu	Me	CF ₃	Me
	CH	N	CH	N	Et	Me	CF ₃	CF ₃
25	CH	N	CH	N	i-Pr	Me	CF ₃	CF ₃

	CH	N	CH	N	t-Bu	Me	CF ₃	CF ₃
	CH	N	CH	N	Et	Me	CF ₃	OMe
	CH	N	CH	N	i-Pr	Me	CF ₃	OMe
	CH	N	CH	N	t-Bu	Me	CF ₃	OMe
5	CH	N	CH	N	Et	Me	CF ₃	CN
	CH	N	CH	N	i-Pr	Me	CF ₃	CN
	CH	N	CH	N	t-Bu	Me	CF ₃	CN
	CH	N	CH	N	Et	Cl	CF ₃	Cl
	CH	N	CH	N	i-Pr	Cl	CF ₃	Cl
10	CH	N	CH	N	t-Bu	Cl	CF ₃	Cl
	CH	N	CH	N	Et	Cl	CF ₃	Br
	CH	N	CH	N	i-Pr	Cl	CF ₃	Br
	CH	N	CH	N	t-Bu	Cl	CF ₃	Br
	CH	N	CH	N	Et	Cl	CF ₃	I
15	CH	N	CH	N	i-Pr	Cl	CF ₃	I
	CH	N	CH	N	t-Bu	Cl	CF ₃	I
	CH	N	CH	N	Et	Cl	CF ₃	F
	CH	N	CH	N	i-Pr	Cl	CF ₃	F
	CH	N	CH	N	t-Bu	Cl	CF ₃	F
20	CH	N	CH	N	Et	Cl	CF ₃	Me
	CH	N	CH	N	i-Pr	Cl	CF ₃	Me
	CH	N	CH	N	t-Bu	Cl	CF ₃	Me
	CH	N	CH	N	Et	Cl	CF ₃	CF ₃
	CH	N	CH	N	i-Pr	Cl	CF ₃	CF ₃
25	CH	N	CH	N	t-Bu	Cl	CF ₃	CF ₃

	CH	N	CH	N	Et	Cl	CF ₃	OMe
	CH	N	CH	N	i-Pr	Cl	CF ₃	OMe
	CH	N	CH	N	t-Bu	Cl	CF ₃	OMe
	CH	N	CH	N	Et	Cl	CF ₃	CN
5	CH	N	CH	N	i-Pr	Cl	CF ₃	CN
	CH	N	CH	N	t-Bu	Cl	CF ₃	CN
	CH	CH	CH	CCl	Et	Me	CF ₃	Cl
	CH	CH	CH	CCl	i-Pr	Me	CF ₃	Cl
	CH	CH	CH	CCl	t-Bu	Me	CF ₃	Cl
10	CH	CH	CH	CCl	Et	Me	CF ₃	Br
	CH	CH	CH	CCl	i-Pr	Me	CF ₃	Br
	CH	CH	CH	CCl	t-Bu	Me	CF ₃	Br
	CH	CH	CH	CCl	Et	Me	CF ₃	I
	CH	CH	CH	CCl	i-Pr	Me	CF ₃	I
15	CH	CH	CH	CCl	t-Bu	Me	CF ₃	I
	CH	CH	CH	CCl	Et	Me	CF ₃	F
	CH	CH	CH	CCl	i-Pr	Me	CF ₃	F
	CH	CH	CH	CCl	t-Bu	Me	CF ₃	F
	CH	CH	CH	CCl	Et	Me	CF ₃	Me
20	CH	CH	CH	CCl	i-Pr	Me	CF ₃	Me
	CH	CH	CH	CCl	t-Bu	Me	CF ₃	Me
	CH	CH	CH	CCl	Et	Me	CF ₃	CF ₃
	CH	CH	CH	CCl	i-Pr	Me	CF ₃	CF ₃
	CH	CH	CH	CCl	t-Bu	Me	CF ₃	CF ₃
25	CH	CH	CH	CCl	Et	Me	CF ₃	OMe

	CH	CH	CH	CCl	i-Pr	Me	CF ₃	OMe
	CH	CH	CH	CCl	t-Bu	Me	CF ₃	OMe
	CH	CH	CH	CCl	Et	Me	CF ₃	CN
	CH	CH	CH	CCl	i-Pr	Me	CF ₃	CN
5	CH	CH	CH	CCl	t-Bu	Me	CF ₃	CN
	CH	CH	CH	CCl	Et	Cl	CF ₃	Cl
	CH	CH	CH	CCl	i-Pr	Cl	CF ₃	Cl
	CH	CH	CH	CCl	t-Bu	Cl	CF ₃	Cl
	CH	CH	CH	CCl	Et	Cl	CF ₃	Br
10	CH	CH	CH	CCl	i-Pr	Cl	CF ₃	Br
	CH	CH	CH	CCl	t-Bu	Cl	CF ₃	Br
	CH	CH	CH	CCl	Et	Cl	CF ₃	I
	CH	CH	CH	CCl	i-Pr	Cl	CF ₃	I
	CH	CH	CH	CCl	t-Bu	Cl	CF ₃	I
15	CH	CH	CH	CCl	Et	Cl	CF ₃	F
	CH	CH	CH	CCl	i-Pr	Cl	CF ₃	F
	CH	CH	CH	CCl	t-Bu	Cl	CF ₃	F
	CH	CH	CH	CCl	Et	Cl	CF ₃	Me
	CH	CH	CH	CCl	i-Pr	Cl	CF ₃	Me
20	CH	CH	CH	CCl	t-Bu	Cl	CF ₃	Me
	CH	CH	CH	CCl	Et	Cl	CF ₃	CF ₃
	CH	CH	CH	CCl	i-Pr	Cl	CF ₃	CF ₃
	CH	CH	CH	CCl	t-Bu	Cl	CF ₃	CF ₃
	CH	CH	CH	CCl	Et	Cl	CF ₃	OMe
25	CH	CH	CH	CCl	i-Pr	Cl	CF ₃	OMe

372

~~414~~
369

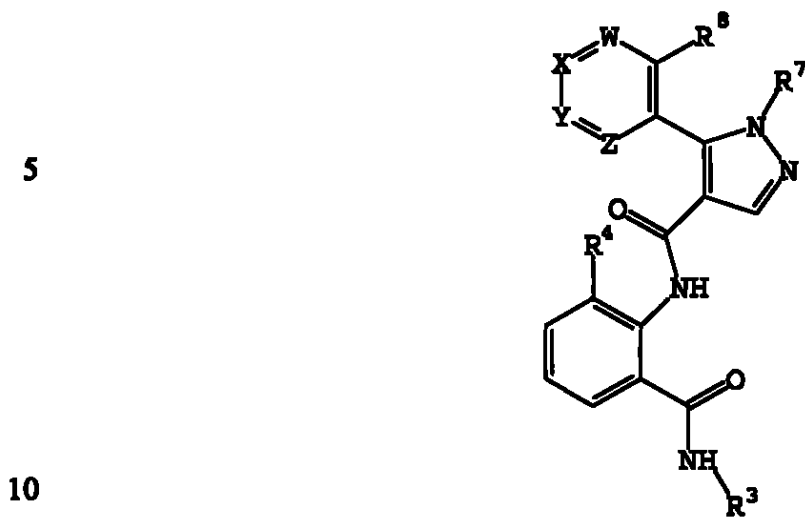
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	CH	CH	CH	CCl	Et	Cl	CF ₃	CN
	CH	CH	CH	CCl	i-Pr	Cl	CF ₃	CN
	CH	CH	CH	CCl	t-Bu	Cl	CF ₃	CN
5	CH	CH	CH	CF	Et	Me	CF ₃	Cl
	CH	CH	CH	CF	i-Pr	Me	CF ₃	Cl
	CH	CH	CH	CF	t-Bu	Me	CF ₃	Cl
	CH	CH	CH	CF	Et	Me	CF ₃	Br
	CH	CH	CH	CF	i-Pr	Me	CF ₃	Br
10	CH	CH	CH	CF	t-Bu	Me	CF ₃	Br
	CH	CH	CH	CF	Et	Me	CF ₃	I
	CH	CH	CH	CF	i-Pr	Me	CF ₃	I
	CH	CH	CH	CF	t-Bu	Me	CF ₃	I
	CH	CH	CH	CF	Et	Me	CF ₃	F
15	CH	CH	CH	CF	i-Pr	Me	CF ₃	F
	CH	CH	CH	CF	t-Bu	Me	CF ₃	F
	CH	CH	CH	CF	Et	Me	CF ₃	Me
	CH	CH	CH	CF	i-Pr	Me	CF ₃	Me
	CH	CH	CH	CF	t-Bu	Me	CF ₃	Me
20	CH	CH	CH	CF	Et	Me	CF ₃	CF ₃
	CH	CH	CH	CF	i-Pr	Me	CF ₃	CF ₃
	CH	CH	CH	CF	t-Bu	Me	CF ₃	CF ₃
	CH	CH	CH	CF	Et	Me	CF ₃	OMe
	CH	CH	CH	CF	i-Pr	Me	CF ₃	OMe
25	CH	CH	CH	CF	t-Bu	Me	CF ₃	OMe

	CH	CH	CH	CF	Et	Me	CF ₃	CN
	CH	CH	CH	CF	i-Pr	Me	CF ₃	CN
	CH	CH	CH	CF	t-Bu	Me	CF ₃	CN
	CH	CH	CH	CF	Et	Me	CF ₃	Cl
5	CH	CH	CH	CF	i-Pr	Me	CF ₃	Cl
	CH	CH	CH	CF	t-Bu	Me	CF ₃	Cl
	CH	CH	CH	CF	Et	Me	CF ₃	Br
	CH	CH	CH	CF	i-Pr	Me	CF ₃	Br
	CH	CH	CH	CF	t-Bu	Me	CF ₃	Br
10	CH	CH	CH	CF	Et	Me	CF ₃	I
	CH	CH	CH	CF	i-Pr	Me	CF ₃	I
	CH	CH	CH	CF	t-Bu	Me	CF ₃	I
	CH	CH	CH	CF	i-Pr	Me	CF ₃	F
	CH	CH	CH	CF	t-Bu	Cl	CF ₃	F
15	CH	CH	CH	CF	Et	Cl	CF ₃	Me
	CH	CH	CH	CF	i-Pr	Cl	CF ₃	Me
	CH	CH	CH	CF	t-Bu	Cl	CF ₃	Me
	CH	CH	CH	CF	Et	Cl	CF ₃	CF ₃
	CH	CH	CH	CF	i-Pr	Cl	CF ₃	CF ₃
20	CH	CH	CH	CF	t-Bu	Cl	CF ₃	CF ₃
	CH	CH	CH	CF	Et	Cl	CF ₃	OMe
	CH	CH	CH	CF	i-Pr	Cl	CF ₃	OMe
	CH	CH	CH	CF	t-Bu	Cl	CF ₃	OMe
	CH	CH	CH	CF	Et	Cl	CF ₃	CN
25	CH	CH	CH	CF	i-Pr	Cl	CF ₃	CN

	CH	CH	CH	CF	Et	Cl	CF ₃	CN
	CH	CH	CH	CH	i-Pr	Me	C ₂ F ₅	Cl
	CH	CH	CH	CH	t-Bu	Me	C ₂ F ₅	Cl
	CH	CH	CH	CH	Et	Me	C ₂ F ₅	Cl
5	CH	CH	CH	CH	i-Pr	Me	C ₂ F ₅	Br
	CH	CH	CH	CH	t-Bu	Me	C ₂ F ₅	BR
	CH	CH	CH	CH	Et	Me	C ₂ F ₅	Br
	CH	CH	CH	CH	i-Pr	Me	C ₂ F ₅	I
	CH	CH	CH	CH	t-Bu	Me	C ₂ F ₅	I
10	CH	CH	CH	CH	Et	Me	C ₂ F ₅	I
	CH	CH	CH	CH	i-Pr	Me	C ₂ F ₅	F
	CH	CH	CH	CH	t-Bu	Me	C ₂ F ₅	F
	CH	CH	CH	CH	Et	Me	C ₂ F ₅	F
	CH	CH	CH	CH	i-Pr	Me	C ₂ F ₅	Me
15	CH	CH	CH	CH	t-Bu	Me	C ₂ F ₅	Me
	CH	CH	CH	CH	Et	Me	C ₂ F ₅	Me
	CH	CH	CH	CH	i-Pr	Me	C ₂ F ₅	CF ₃
	CH	CH	CH	CH	t-Bu	Me	C ₂ F ₅	CF ₃
	CH	CH	CH	CH	Et	Me	C ₂ F ₅	CF ₃
20	CH	CH	CH	CH	i-Pr	Me	C ₂ F ₅	OMe
	CH	CH	CH	CH	t-Bu	Me	C ₂ F ₅	OMe
	CH	CH	CH	CH	Et	Me	C ₂ F ₅	OMe
	CH	CH	CH	CH	i-Pr	Me	C ₂ F ₅	CN
	CH	CH	CH	CH	t-Bu	Me	C ₂ F ₅	CN
25	CH	CH	CH	CH	Et	Me	C ₂ F ₅	CN

	CH	CH	CH	CH	i-Pr	Cl	C ₂ F ₅	Cl
	CH	CH	CH	CH	t-Bu	Cl	C ₂ F ₅	Cl
	CH	CH	CH	CH	Et	Cl	C ₂ F ₅	Cl
	CH	CH	CH	CH	i-Pr	Cl	C ₂ F ₅	Br
5	CH	CH	CH	CH	t-Bu	Cl	C ₂ F ₅	Br
	CH	CH	CH	CH	Et	Cl	C ₂ F ₅	Br
	CH	CH	CH	CH	i-Pr	Cl	C ₂ F ₅	I
	CH	CH	CH	CH	t-Bu	Cl	C ₂ F ₅	I
	CH	CH	CH	CH	Et	Cl	C ₂ F ₅	I
10	CH	CH	CH	CF	i-Pr	Cl	C ₂ F ₅	F
	CH	CH	CH	CH	t-Bu	Cl	C ₂ F ₅	F
	CH	CH	CH	CF	Et	Cl	C ₂ F ₅	F
	CH	CH	CH	CF	i-Pr	Cl	C ₂ F ₅	Me
	CH	CH	CH	CH	t-Bu	Cl	C ₂ F ₅	Me
15	CH	CH	CH	CH	Et	Cl	C ₂ F ₅	Me
	CH	CH	CH	CH	i-Pr	Cl	C ₂ F ₅	CF ₃
	CH	CH	CH	CH	t-Bu	Cl	C ₂ F ₅	CF ₃
	CH	CH	CH	CH	Et	Cl	C ₂ F ₅	CF ₃
	CH	CH	CH	CH	i-Pr	Cl	C ₂ F ₅	OMe
20	CH	CH	CH	CH	t-Bu	Cl	C ₂ F ₅	OMe
	CH	CH	CH	CH	Et	Cl	C ₂ F ₅	OME
	CH	CH	CH	CH	i-Pr	Cl	C ₂ F ₅	CN
	CH	CH	CH	CH	t-Bu	Cl	C ₂ F ₅	CN
	CH	CH	CH	CH	Et	Cl	C ₂ F ₅	CN
25	CH	CH	CH	CH	i-Pr	Cl	C ₂ F ₅	CN
	CH	CH	CH	CH	t-Bu	Cl	C ₂ F ₅	CN

TABLA 16



	W	X	Y	Z	R3	R4	R7	R8
	CH	CH	CH	CH	Et	Me	CF ₃	Cl
	CH	CH	CH	CH	i-Pr	Me	CF ₃	Cl
15	CH	CH	CH	CH	t-Bu	Me	CF ₃	Cl
	CH	CH	CH	CH	Et	Me	CF ₃	Br
	CH	CH	CH	CH	i-Pr	Me	CF ₃	Br
	CH	CH	CH	CH	t-Bu	Me	CF ₃	Br
	CH	CH	CH	CH	Et	Me	CF ₃	I
20	CH	CH	CH	CH	i-Pr	Me	CF ₃	I
	CH	CH	CH	CH	t-Bu	Me	CF ₃	I
	CH	CH	CH	CH	Et	Me	CF ₃	F
	CH	CH	CH	CH	i-Pr	Me	CF ₃	F
	CH	CH	CH	CH	t-Bu	Me	CF ₃	F
25	CH	CH	CH	CH	Et	Me	CF ₃	Me

	CH	CH	CH	CH	i-pr	Me	CF ₃	Me
	CH	CH	CH	CH	t-Bu	Me	CF ₃	Me
	CH	CH	CH	CH	Et	Me	CF ₃	CF ₃
	CH	CH	CH	CH	i-Pr	Me	CF ₃	CF ₃
5	CH	CH	CH	CH	t-Bu	Me	CF ₃	CF ₃
	CH	CH	CH	CH	Et	Me	CF ₃	OMe
	CH	CH	CH	CH	i-Pr	Me	CF ₃	OMe
	CH	CH	CH	CH	t-Bu	Me	CF ₃	OMe
	CH	CH	CH	CH	Et	Me	CF ₃	CN
10	CH	CH	CH	CH	i-Pr	Me	CF ₃	CN
	CH	CH	CH	CH	t-Bu	Me	CF ₃	CN
	CH	CH	CH	CH	Et	Cl	CF ₃	Cl
	CH	CH	CH	CH	i-Pr	Cl	CF ₃	Cl
	CH	CH	CH	CH	t-Bu	Cl	CF ₃	Cl
15	CH	CH	CH	CH	Et	Cl	CF ₃	Br
	CH	CH	CH	CH	i-Pr	Cl	CF ₃	Br
	CH	CH	CH	CH	t-Bu	Cl	CF ₃	Br
	CH	CH	CH	CH	Et	Cl	CF ₃	I
	CH	CH	CH	CH	i-Pr	Cl	CF ₃	I
20	CH	CH	CH	CH	t-Bu	Cl	CF ₃	I
	CH	CH	CH	CH	Et	Cl	CF ₃	F
	CH	CH	CH	CH	i-Pr	Cl	CF ₃	F
	CH	CH	CH	CH	t-Bu	Cl	CF ₃	F
	CH	CH	CH	CH	Et	Cl	CF ₃	Me
25	CH	CH	CH	CH	i-Pr	Cl	CF ₃	Me

	CH	CH	CH	CH	t-Bu	Cl	CF ₃	Me
	CH	CH	CH	CH	Et	Cl	CF ₃	CF ₃
	CH	CH	CH	CH	i-Pr	Cl	CF ₃	CF ₃
	CH	CH	CH	CH	t-Bu	Cl	CF ₃	CF ₃
5	CH	CH	CH	CH	Et	Cl	CF ₃	OMe
	CH	CH	CH	CH	i-Pr	Cl	CF ₃	OMe
	CH	CH	CH	CH	t-Bu	Cl	CF ₃	OMe
	CH	CH	CH	CH	Et	Cl	CF ₃	CN
	CH	CH	CH	CH	i-Pr	Cl	CF ₃	CN
10	CH	CH	CH	CH	t-Bu	Cl	CF ₃	CN
	CH	CH	CH	N	Et	Me	CF ₃	Cl
	CH	CH	CH	N	i-Pr	Me	CF ₃	Cl
	CH	CH	CH	N	t-Bu	Me	CF ₃	Cl
	CH	CH	CH	N	Et	Me	CF ₃	Br
15	CH	CH	CH	N	i-Pr	Me	CF ₃	Br
	CH	CH	CH	N	t-Bu	Me	CF ₃	Br
	CH	CH	CH	N	Et	Me	CF ₃	I
	CH	CH	CH	N	i-Pr	Me	CF ₃	I
	CH	CH	CH	N	t-Bu	Me	CF ₃	I
20	CH	CH	CH	N	Et	Me	CF ₃	F
	CH	CH	CH	N	i-Pr	Me	CF ₃	F
	CH	CH	CH	N	t-Bu	Me	CF ₃	F
	CH	CH	CH	N	Et	Me	CF ₃	Me
	CH	CH	CH	N	i-Pr	Me	CF ₃	Me
25	CH	CH	CH	N	t-Bu	Me	CF ₃	Me

	CH	CH	CH	N	Et	Me	CF ₃	CF ₃
	CH	CH	CH	N	i-Pr	Me	CF ₃	CF ₃
	CH	CH	CH	N	t-Bu	Me	CF ₃	CF ₃
	CH	CH	CH	N	Et	Me	CF ₃	OMe
5	CH	CH	CH	N	i-Pr	Me	CF ₃	OMe
	CH	CH	CH	N	t-Bu	Me	CF ₃	OMe
	CH	CH	CH	N	Et	Me	CF ₃	CN
	CH	CH	CH	N	i-Pr	Me	CF ₃	CN
	CH	CH	CH	N	t-Bu	Me	CF ₃	CN
10	CH	CH	CH	N	Et	Cl	CF ₃	Cl
	CH	CH	CH	N	i-Pr	Cl	CF ₃	Cl
	CH	CH	CH	N	t-Bu	Cl	CF ₃	Cl
	CH	CH	CH	N	Et	Cl	CF ₃	Br
	CH	CH	CH	N	i-Pr	Cl	CF ₃	Br
15	CH	CH	CH	N	t-Bu	Cl	CF ₃	Br
	CH	CH	CH	N	Et	Cl	CF ₃	I
	CH	CH	CH	N	i-Pr	Cl	CF ₃	I
	CH	CH	CH	N	t-Bu	Cl	CF ₃	I
	CH	CH	CH	N	Et	Cl	CF ₃	F
20	CH	CH	CH	N	i-Pr	Cl	CF ₃	F
	CH	CH	CH	N	t-Bu	Cl	CF ₃	F
	CH	CH	CH	N	Et	Cl	CF ₃	Me
	CH	CH	CH	N	i-Pr	Cl	CF ₃	Me
	CH	CH	CH	N	t-Bu	Cl	CF ₃	Me
25	CH	CH	CH	N	Et	Cl	CF ₃	CF ₃

	CH	CH	CH	N	i-Pr	Cl	CF ₃	CF ₃
	CH	CH	CH	N	t-Bu	Cl	CF ₃	CF ₃
	CH	CH	CH	N	Et	Cl	CF ₃	OMe
	CH	CH	CH	N	i-Pr	Cl	CF ₃	OMe
5	CH	CH	CH	N	t-Bu	Cl	CF ₃	OMe
	CH	CH	CH	N	Et	Cl	CF ₃	CN
	CH	CH	CH	N	i-Pr	Cl	CF ₃	CN
	CH	CH	CH	N	t-Bu	Cl	CF ₃	CN
	CH	CH	N	CH	Et	Me	CF ₃	Cl
10	CH	CH	N	CH	i-Pr	Me	CF ₃	Cl
	CH	CH	N	CH	t-Bu	Me	CF ₃	Cl
	CH	CH	N	CH	Et	Me	CF ₃	Br
	CH	CH	N	CH	i-Pr	Me	CF ₃	Br
	CH	CH	N	CH	t-Bu	Me	CF ₃	Br
15	CH	CH	N	CH	Et	Me	CF ₃	I
	CH	CH	N	CH	i-Pr	Me	CF ₃	I
	CH	CH	N	CH	t-Bu	Me	CF ₃	I
	CH	CH	N	CH	Et	Me	CF ₃	F
	CH	CH	N	CH	i-Pr	Me	CF ₃	F
20	CH	CH	N	CH	t-Bu	Me	CF ₃	F
	CH	CH	N	CH	Et	Me	CF ₃	Me
	CH	CH	N	CH	i-Pr	Me	CF ₃	Me
	CH	CH	N	CH	t-Bu	Me	CF ₃	Me
	CH	CH	N	CH	Et	Me	CF ₃	CF ₃
25	CH	CH	N	CH	i-Pr	Me	CF ₃	CF ₃

	CH	CH	N	CH	t-Bu	Me	CF ₃	CF ₃
	CH	CH	N	CH	Et	Me	CF ₃	OMe
	CH	CH	N	CH	i-Pr	Me	CF ₃	OMe
	CH	CH	N	CH	t-Bu	Me	CF ₃	OMe
5	CH	CH	N	CH	Et	Me	CF ₃	CN
	CH	CH	N	CH	i-Pr	Me	CF ₃	CN
	CH	CH	N	CH	t-Bu	Me	CF ₃	CN
	CH	CH	N	CH	Et	Cl	CF ₃	Cl
	CH	CH	N	CH	i-Pr	Cl	CF ₃	Cl
10	CH	CH	N	CH	t-Bu	Cl	CF ₃	Cl
	CH	CH	N	CH	Et	Cl	CF ₃	Br
	CH	CH	N	CH	i-Pr	Cl	CF ₃	Br
	CH	CH	N	CH	t-Bu	Cl	CF ₃	Br
	CH	CH	N	CH	Et	Cl	CF ₃	I
15	CH	CH	N	CH	i-Pr	Cl	CF ₃	I
	CH	CH	N	CH	t-Bu	Cl	CF ₃	I
	CH	CH	N	CH	Et	Cl	CF ₃	F
	CH	CH	N	CH	i-Pr	Cl	CF ₃	F
	CH	CH	N	CH	t-Bu	Cl	CF ₃	F
20	CH	CH	N	CH	Et	Cl	CF ₃	Me
	CH	CH	N	CH	i-Pr	Cl	CF ₃	Me
	CH	CH	N	CH	t-Bu	Cl	CF ₃	Me
	CH	CH	N	CH	Et	Cl	CF ₃	CF ₃
	CH	CH	N	CH	i-Pr	Cl	CF ₃	CF ₃
25	CH	CH	N	CH	t-Bu	Cl	CF ₃	CF ₃

	CH	CH	N	CH	Et	Cl	CF ₃	OMe
	CH	CH	N	CH	i-Pr	Cl	CF ₃	OMe
	CH	CH	N	CH	t-Bu	Cl	CF ₃	OMe
	CH	CH	N	CH	Et	Cl	CF ₃	CN
5	CH	CH	N	CH	i-Pr	Cl	CF ₃	CN
	CH	CH	N	CH	t-Bu	Cl	CF ₃	CN
	CH	N	CH	CH	Et	Me	CF ₃	Cl
	CH	N	CH	CH	i-Pr	Me	CF ₃	Cl
	CH	N	CH	CH	t-Bu	Me	CF ₃	Cl
10	CH	N	CH	CH	Et	Me	CF ₃	Br
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25	CH	N	CH	CH	Et	Me	CF ₃	OMe

	CH	N	CH	CH	i-Pr	Me	CF ₃	OMe
	CH	N	CH	CH	t-Bu	Me	CF ₃	OMe
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	CH	N	CH	CH	i-Pr	Me	CF ₃	CN
5	CH	N	CH	CH	t-Bu	Me	CF ₃	CN
	CH	N	CH	CH	Et	Cl	CF ₃	Cl
	CH	N	CH	CH	i-Pr	Cl	CF ₃	Cl
	CH	N	CH	CH	t-Bu	Cl	CF ₃	Cl
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	CH	N	CH	CH	t-Bu	Cl	CF ₃	Br
	CH	N	CH	CH	Et	Cl	CF ₃	I
	CH	N	CH	CH	i-Pr	Cl	CF ₃	I
	CH	N	CH	CH	t-Bu	Cl	CF ₃	I
15	CH	N	CH	CH	Et	Cl	CF ₃	F
	CH	N	CH	CH	i-Pr	Cl	CF ₃	F
	CH	N	CH	CH	t-Bu	Cl	CF ₃	F
	CH	N	CH	CH	Et	Cl	CF ₃	Me
	CH	N	CH	CH	i-Pr	Cl	CF ₃	Me
20	CH	N	CH	CH	t-Bu	Cl	CF ₃	Me
	CH	N	CH	CH	Et	Cl	CF ₃	CF ₃
	CH	N	CH	CH	i-Pr	Cl	CF ₃	CF ₃
	CH	N	CH	CH	t-Bu	Cl	CF ₃	CF ₃
	CH	N	CH	CH	Et	Cl	CF ₃	OMe
25	CH	N	CH	CH	i-Pr	Cl	CF ₃	OMe

	CH	N	CH	CH	t-Bu	Cl	CF ₃	OMe
	CH	N	CH	CH	Et	Cl	CF ₃	CN
	CH	N	CH	CH	i-Pr	Cl	CF ₃	CN
	CH	N	CH	CH	t-Bu	Cl	CF ₃	CN
5	N	CH	CH	CH	Et	Me	CF ₃	Cl
	N	CH	CH	CH	i-Pr	Me	CF ₃	Cl
	N	CH	CH	CH	t-Bu	Me	CF ₃	Cl
	N	CH	CH	CH	Et	Me	CF ₃	Br
	N	CH	CH	CH	i-Pr	Me	CF ₃	Br
10	N	CH	CH	CH	t-Bu	Me	CF ₃	Br
	N	CH	CH	CH	Et	Me	CF ₃	I
	N	CH	CH	CH	i-Pr	Me	CF ₃	I
	N	CH	CH	CH	t-Bu	Me	CF ₃	I
	N	CH	CH	CH	Et	Me	CF ₃	F
15	N	CH	CH	CH	i-Pr	Me	CF ₃	F
	N	CH	CH	CH	t-Bu	Me	CF ₃	F
	N	CH	CH	CH	Et	Me	CF ₃	Me
	N	CH	CH	CH	i-Pr	Me	CF ₃	Me
	N	CH	CH	CH	t-Bu	Me	CF ₃	Me
20	N	CH	CH	CH	Et	Me	CF ₃	CF ₃
	N	CH	CH	CH	i-Pr	Me	CF ₃	CF ₃
	N	CH	CH	CH	t-Bu	Me	CF ₃	CF ₃
	N	CH	CH	CH	Et	Me	CF ₃	OMe
	N	CH	CH	CH	i-Pr	Me	CF ₃	OMe
25	N	CH	CH	CH	t-Bu	Me	CF ₃	OMe

	N	CH	CH	CH	Et	Me	CF ₃	CN
	N	CH	CH	CH	i-Pr	Me	CF ₃	CN
	N	CH	CH	CH	t-Bu	Me	CF ₃	CN
	N	CH	CH	CH	Et	Cl	CF ₃	Cl
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	N	CH	CH	CH	t-Bu	Cl	CF ₃	Cl
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	N	CH	CH	CH	i-Pr	Cl	CF ₃	Br
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	N	CH	CH	CH	t-Bu	Cl	CF ₃	CF ₃
	N	CH	CH	CH	Et	Cl	CF ₃	OMe
	N	CH	CH	CH	i-Pr	Cl	CF ₃	OMe
	N	CH	CH	CH	t-Bu	Cl	CF ₃	OMe
25	N	CH	CH	CH	Et	Cl	CF ₃	CN

	N	CH	CH	CH	i-Pr	Cl	CF ₃	CN
	N	CH	CH	CH	t-Bu	Cl	CF ₃	CN
	CH	N	CH	N	Et	Me	CF ₃	Cl
	CH	N	CH	N	i-Pr	Me	CF ₃	Cl
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	CH	N	CH	N	t-Bu	Me	CF ₃	Br
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	CH	N	CH	N	Et	Me	CF ₃	CF ₃
	CH	N	CH	N	i-Pr	Me	CF ₃	CF ₃
20	CH	N	CH	N	t-Bu	Me	CF ₃	CF ₃
	CH	N	CH	N	Et	Me	CF ₃	OMe
	CH	N	CH	N	i-Pr	Me	CF ₃	OMe
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	CH	N	CH	N	Et	Cl	CF ₃	I
	CH	N	CH	N	i-Pr	Cl	CF ₃	I
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	CH	N	CH	N	i-Pr	Cl	CF ₃	F
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	CH	N	CH	N	t-BU	Cl	CF ₃	Me
	CH	N	CH	N	Et	Cl	CF ₃	CF ₃
	CH	N	CH	N	i-Pr	Cl	CF ₃	CF ₃
	CH	N	CH	N	t-Bu	Cl	CF ₃	CF ₃
20	CH	N	CH	N	Et	Cl	CF ₃	OMe
	CH	N	CH	N	i-Pr	Cl	CF ₃	OMe
	CH	N	CH	N	t-Bu	Cl	CF ₃	OMe
	CH	N	CH	N	Et	Cl	CF ₃	CN
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	CH	CH	CH	CCl	Et	Me	CF ₃	Cl
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	CH	CH	CH	CCl	t-Bu	Me	CF ₃	Cl
	CH	CH	CH	CCl	Et	Me	CF ₃	Br
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	CH	CH	CH	CCl	Et	Me	CF ₃	I
	CH	CH	CH	CCl	i-Pr	Me	CF ₃	I
	CH	CH	CH	CCl	T-Bu	Me	CF ₃	I
10	CH	CH	CH	CCl	Et	Me	CF ₃	F
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	CH	CH	CH	CCl	t-Bu	Me	CF	F
	CH	CH	CH	CCl	Et	Me	CF ₃	Me
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	CH	CH	CH	CCl	i-Pr	Me	CF ₃	CF ₃
	CH	CH	CH	CCL	t-Bu	Me	CF ₃	CF ₃
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20	CH	CH	CH	CCl	i-Pr	Me	CF ₃	OMe
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25	CH	CH	CH	CCl	Et	Cl	CF ₃	Cl

	CH	CH	CH	CCl	i-Pr	Cl	CF ₃	Cl
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	CH	CH	CH	CCl	Et	Cl	CF ₃	Br
	CH	CH	CH	CCl	i-Pr	Cl	CF ₃	Br
5	CH	CH	CH	CCl	t-Bu	Cl	CF ₃	Br
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25	CH	CH	CH	CF	i-Pr	Me	CF ₃	Cl

	CH	CH	CH	CF	t-Bu	Me	CF ₃	Cl
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	CH	CH	CH	CF	i-Pr	Me	CF ₃	I
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10	CH	CH	CH	CF	t-Bu	Me	CF ₃	F
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	CH	CH	CH	CF	i-Pr	Me	CF ₃	Me
	CH	CH	CH	CF	t-Bu	Me	CF ₃	Me
	CH	CH	CH	CF	Et	Me	CF ₃	CF ₃
15	CH	CH	CH	CF	i-Pr	Me	CF ₃	CF ₃
	CH	CH	CH	CF	t-Bu	Me	CF ₃	CF ₃
	CH	CH	CH	CF	Et	Me	CF ₃	OMe
	CH	CH	CH	CF	i-Pr	Me	CF ₃	OMe
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	CH	CH	CH	CF	Et	Cl	CF ₃	Cl
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25	CH	CH	CH	CF	t-Bu	Cl	CF ₃	Cl

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	CH	CH	CH	CF	t-Bu	Cl	CF ₃	CF ₃
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	CH	CH	CH	CF	i-Pr	Cl	CF ₃	OMe
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25	CH	CH	CH	CH	i-Pr	Me	C ₂ F ₅	Br

	CH	CH	CH	CH	t-Bu	Me	C ₂ F ₅	Br
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	CH	CH	CH	CH	i-Pr	Me	C ₂ F ₅	I
	CH	CH	CH	CH	t-Bu	Me	C ₂ F ₅	I
5	CH	CH	CH	CH	Et	Me	C ₂ F ₅	F
	CH	CH	CH	CH	i-Pr	Me	C ₂ F ₅	F
	CH	CH	CH	CH	t-Bu	Me	C ₂ F ₅	F
	CH	CH	CH	CH	Et	Me	C ₂ F ₅	Me
	CH	CH	CH	CH	i-Pr	Me	C ₂ F ₅	Me
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	CH	CH	CH	CH	Et	Me	C ₂ F ₅	CF ₃
	CH	CH	CH	CH	i-Pr	Me	C ₂ F ₅	CF ₃
	CH	CH	CH	CH	t-Bu	Me	C ₂ F ₅	CF ₃
	CH	CH	CH	CH	Et	Me	C ₂ F ₅	OMe
15	CH	CH	CH	CH	i-Pr	Me	C ₂ F ₅	OMe
	CH	CH	CH	CH	t-Bu	Me	C ₂ F ₅	OMe
	CH	CH	CH	CH	Et	Me	C ₂ F ₅	CN
	CH	CH	CH	CH	i-Pr	Me	C ₂ F ₅	CN
	CH	CH	CH	CH	t-Bu	Me	C ₂ F ₅	CN
20	CH	CH	CH	CH	Et	Cl	C ₂ F ₅	Cl
	CH	CH	CH	CH	i-Pr	Cl	C ₂ F ₅	Cl
	CH	CH	CH	CH	t-Bu	Cl	C ₂ F ₅	Cl
	CH	CH	CH	CH	Et	Cl	C ₂ F ₅	Br
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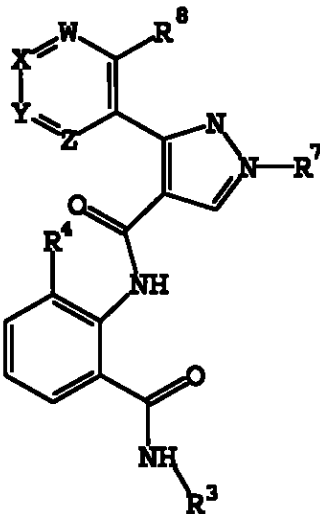
	CH	CH	CH	CH	Et	Cl	C ₂ F ₅	I
	CH	CH	CH	CH	i-Pr	Cl	C ₂ F ₅	I
	CH	CH	CH	CH	t-Bu	Cl	C ₂ F ₅	I
	CH	CH	CH	CH	Et	Cl	C ₂ F ₅	F
5	CH	CH	CH	CH	i-Pr	Cl	C ₂ F ₅	F
	CH	CH	CH	CH	t-Bu	Cl	C ₂ F ₅	F
	CH	CH	CH	CH	Et	Cl	C ₂ F ₅	Me
	CH	CH	CH	CH	i-Pr	Cl	C ₂ F ₅	Me
	CH	CH	CH	CH	t-Bu	Cl	C ₂ F ₅	Me
10	CH	CH	CH	CH	Et	Cl	C ₂ F ₅	CF ₃
	CH	CH	CH	CH	i-Pr	Cl	C ₂ F ₅	CF ₃
	CH	CH	CH	CH	t-Bu	Cl	C ₂ F ₅	CF ₃
	CH	CH	CH	CH	Et	Cl	C ₂ F ₅	OMe
	CH	CH	CH	CH	i-Pr	Cl	C ₂ F ₅	OMe
15	CH	CH	CH	CH	t-Bu	Cl	C ₂ F ₅	OMe
	CH	CH	CH	CH	Et	Cl	C ₂ F ₅	CN
	CH	CH	CH	CH	i-Pr	Cl	C ₂ F ₅	CN
	CH	CH	CH	CH	t-Bu	Cl	C ₂ F ₅	CN

20

25

TABLA 17

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10

	W	X	Y	Z	R3	R4	R7	R ₈
	CH	CH	CH	CH	Et	Me	CF ₃	Cl
	CH	CH	CH	CH	i-Pr	Me	CF ₃	Cl
	CH	CH	CH	CH	t-Bu	Me	CF ₃	Cl
15	CH	CH	CH	CH	Et	Me	CF ₃	Br
	CH	CH	CH	CH	i-Pr	Me	CF ₃	Br
	CH	CH	CH	CH	t-Bu	Me	CF ₃	Br
	CH	CH	CH	CH	Et	Me	CF ₃	I
	CH	CH	CH	CH	i-Pr	Me	CF ₃	I
20	CH	CH	CH	CH	t-Bu	Me	CF ₃	I
	CH	CH	CH	CH	Et	Me	CF ₃	F
	CH	CH	CH	CH	i-Pr	Me	CF ₃	F
	CH	CH	CH	CH	t-Bu	Me	CF ₃	F
	CH	CH	CH	CH	Et	Me	CF ₃	Me
25	CH	CH	CH	CH	i-Pr	Me	CF ₃	Me

	CH	CH	CH	CH	t-Bu	Me	CF ₃	Me
	CH	CH	CH	CH	Et	Me	CF ₃	CF ₃
	CH	CH	CH	CH	i-Pr	Me	CF ₃	CF ₃
	CH	CH	CH	CH	t-Bu	Me	CF ₃	CF ₃
5	CH	CH	CH	CH	Et	Me	CF ₃	OMe
	CH	CH	CH	CH	i-Pr	Me	CF ₃	OMe
	CH	CH	CH	CH	t-Bu	Me	CF ₃	OMe
	CH	CH	CH	CH	Et	Me	CF ₃	CN
	CH	CH	CH	CH	i-Pr	Me	CF ₃	CN
10	CH	CH	CH	CH	t-Bu	Me	CF ₃	CN
	CH	CH	CH	CH	Et	Cl	CF ₃	Cl
	CH	CH	CH	CH	i-Pr	Cl	CF ₃	Cl
	CH	CH	CH	CH	t-Bu	Cl	CF ₃	Cl
	CH	CH	CH	CH	Et	Cl	CF ₃	Br
15	CH	CH	CH	CH	i-Pr	Cl	CF ₃	Br
	CH	CH	CH	CH	t-Bu	Cl	CF ₃	Br
	CH	CH	CH	CH	Et	Cl	CF ₃	I
	CH	CH	CH	CH	i-Pr	Cl	CF ₃	I
	CH	CH	CH	CH	t-Bu	Cl	CF ₃	I
20	CH	CH	CH	CH	Et	Cl	CF ₃	F
	CH	CH	CH	CH	i-Pr	Cl	CF ₃	F
	CH	CH	CH	CH	t-Bu	Cl	CF ₃	F
	CH	CH	CH	CH	Et	Cl	CF ₃	Me
	CH	CH	CH	CH	i-Pr	Cl	CF ₃	Me
25	CH	CH	CH	CH	t-Bu	Cl	CF ₃	Me

	CH	CH	CH	CH	Et	Cl	CF ₃	CF ₃
	CH	CH	CH	CH	i-Pr	Cl	CF ₃	CF ₃
	CH	CH	CH	CH	t-Bu	Cl	CF ₃	CF ₃
	CH	CH	CH	CH	Et	Cl	CF ₃	OMe
5	CH	CH	CH	CH	i-Pr	Cl	CF ₃	OMe
	CH	CH	CH	CH	t-Bu	Cl	CF ₃	OMe
	CH	CH	CH	CH	Et	Cl	CF ₃	CN
	CH	CH	CH	CH	i-Pr	Cl	CF ₃	CN
	CH	CH	CH	CH	t-Bu	Cl	CF ₃	CN
10	CH	CH	CH	N	Et	Me	CF ₃	Cl
	CH	CH	CH	N	i-Pr	Me	CF ₃	Cl
	CH	CH	CH	N	t-Bu	Me	CF ₃	Cl
	CH	CH	CH	N	Et	Me	CF ₃	Br
	CH	CH	CH	N	i-Pr	Me	CF ₃	Br
15	CH	CH	CH	N	t-BU	Me	CF ₃	Br
	CH	CH	CH	N	Et	Me	CF ₃	I
	CH	CH	CH	N	i-Pr	Me	CF ₃	I
	CH	CH	CH	N	t-BU	Me	CF ₃	I
	CH	CH	CH	N	Et	Me	CF ₃	F
20	CH	CH	CH	N	i-Pr	Me	CF ₃	F
	CH	CH	CH	N	T-Bu	Me	CF ₃	F
	CH	CH	CH	N	Et	Me	CF ₃	Me
	CH	CH	CH	N	i-Pr	Me	CF ₃	Me
	CH	CH	CH	N	t-Bu	Me	CF ₃	Me
25	CH	CH	CH	N	Et	Me	CF ₃	CF ₃

	CH	CH	CH	N	i-Pr	Me	CF ₃	CF ₃
	CH	CH	CH	N	t-Bu	Me	CF ₃	CF ₃
	CH	CH	CH	N	Et	Me	CF ₃	OMe
	CH	CH	CH	N	i-Pr	Me	CF ₃	OMe
5	CH	CH	CH	N	t-Bu	Me	CF ₃	OMe
	CH	CH	CH	N	Et	Me	CF ₃	CN
	CH	CH	CH	N	i-Pr	Me	CF ₃	CN
	CH	CH	CH	N	t-Bu	Me	CF ₃	CN
	CH	CH	CH	N	Et	Cl	CF ₃	Cl
10	CH	CH	CH	N	i-Pr	Cl	CF ₃	Cl
	CH	CH	CH	N	t-Bu	Cl	CF ₃	Cl
	CH	CH	CH	N	Et	Cl	CF ₃	Br
	CH	CH	CH	N	i-Pr	Cl	CF ₃	Br
	CH	CH	CH	N	t-Bu	Cl	CF ₃	Br
15	CH	CH	CH	N	Et	Cl	CF ₃	I
	CH	CH	CH	N	i-Pr	Cl	CF ₃	I
	CH	CH	CH	N	t-Bu	Cl	CF ₃	I
	CH	CH	CH	N	Et	Cl	CF ₃	F
	CH	CH	CH	N	i-Pr	Cl	CF ₃	F
20	CH	CH	CH	N	t-Bu	Cl	CF ₃	F
	CH	CH	CH	N	Et	Cl	CF ₃	Me
	CH	CH	CH	N	i-Pr	Cl	CF ₃	Me
	CH	CH	CH	N	t-Bu	Cl	CF ₃	Me
	CH	CH	CH	N	Et	Cl	CF ₃	CF ₃
25	CH	CH	CH	N	i-Pr	Cl	CF ₃	CF ₃

	CH	CH	CH	N	t-Bu	Cl	CF ₃	CF ₃
	CH	CH	CH	N	Et	Cl	CF ₃	OMe
	CH	CE	CH	N	i-Pr	Cl	CF ₃	OMe
	CH	CH	CH	N	t-Bu	Cl	CF ₃	OMe
5	CH	CH	CH	N	Et	Cl	CF ₃	CN
	CH	CH	CH	N	i-Pr	Cl	CF ₃	CN
	CH	CH	CH	N	t-Bu	Cl	CF ₃	CN
	CH	CH	N	CH	Et	Me	CF ₃	Cl
	CH	CH	N	CH	i-Pr	Me	CF ₃	Cl
10	CH	CH	N	CH	t-Bu	Me	CF ₃	Cl
	CH	CH	N	CH	Et	Me	CF ₃	Br
	CH	CH	N	CH	i-Pr	Me	CF ₃	Br
	CH	CH	N	CH	t-Bu	Me	CF ₃	Br
	CH	CH	N	CH	Et	Me	CF ₃	I
15	CH	CH	N	CH	i-Pr	Me	CF ₃	I
	CH	CH	N	CH	t-BU	Me	CF ₃	I
	CH	CH	N	CH	Et	Me	CF ₃	F
	CH	CH	N	CH	i-Pr	Me	CF ₃	F
	CH	CH	N	CH	t-Bu	Me	CF ₃	F
20	CH	CH	N	CH	Et	Me	CF ₃	Me
	CH	CH	N	CH	i-Pr	Me	CF ₃	Me
	CH	CH	N	CH	t-Bu	Me	CF ₃	Me
	CH	CH	N	CH	Et	Me	CF ₃	CF ₃
	CH	CH	N	CH	i-Pr	Me	CF ₃	CF ₃
25	CH	CH	N	CH	t-Bu	Me	CF ₃	CF ₃

	CH	CH	N	CH	Et	Me	CF ₃	OMe
	CH	CH	N	CH	i-Pr	Me	CF ₃	OMe
	CH	CL	N	CH	t-Bu	Me	CF ₃	OMe
	CH	CH	N	CH	Et	Me	CF ₃	CN
5	CH	CH	N	CH	i-Pr	Me	CF ₃	CN
	CH	CH	N	CH	t-Bu	Me	CF ₃	CN
	CH	CH	N	CH	Et	Cl	CF ₃	Cl
	CH	CH	N	CH	i-Pr	Cl	CF ₃	Cl
	CH	CH	N	CH	t-Bu	Cl	CF ₃	Cl
10	CH	CH	N	CH	Et	Cl	CF ₃	Br
	CH	CH	N	CH	i-Pr	Cl	CF ₃	Br
	CH	CH	N	CH	t-Bu	Cl	CF ₃	Br
	CH	CH	N	CH	Et	Cl	CF ₃	I
	CH	CH	N	CH	i-Pr	Cl	CF ₃	I
15	CH	CH	N	CH	t-Bu	Cl	CF ₃	I
	CH	CH	N	CH	Et	Cl	CF ₃	F
	CH	CH	N	CH	i-Pr	Cl	CF ₃	F
	CH	CH	N	CH	t-Bu	Cl	CF ₃	F
	CH	CH	N	CH	Et	Cl	CF	Me
20	CH	CH	N	CH	i-Pr	Cl	CF ₃	Me
	CH	CH	N	CH	t-Bu	Cl	CF ₃	Me
	CH	CH	N	CH	Et	Cl	CF ₃	CF ₃
	CH	CH	N	CH	i-Pr	Cl	CF ₃	CF ₃
	CH	CH	N	CH	t-Bu	CL	CF ₃	CF ₃
25	CH	CH	N	CH	Et	Cl	CF ₃	OMe

	CH	CH	N	CH	i-Pr	Cl	CF ₃	OMe
	CH	CH	N	CH	t-Bu	Cl	CF ₃	OMe
	CH	CH	N	CH	Et	Cl	CF ₃	CN
	CH	CH	N	CH	i-Pr	Cl	CF ₃	CN
5	CH	CH	N	CH	t-Bu	Cl	CF ₃	CN
	CH	N	CH	CH	Et	Me	CF ₃	Cl
	CH	N	CH	CH	i-Pr	Me	CF ₃	Cl
	CH	N	CH	CH	t-Bu	Me	CF ₃	Cl
	CH	N	CH	CH	Et	Me	CF ₃	Br
10	CH	N	CH	CH	i-Pr	Me	CF ₃	Br
	CH	N	CH	CH	t-Bu	Me	CF ₃	Br
	CH	N	CH	CH	Et	Me	CF ₃	I
	CH	N	CH	CH	i-Pr	Me	CF ₃	I
	CH	N	CH	CH	t-Bu	Me	CF ₃	I
15	CH	N	CH	CH	Et	Me	CF ₃	F
	CH	N	CH	CH	i-Pr	Me	CF ₃	F
	CH	N	CH	CH	t-Bu	Me	CF ₃	F
	CH	N	CH	CH	Et	Me	CF ₃	Me
	CH	N	CH	CH	i-Pr	Me	CF ₃	Me
20	CH	N	CH	CH	t-Bu	Me	CF ₃	Me
	CH	N	CH	CH	Et	Me	CF ₃	CF ₃
	CH	N	CH	CH	i-Pr	Me	CF ₃	CF ₃
	CH	N	CH	CH	t-Bu	Me	CF ₃	CF ₃
	CH	N	CH	CH	Et	Me	CF ₃	OMe
25	CH	N	CH	CH	i-Pr	Me	CF ₃	OMe

	CH	N	CH	CH	t-Bu	Me	CF ₃	OMe
	CH	N	CH	CH	Et	Me	CF ₃	CN
	CH	N	CH	CH	i-Pr	Me	CF ₃	CN
	CH	N	CH	CH	t-Bu	Me	CF ₃	CN
5	CH	N	CH	CH	Et	Cl	CF ₃	Cl
	CH	N	CH	CH	i-Pr	Cl	CF ₃	Cl
	CH	N	CH	CH	t-Bu	Cl	CF ₃	Cl
	CH	N	CH	CH	Et	Cl	CF ₃	Br
	CH	N	CH	CH	i-Pr	Cl	CF ₃	Br
10	CH	N	CH	CH	t-Bu	Cl	CF ₃	Br
	CH	N	CH	CH	Et	Cl	CF ₃	I
	CH	N	CH	CH	i-Pr	Cl	CF ₃	I
	CH	N	CH	CH	t-Bu	Cl	CF ₃	I
	CH	N	CH	CH	Et	Cl	CF ₃	F
15	CH	N	CH	CH	i-Pr	Cl	CF ₃	F
	CH	N	CH	CH	t-Bu	Cl	CF ₃	F
	CH	N	CH	CH	Et	Cl	CF ₃	Me
	CH	N	CH	CH	i-Pr	Cl	CF ₃	Me
	CH	N	CH	CH	t-Bu	Cl	CF ₃	Me
20	CH	N	CH	CH	Et	Cl	CF ₃	CF ₃
	CH	N	CH	CH	i-Pr	Cl	CF ₃	CF ₃
	CH	N	CH	CH	t-Bu	Cl	CF ₃	CF ₃
	CH	N	CH	CH	Et	Cl	CF ₃	OMe
	CH	N	CH	CH	i-Pr	Cl	CF ₃	OMe
25	CH	N	CH	CH	t-Bu	Cl	CF ₃	OMe

	CH	N	CH	CH	Et	Cl	CF ₃	CN
	CE	N	CH	CH	i-Pr	Cl	CF ₃	CN
	CH	N	CH	CH	t-Bu	Cl	CF ₃	CN
	N	CH	CH	CH	Et	Me	CF ₃	Cl
5	N	CH	CH	CH	i-Pr	Me	CF ₃	Cl
	N	CH	CH	CH	t-Bu	Me	CF ₃	Cl
	N	CH	CH	CH	Et	Me	CF ₃	Br
	N	CH	CH	CH	i-Pr	Me	CF ₃	Br
	N	CH	CH	CH	t-Bu	Me	CF ₃	Br
10	N	CH	CH	CH	Et	Me	CF ₃	I
	N	CH	CH	CH	i-Pr	Me	CF ₃	I
	N	CH	CH	CH	t-Bu	Me	CF ₃	I
	N	CH	CH	CH	Et	Me	CF ₃	F
	N	CH	CH	CH	i-Pr	Me	CF ₃	F
15	N	CH	CH	CH	t-Bu	Me	CF ₃	F
	N	CH	CH	CH	Et	Me	CF ₃	Me
	N	CH	CH	CH	i-Pr	Me	CF ₃	Me
	N	CH	CH	CH	t-Bu	Me	CF ₃	Me
	N	CH	CH	CH	Et	Me	CF ₃	CF ₃
20	N	CH	CH	CH	i-Pr	Me	CF ₃	CF ₃
	N	CH	CH	CH	t-Bu	Me	CF ₃	CF ₃
	N	CH	CH	CH	Et	Me	CF ₃	OMe
	N	CH	CH	CH	i-Pr	Me	CF ₃	OMe
	N	CH	CH	CH	t-Bu	Me	CF ₃	OMe
25	N	CH	CH	CH	Et	Me	CF ₃	CN

	N	CH	CH	CH	i-Pr	Me	CF ₃	CN
	N	CH	CH	CH	t-Bu	Me	CF ₃	CN
	N	CH	CH	CH	Et	Cl	CF ₃	Cl
	N	CH	CH	CH	i-Pr	Cl	CF ₃	Cl
5	N	CH	CH	CH	t-Bu	Cl	CF ₃	Cl
	N	CH	CH	CH	Et	Cl	CF ₃	Br
	N	CH	CH	CH	i-Pr	Cl	CF ₃	Br
	N	CH	CH	CH	t-Bu	Cl	CF ₃	Br
	N	CH	CH	CH	Et	Cl	CF ₃	I
10	N	CH	CH	CH	i-Pr	Cl	CF ₃	I
	N	CH	CH	CH	t-Bu	Cl	CF ₃	I
	N	CH	CH	CH	Et	Cl	CF ₃	F
	N	CH	CH	CH	i-Pr	Cl	CF ₃	F
	N	CH	CH	CH	t-Bu	Cl	CF ₃	F
15	N	CH	CH	CH	Et	Cl	CF ₃	Me
	N	CH	CH	CH,	i-Pr	Cl	CF ₃	Me
	N	CH	CH	CH	t-Bu	Cl	CF ₃	Me
	N	CH	CH	CH	Et	Cl	CF ₃	CF ₃
	N	CH	CH	CH	i-Pr	Cl	CF ₃	CF ₃
20	N	CH	CH	CH	t-Bu	Cl	CF ₃	CF ₃
	N	CH	CH	CH	Et	Cl	CF ₃	OMe
	N	CH	CH	CH	i-Pr	Cl	CF ₃	OMe
	N	CH	CH	CH	t-Bu	Cl	CF ₃	OMe
	N	CH	CH	CH	Et	Cl	CF ₃	CN
25	N	CH	CH	CH	i-Pr	Cl	CF ₃	CN

	N	CH	CH	CH	t-Bu	Cl	CF ₃	CN
	CH	N	CH	N	Et	Me	CF ₃	Cl
	CH	N	CH	N	i-Pr	Me	CF ₃	Cl
	CH	N	CH	N	t-Bu	Me	CF ₃	Cl
5	CH	N	CH	N	Et	Me	CF ₃	Br
	CH	N	CH	N	i-Pr	Me	CF ₃	Br
	CH	N	CH	N	t-Bu	Me	CF ₃	Br
	CH	N	CH	N	Et	Me	CF ₃	I
	CH	N	CH	N	i-Pr	Me	CF ₃	I
10	CH	N	CH	N	t-Bu	Me	CF ₃	I
	CH	N	CH	N	Et	Me	CF ₃	F
	CH	N	CH	N	i-Pr	Me	CF ₃	F
	CH	N	CH	N	t-Bu	Me	CF ₃	F
	CH	N	CH	N	Et	Me	CF ₃	Me
15	CH	N	CH	N	i-Pr	Me	CF ₃	Me
	CH	N	CH	N	t-Bu	Me	CF ₃	Me
	CH	N	CH	N	Et	Me	CF ₃	CF ₃
	CH	N	CH	N	i-Pr	Me	CF ₃	CF ₃
	CH	N	CH	N	t-Bu	Me	CF ₃	CF ₃
20	CH	N	CH	N	Et	Me	CF ₃	OMe
	CH	N	CH	N	i-Pr	Me	CF ₃	OMe
	CH	N	CH	N	t-Bu	Me	CF ₃	OMe
	CH	N	CH	N	Et	Me	CF ₃	CN
	CH	N	CH	N	i-Pr	Me	CF ₃	CN
25	CH	N	CH	N	t-BU	Me	CF ₃	CN

	CH	N	CH	N	Et	Cl	CF ₃	Cl
	CH	N	CH	N	i-Pr	Cl	CF ₃	Cl
	CH	N	CH	N	t-Bu	Cl	CF ₃	Cl
	CH	N	CH	N	Et	Cl	CF ₃	Br
5	CH	N	CH	N	i-Pr	Cl	CF ₃	Br
	CH	N	CH	N	T-Bu	Cl	CF ₃	Br
	CH	N	CH	N	Et	Cl	CF ₃	I
	CH	N	CH	N	i-Pr	Cl	CF ₃	I
	CH	N	CH	N	t-Bu	Cl	CF ₃	I
10	CH	N	CH	N	Et	Cl	CF ₃	F
	CH	N	CH	N	i-Pr	Cl	CF ₃	F
	CH	N	CH	N	t-Bu	Cl	CF ₃	F
	CH	N	CH	N	Et	Cl	CF ₃	Me
	CH	N	CH	N	i-Pr	Cl	CF ₃	Me
15	CH	N	CH	N	t-Bu	Cl	CF ₃	Me
	CH	N	CH	N	Et	Cl	CF ₃	CF ₃
	CH	N	CH	N	i-Pr	Cl	CF ₃	CF ₃
	CH	N	CH	N	t-Bu	Cl	CF ₃	CF ₃
	CH	N	CH	N	Et	Cl	CF ₃	OMe
20	CH	N	CH	N	i-Pr	Cl	CF ₃	OMe
	CH	N	CH	N	t-Bu	Cl	CF ₃	OMe
	CH	N	CH	N	Et	Cl	CF ₃	CN
	CH	N	CH	N	i-Pr	Cl	CF ₃	CN
	CH	N	CH	N	t-Bu	Cl	CF ₃	CN
25	CH	CH	CH	CCl	Et	Me	CF ₃	Cl

	CH	CH	CH	CCl	i-Pr	Me	CF ₃	Cl	402
	CH	CH	CH	CCl	t-Bu	Me	CF ₃	Cl	
	CH	CH	CH	CCl	Et	Me	CF ₃	Br	
	CH	CH	CH	CCl	i-Pr	Me	CF ₃	Br	
5	CH	CH	CH	CCl	t-Bu	Me	CF ₃	Br	
	CH	CH	CH	CCl	Et	Me	CF ₃	I	
	CH	CH	CH	CCl	i-Pr	Me	CF ₃	I	
	CH	CH	CH	CCl	t-Bu	Me	CF ₃	I	
	CH	CH	CH	CCl	Et	Me	CF ₃	F	
10	CH	CH	CH	CCl	i-Pr	Me	CF ₃	F	
	CH	CH	CH	CCl	t-Bu	Me	CF ₃	F	
	CH	CH	CH	CCl	Et	Me	CF ₃	Me	
	CH	CH	CH	CCl	i-Pr	Me	CF ₃	Me	
	CH	CH	CH	CCl	t-Bu	Me	CF ₃	Me	
15	CH	CH	CH	CCl	Et	Me	CF ₃	CF ₃	
	CH	CH	CH	CCl	i-1pr	Me	CF ₃	CF ₃	
	CH	CH	CH	CCl	t-Bu	Me	CF ₃	CF ₃	
	CH	CH	CH	CCl	Et	Me	CF ₃	OMe	
	CH	CH	CH	CCl	i-Pr	Me	CF ₃	OMe	
20	CH	CH	CH	CCl	t-Bu	Me	CF ₃	OMe	
	CH	CH	CH	CCl	Et	Me	CF ₃	CN	
	CH	CH	CH	CCl	i-Pr	Me	CF ₃	CN	
	CH	CH	CH	CCl	t-Bu	Me	CF ₃	CN	
	CH	CH	CH	CCl	Et	Cl	CF ₃	Cl	
25	CH	CH	CH	CCl	i-Pr	Cl	CF ₃	Cl	

	CH	CH	CH	CCl	t-Bu	Cl	CF ₃	Cl
	CH	CH	CH	CCl	Et	Cl	CF ₃	Br
	CH	CH	CH	CCl	i-Pr	Cl	CF ₃	Br
	CH	CH	CH	CCl	t-Bu	Cl	CF ₃	Br
5	CH	CH	CH	CCl	Et	Cl	CF ₃	I
	CH	CH	CH	CCl	i-Pr	Cl	CF ₃	I
	CH	CH	CH	CCl	t-Bu	Cl	CF ₃	I
	CH	CH	CH	CCl	Et	Cl	CF ₃	F
	CH	CH	CH	CCl	i-Pr	Cl	CF ₃	F
10	CH	CH	CH	CCl	t-Bu	Cl	CF ₃	F
	CH	CH	CH	CCl	Et	Cl	CF ₃	Me
	CH	CH	CH	CCl	i-Pr	Cl	CF ₃	Me
	CH	CH	CH	CCl	t-Bu	Cl	CF ₃	Me
	CH	CH	CH	CCl	Et	Cl	CF ₃	CF ₃
15	CH	CH	CH	CCl	i-Pr	Cl	CF ₃	CF ₃
	CH	CH	CH	CCl	t-Bu	Cl	CF ₃	CF ₃
	CH	CH	CH	CCl	Et	Cl	CF ₃	OMe
	CH	CH	CH	CCl	i-Pr	Cl	CF ₃	OMe
	CH	CH	CH	CCl	t-Bu	Cl	CF ₃	OMe
20	CH	CH	CH	CCl	Et	Cl	CF ₃	CN
	CH	CH	CH	CCl	i-Pr	Cl	CF ₃	CN
	CH	CH	CH	CCl	t-Bu	Cl	CF ₃	CN
	CH	CH	CH	CF	Et	Me	CF ₃	Cl
	CH	CH	CH	CF	i-Pr	Me	CF ₃	Cl
25	CH	CH	CH	CF	t-Bu	Me	CF ₃	Cl

404

	CH	CH	CH	CF	Et	Me	CF ₃	Br
	CH	CH	CH	CF	i-Pr	Me	CF ₃	Br
	CH	CH	CH	CF	t-Bu	Me	CF ₃	Br
	CH	CH	CH	CF	Et	Me	CF ₃	I
5	CH	CH	CH	CF	i-Pr	Me	CF ₃	I
	CH	CH	CH	CF	t-Bu	Me	CF ₃	I
	CH	CH	CH	CF	Et	Me	CF ₃	F
	CH	CH	CH	CF	i-Pr	Me	CF ₃	F
	CH	CH	CH	CF	t-Bu	Me	CF ₃	F
10	CH	CH	CH	CF	Et	Me	CF ₃	Me
	CH	CH	CH	CF	i-Pr	Me	PF ₃	Me
	CH	CH	CH	CF	t-Bu	Me	CF ₃	Me
	CH	CH	CH	CF	Et	Me	CF ₃	CF ₃
	CH	CH	CH	CF	i-Pr	Me	CF ₃	CF ₃
15	CH	CH	CH	CF	t-Bu	Me	CF ₃	CF ₃
	CH	CH	CH	CF	Et	Me	CF ₃	OMe
	CH	CH	CH	CF	i-Pr	Me	CF ₃	OMe
	CH	CH	CH	CF	t-Bu	Me	CF ₃	OMe
	CH	CH	CH	CF	Et	Me	CF ₃	CN
20	CH	CH	CH	CF	i-Pr	Me	CF ₃	CN
	CH	CH	CH	CF	t-Bu	Me	CF ₃	CN
	CH	CH	CH	CF	Et	Cl	CF ₃	Cl
	CH	CH	CH	CF	i-Pr	Cl	CF ₃	Cl
	CH	CH	CH	CF	t-Bu	Cl	CF ₃	Cl
25	CH	CH	CH	CF	Et	Cl	CF ₃	Br

4 5

	CH	CH	CH	CF	i-Pr	Cl	CF ₃	Br
	CH	CH	CH	CF	t-Bu	Cl	CF ₃	Br
	CH	CH	CH	CF	Et	Cl	CF ₃	I
	CH	CH	CH	CF	i-Pr	Cl	CF ₃	I
5	CH	CH	CH	CF	t-Bu	Cl	CF ₃	I
	CH	CH	CH	CF	i-Pr	Cl	CF ₃	F
	CH	CH	CH	CF	t-Bu	Cl	CF ₃	F
	CH	CH	CH	CF	Et	Cl	CF ₃	Me
	CH	CH	CH	CF	i-Pr	Cl	CF ₃	Me
10	CH	CH	CH	CF	t-Bu	Cl	CF ₃	Me
	CH	CH	CH	CF	Et	Cl	CF ₃	CF ₃
	CH	CH	CH	CF	i-Pr	Cl	CF ₃	CF ₃
	CH	CH	CH	CF	t-Bu	Cl	CF ₃	CF ₃
	CH	CH	CH	CF	Et	Cl	CF ₃	OMe
15	CH	CH	CH	CF	i-Pr	Cl	CF ₃	OMe
	CH	CH	CH	CF	t-Bu	Cl	CF ₃	OMe
	CH	CH	CH	CF	Et	Cl	CF ₃	CN
	CH	CH	CH	CF	i-Pr	Cl	CF ₃	CN
	CH	CH	CH	CF	t-Bu	Cl	CF ₃	CN
20	CH	CH	CH	CH	Et	Me	C ₂ F ₅	Cl
	CH	CH	CH	CH	i-Pr	Me	C ₂ F ₅	Cl
	CH	CH	CH	CH	t-Bu	Me	C ₂ F ₅	Cl
	CH	CH	CH	CH	Et	Me	C ₂ F ₅	Br
	CH	CH	CH	CH	i-Pr	Me	C ₂ F ₅	Br
25	CH	CH	CH	CH	t-Bu	Me	C ₂ F ₅	Br

406

	CH	CH	CH	CH	Et	Me	C ₂ F ₅	I
	CH	CH	CH	CH	i-Pr	Me	C ₂ F ₅	I
	CH	CH	CH	CH	t-Bu	Me	C ₂ F ₅	I
	CH	CH	CH	CH	Et	Me	C ₂ F ₅	F
5	CH	CH	CH	CH	i-Pr	Me	C ₂ F ₅	F
	CH	CH	CH	CH	t-Bu	Me	C ₂ F ₅	F
	CH	CH	CH	CH	Et	Me	C ₂ F ₅	Me
	CH	CH	CH	CH	i-Pr	Me	C ₂ F ₅	Me
	CH	CH	CH	CH	t-Bu	Me	C ₂ F ₅	Me
10	CH	CH	CH	CH	Et	Me	C ₂ F ₅	CF ₃
	CH	CH	CH	CH	i-Pr	Me	C ₂ F ₅	CF ₃
	CH	CH	CH	CH	t-Bu	Me	C ₂ F ₅	CF ₃
	CH	CH	CH	CH	Et	Me	C ₂ F ₅	OMe
	CH	CH	CH	CH	i-Pr	Me	C ₂ F ₅	OMe
15	CH	CH	CH	CH	t-Bu	Me	C ₂ F ₅	OMe
	CH	CH	CH	CH	Et	Me	C ₂ F ₅	CN
	CH	CH	CH	CH	i-Pr	Me	C ₂ F ₅	CN
	CH	CH	CH	CH	t-Bu	Me	C ₂ F ₅	CN
	CH	CH	CH	CH	Et	Cl	C ₂ F ₅	Cl
20	CH	CH	CH	CH	i-Pr	Cl	C ₂ F ₅	Cl
	CH	CH	CH	CH	t-Bu	Cl	C ₂ F ₅	Cl
	CH	CH	CH	CH	Et	Cl	C ₂ F ₅	Br
	CH	CH	CH	CH	i-Pr	Cl	C ₂ F ₅	Br
	CH	CH	CH	CH	t-Bu	Cl	C ₂ F ₅	Br
25	CH	CH	CH	CH	Et	Cl	C ₂ F ₅	I

403

	CH	CH	CH	CH	i-Pr	Cl	C ₂ F ₅	I
	CH	CH	CH	CH	t-Bu	Cl	C ₂ F ₅	I
	CH	CH	CH	CH	Et	Cl	C ₂ F ₅	F
	CH	CH	CH	CH	i-Pr	Cl	C ₂ F ₅	F
5	CH	CH	CH	CH	t-Bu	Cl	C ₂ F ₅	F
	CH	CH	CH	CH	Et	Cl	C ₂ F ₅	Me
	CH	CH	CH	CH	i-Pr	Cl	C ₂ F ₅	Me
	CH	CH	CH	CH	t-Bu	Cl	C ₂ F ₅	Me
	CH	CH	CH	CH	Et	Cl	C ₂ F ₅	CF ₃
10	CH	CH	CH	CH	i-Pr	Cl	C ₂ F ₅	CF ₃
	CH	CH	CH	CH	t-Bu	Cl	C ₂ F ₅	CF ₃
	CH	CH	CH	CH	Et	Cl	C ₂ F ₅	OMe
	CH	CH	CH	CH	i-Pr	Cl	C ₂ F ₅	OMe
	CH	CH	CH	CH	t-Bu	Cl	C ₂ F ₅	OMe
15	CH	CH	CH	CH	Et	Cl	C ₂ F ₅	CN
	CH	CH	CH	CH	i-Pr	Cl	C ₂ F ₅	CN
	CH	CH	CH	CH	t-Bu	Cl	C ₂ F ₅	CN

Formulación/Utilidad

Los compuestos de esta invención generalmente se utilizarán como una formulación o composición con un portador
5 agrícolamente adecuado que comprende por lo menos uno de un diluyente líquido, un diluyente sólido o un tensioactivo. La formulación o los ingredientes de la composición se seleccionan para ser consistentes con las propiedades físicas del ingrediente activo, modo de aplicación y factores
10 ambientales tales como tipo de suelo, humedad y temperatura. Las formulaciones útiles incluyen líquidos tales como soluciones (que incluyen concentrados emulsificables), suspensiones, emulsiones (que incluye microemulsiones o suspoemulsiones) y similares las cuales opcionalmente pueden
15 ser espesadas a geles. Las formulaciones útiles incluyen además sólidos tales como polvos de partículas pequeñas, polvos, gránulos, pellas, tabletas, películas y similares las cuales pueden ser dispersables en agua ("humedecibles") o hidrosolubles. El ingrediente activo puede estar
20 (micro)encapsulado y se puede formar además en una suspensión o una formulación sólida. De manera alternativa, toda la formulación del ingrediente activo puede estar encapsulada (o "sobrerrecubierta"). La encapsulación puede controlar o retrasar la liberación del ingrediente activo. Las
25 formulaciones susceptibles de rociado se pueden extender en

un medio adecuado y se pueden utilizar como volúmenes de
aspersión desde aproximadamente uno hasta varios cientos de
litros por hectárea. Las composiciones de alta resistencia se
utilizan principalmente como intermediarios para formulación
5 adicional.

Las formulaciones típicamente contendrán cantidades
efectivas de ingrediente activo, diluyente y tensioactivo
dentro de los siguientes intervalos aproximados que después
se sumarán hasta 100 por ciento en peso.

10

15

	Por ciento en peso		
	Ingrediente activo	Diluyente	Tensioactivo
Gránulos, tabletas y polvos dispersables en agua e hidrosolubles	5-90	0-94	1-15
Suspensiones, emulsiones o soluciones (que incluyen concentrados emulsificables)	5-50	40-95	0-15
Polvos de partículas pequeñas	1-25	70-99	0-5
Gránulos y Pellas	0.01-99	5-99.99	0-15
Composiciones de alta resistencia	90-99	0-10	0-2

20

Los diluyentes sólidos típicos se describen en
Watkins, et al., *Handbook of Insecticide Dust Diluents and*
25 *Carriers*, 2nd Ed., Dorland Books, Caldwell, New Jersey. Los

diluyentes líquidos típicos se describen en Marsden, *Solvents Guide*, 2nd Ed., Interscience, New York, 1950. *McCutcheon's Detergents and Emulsifiers Annual*, Allured Publ. Corp., Ridgewood, New Jersey, así como Sisely and Wood, *Encyclopedia of Surface Active Agents*, Chemical Publ. Co., Inc., New York, 1964, que incluye lista de tensioactivos y usos recomendados. Todas las formulaciones pueden contener cantidades menores de aditivos para reducir el espumado, formación de torta, corrosión, crecimiento microbiológico y similar, o espesantes para aumentar la viscosidad.

Los tensioactivos incluye, por ejemplo, alcoholes polietoxilados, alquilfenoles polietoxilados, ésteres de ácido graso de sorbitano polietoxilado, sulfosuccinados de dialquilo, sulfatos de alquilo, sulfonatos de alquilbenceno, organosiliconas, N,N-dialquiltauratos, sulfonatos de lignina, condensados de naftaleno y sulfonato formaldehído, policarboxilatos y copolímeros de bloque de polioxietileno/polioxipropileno. Los diluyentes sólidos incluyen, por ejemplo, arcillas tales como bentonita, montmorillonita, atapulgita y caolín, almidón, azúcar, sílice, talco, tierra de diatomáceas, urea, carbonato de calcio, carbonato de sodio y bicarbonato, y sulfato de sodio. Los diluyentes líquidos incluyen, por ejemplo, agua, N,N-dimetilformamida, sulfóxido de dimetilo, N-alquilpirrolidona, etilenglicol propilenglicol, parafinas, alquilbencenos,

alquilnaftalenos, aceites de oliva, de ricino, de linasa, aceite de tung, de ajonjolí, maíz, cacahuate, semilla de algodón, soya, colza y coco, ésteres de ácido graso, cetonas tales como ciclohexanona, 2-heptanona, isoforona y 4-hidroxi-
5 4-metil-2-pentanona, y alcoholes tales como metanol, ciclohexano, decanol y alcohol tetrahidrofurfurílico.

Las soluciones, que incluyen concentrados emulsificables, se pueden preparar al mezclar simplemente los ingredientes. Los polvos de partículas pequeñas y los polvos
10 se pueden preparar por combinación y, habitualmente, molido por ejemplo en un molino de martillo o molino de energía fluida. Las suspensiones habitualmente se preparan por molido en húmedo; véase, por ejemplo, el documento de E.U.A. 3,060,084. Los gránulos y pellas se pueden preparar por
15 aspersion del material activo sobre portadores granulares preformados o por técnicas de aglomeración. Véase Browning, "Agglomeration", *Chemical Engineering*, 4 de diciembre de 1967, pp 147-48, *Perry's Chemical Engineer's Handbook*, 4th Ed., McCraw-Hill, New York, 1963, páginas 8-57 y siguientes,
20 y el documento WO 91/13546. Las pellas se pueden preparar como se describe en el documento de E.U.A. 4,172,714. Los gránulos dispersables en agua e hidrosolubles se pueden preparar como se describe en los documentos de E.U.A. 4,144,050, 3,920,442 y DE 3,246,493. Las tabletas se pueden
25 preparar como se describen en los documentos de E.U.A.

5,180,587, 5,232,701 y 5,208,030. Las películas se pueden preparar como se describe en los documentos GB 2,095,558 y el documento de E.U.A. 3,299,566.

Para información adicional respecto a la técnica de formulación véase el documento de E.U.A. 3,235,361, col. 6, línea 16 a col. 7, línea 19 y ejemplos 10 a 41; el documento de E.U.A. 3,309,192, col. 5, línea 43 a col. 7, línea 62 y ejemplos 8, 12, 15, 39, 41, 52, 53, 58, 132, 138 a 140, 162 a 164, 166, 167 y 169 a 182; el documento de E.U.A. 2,891,855, col. 3, línea 66 a col. 5, línea 17 y ejemplos 1 a 4; Klingman, *Weed Control as a Science*, John Wiley and Sons, Inc., New York, 1961. pp 81 a 96; y Hance et al., *Weed Control Handbook*, 8th Ed., Blackwell Scientific Publications, Oxford, 1989.

En los siguientes ejemplos, todos los porcentajes están en peso y todas las formulaciones se preparan de maneras habituales. Los números de compuestos se refieren a los compuestos en el índice de las tablas A.

Ejemplo A

Polvo Humedecible

Compuesto 1	65.0%
dodecilfenolpolietilenglicoléter	2.0%

ligninosulfonato de sodio	4.0%
silicoaluminato de sodio	6.0%
montmorillonita (calcinada)	23.0%

5 Ejemplo B

Gránulo

Compuesto 1	10.0%
10 gránulos de atapulgita (material poco volátil, 0.71/0.30 mm; tamices U.S.S. No. 25-50)	90.0%

Ejemplo C

15 Pellas Extruidas

Compuesto 1	25.0%
sulfato de sodio anhidro	10.0%
ligninosulfonato de calcio crudo	5.0%
20 alquilnaftalensulfonato de sodio	1.0%
bentonita de calcio/magnesio	59.0%

Ejemplo D

25 Concentrado Emulsificable

Compuesto 1	20.0%
combinación de sulfonatos solubles en aceite y éteres de polioxietileno	10.0%
5 isoforona	70.0%.

Los compuestos de esta invención muestran actividad contra un amplio espectro de artrópodos que se alimentan de hojas, que se alimentan de frutas, de tallos o que se
10 alimentan de raíces, que se alimentan de semillas, acuáticos o que habitan en el suelo (el término "artrópodos" incluye insectos, ácaros y nemátodos) los cuales son plagas que crecen y que se encuentran en cosechas agronómicas, en bosques, cosechas de invernadero, cosechas de ornato y de
15 semillero, alimentos almacenados y productos de fibra, ganado, animales caseros y salud pública y de animales. Aquellos expertos en la técnica apreciarán que no todos los compuestos son igualmente eficaces contra todas las etapas de crecimiento de todas las plagas. No obstante, la totalidad de
20 los compuestos de esta invención puede mostrar actividad contra plagas que incluyen: huevos y larvas así como adultos del orden lepidoptera; huevos, larvas que se alimentan de hojas, frutas, raíces y semillas así como adultos en orden Coleoptera; huevos, etapas inmaduras y adultos del orden
25 Hemiptera y Homoptera; huevos, larvas, ninfas y fases adultas

del orden Acari; huevos, formas inmaduras y adultas de los órdenes Thysanoptera, Orthoptera y Dermaptera; huevos, etapas inmaduras y adultos del orden Diptera; y huevos, formas juveniles y adultas de el Phylum Nematoda. Los compuestos de
5 esta invención también son activos contra plagas de los ordenes Hymenoptera, Isoptera, Siphonaptera, Blattaria, Thysanura y Psocoptera; plagas que pertenecen a la clase arácnida y Phylum Platyhelminthes. Específicamente, los compuestos son activos contra el gusano de raíz de maíz del
10 Sur (*Diabrotica undecimpunctata howardi*), langosta de hoja aster (*Mascrosteles fascifrons*), boll weevil (*Anthonomus grandis*), ácaro araña de dos puntos (*Tetranychus urticae*), gusano armado de otoño (*Spodoptera frugiperda*), áfido del frijol negro (*Aphis fabae*), áfido del durazno verde (*Myzus persica*), áfido del algodón (*Aphis gossypii*), áfido del trigo Ruso (*Diuraphis noxia*), áfido de grano Inglés (*Sitobion avenae*), mosca blanca (*Bemisia tabacii*), gusano del tabaco (*Heliothis virescens*), weevil del agua de arroz (*Lissorhoptrus oryzophilus*), escarabajo de las hojas de arroz
20 (*Oulema oryzae*), langosta de planta de lomo blanco (*Sogatella furcifera*), langosta de hojas verdes (*Nephotettix cincticeps*), langosta de hoja café (*Nilaparvata lugens*), lngosta de planta café pequeña (*Laodelphax striatellus*), oradador del tallo de arroz (*Chilo suppressalis*), leafroller
25 del arroz (*Cnaphalocrocis medinalis*), black rice stink bug

(*Scotinophara lurida*), rice stink bug (*Oebalus pugnax*), rice bug (*Leptocorisa chinensis*), slender rice bug (*Cletus puntiger*), southern green stink bug (*Nezara viridula*) y german cockroach (*Blatella germanica*). Los compuestos son
5 activos en ácaros, lo que demuestra actividad ovicida, larvicida y quimioesterilizante contra tales familias de Tetranychidae que incluyen *Tetranychus urticae*, *Tetranychus cinnabarinus*, *Tetranychus mcdanieli*, *Tetranychus pacificus*, *Tetranychus turkestanii*, *Byrobia rubrioculus*, *Panonychus ulmi*,
10 *Panonychus citri*, *Eotetranychus carpini borealis*, *Eotetranychus*, *hicoriae*, *Eotetranychus sexmaculatus*, *Eotetranychus yumensis*, *Eotetranychus banksi* y *Oligonychus pratensis*; Tenuipalpidae que incluye *Brevipalpus lewisi*, *Brevipalpus phoenicis*, *Brevipalpus californicus* y
15 *Brevipalpus obovatus*; Eriophyidae que incluye *Phyllocoptruta oleivora*, *Eriophyes sheldoni*, *Aculus cornutus*, *Epitrimerus pyri* y *Eriophyes mangiferae*. Véase WO 90/10623 y WO 92/00673 para descripciones más detalladas de plagas.

Los compuestos de esta invención también se pueden
20 mezclar con uno o más insecticidas, fungicidas, nematocidas, bactericidas, acaricidas, reguladores de crecimiento, quimioesterilizantes, semioquímicos, repelentes, atrayentes, feromonas, estimulantes de la alimentación u otros compuestos biológicamente activos adicionales para formar un plaguicida
25 de componentes múltiples que proporcione un espectro incluso

más amplio de protección agrícola. Los ejemplos de tales protectores de agrícolas con los cuales se pueden formular los compuestos de esta invención son: insecticidas tales como abamectina, acefate, avermectina, azinfos-metilo, bifentrin, 5 buprofezin, carbofurano, clorfenapir, clorpirifos, clorpirifos-metilo, clotiandino, ciflutrin, beta-ciflutrin, cihalotrin, lambda-cihalotrin, cipermetrin, deltametrin, diafentiuron, diazinon, diflubenzuron, dimetoato, diofenolano, emamectina, endosulfan, esfenvalerato, 10 fenotiocarb, fenoxicarb, fenpropatrin, fenvalerato, fipronil, flucitrinato, tau-fluvalinato, flufenoxuron, fonofos, imidacloprid, isofenfos, malation, metaldehído, metamidofos, metidation, metomil, metopreno, metoxiclor, metil 7-cloro-2,5-dihidro-2-[N-metoxicarbonil)-N-[4-(trifluorometoxi)- 15 fenil]amino]carbonil]indeno[1,2-e][1,3,4]oxadiazin-4a(3H)-carboxilato (indoxacarb), monocrotofos, oxamil, paration, paration-metilo, permetrin, forato, fosadona, fosmet, fosfamidon, pirimicarb, profenofos, pimetozina, piriproxifeno, rotenona, espionasol, sulprofos, tebufenozide, 20 teflutrin, terbufos, tetraclorvinfos, tiacloprid, tiodicarb, tralometrin, triclorfon y triflumuron; fungicidas tales como acibenzolar, azoxistrobin, benomil, blastidina-S, mezcla de Bordeaux, (sulfato de cobre tribásico), bromuconazol, carpropamida (KTU 3616), captafol, captano, carbendazim, 25 cloroneb, clorotalonilo, oxicloruro de cobre, sales de cobre,

cimoxanil, ciproconazol, ciprodinil (CGA 219417), (S)-3,5-dicloro-N-(3-cloro-1-etil-1-metil-2-oxopropil)-4-metilbenzamida (RH 7281), diclocimet (S-2900), dicomezina, diclorano, difenoconazol, (S)-3,5-dihidro-5-metil-2-(metiltio)-5-fenil-3-(fenilamino)-4H-imidazol-4-ona (RP 407213), dimetomorf, diniconazol, diniconazol-M, dodino, edifenfos, epoxiconazol (BAS 480F), famoxadona, fenamidona, fenarimol, fenbuconazol, fencaramid (SZX0722), fempiclonilo, fenpropidino, fenpropimorf, acetato de fentino, hidróxido de fentino, fluazinam, fludioxonil, flumetover (RPA 403397), fluquinconazol, flusifazol, flutolanilo, flutriafol, folpet, fosetil-aluminio, furalaxilo, furametapir (S-82658), hexaconazol, ipconazol, iprobenfos, iprodiona, isoprotiolano, kasugamicina, kresoxim-metilo, mancozeb, maneb, mefenoxam, mepronil, metalaxil, metconazol, metominostrobin/fenominostrobin (SSF-126), miclobutanil, neoazozin (metanoarsonato férrico), oxadixil, penconazol, pencicuron, probenazol, procloraz, propamocarb, propiconazol, pirifenox, piraclostrobin, pirimetanil, piroquilon, quinoxifen, spiroxamina, azufre, tebuconazol, tetraconazol, tiabendazol, tifluzamida, tiofanato-metilo, tiram, triadimefon, triadimenol, triciclazol, trifloxistrobin, triticonazol, validamicina y vinclozolina; nematocidas tales como aldicarb, oxamil y fenamifos; bactericidas tales como estreptomicina; acaricidas tales como amitraz,

chinometionato, clorobencilato, cuhexatin, dicofol, dienoclor, etoxazol, fenazaquin, óxido de fenbutatin, fenpopatrin, fenpiroximato, hexitiazox, propargita, piridabeno y tebufenpirad y agentes biológicos tales como
5 *Bacillus thuringiensis*, endotoxina delta de *Bacillus thuringiensis*, baculovirus y bacterias, virus y hongos entomopatogénicos.

Los insecticidas y acaricidas preferidas para mezclarse con los compuestos de esta invención incluye
10 piretroides tales como cipermetrin, cihalotrin, ciflutrin y beta-ciflutrin, esfenvalerato, fenvalerato y tralometrin; carbamatos tales como fenoticarb, metomil, oxamil y tiodicarb; neonicotinoides tales como clotianidina, imidacloprid y tiacloprid, bloqueadores de canal de sodio
15 neuronal tales como indoxacarb, lactonas macrocíclicas insecticidas tales como espinosad, abamectina, avermectina y emamectina; antagonistas de GABA tales como endosulfano y fipronil; ureas insecticidas tales como flufenoxuron y triflumuron, imitadores de hormonas juveniles tales como
20 diofenolano y piriproxifeno; pimetrozina y amitraz. Los agentes biológicos preferidos para mezclado con compuestos de esta invención incluyen *Bacillus thuringiensis* y endotoxina delta de *Bacillus thuringiensis*.

Las mezclas más preferidas incluyen una mezcla de
25 un compuesto de esta invención con cihalotrin; una mezcla de

un compuesto de esta invención con beta-ciflutrin; una mezcla de un compuesto de esta invención con esfenvalerato; una mezcla de un compuesto de esta invención con metomil; una mezcla de un compuesto de esta invención con imidacloprid; una mezcla de un compuesto de esta invención con tiacloprid; una mezcla de un compuesto de esta invención con indoxacarb; una mezcla de un compuesto de esta invención con abamectina; una mezcla de un compuesto de esta invención con endosulfano; una mezcla de esta invención con fipronil; una mezcla de un compuesto de esta invención con flufenoxuron; una mezcla de un compuesto de esta invención con piriproxifeno; una mezcla de un compuesto de esta invención con; una mezcla de un compuesto de esta invención con pimetrozina; una mezcla de un compuesto de esta invención con amitraz; una mezcla de un compuesto de esta invención con *Bacillus thuringiensis* y una mezcla de un compuesto de esta invención con endotoxina delta de *Bacillus thuringiensis*.

En algunos casos, las combinaciones de otras sustancias que matan artrópodos que tengan un espectro de control similar pero un modo de acción diferente serán particularmente útiles para el control de resistencia.

Las plagas de artrópodo se exterminan y se obtiene protección de cosechas agronómicas, hortícolas y de especialidad para la salud animal humana al aplicar uno o más compuestos de esta invención, en una cantidad eficaz, al

ambiente de plagas que incluye el lugar de infestación agronómico o no agronómico, al área que se va a proteger, o directamente sobre las plagas que se van a controlar. De esta manera, la presente invención comprende además un método para
5 el control de artrópodos que se encuentran en las hojas o el suelo y de plagas de nemátodos así como protección de cosechas agronómicas o no agronómicas, que comprende aplicar uno o más de los compuestos de la invención, o composiciones que contienen por lo menos uno de tales compuestos, en una
10 cantidad eficaz, al ambiente de las plagas que incluyen el lugar de infestación agronómico o no agronómico, al área que se va a proteger, o directamente sobre las plagas que se van a exterminar. Un método preferido de aplicaciones por aspersión. Alternativamente, se pueden aplicar formulaciones
15 granulares de estos compuestos al follaje de la planta o al suelo. Otros métodos de aplicación incluyen aspersión directa y residual, aspersión aérea, recubrimiento de semillas, microencapsulaciones, captación sistémica, cebos, etiquetas en la oreja, bolos, foggers, fumigantes, aerosoles, polvos y
20 muchos otros. Los compuestos se pueden incorporar en cebos que son consumidos por los artrópodos o en dispositivos tales como trampas y similares.

Los compuestos de esta invención se pueden aplicar en su estado puro, pero la aplicación más frecuente será de
25 una formulación que comprende uno o más compuestos con

portadores, diluyentes y tensioactivos adecuados y posiblemente en combinación con un alimento que dependa del uso final contemplado. Un método preferido de aplicación involucra rociado con una dispersión de agua o una solución de aceite refinado de los compuestos. Las combinaciones con aceite de aspersión, concentraciones de aceite de aspersión, barras dispersoras, adyuvantes u otros solventes sinergistas tales como butóxido de piperonilo con frecuencia mejoran la eficacia del compuesto.

10 El régimen de aplicación necesario para un control eficaz dependerá de factores tales como la especie de artrópodo que se va a exterminar, el ciclo de vida de la plaga, la etapa de vida y su tamaño, localización, momento del año, cosecha huésped o animal, comportamiento de alimentación, comportamiento de apareamiento, humedad ambiental, temperatura y similares. Bajo circunstancias normales, los regímenes de aplicación de aproximadamente 0.01 a 2 kg de ingrediente activo por hectárea son suficientes para exterminar plagas en ecosistemas agronómicos, pero puede ser suficiente una cantidad tan pequeña como 0.001 kg/hectárea o una cantidad tan grande como 8 kg/hectárea puede ser necesario. Para aplicaciones no agronómicas, los regímenes de uso eficaces varían desde aproximadamente 1.0 a 50 mg/metro cuadrado, pero puede ser suficiente una cantidad tan pequeña como 0.1 mg/metro cuadrado o se puede requerir

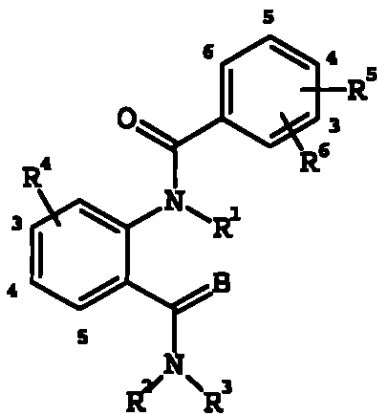
una cantidad tan grande como 150 mg/metro cuadrado.

La siguiente PRUEBA muestra la eficacia de exterminio de los compuestos de esta invención sujetadas específicas. La "eficacia control" representa la inhibición
5 del desarrollo de artrópodos (incluyendo mortandad), que provoca una alimentación reducida de manera significativa. La protección de exterminio contra la plaga proporcionada por los compuestos, sin embargo, no se limita a estas especies. Véanse los índices de las Tablas A a Q para descripciones de
10 los compuestos. Se utilizan las siguientes abreviaturas en las tablas de índice que siguen: t es terciario, n es normal, i es iso, c es ciclo, s es secundario, Me es metilo, Et es etilo, Pr es propilo, i-Pr es isopropilo, c-Pr es ciclopropilo, Bu es butilo, s-Bu es butilo secundario, Pent
15 es pentilo, OMe es metoxi, OEt es etoxi, SMe es metiltio, SEt es etiltio, CN es ciano, NO₂ es nitro y Het es heterociclo. La abreviatura "Ej" indica, "Ejemplo" y va seguida de un número que indica en cual ejemplo se prepara el compuesto.

TABLA A DE ÍNDICE

5

10



B es O, excepto cuando se indica

15	Compuesto	R¹	R²	R³	R⁴	R⁵ y/o R⁶	p.f. °C
	1 (Ej. 1)	H	i-Pr	H	2-Me	4-OCF₃	207-209
	2	H	i-Pr	H	5-Cl	2-CF₃	195-196
	3	H	i-Pr	H	5-Cl	2-Me-4-CF₃	182-184
20	4	H	i-Pr	H	2-Me	4-CF₃	238-240
	5	H	i-Pr	H	2-Me	4-CO₂Me	216-217
	6	H	i-Pr	H	2-Me	3-NO₂	230-233
	7	H	i-Pr	H	2-Me	3-CF₃-4-F	223-225
	8	H	i-Pr	H	2-Me	3-CN	237-239
25	9	H	i-Pr	H	2-Me	2-OCF₃	191-193

	10	H	t-Bu	H	2-Me	4-OCF ₃	163-167
	11	H	t-Bu	H	2-Me	4-CO ₂ Me	164-169
	12	H	i-Pr	H	2-Cl	4-CO ₂ Me	224-225
	13	H	t-Bu	H	2-Me	2-OCF ₃	203-204
5	14	H	t-Bu	H	2-Me	3-NO ₂	193-195
	15	H	t-Bu	H	2-Me	3-CF ₃ -4-F	198-199
	16	H	i-Pr	H	2-OMe	4-OCF ₃	178-181
	17	H	i-Pr	H	2-Me	2-OCF ₃	170-172
	18	H	i-Pr	H	2-OMe	3-CF ₃ -4-F-	209-211
10	19	H	i-Pr	H	2-Cl	4-OCF ₃	215-216
	20	H	i-Pr	Me	2-Me	2-OCF ₃	153-155
	21	H	i-Pr	H	5-Me	4-OCF ₃	173-175
	22	H	i-Pr	H	5-Me	2-OCF ₂	180-185
	23	H	i-Pr	H	5-Me	4-CO ₂ Me	182-184
15	24	H	i-Pr	Me	2-Me	4-OCF ₃	Cristal
	25	H	i-Pr	Me	2-Me	4-CO ₂ Me	67-73
	26	H	(1,2-di-Me)-Pr	H	2-Me	4-OCF ₃	189-191
	27	H	CH(CH ₃)CH ₂ OCH ₃	H	2-Me	4-OCF ₃	147-148
	28	H	CH ₂ CH ₂ OCH ₃	H	2-Me	4-OCF ₃	153-155
20	29	H	2-pent	H	2-Me	4-OCF ₃	165-168
	30	H	s-Bu	H	2-Me	4-OCF ₃	181-183
	31	H	propargilo	H	2-Me	4-OCF ₃	190-192
	32	H	n-Pr	H	2-Me	4-OCF ₃	189-191
	33	H	allo	H	2-Me	4-OCF ₃	185-187
25	34	H	Me ₂ NCH ₂ CH ₂	H	2-Me	4-OCF ₃	168-170
	35	H	propargilo	H	2-Me	4-OCF ₃	202-204
	36	H	i-Bu	H	2-Me	4-OCF ₃	182-183

	37	H	i-Pr	H	2,4-di-Me	4-OCF ₃	205-208
	38	H	i-Pr	H	2,4-di-Me	4-CF ₃	>230
5	39	H	i-Pr	H	2,4-di-Me	2-OCF ₃	231-232
	40	H	i-Pr	H	2,4-di-Me	4-CO ₂ Me	219-221
	41	H	i-Pr	H	2,4-di-Me	3-CF ₃ -4-F	222-224
	42	H	t-Bu	H	2-OMe	4-CF ₃	210-214
	43	H	t-Bu	H	2-OMe	4-OCF ₃	170-173
10	44	H	i-Pr	Me	2-Me	3-NO ₂	Acetate
	45	H	i-Pr	H	2-Cl	4-OCF ₃	187-194
	46	H	t-Bu	H	2-Cl	4-OCF ₃	205-207
	47	H	allo	H	2-Cl	4-OCF ₃	188-189
	48	H	s-Bu	H	2-Cl	4-OCF ₃	192-193
15	49	H	-CH ₂ CH ₂ CH ₂ CH ₂ -		2-Me	4-OCF ₃	138-142
	50	H	CH ₂ CF ₃	H	2-Me	4-OCF ₃	>230
	51	H	c-Bu	H	2-Me	4-OCF ₃	218-220
	52 (E) 3)	H	i-Pr	H	2-Me	2-Me-4-CF ₃	247-248
	53	H	i-Pr	H	5-Me	2-Me-4-CF ₃	186-188
20	54	H	i-Pr	H	H	4-OCF ₃	185-187
	55	H	i-Pr	H	H	3-NO ₂	199-200
	56	H	i-Pr	H	H	2-OCF ₃	118-122
	57	Me	i-Pr	H	H	4-OCF ₃	117-118
	58	Me	i-Pr	H	H	3-NO ₂	134-136
25	59	Me	i-Pr	H	H	2-OCF ₃	128-130
	60	H	i-Pr	H	H	3-CF ₃	176-177
	61	H	i-Pr	H	H	2-Me-4-CF ₃	100-106
	62	H	Me	H	2-Me	4-OCF ₃	204-206

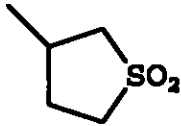
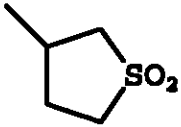
	63	H	Et	H	2-Me	4-OCF ₃	198-200
	64	H	NH-Pr	H	2-Me	4-OCF ₃	126-128
5	65	H	i-Pr	H	2-Me	3-CF ₃	198-200
	66	H	i-Pr	H	2-Me	4-CN	>230
	67	H	i-Pr	H	2-Me	2-NO ₂	>230
	68	H	i-Pr	H	2-Me	3,5-di-CF ₃	>230
	69	H	i-Pr	H	2-Me	4-NO ₂	227-230
10	70	H	i-Pr	H	2-Me	2-CF ₃	227-230
	71	H	i-Pr	H	H	2-Me-4-OCF ₃	118-124
	72	H	i-Pr	H	H	4-CF ₃	196-198
	73	H	i-Pr	H	2-Me	2-Me-4-SCF ₂ H	211-213
	74	H	t-Bu	H	2-Me	2-Me-4-SCF ₂ H	193-195
15	75	H	i-Pr	H	2-Me	2-Me-4-OCF ₃	221-222
	76	H	t-Bu	H	2-Me	4-CF ₃	217-219
	77	H	t-Bu	H	2-Me	3-CF ₃	197-198
	78	H	t-Bu	H	2-Me	3,5-di-CF ₃	206-207
	79	H	t-Bu	H	2-Me	4-CN	>230
20	80	H	t-Bu	H	2-Me	4-NO ₂	>230
	81	Me	i-Pr	H	2-Me	2-CF ₃	acetic
	82	Me	i-Pr	H	2-Me	4-OCF ₃	151-157
	83	Me	i-Pr	H	H	2-Me-4-OCF ₃	103-107
	84	Me	t-Bu	H	2-Me	2-Me-4-CF ₃	233-234
25	85	H	t-Bu	H	2-Me	2-Me-4-OCF ₃	207-209
	86	H	t-Bu	H	2-Me	2,5-di-CF ₃	199-201
	87	H	i-Pr	H	2-CF ₃	4-OCF ₃	183-185
	88	H	i-Pr	H	2-CF ₃	4-CF ₃	211-212

	89	H	t-Bu	H	2-CF ₃	4-CF ₃	191-192
	90	H	R-(-)-s-Bu	H	2-Me	4-OCF ₃	170-172
5	91	H	S-(+)-s-Bu	H	2-Me	4-OCF ₃	177-179
	92	Me	i-Pr	H	H	4-CF ₃	acetic
	93	Me	i-Pr	H	OCF ₂ H	4-OCF ₃	162-164
	94	H	t-Bu	H	2-CF ₃	4-OCF ₃	145-148
	95	H	i-Pr	Me	2-CF ₃	4-CF ₃	151-154
10	96	H	i-Pr	Me	2-CF ₃	4-OCF ₃	140-144
	97	H	i-Pr	H	2-OCF ₂ H	4-CF ₃	224-227
	98	H	i-Pr	H	2,4-di-Me	2-Me-4-CF ₃	>230
	99	H	i-Pr	H	2-Cl	2-Me-4-CF ₃	>230
	100	H	CH(CH ₃)CH ₂ OCH ₃	H	2-Cl	2-Me-4-CF ₃	194-197
15	101	H	s-Bu	H	2-Cl	2-Me-4-CF ₃	212-214
	102	H	o-Pr	H	2-Me	4-OCF ₃	208-210
	103	H	CH(CH ₃)CH ₂ OCH ₃	H	2,4-di-Me	4-OCF ₃	166-168
	104	H	CH(CH ₃)CH ₂ OCH ₃	H	2,4-di-Me	4-CF ₃	192-194
	105	H	i-Pr	H	4-Me	4-CF ₃	212-213
20	106	H	i-Pr	H	4-Me	4-OCF ₃	204-205
	107	H	i-Pr	H	2-Br-4-Me	4-OCF ₃	>230
	108	H	t-Bu	H	2-Br-4-Me	4-OCF ₃	118-120
	109	H	i-Pr	H	2-NO ₂	4-CF ₃	203-204
	110	H	t-Bu	H	2-NO ₂	4-CF ₃	199-200
25	111	H	i-Pr	H	2-NO ₂	4-OCF ₃	204-205
	112	H	t-Bu	H	2-NO ₂	4-OCF ₃	181-183
	113	H	i-Pr	H	2-Me	2-Me-4-S(O) ₂ CF ₂ H	218-221
	114	H	i-Pr	H	2-Me	2-Me-4-S(O)CF ₂ H	203-206

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	115	H	CH(CH ₃)CH ₂ OCH ₃	H	3-Cl	4-CF ₃	158-161
	116	H	i-Pr	H	4-Br	4-CF ₃	232-234
5	117	H	t-Bu	H	4-Br	4-CF ₃	204-206
	118	H	CH(CH ₃)CH ₂ OCH ₃	H	4-Br	4-CF ₃	157-158
	119	H	i-Pr	H	4-Br	4-OCF ₃	221-222
	120	H	t-Bu	H	4-Br	4-OCF ₃	173-175
	121	H	CH(CH ₃)CH ₂ OCH ₃	H	4-Br	4-OCF ₃	153-155
10	122	H	CH(CH ₃)CH ₂ OCH ₃	H	3-Cl	4-OCF ₃	137-140
	123	H	i-Pr	H	4-F	4-CF ₃	205-206
	124	H	t-Bu	H	2-Cl	2-Me-4-CF ₃	237-240
	125	H	2-pent	H	2-Me	4-CF ₃	194-196
	126	H	s-Bu	H	2-Me	4-CF ₃	207-210
15	127	H	Et	H	2-Me	4-CF ₃	>240
	128	H	Me	H	2-Me	4-CF ₃	236-237
	129	H	i-Pr	H	4-F	4-OCF ₃	208-209
	130	H	CH(CH ₃)CH ₂ OCH ₃	H	4-F	4-OCF ₃	151-152
	131	H	CH(CH ₃)CH ₂ OCH ₃	H	2-Me	4-CF ₃	188-190
20	132	CH ₂ CO ₂ Me	i-Pr	H	H	4-CF ₃	acelte
	133	CH ₂ CO ₂ Me	i-Pr	H	H	4-OCF ₃	acelte
	134	Me	Et	H	2-Me	4-CF ₃	acelte
	135	Me	Et	H	2-Me	4-OCF ₃	acelte
	136	Me	Et	H	2-Me	2-Me-4-SCF ₂ H	132-136
25	137	H	CH(CH ₃)CH ₂ OCH ₃	H	2-Me-4-Br	4-CF ₃	197-199
	138	H	CH(CH ₃)CH ₂ OCH ₃	H	2-Me-4-Br	4-OCF ₃	188-190
	139	H	i-Pr	H	3-Cl	4-CF ₃	201-202
	140	H	t-Bu	H	3-Cl	4-CF ₃	159-161

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	141	H	i-Pr	H	3-Cl	4-OCF ₃	190-192
	142	H	t-Bu	H	3-Cl	4-OCF ₃	150-152
5	143	H	i-Pr	H	2-Br-4-Me	4-CF ₃	>230
	144	H	t-Bu	H	2-Br-4-Me	4-CF ₃	213-215
	145	H	CH(CH ₃)CH ₂ OCH ₃	H	5-F	4-CF ₃	145-147
	146	H		H	2-Me	4-CF ₃	>230
10							
	147	H	i-Pr	H	2-Me	2-F-4-CF ₃	224-226
	148	H	i-Pr	H	2-Me	2-CF ₃ -4-F	223-225
	149	H	t-Bu	H	4-F	4-OCF ₃	180-187
	150	H	CH(CH ₃)CH ₂ OCH ₃	H	2-Me	2-Me-4-CF ₃	194-197
15	151	H	Me	H	2-Me	2-Me-4-CF ₃	>230
	152	H	Et	H	2-Me	2-Me-4-CF ₃	243-245
	153	H		H	2-Me	2-Me-4-CF ₃	>230
	154	H	i-Pr	H	3-NO ₂	4-CF ₃	244-246
20	155	H	i-Pr	H	3-NO ₂	4-OCF ₃	239-240
	156	H	t-Bu	H	3-NO ₂	4-OCF ₃	180-184
	157	H	CH(CH ₃)CH ₂ OCH ₃	H	3-NO ₂	4-OCF ₃	172-175
	158	H	t-Bu	H	3-NO ₂	4-CF ₃	194-196
	159	H	CH(CH ₃)CH ₂ OCH ₃	H	3-NO ₂	4-CF ₃	178-179
25	160	H	i-Pr	H	2-Cl	4-CF ₃	>230

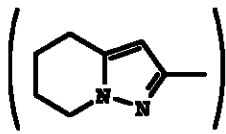
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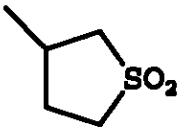
	181	H	CH(CH ₃)CH ₂ OCH ₃	H	2-Cl	4-CF ₃	182-185
	182	H	t-Bu	H	5-Cl	2-Me-4-CF ₃	203-205
5	183	H	CH(CH ₃)CH ₂ OCH ₃	H	5-Cl	2-Me-4-CF ₃	154-155
	184	H	i-Pr	H	2-Me	2,4-(CF ₃) ₂	>230
	185	H	i-Pr	H	2-Me	3,4-OCF ₂ O-	199-200
	186	H	CH ₂ CN	H	2-Me	4-CF ₃	218-223
	187	H	CH(CH ₃)Ph	H	2-Me	4-CF ₃	225-228
10	188	H	CH(CH ₃)Ph	H	2-Me	4-OCF ₃	208-210
	189	H	t-Bu	H	2-Cl	4-CF ₃	191-193
	170	H	i-Pr	Me	2-Cl	4-CF ₃	136-140
	171	H	i-Pr	H	2-Me	4-SO ₂ CH ₃	>250
	172	H	i-Pr	H	5-Cl	4-CF ₃	217-218
15	173	H	t-Bu	H	5-Cl	4-CF ₃	231-235
	174	H	CH(CH ₃)CH ₂ OCH ₃	H	5-Cl	4-CF ₃	175-177
	175	H	i-Pr	H	4-I	4-CF ₃	>230
	176	H	t-Bu	H	4-I	4-CF ₃	215-219
	177	H	CH(CH ₃)CH ₂ OCH ₃	H	4-I	4-CF ₃	173-178
20	178	H	i-Pr	H	4-I	4-OCF ₃	>230
	179	H	t-Bu	H	4-I	4-OCF ₃	192-194
	180	H	CH(CH ₃)CH ₂ OCH ₃	H	4-I	4-OCF ₃	178-180
	181	H	CH ₂ (3-pyridinyl)	H	2-Me	4-CF ₃	198-199
	182	H	CH ₂ CN	H	2-Me	2-Me-4-CF ₃	>230
25	183	H	CH(CH ₃)CO ₂ CH ₃	H	2-Me	4-CF ₃	223-225
	184	H	i-Pr	H	2-F	4-CF ₃	228-229
	185	H	i-Pr	H	5-F	4-CF ₃	169-170
	186	H	i-Pr	H	2-F	2-Me-4-OCF ₃	206-208

	187	H	i-Pr	H	5-F	2-Me-4-OCF ₃	125-126
	188	H	i-Pr	H	2-F	2-Me-4-CF ₃	234-235
5	189	H	i-Pr	H	5-F	2-Me-4-CF ₃	133-135
	190	H	CH ₂ (3-pyridinilo)	H	2-Me	4-OCF ₃	201-202
	191	H	CH ₂ CH ₂ SCH ₃	H	2-Me	4-CF ₃	187-188
	192	H	CH ₂ CH ₂ SCH ₃	H	2-Me	2-Me-4-CF ₃	250-251
	193	H	CH ₂ CH ₂ SEt	H	2-Me	4-CF ₃	190-191
10	194	H	CH ₂ CH ₂ SEt	H	2-Me	2-Me-4-CF ₃	228-230
	195	H	CH(CH ₃)CH=CH ₂	H	2-Me	2-Me-4-CF ₃	211-214
	196	H	i-Pr	H	2-Et	4-CF ₃	228-230
	197	H	CH(CH ₃)CH ₂ OCH ₃	H	2-Et	4-CF ₃	176-177
	198	H	i-Pr	H	2-Me	3,4-OCF ₂ CF ₂ O	218-220
15	199	H	i-Pr	H	2-Me	2-(CONMe ₂)-4,5-Cl ₂	229-230
	200	H	i-Pr	H	2-Me	2-(CO-1-piperidinyl)- 4,5-Cl ₂	202-205
	201	H	t-Bu	H	2-Et	4-CF ₃	187-191
	202	H	CH(CH ₃)CH ₂ SCH ₃	H	2-Et	2-Me-4-CF ₃	206-208
20	203	H	i-Pr	H	2-Me	2-(CONMe ₂)-4-Br	191-194
	204	H	i-Pr	H	2-Me	2-(CONMe ₂)-5-Br	190-194
	205	H	CH(CH ₃)CH ₂ SO ₂ CH ₃	H	2-Me	2-Me-4-CF ₃	231-233
	206	H	o-Pr	H	2-Me	2-Me-4-CF ₃	258-261
	207	H	o-Pr	H	2-Cl	2-Me-4-CF ₃	>260
25	208	H	i-Pr	H	2-I	2-Me-4-OCF ₃	241-242
	209	H	i-Pr	H	2-I	2-Me-4-CF ₃	260-262
	210	H	i-Pr	H	2-Me	2-(CONMe ₂)-4-F	164-170
	211	H	i-Pr	H	2-Me	2-(CONMe ₂)-5-F	167-171

	212	H	i-Pr	H	2-Me	2-(CO-1-piperidinyl)- 4-Br	105-117
5	213	H	CH(CH ₃)CH ₂ OH	H	2-Me	2-Me-4-CF ₃	179-180
	214	H	CH(CH ₃)CH ₂ OH	H	2-Cl	2-Me-4-CF ₃	183-185
	215	H	i-Pr	H	2-Cl	2-(CONMe ₂)-4-Br	165-170
	216	H	i-Pr	H	2-Cl	2-(CONMe ₂)-5-Br	179-181
	217	H	i-Pr	H	2-Me	2-(3-CF ₃ -1-pirazolyl)- 4-CF ₃	243-244
10	218	H	i-Pr	H	2-Me	2-(1-(1,2,4-triazolyl))-4- CF ₃	238-240
	219	H	i-Pr	H	2-Me	2-(3-Br-1-pirazolyl)-4- CF ₃	>250
15	220	H	i-Pr	H	2-Me	2-(3-CN-1-pirazolyl)-4- CF ₃	>250
	221	H	i-Pr	H	2-Me	2-(4-CF ₃ -1-imidazolyl)- 4-CF ₃	>250
	222	H	i-Pr	H	2-Me	2-(3-CH ₃ -1-pirazolyl)- 4-CF ₃	248-250
20	223	H	i-Pr	H	2-Me	2-(2-CH ₃ -1-imidazolyl)- 4-CF ₃	186-188
	224	H	i-Pr	H	2-Me	2-(3-CF ₃ -1-(1,2,4- triazolyl))-4-CF ₃	254-256
25	225	H	i-Pr	H	2-Me	2-(1-pirazolyl)-4-CF ₃	246-248
	226	H	i-Pr	H	2-Me	2-(3-CO ₂ Et-5-Me-1- pirazolyl)-4-CF ₃	224-225
	227	H	i-Pr	H	2-Me	2-(1-imidazolyl)-4-CF ₃	240-241

	228	H	i-Pr	H	2-Me	2-(3-CF ₃ -5-Me-1-pirazolli)-4-CF ₃	228-231
5	229	H	i-Pr	H	2-Me	2-(3,5-Me ₂ -1-pirazolli)-4-CF ₃	214-218
	230	H	i-Pr	H	2-Me	2-(2,4-Me ₂ -1-imidazolli)-4-CF ₃	248-248
	231	H	i-Pr	H	2-Me	2-(4-Me-1-imidazolli)-4-CF ₃	223-225
10	232	H	i-Pr	H	2-Cl	2-(3-CF ₃ -1-pirazolli)-4-CF ₃	>250
	233	H	i-Pr	H	2-Cl	2-(1-(1,2,4-triazolli))-4-CF ₃	252-254
15	234	H	i-Pr	H	2-Cl	2-(3-Br-1-pirazolli)-4-CF ₃	>250
	235	H	i-Pr	H	2-Cl	2-(-CO ₂ Et-5-Me-1-pirazolli)-4-CF ₃	220-221
	236	H	i-Pr	H	2-Cl	2-(4-CO ₂ Me-1-imidazolli)-4-CF ₃	255-257
20	237	H	i-Pr	H	2-Cl	2-(3-CN-1-pirazolli)-4-CF ₃	>250
	238	H	i-Pr	H	2-Cl	2-(1-imidazolli)-4-CF ₃	248-249
	239	H	i-Pr	H	2-Me	2-(4-CO ₂ Me-1-imidazolli)-4-CF ₃	219-222
25	240	H	i-Pr	H	2-Me	2-(2-fienli)-4-CF ₃	241-243
	241	H	i-Pr	H	2-Me	2-(3-fienli)-4-CF ₃	229-231
	242	H	i-Pr	H	2-Me	2-(2-furanli)-4-CF ₃	248-247

	243	H	i-Pr	H	2-Me	2-(3-t-Bu-1-pirazolil)-4- CF ₃	247-249
5	244	H	i-Pr	H	2-Me	2-(3-s-Bu-1-pirazolil)- 4-CF ₃	224-225
	245	H	i-Pr	H	2-Me	2-(3-c-Pr-1-pirazolil)-4- CF ₃	220-221
10	246	H	i-Pr	H	2-Me	2-(3-Me-5-isoxazolil)-4- CF ₃	233-234
	247	H	i-Pr	H	2-Me	2-  4-CF ₃	>250
15	248	H	i-Pr	H	2-Me	2-(CONMe ₂)-4-CF ₃	188-192
	249	H	i-Pr	H	2-Me	2-(CONMe ₂)-5-CF ₃	194-196
	250	H	i-Pr	H	2-Me	2-(CO-1-pirrolidinil)-4- CF ₃	201-204
20	251	H	i-Pr	H	2-Me	2-(CO-1-pirrolidinil)-5- CF ₃	221-223
	252	H	i-Pr	H	2-Me	2-OCH ₃ -4-CF ₃	188-189
	253	H	i-Pr	H	2-Me	2-(3-Cl-5-isoxazolil)-4- CF ₃	247-248
	254	H	i-Pr	H	2-Me	2-Oi-Pr-4-CF ₃	158-159
25	255	H	i-Pr	H	Cl	2-(4-Me-1-pirazolil)-4- CF ₃	252-253
	256	H	i-Pr	H	2-Me	2-(4-Me-1-pirazolil)-5-	226-227

					CF ₃	
5	257	H	I-Pr	H	2,5-Cl ₂ 2-Me-4-CF ₃	235-237
	258	H	I-Pr	H	2-Me 4-Ph	221-224
	259	H	I-Pr	H	2-Me 4-(4-OCH ₃)Ph	>230
	260	H	I-Pr	H	2-Me 4-(2-Me)Ph	158-158
	261	H	I-Pr	H	2-Me 4-(3-CH ₃)Ph	225-226
10	262	H	I-Pr	H	2-Me 4-(3-CF ₃)Ph	214-215
	263	H	I-Pr	H	2-Me 4-(4-F)Ph	>230
	264	H	-CH ₂ CH ₂ CH ₂ CH ₂ -		2-Cl 3-Cl	158-161
15	265	H		H	2-Me 4-OCF ₃	>230
	266	H	I-Pr	H	2-CF ₃ 2-Me-4-Br	>230
	267	H	t-Bu	H	2-CF ₃ 2-Me-4-Br	234-236
	268	H	I-Pr	Me	2-CF ₃ 2-Me-4-Br	154-158
	269	H	CH(CH ₃)CH ₂ OCH ₃	H	2-CF ₃ 2-Me-4-Br	202-204
20	270	H	s-Bu	H	2-CF ₃ 2-Me-4-Br	>230
	271	H	s-pentilo	H	2-CF ₃ 2-Me-4-Br	215-217
	272	H	I-Pr	H	2-CH ₃ 2-Me-4-CF ₃	>230
	273	H	I-Pr	H	2-OCHF ₂ 2-Me-4-Br	224-227
	274	H	I-Pr	H	2-CF ₃ 2-(CONMe ₂)-4-CF ₃	130-137
25	275 B es S	H	I-Pr	H	2-Me 2-Me-4-CF ₃	193-195
	276	H	I-Pr	H	2-Cl 2-(1-pirazoli)-4-CF ₃	249-250
	277 B es S	H	I-Pr	H	2-Me 4-OCF ₃	169-171

	B8	t-Bu	H	2-Cl	OCH ₂ CF ₃	H	174-175
	B9	CH(CH ₃)CH ₂ OCH ₃	H	2-Cl	OCH ₂ CF ₃	H	163-165
5	B10	i-Pr	H	2-Me	CF ₃	H	208-211
	B11	CH(CH ₃)CH ₂ OCH ₃	H	2-Me	CF ₃	CH ₃	187-191
	B12	s-Bu	H	2-Me	CF ₃	CH ₃	215-218
	B13	2-pentilo	H	2-Me	CF ₃	CH ₃	213-215
	B14	i-Pr	H	2-Me	Cl	H	235-237
10	B15	i-Pr	H	2-Me	H	Cl	235-237
	B16	i-Pr	H	2-OCHF ₂	CF ₃	CH ₃	221-224
	B17	i-Pr	H	2-Me	CF ₂ CF ₃	CH ₃	208-209
	B18	t-Bu	H	2-Me	CF ₂ CF ₃	CH ₃	211-212
	B19	CH(CH ₃)CH ₂ OCH ₃	H	2-Me	CF ₂ CF ₃	CH ₃	183-186
15	B20	t-Bu	H	2-CF ₃	CF ₃	CH ₃	>250
	B21	t-Bu	H	2-CF ₃	CF ₃	CH ₃	218-222
	B22	CH(CH ₃)CH ₂ OCH ₃	H	2-CF ₃	CF ₃	CH ₃	200-202
	B23	i-Pr	H	2-Me	CF ₃	Br	253-255
	B24	CH(CH ₃)CH ₂ SCH ₃	H	2-Me	CF ₃	CH ₃	222-223
20	B25	CH(CH ₃)CH ₂ CN	H	2-Me	CF ₃	CH ₃	230-232
	B26	CH ₂ CH ₂ CN	H	2-Me	CF ₃	CH ₃	>260
	B27	c-Pr	H	2-Me	CF ₃	CH ₃	>260
	B28	i-Pr	H	2-Me	CF ₃	OCH ₃	181-183
	B29	i-Pr	H	2-Me	Cl	CH ₃	246-247
25	B30	i-Pr	H	2-Me	CF ₃	Ph	>250
	B31	i-Pr	H	2-I	CF ₃	CH ₃	256-257
	B32	i-Pr	H	2-F	CF ₃	CH ₃	218-220

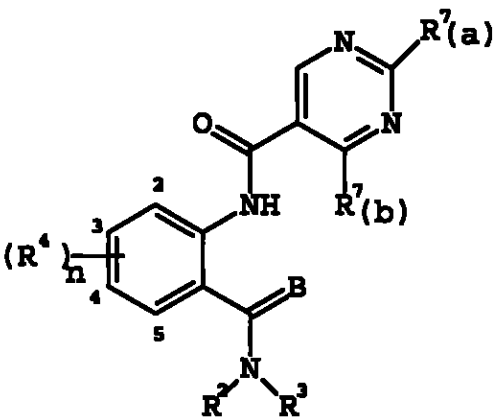
	B33	i-Pr	H	5-F	CF ₃	CH ₃	144-146
	B34	CH(CH ₃)CH ₂ SO ₂ CH ₃	H	2-Me	CF ₃	CH ₃	243-245
5	B35	CH(CH ₃)CH ₂ OH	H	2-Me	CF ₃	CH ₃	222-223
	B36	CH(CH ₃)CH ₂ CO ₂ CH ₃	H	2-Me	CF ₃	CH ₃	204-206
	B37	i-Pr	H	2-Me	CF ₃	CH ₂ OCH ₃	241-242
	B38	i-Pr	H	2-Me	CF ₃	CH ₂ CH ₃	229-231
	B39	i-Pr	H	2-Me	CH ₃	Cl	236-237
10	B40	i-Pr	H	2-Me	CH ₃	2-piridinillo	278-281
	B41	t-Bu	H	2-Me	CF ₃	CH ₃	234-236
	B42	i-Pr	H	2-Me	CF ₃	n-Pr	224-226
	B43	i-Pr	Me	2-Me	CF ₃	CH ₃	202-205
	B44	i-Pr	H	2-Me	c-Pr	CH ₃	226-229
15	B45	i-Pr	H	2-Me	c-Pr	CH ₃ , sal HCl	>230
	B46	i-Pr	H	2-Me	CF ₃	Cl	248-254
	B47	i-Pr	H	2-Me	CF ₃	i-Pr	235-237
	B48	i-Pr	H	2-Me	CF ₃	1-(1,2,4-triazollo)	>260
	B49	i-Pr	H	2-Br	CF ₃	CH ₃	247-248
20	B50	i-Pr	H	2-Me	OCH ₂ CF ₃	CH ₃	150-160
	B51	i-Pr	H	2-Me	CF ₃	2-fenoxi	231-232
	B52	i-Pr	H	2-Me	CF ₃	1-morfolinillo	>250
	B53	i-Pr	H	2-Me	CF ₃	1-(3-CF ₃ -imidazollo)	247-250
	B54	i-Pr	H	2-Me	CF ₃	1-(3-Br-pirazollo)	>260
25	B55	i-Pr	H	2-Me	CF ₃	1-(3-CF ₃ -pirazollo)	>260
	B56	i-Pr	H	2-Me	CF ₃	1-((3-CF ₃)-1,2,4-triazollo	>260

	B57	i-Pr	H	2-Me	CF ₃	1-((3-CN)-1,2,4- triazolilo	>280
5	B58	i-Pr	H	2-Me	i-Bu	Cl	185-190
	B59	i-Pr	H	2-Me	CF ₃	2-MePh	200-203
	B60	i-Pr	H	2-Me	i-Pr	CH ₃	188-190
	B61	i-Pr	H	2-Me	Ph	Cl	229-234
	B62	i-Pr	H	2-Me	CF ₃	SCH ₂ CH(CH ₃) ₂	230-231
10	B63	i-Pr	H	2-Me	CF ₂ CF ₃	CH ₂ CH ₃	209-211
	B64	i-Pr	H	2-Me	CF ₃	1-pirazolilo	>250
	B65	i-Pr	H	2-Me	CF ₂ CF ₃	H	>250
	B66	i-Pr	H	2-Me	CF ₂ CF ₃	i-Pr	209-212
	B67	i-Pr	H	2-Me, 4-Br	CF ₃	CH ₃	>250
15	B68	i-Pr	H	2-Me	OCH ₂ CF ₃	n-Pr	185-189
	B69	i-Pr	H	2-Me	Cl	n-Pr	200-205
	B70	i-Pr	H	2-Me	Cl	Et	200-205
	B71	i-Pr	H	2-Me	CF ₃	CN	214-215
	B72	i-Pr	H	2,5-Cl ₂	CF ₃	CH ₃	>240
20	B73	i-Pr	H	2-Me	H	H, R ⁷ (c) es SPh	223-225
	B74 B es S,	i-Pr	H	2-Me	CF ₃	CH ₃	201-203
	B75 B es S,	i-Pr	H	2-Me	CF ₃	Et	173-175
	B76 B es S,	i-Pr	H	2-Me	CF ₂ CF ₃	CH ₃	158-158
	B77	i-Pr	H	2-Me	H	1-((3-CF ₃)-pirazolilo)	224-225
25	B78	i-Pr	H	2-Me	CF ₃	2-ClPh	223-225

TABLA C DE ÍNDICE

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B es O, excepto cuando se indica

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	Compuesto	R ²	R ³	(R ⁴) _n	R ⁷ (a)	R ⁷ (b)	p.f. °C
20	C1 (Ej. 5)	I-Pr	H	2-Me	CF ₃	CH ₃	252-253
	C2	I-Pr	H	2-Cl	CF ₃	CH ₃	260-262
	C3	i-Pr	H	2-Me	CF ₃	OCH ₃	195-196
	C4	I-Pr	H	2-Me	CF ₃	N(CH ₃) ₂	270-272
	C5	I-Pr	H	2-Me	CF ₃	Et	246-248
	C6	I-Pr	H	2-Me	CF ₃	Ph	175-177
25	C7	I-Pr	H	2-Me	I-Pr	Et	179-182
	C8	i-Pr	H	2-Me	c-Pr	Et	202-204
	C9	i-Pr	H	2-Me	I-Pr	CH ₃	206-209

	C10	i-Pr	H	2-Me	c-Pr	CH ₃	222-225
5	C11	i-Pr	H	2-Me	c-Pr	Ph	236-239
	C12	i-Pr	H	2-Me	CF ₃	SCH ₃	244-247
	C13	i-Pr	H	2-Me	CF ₃	1-pyrrolidinyl	272-273
	C14	i-Pr	H	2-Me	CF ₃	OCH ₂ C(Cl)=CH ₂	142-144
	C15	Et	H	2-Me	CF ₃	2-MePh	253-258
10	C16	i-Pr	H	2-Me	CF ₃	2-MePh	244-248
	C17	t-Bu	H	2-Me	CF ₃	2-MePh	251-253
	C18	Et	H	2-Cl	CF ₃	2-MePh	242-243
	C19	i-Pr	H	2-Cl	CF ₃	2-MePh	237-240
	C20	t-Bu	H	2-Cl	CF ₃	2-MePh	253-255
15	C21	Et	H	2-Me	CF ₃	2-ClPh	251-252
	C22	i-Pr	H	2-Me	CF ₃	2-ClPh	246-248
	C23	t-Bu	H	2-Me	CF ₃	2-ClPh	238-239
	C24	Et	H	2-Cl	CF ₃	2-ClPh	248-249
	C25	i-Pr	H	2-Cl	CF ₃	2-ClPh	254-255
20	C26	t-Bu	H	2-Cl	CF ₃	2-ClPh	240-242
	C27	Et	H	2-Me	CF ₃	c-Pr	236-238
	C28	i-Pr	H	2-Me	CF ₃	c-Pr	240-241
	C29	t-Bu	H	2-Me	CF ₃	c-Pr	246-248
	C30	Et	H	2-Cl	CF ₃	c-Pr	240-242
25	C31	i-Pr	H	2-Cl	CF ₃	c-Pr	232-235
	C32	t-Bu	H	2-Cl	CF ₃	c-Pr	266-268
	C33	Et	H	2-Me	CF ₃	i-Pr	230-231
	C34	i-Pr	H	2-Me	CF ₃	i-Pr	211-214
	C35	t-Bu	H	2-Me	CF ₃	i-Pr	210-213

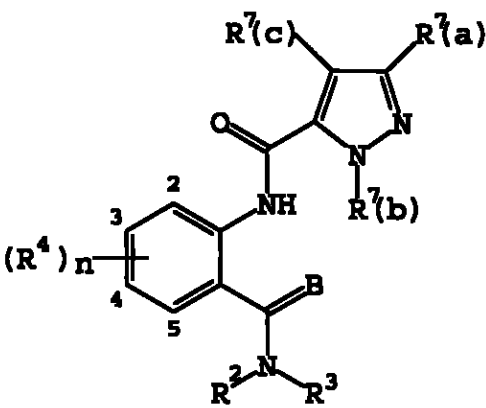
	C36	Et	H	2-Cl	CF ₃	i-Pr	247-249
5	C37	i-Pr	H	2-Cl	CF ₃	i-Pr	236-239
	C38	t-Bu	H	2-Cl	CF ₃	i-Pr	235-238
	C39	Et	H	2-Me	CF ₂ CF ₃	2-MePh	247
	C40	i-Pr	H	2-Me	CF ₂ CF ₃	2-MePh	218-220
	C41	t-Bu	H	2-Me	CF ₂ CF ₃	2-MePh	224-226
10	C42	Et	H	2-Cl	CF ₂ CF ₃	2-MePh	241-243
	C43	i-Pr	H	2-Cl	CF ₂ CF ₃	2-MePh	232-234
	C44	t-Bu	H	2-Cl	CF ₂ CF ₃	2-MePh	237-239
	C45	Et	H	2-Me	CF ₂ CF ₃	2-ClPh	255-257
	C46	i-Pr	H	2-Me	CF ₂ CF ₃	2-ClPh	224
15	C47	t-Bu	H	2-Me	CF ₂ CF ₃	2-ClPh	215
	C48	Et	H	2-Cl	CF ₂ CF ₃	2-ClPh	248-250
	C49	i-Pr	H	2-Cl	CF ₂ CF ₃	2-ClPh	222-224
	C50	t-Bu	H	2-Cl	CF ₂ CF ₃	2-ClPh	242
	C51	Et	H	2-Me	CF ₂ CF ₃	Ph	246-248
20	C52	i-Pr	H	2-Me	CF ₂ CF ₃	Ph	220
	C53	t-Bu	H	2-Me	CF ₂ CF ₃	Ph	242
	C54	Et	H	2-Cl	CF ₂ CF ₃	Ph	238-240
	C55	i-Pr	H	2-Cl	CF ₂ CF ₃	Ph	260
	C56	t-Bu	H	2-Cl	CF ₂ CF ₃	Ph	231-232
25	C57	i-Pr	H	2-Me	CF ₂ CF ₃	CH ₃	208
	C58	t-Bu	H	2-Me	CF ₂ CF ₃	CH ₃	242-244
	C59	Et	H	2-Cl	CF ₂ CF ₃	CH ₃	210-212
	C60	i-Pr	H	2-Cl	CF ₂ CF ₃	CH ₃	195

	C61	t-Bu	H	2-Cl	CF ₂ CF ₃	CH ₃	248-248
5	C62	Et	H	2-Me	CF ₂ CF ₃	o-Pr	224-225
	C63	i-Pr	H	2-Me	CF ₂ CF ₃	o-Pr	232-234
	C64	Et	H	2-Cl	CF ₂ CF ₃	o-Pr	216-218
	C65	i-pr	H	2-Cl	CF ₂ CF ₃	o-Pr	218-220
	C66	t-Bu	H	2-Cl	CF ₂ CF ₃	o-Pr	210-212
10	C67	Et	H	2-Me	CF ₂ CF ₃	i-Pr	218-220
	C68	i-Pr	H	2-Me	CF ₂ CF ₃	i-Pr	196-198
	C69	t-Bu	H	2-Me	CF ₂ CF ₃	i-Pr	212-214
	C70	Et	H	2-Cl	CF ₂ CF ₃	i-Pr	216-220
	C71	i-Pr	H	2-Cl	CF ₂ CF ₃	i-Pr	215-218
15	C72	t-Bu	H	2-Cl	CF ₂ CF ₃	i-Pr	240-244
	C73	i-Pr	H	2-Me	CF ₂ CF ₃	Et	210-212
	C74	Et	H	2-Me	CF ₂ CF ₃	Et	230-232
	C75	Et	H	2-Cl	CF ₂ CF ₃	Et	210-213
	C76	i-Pr	H	2-Cl	CF ₂ CF ₃	Et	203-204
20	C77	t-Bu	H	2-Cl	CF ₂ CF ₃	Et	230-232
	C78	Et	H	2-Me	CF ₂ CF ₃	CH ₃	238-240
	C79 B es S	i-Pr	H	2-Me	CF ₃	Et	190-193
	C80	i-Pr	H	2-Me	CF ₃	2-CF ₃ Ph	225-258

TABLA D DE ÍNDICE

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R⁷ (c) es H, excepto en donde se indica,
y B es O, excepto en donde se indica

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Compuesto	R ²	R ³	(R ⁴) _n	R ⁷ (a)	R ⁷ (b)	p.f. °C
D1	i-Pr	H	2-Me	CF ₃	CH ₃	200-204
D2(Ej. 2)	i-Pr	H	2-Me	CF ₃	Et	123-126
20 D3	i-Pr	H	2-Cl	CF ₃	CH ₃	233-235
D4	t-Bu	H	2-Me	CF ₃	Et	215-218
D5	i-Pr	H	2-Me	CH ₃	Ph	238-239
D6	i-Pr	H	2-Me	CH ₃	CH ₃	206-208
D7	i-Pr	H	2-Me	CH ₃	CH ₂ CF ₃	246-248
25 D8	i-Pr	H	2-Cl	Et	CF ₃	235-237

	D9	i-Pr	H	2-Me	CH ₃	CH ₃ ,R'(c) es Cl	205-207
	D10	i-Pr	H	2-Me	CH ₃	4-CF ₃ Ph	256-258
	D11	i-Pr	H	2-Me	CH ₃	2-CF ₃ Ph	204-206
	D12	t-Bu	H	2-Me	CH ₃	Ph	236-238
5	D13	i-Pr	H	2-F	CH ₃	Ph	227-229
	D14	i-Pr	H	5-F	CH ₃	Ph	209-211
	D15	i-Pr	H	2-Cl	CH ₃	Ph	233-234
	D16	i-Pr	H	H	CH ₃	Ph	215-217
	D17	i-Pr	H	2-NO ₂	CH ₃	Ph	236-237
10	D18	i-Pr	H	2-Cl	CF ₃	Ph	240-242
	D18(EJ.6)	i-Pr	H	2-Me	CF ₃	Ph	260-262
	D20	i-Pr	H	2-I	CH ₃	Ph	250-251
	D21	i-Pr	H	2-I	CH ₃	2-CF ₃ Ph	251-253
	D22	H	H	2-Me	CH ₃	Ph	253-255
15	D23	Et	Et	2-Me	CH ₃	Ph	182-184
	D24	t-Bu	H	2-Cl	CF ₃	Ph	232-234
	D25	i-Pr	H	2-I	CF ₃	Ph	271-273
	D26	t-Bu	H	2-I	CF ₃	Ph	249-250
	D27	i-Pr	H	2-Me	CH ₃	t-Bu	210-211
20	D28	i-Pr	H	2-Br	CF ₃	Ph	257-259
	D29	i-Pr	H	2-Br	CH ₃	Ph	246-247
	D30	i-Pr	H	2-Me	CF ₃	2-piridinilo	237-238
	D31	i-Pr	H	2,5-Cl ₂	CF ₃	Ph	>250
	D32 B es S	i-Pr	H	2-Me	CF ₃	Ph	169-172

	D33	i-Pr	H	2-Me	CF ₃	2-ClPh	208-209
	D34	i-Pr	H	2-Cl	CF ₃	2-ClPh	234-235
	D35	i-Pr	H	2-Me	CF ₃	4-ClPh	289-290
	D36	i-Pr	H	2-Cl	CF ₃	4-ClPh	276-278
5	D37	i-Pr	H	2-Cl	CF ₃	2-pyridinilo	239-240
	D38	i-Pr	H	2-Me	CF ₃	2-pyrimidinilo	205-208
	D39	i-Pr	H	2-Me	CF ₃	2-(3-CH ₃ -pyridinilo)	183-187
	D40	i-Pr	H	2-Me	CF ₂ CF ₃	Ph	231-232
	D41	i-Pr	H	2-Cl	CF ₂ CF ₃	Ph	206-207
10	D42	t-Bu	H	2-Cl	CF ₂ CF ₃	Ph	212-213
	D43	i-Pr	H	2-Br	CF ₂ CF ₃	Ph	219-222
	D44	i-Pr	H	2-Me	CF ₃	3-ClPh	278-280
	D45	i-Pr	H	2-Cl	CF ₃	3-ClPh	272-273
	D46	i-Pr	H	2-Me	CF ₃	2-FPh	217-218
15	D47	i-Pr	H	2-Cl	CF ₃	2-FPh	220-221
	D48	i-Pr	H	2-Me	CF ₃	4-FPh	269-270
	D49	i-Pr	H	2-Cl	CF ₃	4-FPh	279-280
	D50	i-Pr	H	2-I	c-Pr	CH ₃	222-224
	D51	i-Pr	H	5-I	c-Pr	CH ₃	215-217
20	D52	i-Pr	H	2-CF ₃	CF ₃	Ph	247-249
	D53	i-Pr	H	2-Cl	CF ₃	i-Pr	255-258
	D54	i-Pr	H	2-Me	CF ₃	3-FPh	277-278
	D55	i-Pr	H	2-Cl	CF ₃	3-FPh	256-257
	D56	i-Pr	H	2-Me	CF ₃	2-CF ₃ Ph	215-216

	D57	i-Pr	H	2-Cl	CF ₃	2-CF ₃ Ph	230-231
	D58	i-Pr	H	2-Me	CF ₃	2-BrPh	207-208
	D59	i-Pr	H	2-Cl	CF ₃	2-BrPh	239-240
	D60	i-Pr	H	2-OCH ₃	CF ₃	Ph	215-216
5	D61	i-Pr	H	5-Cl	CF ₃	2-(3-CH ₃ -pyridinilo)	224-225
	D62	i-Pr	H	5-Me	CF ₃	2-(3-Cl-pyridinilo)	179-181
	D63	s-Bu	H	2-Cl	CF ₃	Ph	>240
	D64	c-Pr	H	2-Cl	CF ₃	Ph	>240
	D65	Et	H	2-Cl	CF ₃	Ph	>240
10	D66	t-Bu	H	2-CF ₃	CF ₃	Ph	230-233
	D67	Et	H	2-CF ₃	CF ₃	Ph	246-249
	D68	CH(CH ₃)CH ₂ SC ₂ H ₅	H	2-CF ₃	CF ₃	Ph	215-217
	D69	CH(CH ₃)CH ₂ SC ₂ H ₅	H	2-CF ₃	CF ₃	Ph	220-223
	D70	i-Pr	H	5-Cl	CF ₃	2-(3-Cl-pyridinilo)	230-233
15	D71	i-Pr	H	5-Me	CF ₃	2-tiazolilo	201-203
	D72	i-Pr	H	5-Me	CF ₃	2-pirazinilo	252-253
	D73	i-Pr	H	5-Me	CF ₃	4-pyridinilo	224-228
	D74	i-Pr	H	2-Me	CF ₃	i-Pr	236-243
	D75	i-Pr	H	2-Me	CF ₃	2-CH ₃ Ph	211-212
20	D76	i-Pr	H	2-Cl	CF ₃	2-CH ₃ Ph	232-234
	D77	i-Pr	H	2-Br	CF ₃	2-ClPh	247-248
	D78	t-Bu	H	2-Me	CF ₃	2-ClPh	216-217
	D79 (EJ.7)	i-Pr	H	2-Me	CF ₃	2-(3-CF ₃ -pyridinilo)	227-230
	D80	CH ₂ CH ₂ Cl	H	2-Cl	CF ₃	Ph	237-242

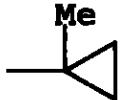
	D81	CH ₂ CH ₂ CH ₂ Cl	H	2-Cl	CF ₃	Ph	233-239
	D82	CH(CH ₃)CO ₂ CH ₃	H	2-Cl	CF ₃	Ph	221-222
	D83	S-CH(i-Pr)CO ₂ CH ₃	H	2-Cl	CF ₃	Ph	212-213
	D84	i-Pr	H	2-Me	CF ₃	2,6-Cl ₂ -Ph	267-268
5	D85	i-Pr	H	2-Cl	CF ₃	2,6-Cl ₂ -Ph	286-287
	D86	i-Pr	H	2-Me	Br	Ph	253-255
	D87	i-Pr	H	2-Cl	Br	Ph	247-248
	D88	i-Pr	H	2-Me	CF ₃	i-Bu	205-210
	D89	i-Pr	H	2-Me	CF ₃	CH ₂ Ph	235-237
10	D90	i-Pr	H	2-Me	CF ₃	2-(3-OCH ₃ - piridinilo)	221-222
	D91	i-Pr	H	2-Me	CF ₃	3-piridinilo	260-261
	D92	i-Pr	H	2-Me	CF ₃	4-quinolinilo	>260
	D93	i-Pr	H	2-Me	CN	2-(3-Cl-piridinilo)	203-204
15	D94	i-Pr	H	2-Me	CF ₃	2,4-F ₂ -Ph	245-246
	D95	i-Pr	H	2-Cl	CF ₃	2,4-F ₂ -Ph	252-253
	D96	i-Pr	H	2-Me	CF ₃	2-Et-Ph	207-209
	D97	i-Pr	H	2-Cl	CF ₃	2-Et-Ph	221-222
	D98	i-Pr	H	H	CF ₃	2-ClPh	206-207
20	D99	t-Bu	H	H	CF ₃	2-ClPh	197-198
	D100	CH(CH ₃)CH ₂ OCH ₃	H	H	CF ₃	2-ClPh	145-148
	D101	CH(CH ₃)CH ₂ SCH ₃	H	H	CF ₃	2-ClPh	158-160
	D102	CH(CH ₃)CH ₂ SCH ₃	H	2-Cl	CF ₃	Ph	184-186
	D103	CH(CH ₃)CH ₂ OCH ₃	H	2-Cl	CF ₃	Ph	217-218

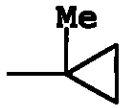
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	D104	n-Pr	H	2-Cl	CF ₃	Ph	247-248
	D105	i-Bu	H	2-Cl	CF ₃	Ph	244-245
	D106	CH ₃	H	2-Cl	CF ₃	Ph	>250
	D107	i-Pr	Me	2-Cl	CF ₃	Ph	193-194
5	D108	CH ₂ C≡CH	H	2-Cl	CF ₃	Ph	>250
	D109	CH ₂ CH=CH ₂	H	2-Cl	CF ₃	Ph	248-249
	D110	CH ₂ (2-furanilo)	H	2-Cl	CF ₃	Ph	246-247
	D111	i-Pr	H	2-Me	Ph	2-ClPh	133-136
	D112	i-Pr	H	2-Cl	Ph	2-ClPh	220-221
10	D113	i-Pr	H	2-Me	CF ₃	4-(3,5-Cl ₂ - piridinilo)	239-242
	D114	i-Pr	H	2-Cl	CF ₃	4-(3,5-Cl ₂ - piridinilo)	229-231
	D115	CH(CH ₃)CH ₂ SCH ₃	H	2-Me	CF ₃	2-ClPh	194-195
15	D116	CH(CH ₃)CH ₂ SCH ₃	H	2-Me	CF ₃	2-ClPh	181-183
	D117	s-Bu	H	2-Me	CF ₃	2-ClPh	199-200
	D118	c-Pr	H	2-Me	CF ₃	2-ClPh	234-235
	D119	n-Pr	H	2-Me	CF ₃	2-ClPh	222-223
	D120	i-Bu	H	2-Me	CF ₃	2-ClPh	235-237
20	D121	Me	H	2-Me	CF ₃	2-ClPh	242-243
	D122	i-Pr	Me	2-Me	CF ₃	2-ClPh	90-93
	D123	CH ₂ C≡CH	H	2-Me	CF ₃	2-ClPh	215-216
	D124	Et	H	2-Me	CF ₃	2-ClPh	228-229
	D125	CH ₂ CH=CH ₂	H	2-Me	CF ₃	2-ClPh	227-228

	D126	CH ₂ (2-furanilo)	H	2-Me	CF ₃	2-ClPh	218-219
	D127	CH(CH ₃)CH ₂ SCH ₃	H	2-Me	CF ₃	Ph	179-180
	D128	CH(CH ₃)CH ₂ OCH ₃	H	2-Me	CF ₃	Ph	219-220
	D129	s-Bu	H	2-Me	CF ₃	Ph	244-245
5	D130	c-Pr	H	2-Me	CF ₃	Ph	>250
	D131	n-Pr	H	2-Me	CF ₃	Ph	238-239
	D132	i-Bu	H	2-Me	CF ₃	Ph	237-238
	D133	Me	H	2-Me	CF ₃	Ph	263-265
	D134	i-Pr	Me	2-Me	CF ₃	Ph	178-179
10	D135	CH ₂ C≡CH	H	2-Me	CF ₃	Ph	253-254
	D136	Et	H	2-Me	CF ₃	Ph	244-245
	D137	CH ₂ CH=CH ₂	H	2-Me	CF ₃	Ph	240-241
	D138	CH ₂ (2-furanilo)	H	2-Me	CF ₃	Ph	245-246
	D139	i-Pr	H	2-OCHF ₂	CF ₃	2-ClPh	200-201
15	D140	i-Pr	H	2-OCH ₃	CF ₃	2-ClPh	206-207
	D141	i-Pr	H	2-I	CF ₃	2-ClPh	253-256
	D142	i-Pr	H	2-Me	Br	2-ClPh	147-150
	D143	i-Pr	H	2-Cl	Br	2-ClPh	246-247
	D144	i-Pr	H	2-Me	CF ₃	2-OCH ₃ Ph	218-219
20	D145	i-Pr	H	2-Cl	CF ₃	2-OCH ₃ Ph	243-244
	D146	i-Pr	H	2-Me	CF ₃	1-Isoquinolinilo	252-253
	D147	CH(CH ₃)CH ₂ SCH ₃	H	2-Cl	CF ₃	2-ClPh	217-218
	D148	CH(CH ₃)CH ₂ OCH ₃	H	2-Cl	CF ₃	2-ClPh	207-208
	D149	s-Bu	H	2-Cl	CF ₃	2-ClPh	216-217

	D150	c-Pr	H	2-Cl	CF ₃	2-ClPh	261-262
	D151	n-Pr	H	2-Cl	CF ₃	2-ClPh	231-232
	D152	i-Bu	H	2-Cl	CF ₃	2-ClPh	255-256
	D153	Me	H	2-Cl	CF ₃	2-ClPh	233-235
5	D154	i-Pr	Me	2-Cl	CF ₃	2-ClPh	127-128
	D155	CH ₂ C≡CH	H	2-Cl	CF ₃	2-ClPh	226-227
	D156	Et	H	2-Cl	CF ₃	2-ClPh	244-246
	D157	CH ₂ CH=CH ₂	H	2-Cl	CF ₃	2-ClPh	235-236
	D158	CH ₂ (2-furanilo)	H	2-Cl	CF ₃	2-ClPh	207-208
10	D159	i-Pr	H	C≡CSi(CH ₃) ₃	CF ₃	2-ClPh	256-258
	D160	i-Pr	H	C≡CH	CF ₃	2-ClPh	228-230
	D161	i-Pr	H	2-Cl	C≡CH	2-ClPh	219-222
	D162	i-Pr	H	2-Me	H	H, R ⁷ (c) es CH ₃	220-223
15	D163	i-Pr	H	2-Me	CH ₃	Ph, R ⁷ (c) es Cl	209-210
	D164 B es S	i-Pr	H	2-Cl	CF ₃	Ph	169-174
	D165	i-Pr	H	2-Me	CF ₃	2,6-F ₂ Ph	223-225
	D166	i-Pr	H	2-Me	CF ₃	2-Cl-6-FPh	203-206
20	D167	i-Pr	H	2-Cl	CF ₃	2-Cl-6-FPh	218-221
	D168	i-Pr	H	2-Me-4-Br	CF ₃	2-FPh	232-233
	D169	t-Bu	H	2-Cl	CF ₃	2-(3-Cl- piridinilo)	250-251

	D170		H	2-Cl	CF ₃	2-(3-Cl- piridinilo)	>250
	D171	Et	Et	2-Cl	CF ₃	2-ClPh	243-247
	D172	Me	Me	2-Cl	CF ₃	2-ClPh	234-235
5	D173	Et	Et	2-Me	CF ₃	2-ClPh	237-238
	D174	Me	Me	2-Me	CF ₃	2-ClPh	225-226
	D175	CH ₂ CH ₂ N(Me) ₂	H	2-Me	CF ₃	2-ClPh	188-190
	D176	i-Pr	H	2-Cl	CF ₃	2-pirazinilo	242-243
	D177	t-Bu	H	2-Me-4-Br	CF ₃	2- ClPh	>260
10	D178	CH(CH ₃)CH ₂ OCH ₃	H	2-Me	CF ₃	2-(3-Cl- piridinilo)	176-177
	D179	CH(CH ₃)CH ₂ SCH ₃	H	2-Me	CF ₃	2-(3-Cl piridinilo)	196-197
	D180	CH(CH ₃)CH ₂ OCH ₃	H	2-Cl	CF ₃	2-(3-Cl- piridinilo)	197-198
15	D181	CH(CH ₃)CH ₂ SCH ₃	H	2-Cl	CF ₃	2-(3-Cl- piridinilo)	202-203
	D182	i-Pr	H	2-Me	CF ₃	2-IPh	221-222
	D183	i-Pr	H	2-Cl	CF ₃	2-IPh	238-240
20	D184	i-Pr	H	2-Me	CF ₃	2-(C≡CH)-Ph	215-217
	D185	i-Pr	H	2-Cl	CF ₃	2-(C≡CH)-Ph	244-246
	D186	t-Bu	H	2-Cl	CF ₃	2-(3-Cl- piridinilo)	250-251

	D187		H	2-Cl	CF ₃	2-(3-Cl-piridinilo)	>250
	D188	i-Pr	H	2-Me	CF ₃	2-Cl-4-FPh	203-205
	D189	i-Pr	H	2-Cl	CF ₃	2-Cl-4-FPh	218-219
5	D190	Me	Me	2-Me	CF ₃	2-ClPh	225-226
	D191	Et	Et	2-Me	CF ₃	2-ClPh	243-247
	D192	i-Pr	H	2-Me	CF ₃	2,6-Me ₂ Ph	259-260
	D193	i-Pr	H	2-Cl	CF ₃	2,6-Me ₂ Ph	268-269
	D194	i-Pr	H	2-Me	CF ₃	2,6-Cl ₂ -4-CNPh	*
10	D195	i-Pr	H	2-Me	CF ₃	2-CNPh	225-235
	D196	i-Pr	H	2-Me	CF ₃	2-(OCF ₃)Ph	214-215
	D197	i-Pr	H	2-Cl	CF ₃	2-(OCF ₃)Ph	223-224
	D198	i-Pr	H	2-Me	CF ₃	2-Br-4-FPh	202-203
	D199	i-Pr	H	2-Cl	CF ₃	2-Br-4-FPh	222-223
15	D200	i-Pr	H	2-Me	CF ₃	2-(3-Me-pirazinilo)	205-207
	D201	Me	H	2-Cl	CF ₃	2-(3-Cl-piridinilo)	215-220
	D202	CH ₂ C≡CH	H	2-Cl	CF ₃	2-(3-Cl-piridinilo)	197-198
	D203	Me	H	2-Me	CF ₃	2-(3-Cl-piridinilo)	193-196
	D204	Et	H	2-Me	CF ₃	2-(3-Cl-piridinilo)	204-206
20	D205	CH ₂ C≡CH	H	2-Me	CF ₃	2-(3-Cl-piridinilo)	177-178
	D206	i-Pr	H	2-Me	CF ₃	2-(8-Cl-quinolinilo)	>250
	D207	i-Pr	H	2-Me	CF ₃	4-(2-Me-quinolinilo)	>250
	D208	i-Pr	H	2-Cl	CF ₃	4-(2-Me-quinolinilo)	>250
	D209	i-Pr	H	2-Me	CF ₃	4-(7-Cl-quinolinilo)	>250

	D210	i-Pr	H	2,4-Br ₂	CF ₃	2-ClPh	233-234
	D211	i-Pr	H	2-Br	Br	2-ClPh	255-258
	D212	Me	H	2-Me	Br	2-ClPh	236-237
	D213	t-Bu	H	2-Cl	Br	2-ClPh	260-261
5	D214	Et	H	2-Me	Br	2-ClPh	254-255
	D215	t-Bu	H	2-Me	Br	2-ClPh	259-260
	D216	c-Bu	H	2-Cl	CN	2-(3-Cl-pyridinilo)	177-180
	D217	i-Pr	H	2-Me	CF ₃	2-(3-Cl-pyridinilo)	237-239
10	D218	i-Pr	H	2-Me	CF ₃	4-(6-Cl-quinolinilo)	>250
	D219	Me	Me	2-Me	CF ₃	4-(6-Cl-quinolinilo)	>250
	D220	O-i-Pr	H	2-Cl	CF ₃	2-ClPh	218-219
	D221	i-Pr	H	2-Cl	CN	2-(3-Cl-pyridinilo)	195-200
15	D222	t-Bu	H	2-Cl	CN	2-(3-Cl-pyridinilo)	>250
	D223	Et	H	2-Cl	CN	2-(3-Cl-pyridinilo)	200-205
	D224	i-Pr	H	2-Cl	CF ₃	2-(3-Me-pyrazinilo)	225-230
	D225	t-Bu	H	2-Cl	CF ₃	2-(3-Me-pyrazinilo)	235-240
	D226	Et	H	2-Cl	CF ₃	2-(3-Me-pyrazinilo)	210-220
20	D227	i-Pr	H	2-Me	CF ₃	3-(2-Cl-pyridinilo)	*
	D228	i-Pr	H	2-Cl	CF ₃	2,3-Cl ₂ Ph	217-219
	D229	t-Bu	H	2-Cl	CF ₃	2,3-Cl ₂ Ph	254-256
	D230	i-Pr	H	2-Me	CF ₃	2,3-Cl ₂ Ph	208-209
	D231	t-Bu	H	2-Me	CF ₃	2,3-Cl ₂ Ph	232-233

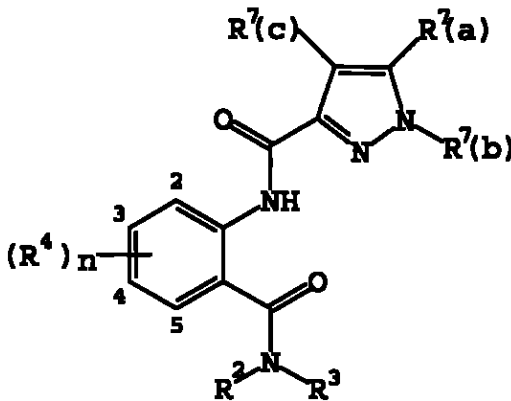
	D232	t-Bu	H	2-Me-4-Br	Br	2-ClPh	239-241
	D233	Me	H	2-Me-4-Br	Br	2-ClPh	150-152
	D234	Et	H	2-Me-4-Br	Br	2-ClPh	223-225
	D235	i-Pr	H	2-Me-4-Br	Br	2-ClPh	197-198
5	D236	Me	H	2-Me	CF ₃	2-FPh	245-247
	D237	CH ₂ C≡CH	H	2-Me	CF ₃	2-FPh	222-227
	D238	O-i-Pr	H	2-Cl	CN	2-(3-Cl-piridinilo)	205-206
	D239	O-i-Pr	H	2-Me	CN	2-(3-Cl-piridinilo)	210-211
	D240	Me	Me	2-Cl	CF ₃	2-ClPh	234-236
10	D241	CH ₂ C≡CH	H	2-Me-4-Br	Br	2-ClPh	187-188

*Véase Tabla Q de índice para los datos de RMN ¹H

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TABLA E DE ÍNDICE

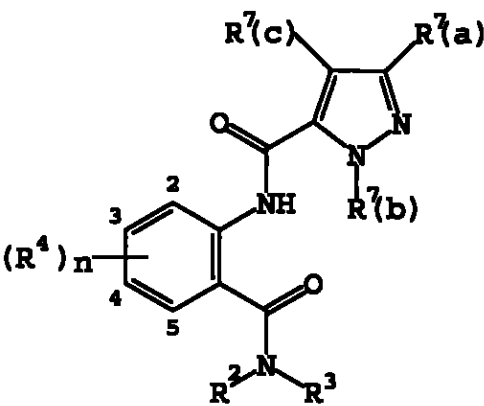
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	Compuesto	R ²	R ³	(R ⁴) _n	R ⁷ (a)	R ⁷ (b)	R ⁷ (c)	p.f. °C
	E1	i-Pr	H	2-Me	CH ₃	CH ₃	H	143-145
	E2	i-Pr	H	2-Me	CH ₃	CH ₂ CF ₃	H	198-199
5	E3	i-Pr	H	2-Me	CH ₃	CH ₃	Cl	188-190
	E4	i-Pr	H	2-Me	CH ₃	4-CF ₃ -Ph	H	198-199
	E5	i-Pr	H	2-Me	CH ₃	2-CF ₃ -Ph	H	211-213
	E6	i-Pr	H	2-Me	CH ₃	t-Bu	H	125-127
	E7	i-Pr	H	2-Me	CF ₃	CH ₂ -Ph	H	130-135
10	E8	i-Pr	H	2-Me	H	Ph	CH ₃	249-250
	E9	i-Pr	H	2-Me	H	CH ₃	Ph	268-270
	E10	i-Pr	H	2-Cl	H	Ph	CH ₃	260-261
	E11	i-Pr	H	2-Me	H	CH ₂ CF ₃	Ph	213-215
	E12	i-Pr	H	2-Cl	H	CH ₂ CF ₃	Ph	208-209
15	E13	i-Pr	H	2-Me	H	CHF ₂	Ph	*
	E14	i-Pr	H	2-Me	CF ₃	2-(3-Cl-piridinilo)	H	249-250

*Véase Tabla Q de índice para los datos de RMN ¹H

5

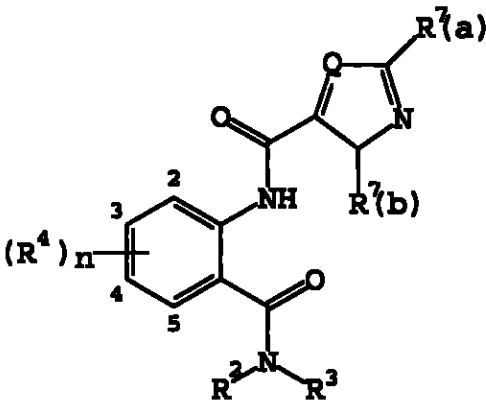


10	Compuesto	R^2	R^3	$(R^4)_n$	$R^7(a)$	$R^7(b)$	$R^7(c)$	p.f. °C
	F1	i-Pr	H	2-Me	CH_2CF_3	CH_3	H	254-255
	F2	i-Pr	H	2-Me	CH_2CF_3	H	CH_3	200-205
	F3	i-Pr	H	2-Me	$CH_2(3-CF_3)Ph$	H	CH_3	212-215
15	F4	i-Pr	H	2-Cl	CH_2CF_3	H	CH_3	215-217
	F5	i-Pr	H	2-Me	Ph	H	CF_3	223-224
	F6	i-Pr	H	2-Cl	Ph	H	CF_3	206-208
	F7	i-Pr	H	2-Me	CH_2CF_3	H	Ph	156-158
	F8	i-Pr	H	2-Cl	CH_2CF_3	H	Ph	162-164

20

TABLA G DE ÍNDICE

5



10

Compuesto Q R² R³ (R⁴)_n R⁷(a) R⁷(b) p.f. °C

15

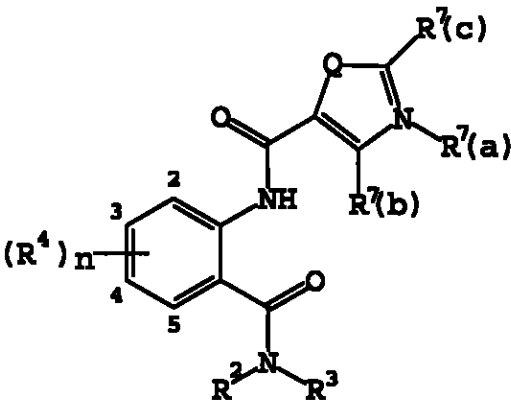
G1	S	i-Pr	H	2-Me	4-OCF ₃ Ph	CH ₃	233-234
G2	S	i-Pr	H	2-Me	OCH ₂ CF ₂ CF ₃	CH ₃	170-173
G3	S	i-Pr	H	2-Me	Cl	CH ₃	164-167
G4	S	i-Pr	H	2-Me	CH ₃	Ph	216-219
G5	S	i-Pr	H	2-Me	C(CH ₃) ₂ OH	CH ₃	*
G6	S	i-Pr	H	2-Me	i-Pr	CH ₃	180-181
G7	S	i-Pr	H	2-Me	i-Pr	Ph	182-183
G8	O	i-Pr	H	2-Me	i-Pr	CH ₃	163-164

20

*Véase Tabla Q de índice para los datos de RMN ¹H

TABLA H DE ÍNDICE

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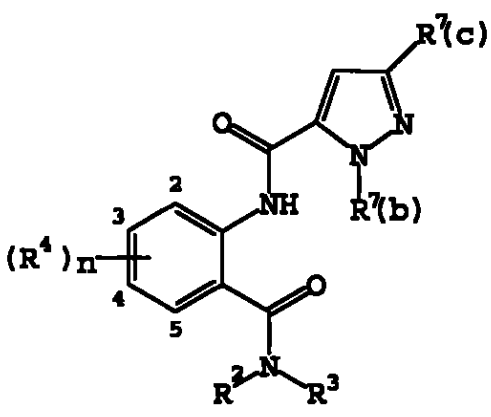


10	Compuesto	Q	R ²	R ³	(R ⁴) _n	R ⁷ (a)	R ⁷ (b)	R ⁷ (c)	p.f. °C
	H1	S	I-Pr	H	2-Me	H	H	H	192-195
	H2	S	CH(CH ₃)CH ₂ OCH ₃	H	2-Me	H	H	H	120-123
	H3	S	t-Bu	H	2-Me	H	H	H	120-123
15	H4	NMe	I-Pr	H	2-Me	Me	H	H	193-195
	H5	NPh	I-Pr	H	2-Me	H	Me	H	188-192
	H6	NPh	I-Pr	H	2-Me	Br	H	H	176-179
	H7	NPh	I-Pr	H	2-Me	Br	H	Br	215-216
	H8	NPh	I-Pr	H	2-Me	H	H	Br	150-154
20	H9	NPh	I-Pr	H	2-Me	CF ₃	H	H	182-184
	H10	N(2-ClPh)	I-Pr	H	2-Me	Br	H	H	100-110
	H11	N(2-FPh)	I-Pr	H	2-Me	Br	H	H	178-179
	H12	N(2-FPh)	t-Bu	H	2-Me	Br	H	H	186-188
	H13	N(2-ClPh)	t-Bu	H	2-Me	Br	H	H	225-229

25

TABLA J DE ÍNDICE

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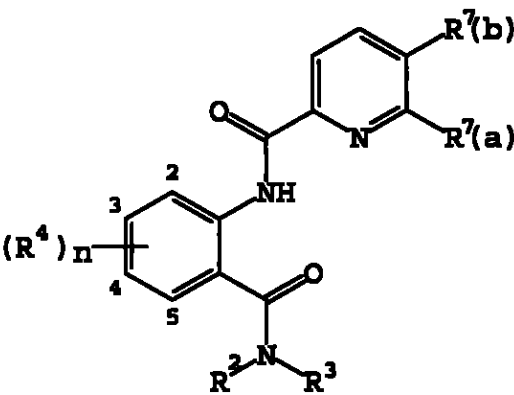
10

Compuesto	R ²	R ³	(R ⁴) _n	R ⁷ (a)	R ⁷ (b)	p.f. °C
J1	i-Pr	H	2-Me	Me	Me	221-222
J2	i-Pr	H	H	CF ₃	Ph	279-281
J3	i-Pr	H	2-Me	CF ₃	Ph	263-268
15 J4	i-Pr	H	2-Cl	CF ₃	2-ClPh	235-238
J5	i-Pr	H	2-Cl	CF ₃	Ph	245-246
J6	i-Pr	H	2-Me	CF ₃	2-ClPh	240-242
J7	i-Pr	H	2-Cl	CF ₃	2-F-4-ClPh	246-247
J8	i-Pr	H	2-Me	CF ₃	2-F-4-ClPh	266-268
20 J9	i-Pr	H	2-Me	CF ₃	2-piridinilo	258-260

TABLA K DE ÍNDICE

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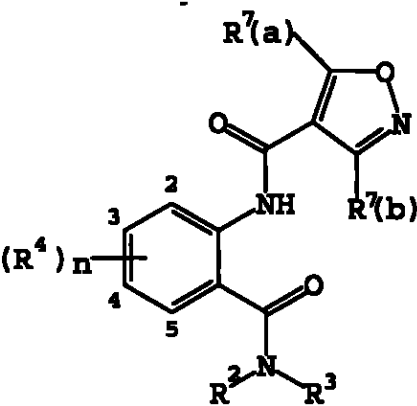


10	Compuesto	R ²	R ³	(R ⁴) _n	R ⁷ (a)	R ⁷ (b)	p.f. °C
	K1	i-Pr	H	2-Me	Br	H	177-180
	K2	t-Bu	H	2-Me	Br	H	188-194

TABLA L DE ÍNDICE

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20



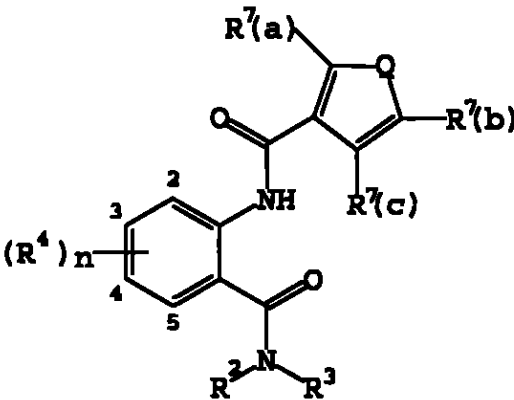
25	Compuesto	R ²	R ³	(R ⁴) _n	R ⁷ (a)	R ⁷ (b)	p.f. °C

L1	i-Pr	H	2-Me	Me	Me	203-205
L2	i-Pr	H	2-Me	Me	2,6-Cl ₂ Ph	218-223

TABLA M DE ÍNDICE

5

10

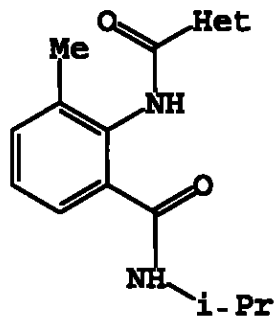


15

Compuesto	Q	R ²	R ³	(R ⁴) _n	R ⁷ (a)	R ⁷ (b)	R ⁷ (c)	p.f. °C
M1	S	i-Pr	H	2-Me	Cl	Me	H	203-205
M2	S	i-Pr	H	2-Cl	Cl	Me	H	210-213
M3	NCHF ₂	t-Bu	H	2-Me	H	H	Ph	165-166
M4	NH	i-Pr	H	2-Me	CF ₃	Ph	H	118-120
20 M5	NMe	i-Pr	H	2-Me	CF ₃	Ph	H	110-112
M6	NCHF ₂	i-Pr	H	2-Me	2-FPh	H	H	143-144
M7	NCHF ₂	t-Bu	H	2-Me	2-FPh	H	H	120-123
M8	NCH ₂ CF ₃	i-Pr	H	2-Me	2-FPh	H	H	235-237

TABLA DE ÍNDICE N

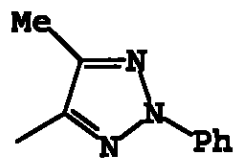
5



10

Compuesto	Het	p.f. °C

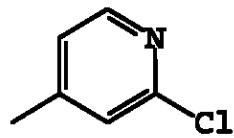
N1



169-171

15

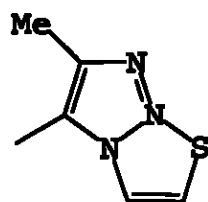
N2



227-230

20

N3



243-246

25

TABLA P DE ÍNDICE

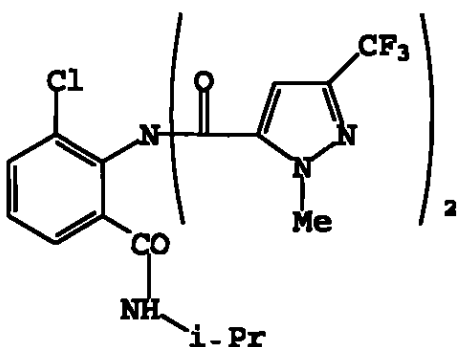
Compuesto	p.f. °C
5 P1	178-179
	
10	

TABLA Q DE ÍNDICE

Compuesto	Datos de RMN ¹ H (solución de CDCl ₃ , a menos que se indique de otra manera) ^a
15 No.	
D194	(DMSO-d ₆) δ 1.03 (d, 6H), 2.18 (s, 3H), 3.92 (m, 1H), 7.22-7.30 (m, 2H), 7.35 (m, 1H), 7.62 (dd, 1H), 7.81 (s, 1H), 8.02 (d, 1H), 8.15 (dd, 1H), 8.55 (dd, 1H), 10.34 (s, 1H).
20 D227	(DMSO-d ₆) δ 1.01 (d, 6H), 2.16 (s, 3H), 3.92 (m, 1H), 7.27 (m, 2H), 7.35 (m, 1H), 7.89 (s, 1H), 7.96 (m, 1H), 8.37 (s, 2H), 10.42 (s, 1H).
25 G5	δ 1.22 (d, 6H), 2.05 (s, 6H), 2.31 (s, 3H),

2.76 (s, 3H), 4.18 (m, 1H), 5.94 (d, 1H),
7.20 (dd, 1H), 7.29 (d, 1H), 7.38 (d, 1H),
9.83 (s amplio, 1H).

E13 δ 1.12 (d, 6H), 2.32 (s, 1H), 4.14 (m, 1H),
5 4.95 (d, 1H), 7.19 (dd, 1H), 7.28 (t, 1H),
 7.32 (m, 5H), 7.59 (dd, 2H), 7.92 (s, 1H),
 9.51 (s amplio, 1H).

^a Los datos de RMN ¹H están en ppm campo descendente a partir
de tetrametilsilano. Los acoplamientos se designan por (s)-
10 singulete, (d)-doblete, (t)-triplete, (c)-cuartete, (m)-
multiplete, (dd)-doblete de dobletes, (dt)-doblete de
tripletes, (s a)-singulete amplio.

EJEMPLOS BIOLÓGICOS DE LA INVENCION

15

PRUEBA

Aplicación: Los compuestos se formulan en acetona
10%, agua 90% y 300 ppm de solución de tensioactivo X-77, a
20 menos que se indique de otra manera. Los compuestos
formulados se aplican con una boquilla atomizadora SUJ2 con
un cuerpo adaptado 1/8 JJ (Spraying Systems) colocado 13 mm
(1/2") por encima de la parte superior de cada unidad de
prueba. Existen 6 de estas boquillas que constituyen el
25 larguero de aspersión y este se fija en un aspersor en

banda. Un anaquel (o portador) de 6 unidades de prueba de insecto diferentes se coloca en la banda transportadora y se detiene de manera que cada unidad se centra debajo de una boquilla. Una vez que se centra el anaquel, se rocía 1 ml de líquido dentro de cada unidad de prueba; el anaquel después continúa descendiendo por la banda hasta el extremo del aspersor que va a ser descargado. Todos los compuestos experimentales en este proceso se rocían a 250 ppm y se realizan por triplicado.

10 Polilla con lomo de rombo (DMB, por sus siglas en inglés)-*Plutella xylostella*: La unidad de prueba consiste de una unidad autocontenida pequeña con una planta de rábano en el interior de 12-24 días. Estas se infestan de antemano (utilizando un muestreador de núcleo) con 10-15 larvas
15 neonatales de una pieza de dieta de insecto. Una vez que se ha rociado 1 ml del compuesto formulado en cada unidad de prueba, las unidades de prueba se permite que sequen durante 1 hora antes de que se coloque en la parte superior del cilindro una tapa cribada negra. Se mantiene durante 6 días
20 en una cámara de crecimiento a 25°C y 70% de humedad relativa.

 El daño por alimentación a la planta se determina visualmente en una escala de 0-10, en donde 0 es sin alimentación, 1 es 10% menos de alimentación, 2 es 20% o
25 menos de alimentación, 3 es 30% o menos de alimentación

hasta una calificación máxima de 10 en donde 10 es 100% del follaje consumido. De los compuestos probados, los siguientes proporcionan excelentes niveles de protección para las plantas (clasificaciones de 0-1, 10% o menos de daño por alimentación):

5 1, 2, 3, 4, 6, 7, 9, 10, 13, 14, 15, 19, 20, 24, 27, 28, 29, 30, 31, 32, 33, 35, 37, 38, 39, 51, 52, 53, 60, 61, 62, 63, 64, 65, 66, 68, 69, 72, 73, 74, 75, 76, 79, 80, 84, 86, 88, 89, 90, 92, 96, 97, 98, 99, 100, 101, 102, 103, 107, 113, 124, 126, 127, 143, 144, 146, 147,

10 148, 150, 151, 152, 153, 158, 159, 160, 161, 162, 163, 164, 165, 166, 167, 169, 170, 171, 174, 183, 184, 185, 186, 187, 188, 189, 190, 191, 193, 194, 195, 196, 198, 202, 203, 204, 205, 206, 207, 208, 209, 210, 211, 212, 213, 214, 215, 216, 217, 218, 219, 220, 222, 223, 225, 227, 228, 229, 230, 231,

15 232, 233, 235, 238, 239, 240, 244, 245, 246, 248, 249, 250, 251, 252, 253, 256, 257, 275, 276, 277, 278, B2, B4, B5, B6, B7, B8, B9, B10, B11, B12, B13, B14, B15, B16, B17, B18, B19, B20, B21, B23, B24, B25, B28, B29, B30, B31, B32, B33, B35, B37, B38, B39, B40, B42, B43, B44, B45, B46, B47, B48,

20 B49, B50, B53, B55, B57, B58, B59, B60, B61, B62, B63, B64, B66, B67, B68, B69, B70, B71, B72, B74, B75, B76, C1, C2, C3, C4, C5, C7, C8, C9, C10, C11, C12, C79, D2, D3, D4, D5, D6, D7, D8, D11, D12, D13, D14, D15, D16, D18, D19, D20, D23, D24, D25, D26, D27, D28, D29, D30, D32, D33, D34, D37,

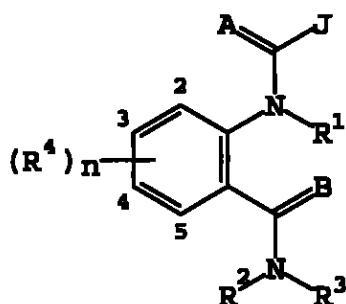
25 D38, D39, D40, D41, D42, D45, D46, D47, D48, D50, D51, D52,

D53, D54, D55, D56, D57, D58, D59, D60, D61, D62, D63, D64,
D65, D66, D67, D68, D69, D70, D71, D72, D73, D74, D75, D76,
D77, D78, D79, D81, D83, D84, D85, D86, D87, D88, D89, D91,
D92, D93, D94, D95, D96, D97, D111, D113, D114, D115, D116,
5 D117, D118, D119, D120, D121, D122, D123, D124, D125, D126,
D162, D164, E4, F2, F5, F6, F7, F8, G2, G3, G5, H1, H2, H3,
H4, J3, J4, J6, M1, M3, N2 y P1.

REIVINDICACIONES

1. Un método para exterminar artrópodos,
5 caracterizado porque comprende poner en contacto los
artrópodos o su ambiente con una cantidad eficaz que mata
artrópodos, de un compuesto de fórmula 1, su N-óxido o sales
adecuadas agrícolamente

10



15

1

20 en donde

A y B son independientemente O o S;

cada J es independientemente un grupo fenilo o
naftilo sustituido con 1 a 2 de R⁵ y opcionalmente sustituido
con 1 a 3 de R⁶; o cada J es independientemente un anillo
25 heteroaromático de 5 ó 6 miembros o un sistema de anillo

heterobíciclico fusionado aromático de 8, 9 ó 10 miembros en donde cada anillo o sistema de anillos está opcionalmente sustituido con 1 a 4 de R⁷;

n es 1 a 4;

5 R¹ es H; o alquilo de 1 a 6 átomos de carbono, alquenilo de 2 a 6 átomos de carbono, alquinilo de 2 a 6 átomos de carbono o cicloalquilo de 3 a 6 átomos de carbono, cada uno sustituido opcionalmente con uno o más sustituyentes que se seleccionan del grupo que consiste de
10 halógeno, CN, NO₂, hidroxí, alcoxi de 1 a 4 átomos de carbono, alquiltio de 1 a 4 átomos de carbono, alquilsulfinilo de 1 a 4 átomos de carbono, alquilsulfonilo de 1 a 4 átomos de carbono, alcóxicarbonilo de 2 a 4 átomos de carbono, alquilamino de 1 a 4 átomos de carbono,
15 dialquilamino de 2 a 8 átomos de carbono y cicloalquilamino de 3 a 6 átomos de carbono; o

 R¹ es alquilcarbonilo de 2 a 6 átomos de carbono, alcóxicarbonilo de 2 a 6 átomos de carbono, alquilaminocarbonilo de 2 a 6 átomos de carbono,
20 dialquilaminocarbonilo de 3 a 8 átomos de carbono, o C(=A)J;

 R² es H, alquilo de 1 a 6 átomos de carbono, alquenilo de 2 a 6 átomos de carbono, alquinilo de 2 a 6 átomos de carbono, cicloalquilo de 3 a 6 átomos de carbono, alcoxi de 1 a 4 átomos de carbono, alquilamino de 1 a 4
25 átomos de carbono, dialquilamino de 2 a 8 átomos de carbono,

cicloalquilamino de 3 a 6 átomos de carbono, alcóxicarbonilo de 2 a 6 átomos de carbono, o alquilcarbonilo de 2 a 6 átomos de carbono;

R^3 es H; G; alquilo de 1 a 6 átomos de carbono,
5 alquenilo de 2 a 6 átomos de carbono, alquinilo de 2 a 6 átomos de carbono, cicloalquilo de 3 a 6 átomos de carbono, cada uno opcionalmente sustituido con uno o más sustituyentes que se seleccionan del grupo que consiste de halógeno, G, CN, NO₂, hidroxilo, alcoxilo de 1 a 4 átomos de
10 carbono, haloalcoxilo de 1 a 4 átomos de carbono, alquiltio de 1 a 4 átomos de carbono, alquilsulfinilo de 1 a 4 átomos de carbono, alquilsulfonilo de 1 a 4 átomos de carbono, alcóxicarbonilo de 2 a 6 átomos de carbono, alquilcarbonilo de 2 a 6 átomos de carbono, trialquilsililo de 3 a 6 átomos
15 de carbono o un fenilo, fenoxilo o un anillo heteroaromático de 5 ó 6 miembros, cada anillo está opcionalmente sustituido con uno a tres sustituyentes que se seleccionan independientemente del grupo que consiste de alquilo de 1 a 4 átomos de carbono, alquenilo de 2 a 4 átomos de carbono,
20 alquinilo de 2 a 4 átomos de carbono, cicloalquilo de 3 a 6 átomos de carbono, haloalquilo de 1 a 4 átomos de carbono, haloalquenilo de 2 a 4 átomos de carbono, haloalquinilo de 2 a 4 átomos de carbono, halocicloalquilo de 3 a 6 átomos de carbono, halógeno, CN, NO₂, alcoxilo de 1 a 4 átomos de
25 carbono, haloalcoxilo de 1 a 4 átomos de carbono, alquiltio de

1 a 4 átomos de carbono, alquilsulfinilo de 1 a 4 átomos de carbono, alquilsulfonilo de 1 a 4 átomos de carbono, alquilamino de 1 a 4 átomos de carbono, dialquilamino de 2 a 8 átomos de carbono, cicloalquilamino de 3 a 6 átomos de carbono, (alquil)cicloalquilamino de 3 a 6 átomos de carbono, alquilcarbonilo de 2 a 4 átomos de carbono, alcoxycarbonilo de 2 a 6 átomos de carbono, alquilaminocarbonilo de 2 a 6 átomos de carbono, dialquilaminocarbonilo de 3 a 8 átomos de carbono, o trialquilsililo de 3 a 6 átomos de carbono; alcoxi de 1 a 4 átomos de carbono; alquilamino de 1 a 4 átomos de carbono; dialquilamino de 2 a 8 átomos de carbono; cicloalquilamino de 3 a 6 átomos de carbono; alcoxycarbonilo de 2 a 6 átomos de carbono o alquilcarbonilo de 2 a 6 átomos de carbono; o

15 R^2 y R^3 se pueden tomar junto con el nitrógeno al cual están unidos para formar un anillo que contiene 2 a 6 átomos de carbono y opcionalmente un átomo adicional de nitrógeno, azufre u oxígeno, el anillo puede estar sustituido opcionalmente con 1 a 4 sustituyentes que se
20 seleccionan del grupo que consiste de alquilo de 1 a 2 átomos de carbono, halógeno, CN, NO₂ y alcoxi de 1 a 2 átomos de carbono;

G es un anillo carboxíclico o heterocíclico no aromático de 5 ó 6 miembros, que opcionalmente incluye uno o
25 dos miembros en el anillo que se seleccionan del grupo que

consiste de C(=O), SO o S(O)₂ y opcionalmente sustituido con 1 a 4 sustituyentes que se seleccionan del grupo que consiste de alquilo de 1 a 2 átomos de carbono, halógeno, CN, NO₂ y alcoxi de 1 a 2 átomos de carbono;

5 cada R⁴ es independientemente H, alquilo de 1 a 6 átomos de carbono, alquenilo de 2 a 6 átomos de carbono, alquinilo de 2 a 6 átomos de carbono, cicloalquilo de 3 a 6 átomos de carbono, haloalquilo de 1 a 6 átomos de carbono, haloalquenilo de 2 a 6 átomos de carbono, haloalquinilo de 2
10 a 6 átomos de carbono, halocicloalquilo de 3 a 6 átomos de carbono, halógeno, CN, NO₂, hidroxi, alcoxi de 1 a 4 átomos de carbono, haloalcoxi de 1 a 4 átomos de carbono, alquiltio de 1 a 4 átomos de carbono, alquilsulfinilo de 1 a 4 átomos de carbono, alquilsulfonilo de 1 a 4 átomos de carbono,
15 haloalquiltio de 1 a 4 átomos de carbono, haloalquilsulfinilo de 1 a 4 átomos de carbono, haloalquilsulfonilo de 1 a 4 átomos de carbono, alquilamino de 1 a 4 átomos de carbono, dialquilamino de 2 a 8 átomos de carbono, cicloalquilamino de 3 a 6 átomos de carbono, o
20 trialquilsililo de 3 a 6 átomos de carbono; o

 cada R⁴ es independientemente fenilo, bencilo o fenoxi, cada uno opcionalmente sustituido con alquilo de 1 a 4 átomos de carbono; alquenilo de 2 a 4 átomos de carbono, alquinilo de 2 a 4 átomos de carbono, cicloalquilo de 3 a 6
25 átomos de carbono, haloalquilo de 1 a 4 átomos de carbono,

haloalquenilo de 2 a 4 átomos de carbono, haloalquinilo de 2 a 4 átomos de carbono, halocicloalquilo de 3 a 6 átomos de carbono, halógeno, CN, NO₂, alcoxi de 1 a 4 átomos de carbono, haloalcoxi de 1 a 4 átomos de carbono, alquiltio de 1 a 4 átomos de carbono, alquilsulfinilo de 1 a 4 átomos de carbono, alquilsulfonilo de 1 a 4 átomos de carbono, alquilamino de 1 a 4 átomos de carbono, dialquilamino de 2 a 8 átomos de carbono, cicloalquilamino de 3 a 6 átomos de carbono, (alquil)cicloalquilamino de 3 a 6 átomos de carbono, alquilcarbonilo de 2 a 4 átomos de carbono, alcoxycarbonilo de 2 a 6 átomos de carbono, alquilaminocarbonilo de 2 a 6 átomos de carbono, dialquilaminocarbonilo de 3 a 8 átomos de carbono, o trialquilsililo de 3 a 6 átomos de carbono;

15 cada R⁵ es independientemente alquilo de 1 a 6 átomos de carbono, alquenilo de 2 a 6 átomos de carbono, alquinilo de 2 a 6 átomos de carbono, cicloalquilo de 3 a 6 átomos de carbono, haloalquilo de 1 a 6 átomos de carbono, haloalquenilo de 2 a 6 átomos de carbono, haloalquinilo de 2 a 6 átomos de carbono, halocicloalquilo de 3 a 6 átomos de carbono, halógeno, CN, CO₂H, CONH₂, NO₂, hidroxí, alcoxi de 1 a 6 átomos de carbono, haloalcoxi de 1 a 6 átomos de carbono, alquiltio de 1 a 6 átomos de carbono, alquilsulfinilo de 1 a 6 átomos de carbono, alquilsulfonilo de 1 a 6 átomos de carbono, haloalquiltio de 1 a 6 átomos de

carbono, haloalquilsulfinilo de 1 a 6 átomos de carbono, haloalquilsulfonilo de 1 a 6 átomos de carbono, alquilamino de 1 a 6 átomos de carbono, dialquilamino de 2 a 12 átomos de carbono o cicloalquilamino de 3 a 6 átomos de carbono, 5 alquilcarbonilo de 2 a 6 átomos de carbono, alcoxicarbonilo de 2 a 6 átomos de carbono, alquilaminocarbonilo de 2 a 6 átomos de carbono, dialquilaminocarbonilo de 3 a 8 átomos de carbono, trialquilsililo de 3 a 6 átomos de carbono; o

(R⁵)₂, cuando se une a átomos de carbono adyacentes 10 se pueden tomar juntos como -OCF₂O- -CF₂CF₂O-, o OCF₂CF₂O-;

cada R⁶ es independientemente H, halógeno, alquilo de 1 a 6 átomos de carbono, alquenilo de 2 a 6 átomos de carbono, alquinilo de 2 a 6 átomos de carbono, cicloalquilo de 3 a 6 átomos de carbono, alcoxi de 1 a 4 átomos de 15 carbono o alcoxicarbonilo de 2 a 4 átomos de carbono; o

cada R⁶ es independientemente un fenilo, bencilo, fenoxi, o un anillo heteroaromático de 5 ó 6 miembros, o un sistema de anillo heterobicíclico fusionado de 8, 9 ó 10 miembros aromático, cada anillo opcionalmente está 20 sustituido con uno a tres sustituyentes que se seleccionan independientemente del grupo que consiste de alquilo de 1 a 4 átomos de carbono, alquenilo de 2 a 4 átomos de carbono, alquinilo de 2 a 4 átomos de carbono, cicloalquilo de 3 a 6 átomos de carbono, haloalquilo de 1 a 4 átomos de carbono, 25 haloalquenilo de 2 a 4 átomos de carbono, haloalquinilo de 2

a 4 átomos de carbono, halocicloalquilo de 3 a 6 átomos de carbono, halógeno, CN, NO₂, alcoxi de 1 a 4 átomos de carbono, haloalcoxi de 1 a 4 átomos de carbono, alquiltio de 1 a 4 átomos de carbono, alquilsulfinilo de 1 a 4 átomos de carbono, alquilsulfonilo de 1 a 4 átomos de carbono, alquilamino de 1 a 4 átomos de carbono, dialquilamino de 2 a 8 átomos de carbono, cicloalquilamino de 3 a 6 átomos de carbono, (alquil)cicloalquilamino de 3 a 6 átomos de carbono, alquilcarbonilo de 2 a 4 átomos de carbono, alcoxycarbonilo de 2 a 6 átomos de carbono, alquilaminocarbonilo de 2 a 6 átomos de carbono, dialquilaminocarbonilo de 3 a 8 átomos de carbono o trialquilsililo de 3 a 6 átomos de carbono;

cada R⁷ es independientemente H, alquilo de 1 a 6 átomos de carbono, alquenilo de 2 a 6 átomos de carbono, alquinilo de 2 a 6 átomos de carbono, cicloalquilo de 3 a 6 átomos de carbono, haloalquilo de 1 a 6 átomos de carbono, haloalquenilo de 2 a 6 átomos de carbono, haloalquinilo de 2 a 6 átomos de carbono, halocicloalquilo de 3 a 6 átomos de carbono, halógeno, CN, CO₂H, CONH₂, NO₂, hidroxí, alcoxi de 1 a 4 átomos de carbono, haloalcoxi de 1 a 4 átomos de carbono, alquiltio de 1 a 4 átomos de carbono, alquilsulfinilo de 1 a 4 átomos de carbono, alquilsulfonilo de 1 a 4 átomos de carbono, haloalquiltio de 1 a 4 átomos de carbono, haloalquilsulfinilo de 1 a 4 átomos de carbono,

haloalquilsulfonilo de 1 a 4 átomos de carbono, alquilamino de 1 a 4 átomos de carbono, dialquilamino de 2 a 8 átomos de carbono, cicloalquilamino de 3 a 6 átomos de carbono, alquilcarbonilo de 2 a 6 átomos de carbono, alcoxycarbonilo de 2 a 6 átomos de carbono, alquilaminocarbonilo de 2 a 6 átomos de carbono, dialquilaminocarbonilo de 3 a 8 átomos de carbono, trialquilsililo de 3 a 6 átomos de carbono; o

cada R⁷ es independientemente un fenilo, bencilo, benzoilo, fenoxi, anillo heteroaromático de 5 ó 6 miembros o un sistema de anillo heterobicíclico fusionado de 8, 9 ó 10 miembros aromático, cada anillo está opcionalmente sustituido con 1 a 3 sustituyentes que se seleccionan independientemente del grupo que consiste de alquilo de 1 a 4 átomos de carbono, alquenilo de 2 a 4 átomos de carbono, alquinilo de 2 a 4 átomos de carbono, cicloalquilo de 3 a 6 átomos de carbono, haloalquilo de 1 a 4 átomos de carbono, haloalquenilo de 2 a 4 átomos de carbono, haloalquinilo de 2 a 4 átomos de carbono, halocicloalquilo de 3 a 6 átomos de carbono, halógeno, CN, NO₂, alcoxi de 1 a 4 átomos de carbono, haloalcoxi de 1 a 4 átomos de carbono, alquiltio de 1 a 4 átomos de carbono, alquilsulfinilo de 1 a 4 átomos de carbono, alquilsulfonilo de 1 a 4 átomos de carbono, alquilamino de 1 a 4 átomos de carbono, dialquilamino de 2 a 8 átomos de carbono, cicloalquilamino de 3 a 6 átomos de carbono, (alquil)cicloalquilamino de 3 a 6 átomos de

carbono, alquilarbonilo de 2 a 4 átomos de carbono,
alcoxicarbonilo de 2 a 6 átomos de carbono,
alquilaminocarbonilo de 2 a 6 átomos de carbono,
dialquilaminocarbonilo de 3 a 8 átomos de carbono o
5 trialquilsililo de 3 a 6 átomos de carbono;

con la condición de que

(1) cuando A y B son ambos O, R^2 es H o alquilo
de 1 a 3 átomos de carbono, R^3 es H o alquilo de 1 a 3
átomos de carbono y R^4 es H, halógeno, alquilo de 1 a 6
10 átomos de carbono, fenilo, hidroxilo o alcoxi de 1 a 6 átomos
de carbono, entonces uno de R^5 es diferente de halógeno,
alquilo de 1 a 6 átomos de carbono, hidroxilo o alcoxi de 1 a
6 átomos de carbono; o

(2) J es diferente de 1,2,3-tiadiazol
15 opcionalmente sustituido.

2. El método de conformidad con la
reivindicación 1, caracterizado porque J es un grupo fenilo
sustituido con 1 a 2 de R^5 y opcionalmente sustituido con 1
a 3 de R^6 .

20 3. El método de conformidad con la
reivindicación 2, caracterizado porque:
en donde

A y B son ambos O;

n es 1 a 2;

25 R^1 es H; alquilo de 1 a 4 átomos de carbono,

alquenilo de 2 a 4 átomos de carbono, alquinilo de 2 a 4 átomos de carbono, cicloalquilo de 3 a 6 átomos de carbono, alquilcarbonilo de 2 a 4 átomos de carbono, alcoxycarbonilo de 2 a 4 átomos de carbono;

5 R^2 es H, alquilo de 1 a 4 átomos de carbono, alquenilo de 2 a 4 átomos de carbono, alquinilo de 2 a 4 átomos de carbono, cicloalquilo de 3 a 6 átomos de carbono, alquilcarbonilo de 2 a 6 átomos de carbono o alcoxycarbonilo de 2 a 6 átomos de carbono;

10 R^3 es alquilo de 1 a 6 átomos de carbono, alquenilo de 2 a 6 átomos de carbono, alquinilo de 2 a 6 átomos de carbono o cicloalquilo de 3 a 6 átomos de carbono, cada uno opcionalmente sustituido con uno o más sustituyentes que se seleccionan del grupo que consiste de halógeno, CN, alcoxi
15 de 1 a 2 átomos de carbono, alquiltio de 1 a 2 átomos de carbono, alquilsulfinilo de 1 a 2 átomos de carbono y alquilsulfonilo de 1 a 2 átomos de carbono;

 uno de los grupos R^4 está unido al anillo fenilo en la posición 2 o en la posición 5 y R^4 es alquilo de 1 a 4
20 átomos de carbono, haloalquilo de 1 a 4 átomos de carbono, halógeno, CN, NO_2 , alcoxi de 1 a 4 átomos de carbono, haloalcoxi de 1 a 4 átomos de carbono, alquiltio de 1 a 4 átomos de carbono, alquilsulfinilo de 1 a 4 átomos de carbono, alquilsulfonilo de 1 a 4 átomos de carbono,
25 haloalquiltio de 1 a 4 átomos de carbono,

haloalquilsulfinilo de 1 a 4 átomos de carbono,
haloalquilsulfonilo de 1 a 4 átomos de carbono;

5 cada R^5 es independientemente haloalquilo de 1 a 4 átomos de carbono, CN, NO_2 , haloalcoxi de 1 a 4 átomos de carbono, alquiltio de 1 a 4 átomos de carbono, alquilsulfinilo de 1 a 4 átomos de carbono, alquilsulfonilo de 1 a 4 átomos de carbono, haloalquiltio de 1 a 4 átomos de carbono, haloalquilsulfinilo de 1 a 4 átomos de carbono, haloalquilsulfonilo de 1 a 4 átomos de carbono o
10 alcóxicarbonilo de 2 a 4 átomos de carbono; o

$(R^5)_2$, cuando está unido a átomos de carbono adyacentes se pueden tomar juntos como $-OCF_2O-$, $-CF_2CF_2O-$ o $-OCF_2CF_2O-$; y

15 cada R^6 es independientemente H, halógeno, alquilo de 1 a 4 átomos de carbono, alcoxi de 1 a 2 átomos de carbono o alcóxicarbonilo de 2 a 4 átomos de carbono; o

20 cada R^6 es independientemente un fenilo o un anillo heteroaromático de 5 o 6 miembros, cada anillo está opcionalmente sustituido con alquilo de 1 a 4 átomos de carbono, alquenilo de 2 a 4 átomos de carbono, alquinilo de 2 a 4 átomos de carbono, cicloalquilo de 3 a 6 átomos de carbono, haloalquilo de 1 a 4 átomos de carbono, haloalquenilo de 2 a 4 átomos de carbono, haloalquinilo de 2 a 4 átomos de carbono, halocicloalquilo de 3 a 6 átomos de
25 carbono, halógeno, CN, NO_2 , alcoxi de 1 a 4 átomos de

carbono, haloalcoxi de 1 a 4 átomos de carbono, alquiltio de 1 a 4 átomos de carbono, alquilsulfinilo de 1 a 4 átomos de carbono, alquilsulfonilo de 1 a 4 átomos de carbono, alquilamino de 1 a 4 átomos de carbono, dialquilamino de 2 a 5 8 átomos de carbono, cicloalquilamino de 3 a 6 átomos de carbono, (alquil)cicloalquilamino de 3 a 6 átomos de carbono, alquilcarbonilo de 2 a 4 átomos de carbono, alcoxicarbonilo de 2 a 6 átomos de carbono, alquilaminocarbonilo de 2 a 6 átomos de carbono, 10 dialquilaminocarbonilo de 3 a 8 átomos de carbono o trialquilsililo de 3 a 6 átomos de carbono.

4. El método de conformidad con la reivindicación 3, caracterizado porque

R^1 y R^2 son ambos H;

15 R^3 es alquilo de 1 a 4 átomos de carbono opcionalmente sustituido con halógeno, CN, OCH_3 , $S(O)_pCH_3$;

cada R^4 es independientemente H, CH_3 , CF_3 , OCF_3 , $OCHF_2$, $S(O)_pCF_3$, $S(O)_pCHF_2$, CN o halógeno;

cada R^5 es independientemente CF_3 , OCF_3 , $OCHF_2$, 20 $S(O)_pCF_3$, $S(O)_pCHF_2$, OCH_2CF_3 , OCF_2CHF_2 , $S(O)_pCH_2CF_3$ o $S(O)_pCF_2CHF_2$;

cada R^6 es independientemente H, halógeno o metilo; o fenilo, pirazol, imidazol, triazol, piridina o pirimidina, cada anillo está opcionalmente sustituido con 25 alquilo de 1 a 4 átomos de carbono, haloalquilo de 1 a 4

átomos de carbono, halógeno o CN; y

p es 0, 1 ó 2.

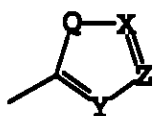
5. El método de conformidad con la reivindicación 4, caracterizado porque R³ es i-propilo o t-butilo.

6. El método de conformidad con la reivindicación 1, caracterizado porque J es un anillo heteroaromático de 5 ó 6 miembros opcionalmente sustituido con 1 a 4 de R⁷.

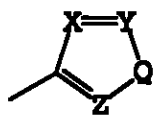
7. El método de conformidad con la reivindicación 6, caracterizado porque:

J es un anillo heteroaromático de 5 ó 6 miembros que se selecciona del grupo que consiste de J-1, J-2, J-3, J-4 y J-5, cada J está opcionalmente sustituida con 1 a 3 de

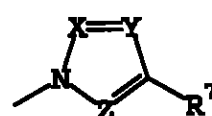
R⁷



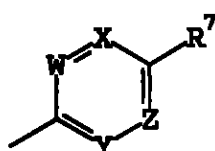
J-1



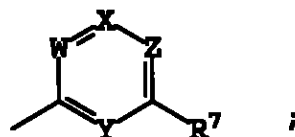
J-2



J-3



J-4



J-5

25

Q es O, S o NR⁷; y

W, X, Y y Z son independientemente N o CR⁷, con la condición de que en J-4 y J-5, por lo menos uno de W, X, Y o Z es N.

5 8. El método de conformidad con la reivindicación 6 ó 7, caracterizado porque

A y B son O;

n es 1 a 2;

10 R¹ es H, o alquilo de 1 a 4 átomos de carbono, alquenilo de 2 a 4 átomos de carbono, alquinilo de 2 a 4 átomos de carbono, alquilcarbonilo de 2 a 6 átomos de carbono, alcoxycarbonilo de 2 a 6 átomos de carbono;

15 R² es H, alquilo de 1 a 4 átomos de carbono, alquenilo de 2 a 4 átomos de carbono, alquinilo de 2 a 4 átomos de carbono, cicloalquilo de 3 a 6 átomos de carbono, alquilcarbonilo de 2 a 6 átomos de carbono o alcoxycarbonilo de 2 a 6 átomos de carbono;

20 R³ es H; o alquilo de 1 a 6 átomos de carbono, alquenilo de 2 a 6 átomos de carbono, alquinilo de 2 a 6 átomos de carbono, cicloalquilo de 3 a 6 átomos de carbono, cada uno opcionalmente sustituido con uno o más sustituyentes que se seleccionan del grupo que consiste de halógeno, CN, alcoxi de 1 a 2 átomos de carbono, alquiltio de 1 a 2 átomos de carbono, alquilsulfinilo de 1 a 2 átomos
25 de carbono, y alquilsulfonilo de 1 a 2 átomos de carbono;

uno de los grupos R⁴ está unido al anillo fenilo en la posición 2, y R⁴ es alquilo de 1 a 4 átomos de carbono, haloalquilo de 1 a 4 átomos de carbono, halógeno, CN, NO₂, alcoxi de 1 a 4 átomos de carbono, haloalcoxi de 1 a 4 átomos de carbono, alquiltio de 1 a 4 átomos de carbono, alquilsulfinilo de 1 a 4 átomos de carbono, alquilsulfonilo de 1 a 4 átomos de carbono, haloalquiltio de 1 a 4 átomos de carbono, haloalquilsulfinilo de 1 a 4 átomos de carbono o haloalquilsulfonilo de 1 a 4 átomos de carbono;

10 cada R⁷ es independientemente H, alquilo de 1 a 4 átomos de carbono, haloalquilo de 1 a 4 átomos de carbono, halógeno, CN, NO₂, haloalcoxi de 1 a 4 átomos de carbono, alquiltio de 1 a 4 átomos de carbono, alquilsulfinilo de 1 a 4 átomos de carbono, alquilsulfonilo de 1 a 4 átomos de carbono, haloalquiltio de 1 a 4 átomos de carbono, haloalquilsulfinilo de 1 a 4 átomos de carbono, haloalquilsulfonilo de 1 a 4 átomos de carbono o alcoxycarbonilo de 2 a 4 átomos de carbono; o un fenilo o un anillo heteroaromático de 5 o 6 miembros, cada anillo está
15 opcionalmente sustituido con alquilo de 1 a 4 átomos de carbono, alquenilo de 2 a 4 átomos de carbono, alquinilo de 2 a 4 átomos de carbono, cicloalquilo de 3 a 6 átomos de carbono, haloalquilo de 1 a 4 átomos de carbono, haloalquenilo de 2 a 4 átomos de carbono, haloalquinilo de 2
20 a 4 átomos de carbono, halocicloalquilo de 3 a 6 átomos de

carbono, halógeno, CN, NO₂, alcoxi de 1 a 4 átomos de carbono, haloalcoxi de 1 a 4 átomos de carbono, alquiltio de 1 a 4 átomos de carbono, alquilsulfinilo de 1 a 4 átomos de carbono, alquilsulfonilo de 1 a 4 átomos de carbono, 5 alquilamino de 1 a 4 átomos de carbono, dialquilamino de 2 a 8 átomos de carbono, cicloalquilamino de 3 a 6 átomos de carbono, (alquil)cicloalquilamino de 3 a 6 átomos de carbono, alquilcarbonilo de 2 a 4 átomos de carbono, alcoxicarbonilo de 2 a 6 átomos de carbono, 10 alquilaminocarbonilo de 2 a 6 átomos de carbono, dialquilaminocarbonilo de 3 a 8 átomos de carbono o trialquilsililo de 3 a 6 átomos de carbono.

9. El método de conformidad con la reivindicación 8, caracterizado porque J se selecciona del 15 grupo que consiste de piridina, pirimidina, pirazol, imidazol, triazol, tiofeno, tiazol y oxazol, furano, isotioazol e isoxazol, cada uno opcionalmente sustituido con 1 a 3 de R⁷.

10. El método de conformidad con la 20 reivindicación 9, caracterizado porque:

J se selecciona del grupo que consiste de piridina, pirimidina, pirazol, tiofeno y tiazol, cada uno opcionalmente sustituido con 1 a 3 de R⁷;

R¹ y R² son ambos H;

25 R³ es alquilo de 1 a 4 átomos de carbono

opcionalmente sustituido con halógeno, CN, OCH₃, S(O)_pCH₃;

cada R⁴ es independientemente H, CH₃, CF₃, OCF₃, OCHF₂, S(O)_pCF₃, S(O)_pCHF₂, CN o halógeno;

cada R⁷ es independientemente H, halógeno, CH₃,
5 CF₃, OCHF₂, S(O)_pCF₃, S(O)_pCHF₂, OCH₂CF₃, OCF₂CHF₂, S(O)_pCH₂CF₃,
S(O)_pCF₂CHF₂; o fenilo, pirazol, imidazol, triazol, piridina
o pirimidina, cada anillo está opcionalmente sustituido con
alquilo de 1 a 4 átomos de carbono, haloalquilo de 1 a 4
átomos de carbono, alcoxi de 1 a 4 átomos de carbono,
10 haloalcoxi de 1 a 4 átomos de carbono, alquiltio de 1 a 4
átomos de carbono, alquilsulfinilo de 1 a 4 átomos de
carbono, alquilsulfonilo de 1 a 4 átomos de carbono,
halógeno o CN; y

p es 0, 1 o 2.

15 11. El método de conformidad con la
reivindicación 10, caracterizado porque J es piridina
opcionalmente sustituida con 1 a 3 de R⁷.

12. El método de conformidad con la
reivindicación 11, caracterizado porque uno de R⁷ es un
20 fenilo opcionalmente sustituido con alquilo de 1 a 4 átomos
de carbono, haloalquilo de 1 a 4 átomos de carbono, halógeno
o CN.

13. El método de conformidad con la
reivindicación 11, caracterizado porque uno de R⁷ es un
25 pirazol, imidazol, triazol, piridina o pirimidina, cada

anillo está opcionalmente sustituido con alquilo de 1 a 4 átomos de carbono, haloalquilo de 1 a 4 átomos de carbono, halógeno o CN.

14. El método de conformidad con la
5 reivindicación 10, caracterizado porque J es una pirimidina opcionalmente sustituida con 1 a 3 de R⁷.

15. El método de conformidad con la
reivindicación 14, caracterizado porque uno de R⁷ es un fenilo opcionalmente sustituido con alquilo de 1 a 4 átomos
10 de carbono, haloalquilo de 1 a 4 átomos de carbono, halógeno o CN.

16. El método de conformidad con la
reivindicación 14, caracterizado porque uno de R⁷ es un pirazol, imidazol, triazol, piridina, o pirimidina, cada
15 anillo está opcionalmente sustituido con alquilo de 1 a 4 átomos de carbono, haloalquilo de 1 a 4 átomos de carbono, halógeno o CN.

17. El método de conformidad con la
reivindicación 10, caracterizado porque J es un pirazol
20 opcionalmente sustituido con 1 a 3 de R⁷.

18. El método de conformidad con la
reivindicación 17, caracterizado porque uno de R⁷ es un fenilo opcionalmente sustituido con alquilo de 1 a 4 átomos
de carbono, haloalquilo de 1 a 4 átomos de carbono, halógeno
25 o CN.

19. El método de conformidad con la reivindicación 17, caracterizado porque uno de R' es un pirazol, imidazol, triazol, piridina o pirimidina, cada anillo está opcionalmente sustituido con alquilo de 1 a 4 átomos de carbono, haloalquilo de 1 a 4 átomos de carbono, halógeno o CN.

20. El método de conformidad con la reivindicación 19, caracterizado porque R' es una piridina opcionalmente sustituida con alquilo de 1 a 4 átomos de carbono, haloalquilo de 1 a 4 átomos de carbono, halógeno o CN.

21. El método de conformidad con la reivindicación 1, caracterizado porque comprende un compuesto de fórmula 1, que se selecciona del grupo que consiste de:

3-metil-N-(1-metiletil)-2-[[4-(trifluorometil)-benzoil]amino]-benzamida,

2-metil-N-[2-metil-6-[[1-metiletil]amino]-carbonil]fenil]-4-(trifluorometil)benzamida,

2-metil-N-[2-metil-6-[[1-metiletil]amino]-carbonil]fenil]-6-(trifluorometil)-3-piridincarboxamida,

1-etil-N-[2-metil-6-[[1-metiletil]amino]-carbonil]fenil]-3-(trifluorometil)-1H-pirazol-5-carboxamida,

1-(2-fluorofenil)-N-[2-metil-6-[[1-metiletil]amino]carbonil]fenil]-3-(trifluorometil)-1H-

pirazol-5-carboxamida,

1-(3-cloro-2-piridinil)-N-[2-metil-6-[[(1-metiletil) amino] carbonil] fenil] 3-(trifluorometil)-1H-pirazol-5-carboxamida,

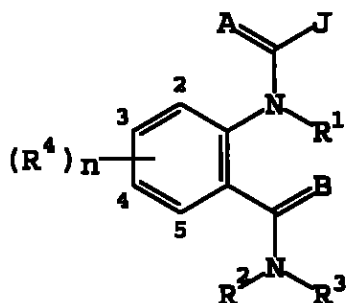
5 N-[2-cloro-6-[[(1-metiletil) amino] carbonil] fenil] - 1-(3-cloro-2-piridinil)-3-(trifluorometil)-1H-pirazol-5-carboxamida,

3-bromo-1-(2-clorofenil)-N-[2-metil-6-[[(1-metiletil) amino] carbonil] fenil] -1H-pirazol-5-carboxamida, y

10 3-bromo-N-[2-cloro-6-[[(1-metiletil) amino] - carbonil] fenil] -1-(2-clorofenil)-1H-pirazol-5-carboxamida.

22. Un compuesto, caracterizado porque es de fórmula 1, sus N-óxidos y sales agrícolamente adecuadas,

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en donde

A y B son independientemente O o S;

25 opcionalmente sustituida con 1 a 3 de R⁶;

5 cada J es independientemente un anillo heteroaromático de 5 ó 6 miembros o un sistema de anillo heterobicíclico fusionado aromático de 8, 9 ó 10 miembros en donde cada anillo o sistema de anillos está opcionalmente sustituido con 1 a 4 de R⁷;

n es 1 a 4;

10 R¹ es H; o alquilo de 1 a 6 átomos de carbono, alquenilo de 2 a 6 átomos de carbono, alquinilo de 2 a 6 átomos de carbono o cicloalquilo de 3 a 6 átomos de carbono, cada uno sustituido opcionalmente con uno o más sustituyentes que se seleccionan del grupo que consiste de halógeno, CN, NO₂, hidroxilo, alcoxi de 1 a 4 átomos de carbono, alquiltio de 1 a 4 átomos de carbono, alquilsulfinilo de 1 a 4 átomos de carbono, alquilsulfonilo de 1 a 4 átomos de carbono, alcoxycarbonilo de 2 a 4 átomos de carbono, alquilamino de 1 a 4 átomos de carbono, dialquilamino de 2 a 8 átomos de carbono y cicloalquilamino de 3 a 6 átomos de carbono; o

20 R¹ es alquilcarbonilo de 2 a 6 átomos de carbono, alcoxycarbonilo de 2 a 6 átomos de carbono, alquilaminocarbonilo de 2 a 6 átomos de carbono, dialquilaminocarbonilo de 3 a 8 átomos de carbono, o C(=A)J;

25 R² es H, alquilo de 1 a 6 átomos de carbono, alquenilo de 2 a 6 átomos de carbono, alquinilo de 2 a 6 átomos de carbono, cicloalquilo de 3 a 6 átomos de carbono,

alcoxi de 1 a 4 átomos de carbono, alquilamino de 1 a 4 átomos de carbono, dialquilamino de 2 a 8 átomos de carbono, cicloalquilamino de 3 a 6 átomos de carbono, alcoxycarbonilo de 2 a 6 átomos de carbono, o alquilcarbonilo de 2 a 6 átomos de carbono;

R^3 es H; alquilo de 1 a 6 átomos de carbono, alquenilo de 2 a 6 átomos de carbono, alquinilo de 2 a 6 átomos de carbono, cicloalquilo de 3 a 6 átomos de carbono, cada uno opcionalmente sustituido con uno o más

10 sustituyentes que se seleccionan del grupo que consiste de halógeno, CN, NO₂, hidroxí, alcoxi de 1 a 4 átomos de carbono, haloalcoxi de 1 a 4 átomos de carbono, alquiltio de 1 a 4 átomos de carbono, alquilsulfinilo de 1 a 4 átomos de carbono, alquilsulfonilo de 1 a 4 átomos de carbono,

15 alcoxycarbonilo de 2 a 6 átomos de carbono, alquilcarbonilo de 2 a 6 átomos de carbono, trialquilsililo de 3 a 6 átomos de carbono o un anillo, fenoxi opcionalmente sustituido con uno a tres sustituyentes que se seleccionan independientemente del grupo que consiste de alquilo de 1 a

20 4 átomos de carbono, alquenilo de 2 a 4 átomos de carbono, alquinilo de 2 a 4 átomos de carbono, cicloalquilo de 3 a 6 átomos de carbono, haloalquilo de 1 a 4 átomos de carbono, haloalquenilo de 2 a 4 átomos de carbono, haloalquinilo de 2 a 4 átomos de carbono, halocicloalquilo de 3 a 6 átomos de

25 carbono, halógeno, CN, NO₂, alcoxi de 1 a 4 átomos de

carbono, haloalcoxi de 1 a 4 átomos de carbono, alquiltio de
1 a 4 átomos de carbono, alquilsulfinilo de 1 a 4 átomos de
carbono, alquilsulfonilo de 1 a 4 átomos de carbono,
alquilamino de 1 a 4 átomos de carbono, dialquilamino de 2 a
5 8 átomos de carbono, cicloalquilamino de 3 a 6 átomos de
carbono, (alquil)cicloalquilamino de 3 a 6 átomos de
carbono, alquilcarbonilo de 2 a 4 átomos de carbono,
alcoxicarbonilo de 2 a 6 átomos de carbono,
alquilaminocarbonilo de 2 a 6 átomos de carbono,
10 dialquilaminocarbonilo de 3 a 8 átomos de carbono, o
trialquilsililo de 3 a 6 átomos de carbono; alcoxi de 1 a 4
átomos de carbono; alquilamino de 1 a 4 átomos de carbono;
dialquilamino de 2 a 8 átomos de carbono; cicloalquilamino
de 3 a 6 átomos de carbono; alcoxicarbonilo de 2 a 6 átomos
15 de carbono o alquilcarbonilo de 2 a 6 átomos de carbono; o

R^2 y R^3 se pueden tomar junto con el nitrógeno al
cual están unidos para formar un anillo que contiene 2 a 6
átomos de carbono y opcionalmente un átomo adicional de
nitrógeno, azufre u oxígeno, el anillo puede estar
20 sustituido opcionalmente con 1 a 4 sustituyentes que se
seleccionan del grupo que consiste de alquilo de 1 a 2
átomos de carbono, halógeno, CN, NO₂ y alcoxi de 1 a 2 átomos
de carbono;

cada R^4 es independientemente H, alquilo de 1 a 6
25 átomos de carbono, alquenilo de 2 a 6 átomos de carbono,

alquinilo de 2 a 6 átomos de carbono, cicloalquilo de 3 a 6 átomos de carbono, haloalquilo de 1 a 6 átomos de carbono, haloalquenilo de 2 a 6 átomos de carbono, haloalquinilo de 2 a 6 átomos de carbono, halocicloalquilo de 3 a 6 átomos de carbono, halógeno, CN, NO₂, hidroxí, alcoxi de 1 a 4 átomos de carbono, haloalcoxi de 1 a 4 átomos de carbono, alquiltio de 1 a 4 átomos de carbono, alquilsulfinilo de 1 a 4 átomos de carbono, alquilsulfonilo de 1 a 4 átomos de carbono, haloalquiltio de 1 a 4 átomos de carbono, haloalquilsulfinilo de 1 a 4 átomos de carbono, haloalquilsulfonilo de 1 a 4 átomos de carbono, alquilamino de 1 a 4 átomos de carbono, dialquilamino de 2 a 8 átomos de carbono, cicloalquilamino de 3 a 6 átomos de carbono, o trialquilsililo de 3 a 6 átomos de carbono; o

15 cada R⁴ es independientemente fenilo, bencilo o fenoxi, cada uno opcionalmente sustituido con alquilo de 1 a 4 átomos de carbono; alquenilo de 2 a 4 átomos de carbono, alquinilo de 2 a 4 átomos de carbono, cicloalquilo de 3 a 6 átomos de carbono, haloalquilo de 1 a 4 átomos de carbono, haloalquenilo de 2 a 4 átomos de carbono, haloalquinilo de 2 a 4 átomos de carbono, halocicloalquilo de 3 a 6 átomos de carbono, halógeno, CN, NO₂, alcoxi de 1 a 4 átomos de carbono, haloalcoxi de 1 a 4 átomos de carbono, alquiltio de 1 a 4 átomos de carbono, alquilsulfinilo de 1 a 4 átomos de carbono, alquilsulfonilo de 1 a 4 átomos de carbono,

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alquilamino de 1 a 4 átomos de carbono, dialquilamino de 2 a 8 átomos de carbono, cicloalquilamino de 3 a 6 átomos de carbono, (alquil)cicloalquilamino de 3 a 6 átomos de carbono, alquilcarbonilo de 2 a 4 átomos de carbono, 5 alcoxycarbonilo de 2 a 6 átomos de carbono, alquilaminocarbonilo de 2 a 6 átomos de carbono, dialquilaminocarbonilo de 3 a 8 átomos de carbono, o trialquilsililo de 3 a 6 átomos de carbono;

cada R^5 es independientemente haloalquilo de 1 a 6 10 átomos de carbono, haloalquenilo de 2 a 6 átomos de carbono, haloalquinilo de 2 a 6 átomos de carbono, halocicloalquilo de 3 a 6 átomos de carbono, haloalcoxi de 1 a 4 átomos de carbono, alquiltio de 1 a 4 átomos de carbono, alquilsulfinilo de 1 a 4 átomos de carbono, alquilsulfonilo 15 de 1 a 4 átomos de carbono, CN, NO₂, alcoxycarbonilo de 1 a 4 átomos de carbono, alquilamino de 1 a 4 átomos de carbono, dialquilamino de 2 a 8 átomos de carbono, cicloalquilamino de 3 a 6 átomos de carbono, alquilcarbonilo de 2 a 6 átomos de carbono, alcoxycarbonilo de 2 a 6 átomos de carbono, 20 alquilaminocarbonilo de 2 a 6 átomos de carbono, o dialquilaminocarbonilo de 3 a 8 átomos de carbono; o

$(R^5)_2$, cuando se une a átomos de carbono adyacentes se pueden tomar juntos como -OCF₂O- -CF₂CF₂O-, o OCF₂CF₂O-;

cada R^6 es independientemente H, halógeno, alquilo 25 de 1 a 6 átomos de carbono, alquenilo de 2 a 6 átomos de

carbono, alquinilo de 2 a 6 átomos de carbono, cicloalquilo de 3 a 6 átomos de carbono, alcoxi de 1 a 4 átomos de carbono o alcoxicarbonilo de 2 a 4 átomos de carbono; o

5 cada R⁶ es independientemente un fenilo, bencilo, fenoxi, o un anillo heteroaromático de 5 ó 6 miembros, o un sistema de anillo heterobicíclico fusionado de 8, 9 ó 10 miembros aromático, cada anillo opcionalmente está sustituido con uno a tres sustituyentes que se seleccionan independientemente del grupo que consiste de alquilo de 1 a 10 4 átomos de carbono, alquenilo de 2 a 4 átomos de carbono, alquinilo de 2 a 4 átomos de carbono, cicloalquilo de 3 a 6 átomos de carbono, haloalquilo de 1 a 4 átomos de carbono, haloalquenilo de 2 a 4 átomos de carbono, haloalquinilo de 2 a 4 átomos de carbono, halocicloalquilo de 3 a 6 átomos de 15 carbono, halógeno, CN, NO₂, alcoxi de 1 a 4 átomos de carbono, haloalcoxi de 1 a 4 átomos de carbono, alquiltio de 1 a 4 átomos de carbono, alquilsulfinilo de 1 a 4 átomos de carbono, alquilsulfonilo de 1 a 4 átomos de carbono, alquilamino de 1 a 4 átomos de carbono, dialquilamino de 2 a 20 8 átomos de carbono, cicloalquilamino de 3 a 6 átomos de carbono, (alquil)cicloalquilamino de 3 a 6 átomos de carbono, alquilcarbonilo de 2 a 4 átomos de carbono, alcoxicarbonilo de 2 a 6 átomos de carbono, alquilaminocarbonilo de 2 a 6 átomos de carbono, 25 dialquilaminocarbonilo de 3 a 8 átomos de carbono o

trialquilsililo de 3 a 6 átomos de carbono;

5 cada R' es independientemente H, alquilo de 1 a 6
átomos de carbono, alquenilo de 2 a 6 átomos de carbono,
alquinilo de 2 a 6 átomos de carbono, cicloalquilo de 3 a 6
átomos de carbono, haloalquilo de 1 a 6 átomos de carbono,
haloalquenilo de 2 a 6 átomos de carbono, haloalquinilo de 2
a 6 átomos de carbono, halocicloalquilo de 3 a 6 átomos de
carbono, halógeno, CN, CO₂H, CONH₂, NO₂, hidroxí, alcoxi de 1
a 4 átomos de carbono, haloalcoxi de 1 a 4 átomos de
10 carbono, alquiltio de 1 a 4 átomos de carbono,
alquilsulfinilo de 1 a 4 átomos de carbono, alquilsulfonilo
de 1 a 4 átomos de carbono, haloalquiltio de 1 a 4 átomos de
carbono, haloalquilsulfinilo de 1 a 4 átomos de carbono,
haloalquilsulfonilo de 1 a 4 átomos de carbono, alquilamino
15 de 1 a 4 átomos de carbono, dialquilamino de 2 a 8 átomos de
carbono, cicloalquilamino de 3 a 6 átomos de carbono,
alquilcarbonilo de 2 a 6 átomos de carbono, alcoxicarbonilo
de 2 a 6 átomos de carbono, alquilaminocarbonilo de 2 a 6
átomos de carbono, dialquilaminocarbonilo de 3 a 8 átomos de
20 carbono, trialquilsililo de 3 a 6 átomos de carbono; o

 cada R' es independientemente un fenilo, bencilo,
benzoilo, fenoxi, anillo heteroaromático de 5 ó 6 miembros o
un sistema de anillo heterobicíclico fusionado de 8, 9 ó 10
miembros, cada anillo está opcionalmente sustituido con 1 a
25 3 sustituyentes que se seleccionan independientemente del

grupo que consiste de alquilo de 1 a 4 átomos de carbono, alquenilo de 2 a 4 átomos de carbono, alquinilo de 2 a 4 átomos de carbono, cicloalquilo de 3 a 6 átomos de carbono, haloalquilo de 1 a 4 átomos de carbono, haloalquenilo de 2 a 4 átomos de carbono, haloalquinilo de 2 a 4 átomos de carbono, halocicloalquilo de 3 a 6 átomos de carbono, halógeno, CN, NO₂, alcoxí de 1 a 4 átomos de carbono, haloalcoxí de 1 a 4 átomos de carbono, alquiltio de 1 a 4 átomos de carbono, alquilsulfinilo de 1 a 4 átomos de carbono, alquilsulfonilo de 1 a 4 átomos de carbono, alquilamino de 1 a 4 átomos de carbono, dialquilamino de 2 a 8 átomos de carbono, cicloalquilamino de 3 a 6 átomos de carbono, (alquil)cicloalquilamino de 3 a 6 átomos de carbono, alquilcarbonilo de 2 a 4 átomos de carbono, alcoxycarbonilo de 2 a 6 átomos de carbono, alquilaminocarbonilo de 2 a 6 átomos de carbono, dialquilaminocarbonilo de 3 a 8 átomos de carbono o trialquilsililo de 3 a 6 átomos de carbono;

con la condición de que

(i) por lo menos un R⁴ y por lo menos un R⁷ sea diferente de H;

(ii) J es diferente de 1,2,3-tiadiazol opcionalmente sustituido;

(iii) cuando J es una piridina opcionalmente sustituida y R² es H, R³ es diferente de H o CH₃;

(iv) cuando J es una piridina opcionalmente sustituida, entonces R^7 no puede ser CONH_2 , alquilaminocarbonilo de 2 a 6 átomos de carbono o dialquilaminocarbonilo de 3 a 8 átomos de carbono;

5 (v) cuando J es un pirazol, tetrazol o pirimidina, opcionalmente sustituido, entonces R^2 y R^3 no pueden ser ambos hidrógeno.

23. El compuesto de conformidad con la reivindicación 22, caracterizado porque J es un grupo
10 fenilo, sustituido con 1 a 2 de R^5 y opcionalmente sustituido con 1 a 3 de R^6 .

24. El compuesto de conformidad con la reivindicación 25, caracterizado porque

A y B son ambos O;

15 n es 1 a 2;

R^1 es H, alquilo de 1 a 4 átomos de carbono, alquenilo de 2 a 4 átomos de carbono, alquinilo de 2 a 4 átomos de carbono, cicloalquilo de 3 a 6 átomos de carbono, alquilcarbonilo de 2 a 6 átomos de carbono, alcoxycarbonilo
20 de 2 a 6 átomos de carbono;

R^2 es H, alquilo de 1 a 4 átomos de carbono, alquenilo de 2 a 4 átomos de carbono, alquinilo de 2 a 4 átomos de carbono, cicloalquilo de 3 a 6 átomos de carbono, alquilcarbonilo de 2 a 6 átomos de carbono o alcoxycarbonilo
25 de 2 a 6 átomos de carbono;

R³ es alquilo de 1 a 6 átomos de carbono, alquenilo de 2 a 6 átomos de carbono, alquinilo de 2 a 6 átomos de carbono, cicloalquilo de 3 a 6 átomos de carbono, cada uno opcionalmente sustituido con uno o más sustituyentes que se
5 seleccionan del grupo que consiste de halógeno, CN, alcoxi de 1 a 2 átomos de carbono, alquiltio de 1 a 2 átomos de carbono, alquilsulfinilo de 1 a 2 átomos de carbono, alquilsulfonilo de 1 a 2 átomos de carbono;

uno de los grupos R⁴ está unido al anillo fenilo en
10 la posición 2 o en la posición 5 y R⁴ es alquilo de 1 a 4 átomos de carbono, haloalquilo de 1 a 4 átomos de carbono, halógeno, CN, NO₂, alcoxi de 1 a 4 átomos de carbono, haloalcoxi de 1 a 4 átomos de carbono, alquiltio de 1 a 4 átomos de carbono, alquilsulfinilo de 1 a 4 átomos de
15 carbono, alquilsulfonilo de 1 a 4 átomos de carbono, haloalquiltio de 1 a 4 átomos de carbono, haloalquilsulfinilo de 1 a 4 átomos de carbono o haloalquilsulfonilo de 1 a 4 átomos de carbono;

cada R⁵ es independientemente haloalquilo de 1 a 4
20 átomos de carbono, CN, NO₂, haloalcoxi de 1 a 4 átomos de carbono, alquiltio de 1 a 4 átomos de carbono, alquilsulfinilo de 1 a 4 átomos de carbono, alquilsulfonilo de 1 a 4 átomos de carbono, haloalquiltio de 1 a 4 átomos de carbono, haloalquilsulfinilo de 1 a 4 átomos de carbono,
25 haloalquilsulfonilo de 1 a 4 átomos de carbono o

alcoxicarbonilo de 2 a 4 átomos de carbono; o

(R⁵)₂, cuando está unido a átomos de carbono adyacentes se pueden tomar juntos como -OCF₂O-, -CF₂CF₂O- o -OCF₂CF₂O-; y

5 cada R⁶ es independientemente H, halógeno, alquilo de 1 a 4 átomos de carbono, alcoxi de 1 a 2 átomos de carbono o alcoxicarbonilo de 2 a 4 átomos de carbono; o

 cada R⁶ es independientemente un fenilo o un anillo heteroaromático de 5 o 6 miembros, cada anillo está
10 opcionalmente sustituido con alquilo de 1 a 4 átomos de carbono, alquenilo de 2 a 4 átomos de carbono, alquinilo de 2 a 4 átomos de carbono, cicloalquilo de 3 a 6 átomos de carbono, haloalquilo de 1 a 4 átomos de carbono, haloalquenilo de 2 a 4 átomos de carbono, haloalquinilo de 2
15 a 4 átomos de carbono, halocicloalquilo de 3 a 6 átomos de carbono, halógeno, CN, NO₂, alcoxi de 1 a 4 átomos de carbono, haloalcoxi de 1 a 4 átomos de carbono, alquiltio de 1 a 4 átomos de carbono, alquilsulfinilo de 1 a 4 átomos de carbono, alquilsulfonilo de 1 a 4 átomos de carbono,
20 alquilamino de 1 a 4 átomos de carbono, dialquilamino de 2 a 8 átomos de carbono, cicloalquilamino de 3 a 6 átomos de carbono, (alquil)cicloalquilamino de 3 a 6 átomos de carbono, alquilcarbonilo de 2 a 4 átomos de carbono, alcoxicarbonilo de 2 a 6 átomos de carbono,
25 alquilaminocarbonilo de 2 a 6 átomos de carbono,

dialquilaminocarbonilo de 3 a 8 átomos de carbono o trialquilsililo de 3 a 6 átomos de carbono.

25. El compuesto de conformidad con la reivindicación 26, caracterizado porque

5 R^1 y R^2 son ambos H;

R^3 es alquilo de 1 a 4 átomos de carbono opcionalmente sustituido con halógeno, CN, OCH_3 , $S(O)_pCH_3$;

cada R^4 es independientemente H, CH_3 , CF_3 , OCF_3 , $OCHF_2$, $S(O)_pCF_3$, $S(O)_pCHF_2$, CN o halógeno;

10 cada R^5 es independientemente CF_3 , OCF_3 , $OCHF_2$, $S(O)_pCF_3$, $S(O)_pCHF_2$, OCH_2CF_3 , OCF_2CHF_2 , $S(O)_pCH_2CF_3$ o $S(O)_pCF_2CHF_2$;

cada R^6 es independientemente H, halógeno o metilo; o fenilo, pirazol, imidazol, triazol, piridina o
15 pirimidina, cada anillo está opcionalmente sustituido con alquilo de 1 a 4 átomos de carbono, haloalquilo de 1 a 4 átomos de carbono, halógeno o CN; y

p es 0, 1 ó 2.

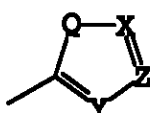
26. El compuesto de conformidad con la
20 reivindicación 25, caracterizado porque R^3 es i-propilo o t-butilo.

27. El compuesto de conformidad con la reivindicación 26, caracterizado porque J es un anillo heteroaromático de 5 ó 6 miembros opcionalmente sustituido
25 con 1 a 4 de R^7 .

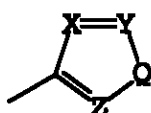
28. El compuesto de conformidad con la reivindicación 27, caracterizado porque:

J es un anillo heteroaromático de 5 ó 6 miembros que se selecciona del grupo que consiste de J-1, J-2, J-3, J-4 y J-5, cada J está opcionalmente sustituida con 1 a 3 de R⁷

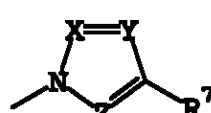
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J-1

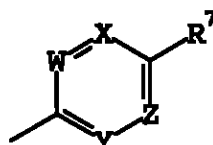


J-2

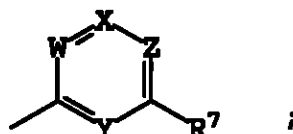


J-3

15



J-4



J-5

Q es O, S o NR⁷; y

W, X, Y y Z son independientemente N o CR⁷, con la condición de que en J-4 y J-5, por lo menos uno de W, X, Y o Z es N.

20

29. El compuesto de conformidad con la reivindicación 27 o la reivindicación 28, caracterizado porque

25

A y B son O;

n es 1 a 2;

R¹ es H, o alquilo de 1 a 4 átomos de carbono, alqueno de 2 a 4 átomos de carbono, alquino de 2 a 4 átomos de carbono, alquilcarbonilo de 2 a 6 átomos de carbono, alcoxycarbonilo de 2 a 6 átomos de carbono;

R² es H, alquilo de 1 a 4 átomos de carbono, alqueno de 2 a 4 átomos de carbono, alquino de 2 a 4 átomos de carbono, cicloalquilo de 3 a 6 átomos de carbono, alquilcarbonilo de 2 a 6 átomos de carbono o alcoxycarbonilo de 2 a 6 átomos de carbono;

R³ es H; o alquilo de 1 a 6 átomos de carbono, alqueno de 2 a 6 átomos de carbono, alquino de 2 a 6 átomos de carbono, cicloalquilo de 3 a 6 átomos de carbono, cada uno opcionalmente sustituido con uno o más sustituyentes que se seleccionan del grupo que consiste de halógeno, CN, alcoxi de 1 a 2 átomos de carbono, alquiltio de 1 a 2 átomos de carbono, alquilsulfinilo de 1 a 2 átomos de carbono, y alquilsulfonilo de 1 a 2 átomos de carbono;

uno de los grupos R⁴ está unido al anillo fenilo en la posición 2, y R⁴ es alquilo de 1 a 4 átomos de carbono, haloalquilo de 1 a 4 átomos de carbono, halógeno, CN, NO₂, alcoxi de 1 a 4 átomos de carbono, haloalcoxi de 1 a 4 átomos de carbono, alquiltio de 1 a 4 átomos de carbono, alquilsulfinilo de 1 a 4 átomos de carbono, alquilsulfonilo de 1 a 4 átomos de carbono, haloalquiltio de 1 a 4 átomos de

carbono, haloalquilsulfinilo de 1 a 4 átomos de carbono o haloalquilsulfonilo de 1 a 4 átomos de carbono; y

cada R' es independientemente H, alquilo de 1 a 4 átomos de carbono, haloalquilo de 1 a 4 átomos de carbono, halógeno, CN, NO₂, haloalcoxi de 1 a 4 átomos de carbono, alquiltio de 1 a 4 átomos de carbono, alquilsulfinilo de 1 a 4 átomos de carbono, alquilsulfonilo de 1 a 4 átomos de carbono, haloalquiltio de 1 a 4 átomos de carbono, haloalquilsulfinilo de 1 a 4 átomos de carbono, haloalquilsulfonilo de 1 a 4 átomos de carbono o alcoxycarbonilo de 2 a 4 átomos de carbono; o un fenilo, o un anillo heteroaromático de 5 o 6 miembros, cada anillo está opcionalmente sustituido con alquilo de 1 a 4 átomos de carbono, alquenilo de 2 a 4 átomos de carbono, alquinilo de 2 a 4 átomos de carbono, cicloalquilo de 3 a 6 átomos de carbono, haloalquilo de 1 a 4 átomos de carbono, haloalquenilo de 2 a 4 átomos de carbono, haloalquinilo de 2 a 4 átomos de carbono, halocicloalquilo de 3 a 6 átomos de carbono, halógeno, CN, NO₂, alcoxi de 1 a 4 átomos de carbono, haloalcoxi de 1 a 4 átomos de carbono, alquiltio de 1 a 4 átomos de carbono, alquilsulfinilo de 1 a 4 átomos de carbono, alquilsulfonilo de 1 a 4 átomos de carbono, alquilamino de 1 a 4 átomos de carbono, dialquilamino de 2 a 8 átomos de carbono, cicloalquilamino de 3 a 6 átomos de carbono, (alquil)cicloalquilamino de 3 a 6 átomos de

carbono, alquilcarbonilo de 2 a 4 átomos de carbono, alcóxicarbonilo de 2 a 6 átomos de carbono, alquilaminocarbonilo de 2 a 6 átomos de carbono, dialquilaminocarbonilo de 3 a 8 átomos de carbono o 5 trialquilsililo de 3 a 6 átomos de carbono.

30. El compuesto de conformidad con la reivindicación 29, caracterizado porque J se selecciona del grupo que consiste de piridina, pirimidina, pirazol, imidazol, triazol, tiofeno, tiazol y oxazol, furano, 10 isotiazol e isoxazol, cada uno opcionalmente sustituido con 1 a 3 de R⁷.

31. El compuesto de conformidad con la reivindicación 30, caracterizado porque:

J se selecciona del grupo que consiste de 15 piridina, pirimidina, pirazol, tiofeno y tiazol, cada uno opcionalmente sustituido con 1 a 3 de R⁷;

R¹ y R² son ambos H;

R³ es alquilo de 1 a 4 átomos de carbono opcionalmente sustituido con halógeno, CN, OCH₃, S(O)_pCH₃;

20 cada R⁴ es independientemente H, CH₃, CF₃, OCF₃, OCHF₂, S(O)_pCF₃, S(O)_pCHF₂, CN o halógeno;

cada R⁷ es independientemente H, halógeno, CH₃, CF₃, OCHF₂, S(O)_pCF₃, S(O)_pCHF₂, OCH₂CF₃, OCF₂CHF₂, S(O)_pCH₂CF₃, S(O)_pCF₂CHF₂; o fenilo, pirazol, imidazol, triazol, piridina 25 o pirimidina, cada anillo está opcionalmente sustituido con

alquilo de 1 a 4 átomos de carbono, haloalquilo de 1 a 4 átomos de carbono, alcoxi de 1 a 4 átomos de carbono, haloalcoxi de 1 a 4 átomos de carbono, alquiltio de 1 a 4 átomos de carbono, alquilsulfinilo de 1 a 4 átomos de carbono, alquilsulfonilo de 1 a 4 átomos de carbono, halógeno o CN; y

p es 0, 1 o 2.

32. El compuesto de conformidad con la reivindicación 31, caracterizado porque J es piridina opcionalmente sustituida con 1 a 3 de R⁷.

33. El compuesto de conformidad con la reivindicación 32, caracterizado porque uno de R⁷ es un fenilo opcionalmente sustituido con alquilo de 1 a 4 átomos de carbono, haloalquilo de 1 a 4 átomos de carbono, halógeno o CN.

34. El compuesto de conformidad con la reivindicación 32, caracterizado porque uno de R⁷ es un pirazol, imidazol, triazol, piridina o pirimidina, cada anillo está opcionalmente sustituido con alquilo de 1 a 4 átomos de carbono, haloalquilo de 1 a 4 átomos de carbono, halógeno o CN.

35. El compuesto de conformidad con la reivindicación 31, caracterizado porque J es una pirimidina opcionalmente sustituida con 1 a 3 de R⁷.

36. El compuesto de conformidad con la

reivindicación 35, caracterizado porque uno de R⁷ es un fenilo opcionalmente sustituido con alquilo de 1 a 4 átomos de carbono, haloalquilo de 1 a 4 átomos de carbono, halógeno o CN.

5 37. El compuesto de conformidad con la reivindicación 35, caracterizado porque uno de R⁷ es un pirazol, imidazol, triazol, piridina, o pirimidina, cada anillo está opcionalmente sustituido con alquilo de 1 a 4 átomos de carbono, haloalquilo de 1 a 4 átomos de carbono,
10 halógeno o CN.

 38. El compuesto de conformidad con la reivindicación 32, caracterizado porque J es un pirazol opcionalmente sustituido con 1 a 3 de R⁷.

 39. El compuesto de conformidad con la
15 reivindicación 38, caracterizado porque uno de R⁷ es un fenilo opcionalmente sustituido con alquilo de 1 a 4 átomos de carbono, haloalquilo de 1 a 4 átomos de carbono, halógeno o CN.

 40. El compuesto de conformidad con la
20 reivindicación 38, caracterizado porque uno de R⁷ es un pirazol, imidazol, triazol, piridina o pirimidina, cada anillo está opcionalmente sustuido con alquilo de 1 a 4 átomos de carbono, haloalquilo de 1 a 4 átomos de carbono, halógeno o CN.

25 41. El compuesto de conformidad con la

reivindicación 38, caracterizado porque R' es una piridina opcionalmente sustituida con alquilo de 1 a 4 átomos de carbono, haloalquilo de 1 a 4 átomos de carbono, halógeno o CN.

5 42. El compuesto de conformidad con la reivindicación 22, caracterizado porque se selecciona del grupo que consiste de:

 3-metil-N-(1-metiletil)-2-[[4-(trifluorometil)-benzoil]amino]-benzamida,

10 2-metil-N-[2-metil-6-[[1-(1-metiletil)amino]-carbonil]fenil]-4-(trifluorometil)benzamida,

 2-metil-N-[2-metil-6-[[1-(1-metiletil)amino]-carbonil]fenil]-6-(trifluorometil)-3-piridincarboxamida,

 1-etil-N-[2-metil-6-[[1-(1-metiletil)amino]-carbonil]fenil]-3-(trifluorometil)-1H-pirazol-5-carboxamida,

15 1-(2-fluorofenil)-N-[2-metil-6-[[1-(1-metiletil)amino)carbonil]fenil]-3-(trifluorometil)-1H-pirazol-5-carboxamida,

 1-(3-cloro-2-piridinil)-N-[2-metil-6-[[1-(1-metiletil)amino]carbonil]fenil]-3-(trifluorometil)-1H-pirazol-5-carboxamida,

20 N-[2-cloro-6-[[1-(1-metiletil)amino]carbonil]fenil]-1-(3-cloro-2-piridinil)-3-(trifluorometil)-1H-pirazol-5-carboxamida,

25 3-bromo-1-(2-clorofenil)-N-[2-metil-6-[[1-

metiletil)amino]carbonil]fenil]-1H-pirazol-5-carboxamida, y

3-bromo-N-[2-cloro-6-[[1-metiletil)amino]-
carbonil]fenil]-1-(2-clorofenil)-1H-pirazol-5-carboxamida.

43. Una composición que mata artrópodos,
5 caracterizada porque comprende una cantidad eficaz que mata
artrópodos de un compuesto de fórmula 1, de conformidad con
la reivindicación 1 y por lo menos un componente adicional
que se selecciona del grupo que consiste de tensioactivos,
diluyentes sólidos y diluyentes líquidos.

10

RESUMEN DE LA INVENCION

Esta invención proporciona compuestos de fórmula (1), sus N-óxidos y sales agrícolamente adecuadas, en donde
5 A, B, J, R¹, R², R³ y R⁴ y n son como se definen en la descripción. También se presentan métodos para exterminar artrópodos, que comprende poner en contacto a los artrópodos o su ambiente con una cantidad eficaz que mata artrópodos, de un compuesto de fórmula (1) y composiciones que contienen
10 los compuestos de fórmula (1).

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MAY 17 2002

From the
INTERNATIONAL PRELIMINARY EXAMINING AUTHORITYPCT PATENT RECORDS
CENTERNOTIFICATION OF TRANSMITTAL OF
THE INTERNATIONAL PRELIMINARY
EXAMINATION REPORT

(PCT Rule 71.1)

To:

BIRCH, Linda D. et al
E.I. DUPONT DE NEMOURS AND COMPANY
Legal Patent Records Center
1007 Market Street
Wilmington, Delaware 19805
ETATS-UNIS D'AMERIQUEDate of mailing
(day/month/year)

07.05.2002

Applicant's or agent's file reference
BA9263PCT

IMPORTANT NOTIFICATION

International application No.
PCT/US01/09338International filing date (day/month/year)
20/03/2001Priority date (day/month/year)
22/03/2000

Applicant

E.I. DU PONT DE NEMOURS AND COMPANY et al.

1. The applicant is hereby notified that this International Preliminary Examining Authority transmits herewith the International preliminary examination report and its annexes, if any, established on the international application.
2. A copy of the report and its annexes, if any, is being transmitted to the International Bureau for communication to all the elected Offices.
3. Where required by any of the elected Offices, the International Bureau will prepare an English translation of the report (but not of any annexes) and will transmit such translation to those Offices.

4. REMINDER

The applicant must enter the national phase before each elected Office by performing certain acts (filing translations and paying national fees) within 30 months from the priority date (or later in some Offices) (Article 39(1)) (see also the reminder sent by the International Bureau with Form PCT/IB/301).

Where a translation of the international application must be furnished to an elected Office, that translation must contain a translation of any annexes to the international preliminary examination report. It is the applicant's responsibility to prepare and furnish such translation directly to each elected Office concerned.

For further details on the applicable time limits and requirements of the elected Offices, see Volume II of the PCT Applicant's Guide.

Name and mailing address of the IPEA/

European Patent Office
D-80298 Munich
Tel. +49 89 2399 - 0 Tlx 523656 epmu d

Authorized officer

Pfitzner, G

REV NOTED



PATENT COOPERATION TREATY

PCT

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INTERNATIONAL PRELIMINARY EXAMINATION REPORT

(PCT Article 36 and Rule 70)

Applicant's or agent's file reference BA9283PCT	FOR FURTHER ACTION See Notification of Transmittal of International Preliminary Examination Report (Form PCT/PEA/416)	
International application No. PCT/US01/09338	International filing date (day/month/year) 20/03/2001	Priority date (day/month/year) 22/03/2000
International Patent Classification (IPC) or national classification and IPC C07C235/00		
Applicant E.I. DU PONT DE NEMOURS AND COMPANY et al.		
1. This International preliminary examination report has been prepared by this International Preliminary Examining Authority and is transmitted to the applicant according to Article 36. 2. This REPORT consists of a total of 4 sheets, including this cover sheet. ☐ This report is also accompanied by ANNEXES, i.e. sheets of the description, claims and/or drawings which have been amended and are the basis for this report and/or sheets containing rectifications made before this Authority (see Rule 70.16 and Section 807 of the Administrative Instructions under the PCT). These annexes consist of a total of sheets.		
3. This report contains indications relating to the following items: - I ☒ Basis of the report - II ☐ Priority - III ☐ Non-establishment of opinion with regard to novelty, inventive step and industrial applicability - IV ☐ Lack of unity of invention - V ☒ Reasoned statement under Article 35(2) with regard to novelty, inventive step or industrial applicability; citations and explanations supporting such statement - VI ☐ Certain documents cited - VII ☐ Certain defects in the international application - VIII ☐ Certain observations on the international application		
Date of submission of the demand 05/10/2001	Date of completion of this report 07.05.2002	
Name and mailing address of the international preliminary examining authority:  European Patent Office D-80298 Munich Tel. +49 89 23699 - 0 Tlx 523656 epmu d	Authorized officer Butkowskyj-Walkiw, T	

**INTERNATIONAL PRELIMINARY
EXAMINATION REPORT**

International application No. PCT/US01/09338

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514

I. Basis of the report

1. With regard to the elements of the international application (*Replacement sheets which have been furnished to the receiving Office in response to an invitation under Article 14 are referred to in this report as "originally filed" and are not annexed to this report since they do not contain amendments (Rules 70.16 and 70.17)*):

Description, pages:

1-195 as originally filed

Claims, No.:

1-43 as originally filed

2. With regard to the language, all the elements marked above were available or furnished to this Authority in the language in which the international application was filed, unless otherwise indicated under this item.

These elements were available or furnished to this Authority in the following language: , which is:

- ☐ the language of a translation furnished for the purposes of the international search (under Rule 23.1(b)).
☐ the language of publication of the international application (under Rule 48.3(b)).
☐ the language of a translation furnished for the purposes of international preliminary examination (under Rule 55.2 and/or 55.3).

3. With regard to any nucleotide and/or amino acid sequence disclosed in the international application, the international preliminary examination was carried out on the basis of the sequence listing:

- ☐ contained in the international application in written form.
☐ filed together with the international application in computer readable form.
☐ furnished subsequently to this Authority in written form.
☐ furnished subsequently to this Authority in computer readable form.
☒ The statement that the subsequently furnished written sequence listing does not go beyond the disclosure in the international application as filed has been furnished.
☐ The statement that the information recorded in computer readable form is identical to the written sequence listing has been furnished.

4. The amendments have resulted in the cancellation of:

- ☐ the description, pages:
☐ the claims, Nos.:
☐ the drawings, sheets:

5. ☐ This report has been established as if (some of) the amendments had not been made, since they have been considered to go beyond the disclosure as filed (Rule 70.2(c)):

**INTERNATIONAL PRELIMINARY
EXAMINATION REPORT**

International application No. PCT/US01/09338

(Any replacement sheet containing such amendments must be referred to under Item 1 and annexed to this report.)

6. Additional observations, if necessary:

V. Reasoned statement under Article 35(2) with regard to novelty, inventive step or industrial applicability; citations and explanations supporting such statement

1. Statement

Novelty (N)	Yes:	Claims 6-20,22-41
	No:	Claims 1-5,21,42,43
Inventive step (IS)	Yes:	Claims
	No:	Claims 1-43
Industrial applicability (IA)	Yes:	Claims 1-43
	No:	Claims

**2. Citations and explanations
see separate sheet**

V.

In the light of D1 (NL-A-9 202 078, page 1, line 1 - page 2, line 3; examples) the present claims 1-5, 21, 42 and 43 cannot be considered as being novel (Art. 33(2) PCT). Furthermore, D2 (DE-A-44 28 380; page 2, lines 11-25; page 16, line 6 - page 18, line 33; example 33) is novelty destroying for the present claims 1-5, 21, 42 and 43.

Further, in the light of D1 and D2 the present claims 1-43 cannot be considered as being inventive (Art. 33(3) PCT) as the object of the present application, namely to provide compositions which can be used as arthropodicides, has already been solved by the above listed documents in the same or at least very similar manner.

423
517

PA 003967

THE UNITED STATES OF AMERICA

TO ALL TO WHOM THESE PRESENTS SHALL COME:

UNITED STATES DEPARTMENT OF COMMERCE

United States Patent and Trademark Office

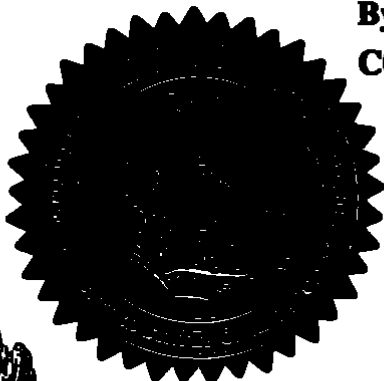
August 22, 2002

THIS IS TO CERTIFY THAT ANNEXED HERETO IS A TRUE COPY FROM THE RECORDS OF THE UNITED STATES PATENT AND TRADEMARK OFFICE OF THOSE PAPERS OF THE BELOW IDENTIFIED PATENT APPLICATION THAT MET THE REQUIREMENTS TO BE GRANTED A FILING DATE UNDER 35 USC 111.

APPLICATION NUMBER: 60/191,242

FILING DATE: March 22, 2000

**By Authority of the
COMMISSIONER OF PATENTS AND TRADEMARKS**




P. SWAIN
Certifying Officer

TO: ASSISTANT COMMISSIONER FOR PATENTS
BOX PROVISIONAL PATENT APPLICATION
WASHINGTON, DC 20231

as modified by E. I. du Pont de Nemours and Company
Patent and Trademark Office, U.S. DEPARTMENT OF COMMERCE

PROVISIONAL APPLICATION FOR PATENT COVER SHEET

This is a request for filing a PROVISIONAL APPLICATION FOR PATENT under 37 CFR 1.53(c).

Docket Number	BA9263 US PRV	Type a plus sign inside this box
Express Mail Label Number	EK369475976US	
Express Mailing Date	MARCH 22, 2000	

INVENTOR(s)/APPLICANT(s)

LAST NAME	FIRST NAME	MIDDLE NAME	RESIDENCE (CITY AND EITHER STATE OR FOREIGN COUNTRY)
LAHM MYERS	GEORGE BRIAN	PHILIP JAMES	WILMINGTON, DELAWARE OXFORD, PENNSYLVANIA

TITLE OF THE INVENTION (280 characters max)

INSECTICIDAL ANTHRANILAMIDES

CORRESPONDENCE ADDRESS

E. I. du Pont de Nemours and Company
Legal - Patents
1007 Market Street
Wilmington, Delaware 19898, U.S.A.

ENCLOSED APPLICATION PARTS (check all that apply)

☒ Specification	Number of Pages	45	☐ Other (specify)	
	including claims & abstract (if present)			
☐ Drawings	Number of Sheets			

METHOD OF PAYMENT

☒ The Commissioner is hereby authorized to charge filing fees and credit Deposit Account Number:	04-1928	PROVISIONAL FILING FEE AMOUNT (\$)	\$150.00

The invention was made by an agency of the United States Government or under a contract with an agency of the United States Government.

- ☒ No
☐ Yes, the name of the U.S. Government agency and the Government contract number are:

Agency: _
Contract No.: _

Respectfully submitted,

Linda D. Birch

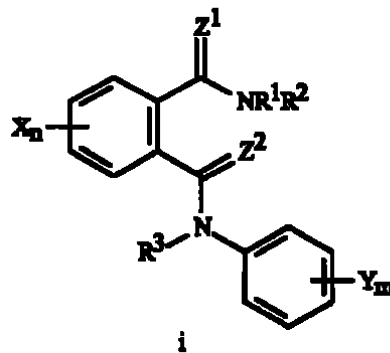
LINDA D. BIRCH
Registration No.: 38,719
Telephone: 302-992-4949
Facsimile: 302-892-7949
Date: 22 March 2000

TITLE**INSECTICIDAL ANTHRANILAMIDES****BACKGROUND OF THE INVENTION**

This invention relates to certain anthranilamides, their *N*-oxides, agriculturally suitable salts and compositions, and methods of their use as arthropodicides in both agronomic and nonagronomic environments.

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

EP919542 discloses phthalic acid diamides of Formula i as insecticides



wherein, *inter alia*,

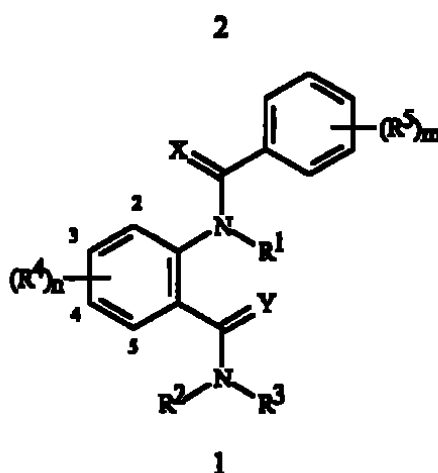
Z^1 and Z^2 are O or S; and

R^1 , R^2 and R^3 are, among others, H, alkyl or substituted alkyl.

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

SUMMARY OF THE INVENTION

This invention is directed to a method for controlling arthropods comprising contacting the arthropods or their environment with an arthropodically effective amount of a compound of Formula 1



wherein

X and Y are independently O or S;

m is 1 to 5;

n is 1 to 4;

R¹ is H; or C₁-C₆ alkyl, C₂-C₆ alkenyl, C₂-C₆ alkynyl or C₃-C₆ cycloalkyl each optionally substituted with one or more substituents selected from the group consisting of halogen, CN, NO₂, hydroxy, C₁-C₄ alkoxy, C₁-C₄ alkylthio, C₁-C₄ alkylsulfinyl, C₁-C₄ alkylsulfonyl, C₂-C₄ alkoxycarbonyl, C₁-C₄ alkylamino, C₂-C₈ dialkylamino and C₃-C₆ cycloalkylamino; or

R¹ is C₂-C₆ alkylcarbonyl, C₂-C₆ alkoxycarbonyl, C₂-C₆ alkylaminocarbonyl or C₃-C₈ dialkylaminocarbonyl;

R² is H, C₁-C₆ alkyl, C₂-C₆ alkenyl, C₂-C₆ alkynyl, C₃-C₆ cycloalkyl, C₁-C₄ alkoxy, C₁-C₄ alkylamino, C₂-C₈ dialkylamino, C₃-C₆ cycloalkylamino, C₂-C₆ alkoxycarbonyl or C₂-C₆ alkylcarbonyl;

R³ is H; or C₁-C₆ alkyl, C₂-C₆ alkenyl, C₂-C₆ alkynyl or C₃-C₆ cycloalkyl each optionally substituted with one or more substituents selected from the group consisting of halogen, CN, NO₂, hydroxy, C₁-C₄ alkoxy, C₁-C₄ alkylthio, C₁-C₄ alkylsulfinyl and C₁-C₄ alkylsulfonyl;

R² and R³ can be 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 of nitrogen, sulfur or oxygen, said ring may be optionally substituted with 1 to 4 substituents selected from the group consisting of C₁-C₂ alkyl, halogen, CN, NO₂ and C₁-C₂ alkoxy; and

each R⁴ and R⁵ are independently H, C₁-C₆ alkyl, C₂-C₆ alkenyl, C₂-C₆ alkynyl, C₃-C₆ cycloalkyl, C₁-C₆ haloalkyl, C₂-C₆ haloalkenyl, C₂-C₆ haloalkynyl, C₃-C₆ halocycloalkyl, halogen, CN, CO₂H, CONH₂, NO₂, hydroxy, C₁-C₄ alkoxy, C₁-C₄ haloalkoxy, C₁-C₄ alkylthio, C₁-C₄ alkylsulfinyl, C₁-C₄ alkylsulfonyl, C₁-C₄ haloalkylthio, C₁-C₄ haloalkylsulfinyl, C₁-C₄ haloalkylsulfonyl, C₁-C₄

alkoxycarbonyl, C₁-C₄ alkylamino, C₂-C₆ dialkylamino, C₃-C₆ cycloalkylamino, C₂-C₆ alkylcarbonyl, C₂-C₆ alkoxycarbonyl, C₂-C₆ alkylaminocarbonyl, C₃-C₆ dialkylaminocarbonyl, C₃-C₆ trialkylsilyl; or each R⁴ and R⁵ are independently phenyl optionally substituted with C₁-C₄ alkyl, C₂-C₄ alkenyl, C₂-C₄ alkynyl, C₃-C₆ cycloalkyl, C₁-C₄ haloalkyl, C₂-C₄ haloalkenyl, C₂-C₄ haloalkynyl, C₃-C₆ halocycloalkyl, halogen, CN, NO₂, C₁-C₄ alkoxy, C₁-C₄ haloalkoxy, C₁-C₄ alkylthio, C₁-C₄ alkylsulfinyl, C₁-C₄ alkylsulfonyl, C₁-C₄ alkoxycarbonyl, C₁-C₄ alkylamino, C₂-C₆ dialkylamino, C₃-C₆ cycloalkylamino, C₃-C₆ (alkyl)cycloalkylamino, C₂-C₄ alkylcarbonyl, C₂-C₆ alkoxycarbonyl, C₂-C₆ alkylaminocarbonyl, C₃-C₆ dialkylaminocarbonyl or C₃-C₆ trialkylsilyl.

Preferred for reasons including greater arthropodocidal efficacy are:

Preferred 1. The method wherein for Compounds of Formula 1

X and Y are O;

m is 1 to 3;

n is 1 to 2;

R¹ is H, C₁-C₄ alkyl, C₂-C₄ alkenyl, C₂-C₄ alkynyl, C₃-C₆ cycloalkyl, C₂-C₆ alkylcarbonyl or C₂-C₆ alkoxycarbonyl;

one of the R⁴ groups is substituted to the phenyl ring at the 2- or 5-position, and said

R⁴ is C₁-C₄ alkyl, C₁-C₄ haloalkyl, halogen, CN, NO₂, C₁-C₄ alkoxy, C₁-C₄ haloalkoxy, C₁-C₄ alkylthio, C₁-C₄ alkylsulfinyl, C₁-C₄ alkylsulfonyl, C₁-C₄ haloalkylthio, C₁-C₄ haloalkylsulfinyl, C₁-C₄ haloalkylsulfonyl or C₂-C₄ alkoxycarbonyl; and

R⁵ is H, C₁-C₄ alkyl, C₁-C₄ haloalkyl, halogen, CN, NO₂, C₁-C₄ alkoxy, C₁-C₄ haloalkoxy, C₁-C₄ alkylthio, C₁-C₄ alkylsulfinyl, C₁-C₄ alkylsulfonyl, C₁-C₄ haloalkylthio, C₁-C₄ haloalkylsulfinyl, C₁-C₄ haloalkylsulfonyl or C₂-C₄ alkoxycarbonyl.

Preferred 2. The method wherein for Compounds of Formula 1

X and Y are O;

m is 1 to 3;

n is 1 to 2;

each R⁴ and R⁵ are independently C₁-C₄ alkyl, C₁-C₄ haloalkyl, halogen, CN, NO₂, C₁-C₄ alkoxy, C₁-C₄ haloalkoxy, C₁-C₄ alkylthio, C₁-C₄ alkylsulfinyl, C₁-C₄ alkylsulfonyl, C₁-C₄ haloalkylthio, C₁-C₄ haloalkylsulfinyl, C₁-C₄ haloalkylsulfonyl or C₂-C₄ alkoxycarbonyl; and

one of the R⁵ substituents is ortho to the amide linkage.

Preferred 3. The method wherein for Compounds of Formula 1

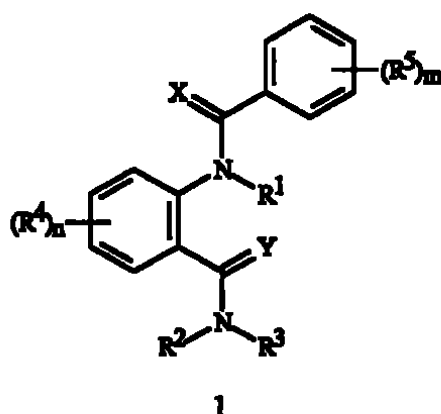
X and Y are O;

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R^2 is H; and

R^3 is *i*-propyl or *t*-butyl.

This invention also comprises compounds of Formula 1



wherein

X and Y are O;

m is 1 to 5;

n is 1 to 4;

R^1 is H; or C_1 - C_6 alkyl, C_2 - C_6 alkenyl, C_2 - C_6 alkynyl or C_3 - C_6 cycloalkyl each optionally substituted with one or more substituents selected from the group consisting of halogen, CN, NO_2 , hydroxy, C_1 - C_4 alkoxy, C_1 - C_4 alkylthio, C_1 - C_4 alkylsulfinyl, C_1 - C_4 alkylsulfonyl, C_2 - C_4 alkoxycarbonyl, C_1 - C_4 alkylamino, C_2 - C_8 dialkylamino and C_3 - C_6 cycloalkylamino; or

R^1 is C_2 - C_6 alkylcarbonyl, C_2 - C_6 alkoxycarbonyl, C_2 - C_6 alkylaminocarbonyl or C_3 - C_8 dialkylaminocarbonyl;

R^2 is H, C_1 - C_6 alkyl, C_2 - C_6 alkenyl, C_2 - C_6 alkynyl, C_3 - C_6 cycloalkyl, C_1 - C_4 alkoxy, C_1 - C_4 alkylamino, C_2 - C_8 dialkylamino, C_3 - C_6 cycloalkylamino, C_2 - C_6 alkoxycarbonyl or C_2 - C_6 alkylcarbonyl

R^3 is *i*-propyl or *t*-butyl; and

each R^4 and R^5 are independently H, C_1 - C_6 alkyl, C_2 - C_6 alkenyl, C_2 - C_6 alkynyl, C_3 - C_6 cycloalkyl, C_1 - C_6 haloalkyl, C_2 - C_6 haloalkenyl, C_2 - C_6 haloalkynyl, C_3 - C_6 halocycloalkyl, halogen, CN, CO_2H , $CONH_2$, NO_2 , hydroxy, C_1 - C_4 alkoxy, C_1 - C_4 haloalkoxy, C_1 - C_4 alkylthio, C_1 - C_4 alkylsulfinyl, C_1 - C_4 alkylsulfonyl, C_1 - C_4 haloalkylthio, C_1 - C_4 haloalkylsulfinyl, C_1 - C_4 haloalkylsulfonyl, C_1 - C_4 alkoxycarbonyl, C_1 - C_4 alkylamino, C_2 - C_8 dialkylamino, C_3 - C_6 cycloalkylamino, C_2 - C_6 alkylcarbonyl, C_2 - C_6 alkoxycarbonyl, C_2 - C_6 alkylaminocarbonyl, C_3 - C_8 dialkylaminocarbonyl, C_3 - C_6 trialkylsilyl; or

each R^4 and R^5 are independently phenyl optionally substituted with C_1 - C_4 alkyl, C_2 - C_4 alkenyl, C_2 - C_4 alkynyl, C_3 - C_6 cycloalkyl, C_1 - C_4 haloalkyl, C_2 - C_4 haloalkenyl, C_2 - C_4 haloalkynyl, C_3 - C_6 halocycloalkyl, halogen, CN, NO_2 , C_1 - C_4 alkoxy, C_1 - C_4 haloalkoxy, C_1 - C_4 alkylthio, C_1 - C_4 alkylsulfinyl, C_1 - C_4 alkylsulfonyl, C_1 - C_4 alkoxycarbonyl, C_1 - C_4 alkylamino, C_2 - C_8 dialkylamino, C_3 - C_6 cycloalkylamino, C_3 - C_6 (alkyl)cycloalkylamino, C_2 - C_4 alkylcarbonyl, C_2 - C_6 alkoxycarbonyl, C_2 - C_6 alkylaminocarbonyl, C_3 - C_8 dialkylaminocarbonyl or C_3 - C_6 trialkylsilyl.

Preferred compounds for reasons of better activity and/or ease of synthesis are:

Preferred 1. Compounds of Formula 1 wherein

X and Y are O;

m is 1 to 3;

n is 1 to 2;

R^1 is H, C_1 - C_4 alkyl, C_2 - C_4 alkenyl, C_2 - C_4 alkynyl, C_3 - C_6 cycloalkyl, C_2 - C_6 alkylcarbonyl or C_2 - C_6 alkoxycarbonyl;

one of the R^4 groups is substituted to the phenyl ring at the 2- or 5-position, and said

R^4 is C_1 - C_4 alkyl, C_1 - C_4 haloalkyl, halogen, CN, NO_2 , C_1 - C_4 alkoxy, C_1 - C_4 haloalkoxy, C_1 - C_4 alkylthio, C_1 - C_4 alkylsulfinyl, C_1 - C_4 alkylsulfonyl, C_1 - C_4 haloalkylthio, C_1 - C_4 haloalkylsulfinyl, C_1 - C_4 haloalkylsulfonyl or C_2 - C_4 alkoxycarbonyl; and

R^5 is H, C_1 - C_4 alkyl, C_1 - C_4 haloalkyl, halogen, CN, NO_2 , C_1 - C_4 alkoxy, C_1 - C_4 haloalkoxy, C_1 - C_4 alkylthio, C_1 - C_4 alkylsulfinyl, C_1 - C_4 alkylsulfonyl, C_1 - C_4 haloalkylthio, C_1 - C_4 haloalkylsulfinyl, C_1 - C_4 haloalkylsulfonyl or C_2 - C_4 alkoxycarbonyl.

Preferred 2. Compounds of Formula 1 wherein

X and Y are O;

m is 1 to 3;

n is 1 to 2;

each R^4 and R^5 are independently C_1 - C_4 alkyl, C_1 - C_4 haloalkyl, halogen, CN, NO_2 , C_1 - C_4 alkoxy, C_1 - C_4 haloalkoxy, C_1 - C_4 alkylthio, C_1 - C_4 alkylsulfinyl, C_1 - C_4 alkylsulfonyl, C_1 - C_4 haloalkylthio, C_1 - C_4 haloalkylsulfinyl, C_1 - C_4 haloalkylsulfonyl or C_2 - C_4 alkoxycarbonyl; and

one of the R^5 substituents is ortho to the amide linkage.

Most preferred is the compound of Formula 1 selected from the group consisting of:

(a) 3-methyl-N-(1-methylethyl)-2-[[4-

(trifluoromethoxy)benzoyl]amino]benzamide; and

(b) 2-[(2,4-difluorobenzoyl)amino]-3-methyl-N-(1-methylethyl)benzamide.

In the above recitations, the term "alkyl", used either alone or in compound words such as "alkylthio" or "haloalkyl" includes straight-chain or branched alkyl, such as, methyl, ethyl, *n*-propyl, *i*-propyl, or the different butyl, pentyl or hexyl isomers. The term "1-2 alkyl" indicates that one or two of the available positions for that substituent may be alkyl.

5 "Alkenyl" includes straight-chain or branched alkenes such as 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 1-propynyl, 2-propynyl and the different butynyl, pentynyl and hexynyl isomers. "Alkynyl" can also include moieties comprised of multiple triple bonds such as

10 2,5-hexadiynyl. "Alkoxy" includes, for example, methoxy, ethoxy, *n*-propyloxy, isopropyloxy and the different butoxy, pentoxy and hexyloxy isomers. "Alkoxyalkyl" denotes alkoxy substitution on alkyl. Examples of "alkoxyalkyl" include CH_3OCH_2 , $\text{CH}_3\text{OCH}_2\text{CH}_2$, $\text{CH}_3\text{CH}_2\text{OCH}_2$, $\text{CH}_3\text{CH}_2\text{CH}_2\text{OCH}_2$ and $\text{CH}_3\text{CH}_2\text{OCH}_2\text{CH}_2$.

"Alkylthio" includes branched or straight-chain alkylthio moieties such as methylthio, ethylthio, and the different propylthio, butylthio, pentylthio and hexylthio isomers.

15 "Cycloalkyl" includes, for example, cyclopropyl, cyclobutyl, cyclopentyl and cyclohexyl. "Saturated Carbocyclic" ring denotes a ring having a backbone consisting of carbon atoms linked to one another by single bonds; unless otherwise specified, the remaining carbon valences are occupied by hydrogen atoms.

20 The term "halogen", either alone or in compound words such as "haloalkyl", includes fluorine, chlorine, bromine or iodine. Further, when used in compound words such as "haloalkyl", said alkyl may be partially or fully substituted with halogen atoms which may be the same or different. Examples of "haloalkyl" include F_3C , ClCH_2 , CF_3CH_2 and CF_3CCl_2 . The terms "haloalkenyl", "haloalkynyl", "haloalkoxy", and the like, are defined

25 analogously to the term "haloalkyl". Examples of "haloalkenyl" include $(\text{Cl})_2\text{C}=\text{CHCH}_2$ and $\text{CF}_3\text{CH}_2\text{CH}=\text{CHCH}_2$. Examples of "haloalkynyl" include $\text{HC}=\text{CCHCl}$, $\text{CF}_3\text{C}=\text{C}$, $\text{CCl}_3\text{C}=\text{C}$ and $\text{FCH}_2\text{C}=\text{CCH}_2$. Examples of "haloalkoxy" include CF_3O , $\text{CCl}_3\text{CH}_2\text{O}$, $\text{HCF}_2\text{CH}_2\text{CH}_2\text{O}$ and $\text{CF}_3\text{CH}_2\text{O}$.

The total number of carbon atoms in a substituent group is indicated by the " $\text{C}_i\text{-C}_j$ " prefix where *i* and *j* are numbers from 1 to 12. For example, $\text{C}_1\text{-C}_3$ alkylsulfonyl designates methylsulfonyl through propylsulfonyl; C_2 alkoxyalkyl designates CH_3OCH_2 ; C_3 alkoxyalkyl designates, for example, $\text{CH}_3\text{CH}(\text{OCH}_3)$, $\text{CH}_3\text{OCH}_2\text{CH}_2$ or $\text{CH}_3\text{CH}_2\text{OCH}_2$; 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

35 $\text{CH}_3\text{CH}_2\text{CH}_2\text{OCH}_2$ and $\text{CH}_3\text{CH}_2\text{OCH}_2\text{CH}_2$. In the above recitations, when a compound of Formula 1 contains a heterocyclic ring, all substituents are attached to this ring through any available carbon or nitrogen by replacement of a hydrogen on said carbon or nitrogen.

When a group contains a substituent which can be hydrogen, for example R^3 , then, when this substituent is taken as hydrogen, it is recognized that this is equivalent to said group being unsubstituted.

Compounds of this invention can exist as one or more stereoisomers. The various stereoisomers include enantiomers, diastereomers, atropisomers and geometric isomers. One skilled in the art will appreciate that one stereoisomer may be more active and/or may exhibit beneficial effects when enriched relative to the other stereoisomer(s) or when separated from the other stereoisomer(s). Additionally, the skilled artisan knows how to separate, enrich, and/or to selectively prepare said stereoisomers. Accordingly, the present invention comprises compounds selected from Formula 1, *N*-oxides and agriculturally suitable salts thereof. The compounds of the invention may be present as a mixture of stereoisomers, individual stereoisomers, or as an optically active form.

One skilled in the art will appreciate that not all nitrogen containing heterocycles can form *N*-oxides since the nitrogen requires an available lone pair for oxidation to the oxide; one skilled in the art will recognize those nitrogen containing heterocycles which can form *N*-oxides. One skilled in the art will also recognize that tertiary amines can form *N*-oxides. Synthetic methods for the preparation of *N*-oxides of heterocycles and tertiary amines are very well known by one skilled in the art including the oxidation of heterocycles and tertiary amines with peroxy acids such as peracetic and *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 18-19, 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 139-151, 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. Wershiuk 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.

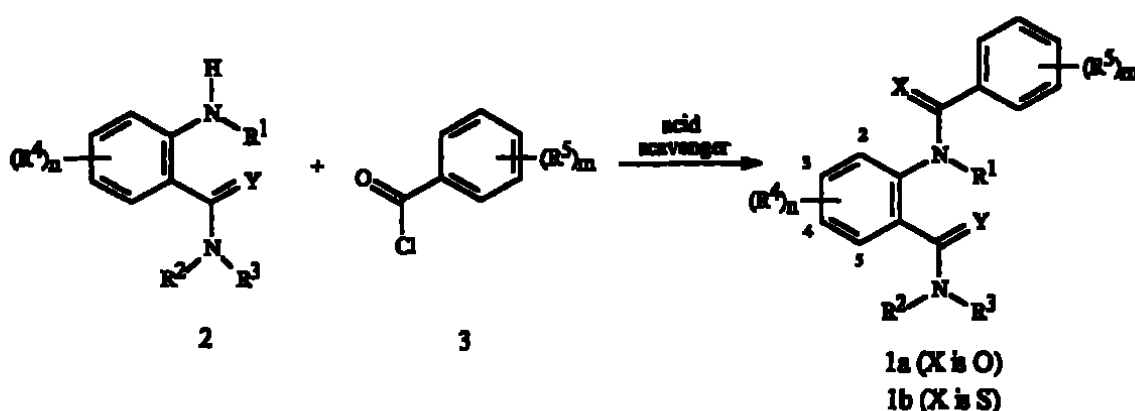
DETAILS OF THE INVENTION

The compounds of Formula 1 can be prepared by one or more of the following methods and variations as described in Schemes 1-4. The definitions of R^1 , R^2 , R^3 , R^4 , X, Y, m and n in the compounds of Formulae 1-7 below are as defined above in the Summary

of the Invention. Compounds of Formulae 1a-b, 2a-b, 5a-b are various subsets of the compounds of Formula 1, 2 and 5.

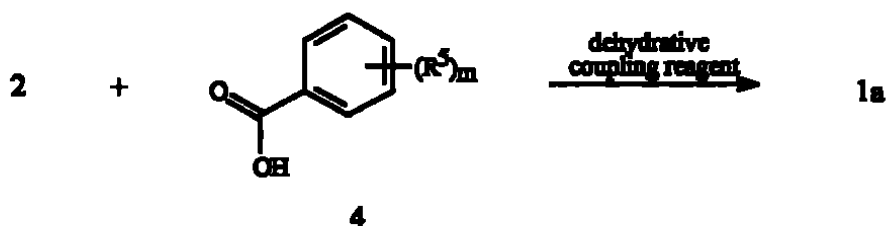
Compounds of Formula 1 can be prepared by procedures outlined in Schemes 1-4. A typical procedure is detailed in Scheme 1 and involves coupling of an anthranilic amide of Formula 2 with a benzoyl chloride of Formula 3 in the presence of an acid scavenger to provide the compound of Formula 1a. Typical acid scavengers include amine bases such as triethylamine, diisopropylethylamine and pyridine, other scavengers include hydroxides such as sodium and potassium hydroxide and carbonates such as sodium carbonate and potassium carbonate. In certain instances it is useful to use polymer supported acid scavengers such as polymer-bound diisopropylethylamine and polymer-bound dimethylaminopyridine. In a subsequent step, amides of Formula 1a can be converted to thioamides of Formula 1b using a variety of standard thio transfer reagents including phosphorous pentasulfide and Lawesson's reagent.

Scheme 1



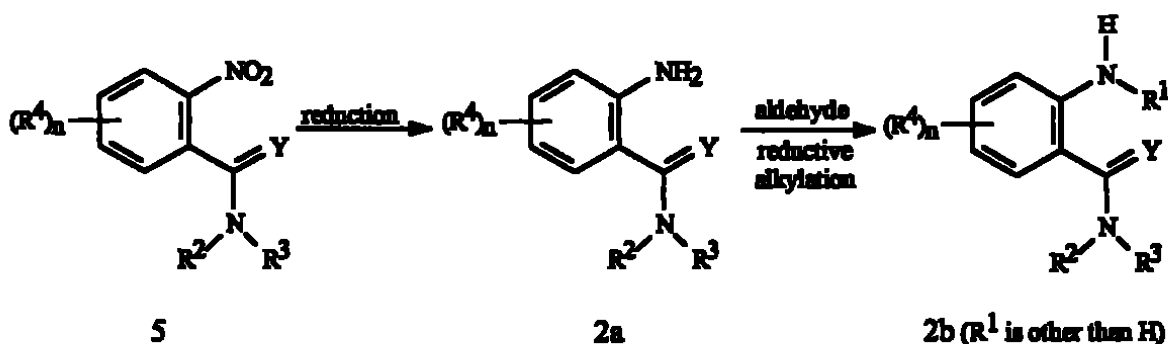
An alternate procedure for the preparation of compounds of Formula 1a involves coupling of an anthranilic amide of Formula 2 with a benzoic acid of Formula 4 in the presence of a dehydrating agent such as dicyclohexylcarbodiimide (DCC). Polymer supported reagents are here again useful such as polymer-bound cyclohexylcarbodiimide. Synthetic procedures of Schemes 1 and 2 are only representative examples of useful methods for the preparation of Formula 1 compounds as the synthetic literature is extensive for this type of reaction.

Scheme 2



Anthranilic amides of Formula 2a are typically available from the corresponding 2-nitrobenzamides of Formula 5 via catalytic hydrogenation of the nitro group. Typical procedures involve reduction with hydrogen in the presence of a metal catalyst such as palladium on carbon or platinum oxide and in hydroxylic solvents such as ethanol and isopropanol. These procedures are well documented in the chemical literature. R^1 substituents such as alkyl, substituted alkyl and the like can generally be introduced at this stage through known procedures including either direct alkylation or through the generally preferred method of reductive alkylation of the amine. A commonly employed procedure is to combine the aniline 2a with an aldehyde in the presence of a reducing agent such as sodium cyanoborohydride to produce the Formula 2b compounds where R^1 is alkyl, alkenyl, alkynyl or substituted derivatives thereof.

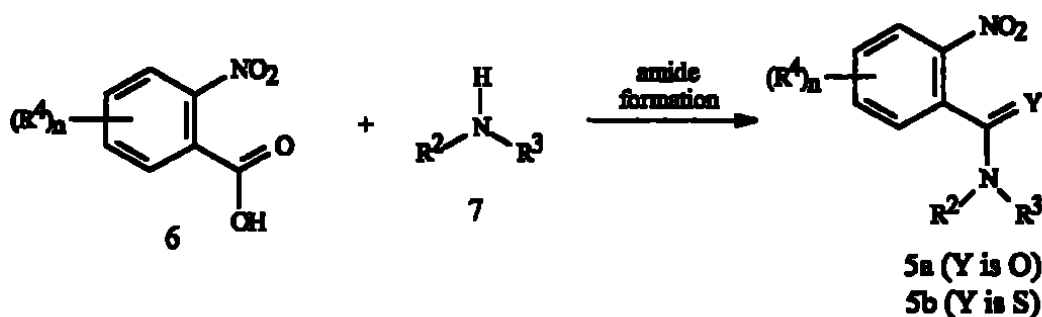
Scheme 3



The intermediate amides of Formula 5a are readily prepared from commercially available 2-nitrobenzoic acids. Typical methods for amide formation can be applied here. These include direct dehydrative coupling of acids of Formula 6 with amines of Formula 7 using for example DCC, and conversion of the acids to an activated form such as the acid chlorides or anhydrides and subsequent coupling with amines to form amides of formula 5a. We have found ethylchloroformate to be an especially useful reagent for this type of reaction involving activation of the acid. The chemical literature is extensive on this type of reaction. Amides of Formula 5a are readily converted to thioamides of Formula 5b by using

commercially available thio transfer reagents such as phosphorus pentasulfide and Lawesson's reagent.

Scheme 4



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. Percentages are by weight except for chromatographic solvent mixtures or where otherwise indicated. Parts and percentages for chromatographic solvent mixtures are by volume unless otherwise indicated. ¹H NMR spectra are reported in ppm downfield from tetramethylsilane; s = singlet, d = doublet, t = triplet, q = quartet, m = multiplet, dd = doublet of doublets, dt = doublet of triplets, br s = broad singlet.

EXAMPLE 1**Step A: Preparation of 3-methyl-N-(1-methylethyl)-2-nitrobenzamide**

A solution of 3-methyl-2-nitrobenzoic acid (2.00 g, 11.0 mmol) and triethylamine (1.22 g, 12.1 mmol) in 25 ml of methylene chloride was cooled to 10 °C. Ethyl chloroformate was carefully added and a solid precipitate formed. After stirring for 30 minutes isopropylamine (0.94 g, 16.0 mmol) was added and a homogeneous solution resulted. The reaction was stirred for an additional hour, poured into water and extracted with ethyl acetate. The organic extracts were washed with water, dried over magnesium sulfate and evaporated under reduced pressure to afford 1.96 g of the desired intermediate as a white solid melting at 126-128 °C.

¹H NMR (CDCl₃) δ 1.24 (d, 6H), 2.38 (s, 3H), 4.22 (m, 1H), 5.80 (br s, 1H), 7.4 (m, 3H).

Step B: Preparation of 2-amino-3-methyl-N-(1-methylethyl)benzamide

The 2-nitrobenzamide of Step A (1.70 g, 7.6 mmol) was hydrogenated over 5% Pd/C in 40 ml of ethanol at 50 psi. When the uptake of hydrogen ceased the reaction was filtered through celite and the celite was washed with ether. The filtrate was evaporated under reduced pressure to afford 1.41 g of the title compound as a solid melting at 149-151 °C.

¹H NMR (CDCl₃) δ 1.24 (dd, 6H), 2.16 (s, 3H), 4.25 (m, 1H), 5.54 (br s, 2H), 5.85 (br s, 1H), 6.59 (t, 1H), 7.13 (d, 1H), 7.17 (d, 1H).

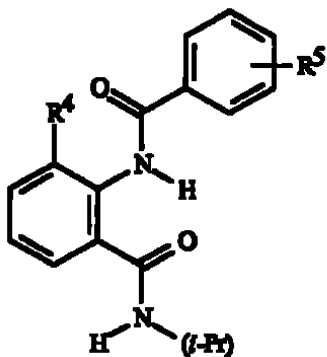
Step C: Preparation of 3-methyl-N-(1-methylethyl)-2-[[4-(trifluoromethoxy)benzoyl]amino]benzamide

4-(trifluoromethoxy)benzoyl chloride (0.29 g, 1.3 mmol) was added dropwise to a mixture of the aniline from Step B (0.25 g, 1.3 mmol) and triethylamine (0.13 g, 1.3 mmol) in 5 ml of methylene chloride at room temperature. After stirring for one hr the reaction was poured into water and extracted with ethyl acetate. The combined extracts were dried over magnesium sulfate and evaporated under reduced pressure. The resulting solids were washed with hexane/ether and filtered to afford 0.41 g of the title compound, a compound of the present invention, as a solid melting at 207-209 °C.

¹H NMR (CDCl₃) δ 1.19 (d, 6H), 2.33 (s, 3H), 4.15 (m, 1H), 5.97 (br d, 1H), 7.2-7.4 (m, 6H), 8.04 (d, 1H), 10.11 (br s, 1H).

By the procedures described herein together with methods known in the art, the following compounds of Tables 1 to 4 can be prepared. The following abbreviations are used in the Tables: *t* = tertiary, *s* = secondary, *n* = normal, *i* = iso, *c* = cyclo, Me = methyl, Et = ethyl, Pr = propyl, *i*-Pr = isopropyl, *t*-Bu = tert butyl, Ph = phenyl, OMe = methoxy, OEt = ethoxy, SMe = methylthio, SEt = ethylthio, CN = cyano, NO₂ = nitro, TMS = trimethylsilyl, S(O)Me = methylsulfinyl, and S(O)₂Me = methylsulfonyl.

Table 1



<u>R⁴</u>	<u>R⁵</u>	<u>R⁴</u>	<u>R⁵</u>	<u>R⁴</u>	<u>R⁵</u>
Me	2-Me	Me	3-Me	Me	4-Me
Me	2-Et	Me	3-Et	Me	4-Et
Me	2- <i>i</i> -Bu	Me	3- <i>i</i> -Bu	Me	4- <i>i</i> -Bu
Me	2-OMe	Me	3-OMe	Me	4-OMe
Me	2-OEt	Me	3-OEt	Me	4-OEt
Me	2-SMe	Me	3-SMe	Me	4-SMe
Me	2-SO ₂ Me	Me	3-SO ₂ Me	Me	4-SO ₂ Me
Me	2-F	Me	3-F	Me	4-F
Me	2-Cl	Me	3-Cl	Me	4-Cl
Me	2-Br	Me	3-Br	Me	4-Br
Me	2-I	Me	3-I	Me	4-I
Me	2-CF ₃	Me	3-CF ₃	Me	4-CF ₃
Me	2-OCF ₃	Me	3-OCF ₃	Me	4-OCF ₃
Me	2-OCF ₂ H	Me	3-OCF ₂ H	Me	4-OCF ₂ H
Me	2-OCF ₂ CF ₂ H	Me	3-OCF ₂ CF ₂ H	Me	4-OCF ₂ CF ₂ H
Me	2-SCF ₃	Me	3-SCF ₃	Me	4-SCF ₃
Me	2-SCF ₂ H	Me	3-SCF ₂ H	Me	4-SCF ₂ H
Me	2-SO ₂ CF ₃	Me	3-SO ₂ CF ₃	Me	4-SO ₂ CF ₃
Me	2-SOCF ₂ H	Me	3-SOCF ₂ H	Me	4-SOCF ₂ H
Cl	2-Me	Cl	3-Me	Cl	4-Me
Cl	2-Et	Cl	3-Et	Cl	4-Et
Cl	2- <i>i</i> -Bu	Cl	3- <i>i</i> -Bu	Cl	4- <i>i</i> -Bu
Cl	2-OMe	Cl	3-OMe	Cl	4-OMe
Cl	2-OEt	Cl	3-OEt	Cl	4-OEt
Cl	2-SMe	Cl	3-SMe	Cl	4-SMe
Cl	2-SO ₂ Me	Cl	3-SO ₂ Me	Cl	4-SO ₂ Me
Cl	2-F	Cl	3-F	Cl	4-F

Cl	2-Cl	Cl	3-Cl	Cl	4-Cl
Cl	2-Br	Cl	3-Br	Cl	4-Br
Cl	2-I	Cl	3-I	Cl	4-I
Cl	2-CF ₃	Cl	3-CF ₃	Cl	4-CF ₃
Cl	2-OCF ₃	Cl	3-OCF ₃	Cl	4-OCF ₃
Cl	2-OCF ₂ H	Cl	3-OCF ₂ H	Cl	4-OCF ₂ H
Cl	2-OCF ₂ CF ₂ H	Cl	3-OCF ₂ CF ₂ H	Cl	4-OCF ₂ CF ₂ H
Cl	2-SCF ₃	Cl	3-SCF ₃	Cl	4-SCF ₃
Cl	2-SCF ₂ H	Cl	3-SCF ₂ H	Cl	4-SCF ₂ H
Cl	2-SO ₂ CF ₃	Cl	3-SO ₂ CF ₃	Cl	4-SO ₂ CF ₃
Cl	2-SOCF ₂ H	Cl	3-SOCF ₂ H	Cl	4-SOCF ₂ H
F	2-Me	F	3-Me	F	4-Me
F	2-Et	F	3-Et	F	4-Et
F	2- <i>t</i> -Bu	F	3- <i>t</i> -Bu	F	4- <i>t</i> -Bu
F	2-OMe	F	3-OMe	F	4-OMe
F	2-OEt	F	3-OEt	F	4-OEt
F	2-SMe	F	3-SMe	F	4-SMe
F	2-SO ₂ Me	F	3-SO ₂ Me	F	4-SO ₂ Me
F	2-F	F	3-F	F	4-F
F	2-Cl	F	3-Cl	F	4-Cl
F	2-Br	F	3-Br	F	4-Br
F	2-I	F	3-I	F	4-I
F	2-CF ₃	F	3-CF ₃	F	4-CF ₃
F	2-OCF ₃	F	3-OCF ₃	F	4-OCF ₃
F	2-OCF ₂ H	F	3-OCF ₂ H	F	4-OCF ₂ H
F	2-OCF ₂ CF ₂ H	F	3-OCF ₂ CF ₂ H	F	4-OCF ₂ CF ₂ H
F	2-SCF ₃	F	3-SCF ₃	F	4-SCF ₃
F	2-SCF ₂ H	F	3-SCF ₂ H	F	4-SCF ₂ H
F	2-SO ₂ CF ₃	F	3-SO ₂ CF ₃	F	4-SO ₂ CF ₃
F	2-SOCF ₂ H	F	3-SOCF ₂ H	F	4-SOCF ₂ H
Br	2-Me	Br	3-Me	Br	4-Me
Br	2-Et	Br	3-Et	Br	4-Et
Br	2- <i>t</i> -Bu	Br	3- <i>t</i> -Bu	Br	4- <i>t</i> -Bu
Br	2-OMe	Br	3-OMe	Br	4-OMe
Br	2-OEt	Br	3-OEt	Br	4-OEt
Br	2-SMe	Br	3-SMe	Br	4-SMe
Br	2-SO ₂ Me	Br	3-SO ₂ Me	Br	4-SO ₂ Me
Br	2-F	Br	3-F	Br	4-F

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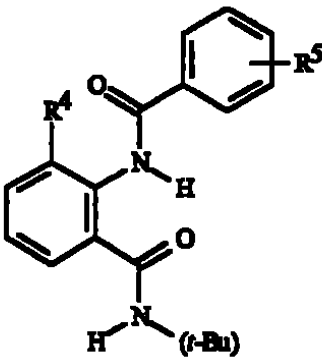
Br	2-Cl	Br	3-Cl	Br	4-Cl
Br	2-Br	Br	3-Br	Br	4-Br
Br	2-I	Br	3-I	Br	4-I
Br	2-CF ₃	Br	3-CF ₃	Br	4-CF ₃
Br	2-OCF ₃	Br	3-OCF ₃	Br	4-OCF ₃
Br	2-OCF ₂ H	Br	3-OCF ₂ H	Br	4-OCF ₂ H
Br	2-OCF ₂ CF ₂ H	Br	3-OCF ₂ CF ₂ H	Br	4-OCF ₂ CF ₂ H
Br	2-SCF ₃	Br	3-SCF ₃	Br	4-SCF ₃
Br	2-SCF ₂ H	Br	3-SCF ₂ H	Br	4-SCF ₂ H
Br	2-SO ₂ CF ₃	Br	3-SO ₂ CF ₃	Br	4-SO ₂ CF ₃
Br	2-SOCF ₂ H	Br	3-SOCF ₂ H	Br	4-SOCF ₂ H
I	2-Me	I	3-Me	I	4-Me
I	2-Et	I	3-Et	I	4-Et
I	2- <i>t</i> -Bu	I	3- <i>t</i> -Bu	I	4- <i>t</i> -Bu
I	2-OMe	I	3-OMe	I	4-OMe
I	2-OEt	I	3-OEt	I	4-OEt
I	2-SMe	I	3-SMe	I	4-SMe
I	2-SO ₂ Me	I	3-SO ₂ Me	I	4-SO ₂ Me
I	2-F	I	3-F	I	4-F
I	2-Cl	I	3-Cl	I	4-Cl
I	2-Br	I	3-Br	I	4-Br
I	2-I	I	3-I	I	4-I
I	2-CF ₃	I	3-CF ₃	I	4-CF ₃
I	2-OCF ₃	I	3-OCF ₃	I	4-OCF ₃
I	2-OCF ₂ H	I	3-OCF ₂ H	I	4-OCF ₂ H
I	2-OCF ₂ CF ₂ H	I	3-OCF ₂ CF ₂ H	I	4-OCF ₂ CF ₂ H
I	2-SCF ₃	I	3-SCF ₃	I	4-SCF ₃
I	2-SCF ₂ H	I	3-SCF ₂ H	I	4-SCF ₂ H
I	2-SO ₂ CF ₃	I	3-SO ₂ CF ₃	I	4-SO ₂ CF ₃
I	2-SOCF ₂ H	I	3-SOCF ₂ H	I	4-SOCF ₂ H
OMe	2-Me	OMe	3-Me	OMe	4-Me
OMe	2-Et	OMe	3-Et	OMe	4-Et
OMe	2- <i>t</i> -Bu	OMe	3- <i>t</i> -Bu	OMe	4- <i>t</i> -Bu
OMe	2-OMe	OMe	3-OMe	OMe	4-OMe
OMe	2-OEt	OMe	3-OEt	OMe	4-OEt
OMe	2-SMe	OMe	3-SMe	OMe	4-SMe
OMe	2-SO ₂ Me	OMe	3-SO ₂ Me	OMe	4-SO ₂ Me
OMe	2-F	OMe	3-F	OMe	4-F

OMe	2-Cl	OMe	3-Cl	OMe	4-Cl
OMe	2-Br	OMe	3-Br	OMe	4-Br
OMe	2-I	OMe	3-I	OMe	4-I
OMe	2-CF ₃	OMe	3-CF ₃	OMe	4-CF ₃
OMe	2-OCF ₃	OMe	3-OCF ₃	OMe	4-OCF ₃
OMe	2-OCF ₂ H	OMe	3-OCF ₂ H	OMe	4-OCF ₂ H
OMe	2-OCF ₂ CF ₂ H	OMe	3-OCF ₂ CF ₂ H	OMe	4-OCF ₂ CF ₂ H
OMe	2-SCF ₃	OMe	3-SCF ₃	OMe	4-SCF ₃
OMe	2-SCF ₂ H	OMe	3-SCF ₂ H	OMe	4-SCF ₂ H
OMe	2-SO ₂ CF ₃	OMe	3-SO ₂ CF ₃	OMe	4-SO ₂ CF ₃
OMe	2-SOCF ₂ H	OMe	3-SOCF ₂ H	OMe	4-SOCF ₂ H
CF ₃	2-Me	CF ₃	3-Me	CF ₃	4-Me
CF ₃	2-Et	CF ₃	3-Et	CF ₃	4-Et
CF ₃	2-t-Bu	CF ₃	3-t-Bu	CF ₃	4-t-Bu
CF ₃	2-OMe	CF ₃	3-OMe	CF ₃	4-OMe
CF ₃	2-OEt	CF ₃	3-OEt	CF ₃	4-OEt
CF ₃	2-SMe	CF ₃	3-SMe	CF ₃	4-SMe
CF ₃	2-SO ₂ Me	CF ₃	3-SO ₂ Me	CF ₃	4-SO ₂ Me
CF ₃	2-F	CF ₃	3-F	CF ₃	4-F
CF ₃	2-Cl	CF ₃	3-Cl	CF ₃	4-Cl
CF ₃	2-Br	CF ₃	3-Br	CF ₃	4-Br
CF ₃	2-I	CF ₃	3-I	CF ₃	4-I
CF ₃	2-CF ₃	CF ₃	3-CF ₃	CF ₃	4-CF ₃
CF ₃	2-OCF ₃	CF ₃	3-OCF ₃	CF ₃	4-OCF ₃
CF ₃	2-OCF ₂ H	CF ₃	3-OCF ₂ H	CF ₃	4-OCF ₂ H
CF ₃	2-OCF ₂ CF ₂ H	CF ₃	3-OCF ₂ CF ₂ H	CF ₃	4-OCF ₂ CF ₂ H
CF ₃	2-SCF ₃	CF ₃	3-SCF ₃	CF ₃	4-SCF ₃
CF ₃	2-SCF ₂ H	CF ₃	3-SCF ₂ H	CF ₃	4-SCF ₂ H
CF ₃	2-SO ₂ CF ₃	CF ₃	3-SO ₂ CF ₃	CF ₃	4-SO ₂ CF ₃
CF ₃	2-SOCF ₂ H	CF ₃	3-SOCF ₂ H	CF ₃	4-SOCF ₂ H
Me	2,4-di-Me	F	2,4-di-Me	Cl	2,4-di-Me
Me	2-Me-4-OMe	F	2-Me-4-OMe	Cl	2-Me-4-OMe
Me	2-Me-4-SMe	F	2-Me-4-SMe	Cl	2-Me-4-SMe
Me	2-Me-4-SO ₂ Me	F	2-Me-4-SO ₂ Me	Cl	2-Me-4-SO ₂ Me
Me	2-Me-4-F	F	2-Me-4-F	Cl	2-Me-4-F
Me	2-Me-4-Cl	F	2-Me-4-Cl	Cl	2-Me-4-Cl
Me	2-Me-4-Br	F	2-Me-4-Br	Cl	2-Me-4-Br
Me	2-Me-4-I	F	2-Me-4-I	Cl	2-Me-4-I

Me	2-Me-4-CF ₃	F	2-Me-4-CF ₃	Cl	2-Me-4-CF ₃
Me	2-Me-4-OCF ₃	F	2-Me-4-OCF ₃	Cl	2-Me-4-OCF ₃
Me	2-Me-4-OCF ₂ H	F	2-Me-4-OCF ₂ H	Cl	2-Me-4-OCF ₂ H
Me	2-Me-4-SCF ₃	F	2-Me-4-SCF ₃	Cl	2-Me-4-SCF ₃
Me	2-Me-4-SCF ₂ H	F	2-Me-4-SCF ₂ H	Cl	2-Me-4-SCF ₂ H
Me	2-Me-4-SO ₂ CF ₃	F	2-Me-4-SO ₂ CF ₃	Cl	2-Me-4-SO ₂ CF ₃
Br	2,4-di-Me	I	2,4-di-Me	OMe	2,4-di-Me
Br	2-Me-4-OMe	I	2-Me-4-OMe	OMe	2-Me-4-OMe
Br	2-Me-4-SMe	I	2-Me-4-SMe	OMe	2-Me-4-SMe
Br	2-Me-4-SO ₂ Me	I	2-Me-4-SO ₂ Me	OMe	2-Me-4-SO ₂ Me
Br	2-Me-4-F	I	2-Me-4-F	OMe	2-Me-4-F
Br	2-Me-4-Cl	I	2-Me-4-Cl	OMe	2-Me-4-Cl
Br	2-Me-4-Br	I	2-Me-4-Br	OMe	2-Me-4-Br
Br	2-Me-4-I	I	2-Me-4-I	OMe	2-Me-4-I
Br	2-Me-4-CF ₃	I	2-Me-4-CF ₃	OMe	2-Me-4-CF ₃
Br	2-Me-4-OCF ₃	I	2-Me-4-OCF ₃	OMe	2-Me-4-OCF ₃
Br	2-Me-4-OCF ₂ H	I	2-Me-4-OCF ₂ H	OMe	2-Me-4-OCF ₂ H
Br	2-Me-4-SCF ₃	I	2-Me-4-SCF ₃	OMe	2-Me-4-SCF ₃
Br	2-Me-4-SCF ₂ H	I	2-Me-4-SCF ₂ H	OMe	2-Me-4-SCF ₂ H
Br	2-Me-4-SO ₂ CF ₃	I	2-Me-4-SO ₂ CF ₃	OMe	2-Me-4-SO ₂ CF ₃
CF ₃	2,4-di-Me	NO ₂	2,4-di-Me	SMe	2,4-di-Me
CF ₃	2-Me-4-OMe	NO ₂	2-Me-4-OMe	SMe	2-Me-4-OMe
CF ₃	2-Me-4-SMe	NO ₂	2-Me-4-SMe	SMe	2-Me-4-SMe
CF ₃	2-Me-4-SO ₂ Me	NO ₂	2-Me-4-SO ₂ Me	SMe	2-Me-4-SO ₂ Me
CF ₃	2-Me-4-F	NO ₂	2-Me-4-F	SMe	2-Me-4-F
CF ₃	2-Me-4-Cl	NO ₂	2-Me-4-Cl	SMe	2-Me-4-Cl
CF ₃	2-Me-4-Br	NO ₂	2-Me-4-Br	SMe	2-Me-4-Br
CF ₃	2-Me-4-I	NO ₂	2-Me-4-I	SMe	2-Me-4-I
CF ₃	2-Me-4-CF ₃	NO ₂	2-Me-4-CF ₃	SMe	2-Me-4-CF ₃
CF ₃	2-Me-4-OCF ₃	NO ₂	2-Me-4-OCF ₃	SMe	2-Me-4-OCF ₃
CF ₃	2-Me-4-OCF ₂ H	NO ₂	2-Me-4-OCF ₂ H	SMe	2-Me-4-OCF ₂ H
CF ₃	2-Me-4-SCF ₃	NO ₂	2-Me-4-SCF ₃	SMe	2-Me-4-SCF ₃
CF ₃	2-Me-4-SCF ₂ H	NO ₂	2-Me-4-SCF ₂ H	SMe	2-Me-4-SCF ₂ H
CF ₃	2-Me-4-SO ₂ CF ₃	NO ₂	2-Me-4-SO ₂ CF ₃	SMe	2-Me-4-SO ₂ CF ₃

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Table 2



R ⁴	R ⁵	R ⁴	R ⁵	R ⁴	R ⁵
Me	2-Me	Me	3-Me	Me	4-Me
Me	2-Et	Me	3-Et	Me	4-Et
Me	2- <i>i</i> -Bu	Me	3- <i>i</i> -Bu	Me	4- <i>i</i> -Bu
Me	2-OMe	Me	3-OMe	Me	4-OMe
Me	2-OEt	Me	3-OEt	Me	4-OEt
Me	2-SMe	Me	3-SMe	Me	4-SMe
Me	2-SO ₂ Me	Me	3-SO ₂ Me	Me	4-SO ₂ Me
Me	2-F	Me	3-F	Me	4-F
Me	2-Cl	Me	3-Cl	Me	4-Cl
Me	2-Br	Me	3-Br	Me	4-Br
Me	2-I	Me	3-I	Me	4-I
Me	2-CF ₃	Me	3-CF ₃	Me	4-CF ₃
Me	2-OCF ₃	Me	3-OCF ₃	Me	4-OCF ₃
Me	2-OCF ₂ H	Me	3-OCF ₂ H	Me	4-OCF ₂ H
Me	2-OCF ₂ CF ₂ H	Me	3-OCF ₂ CF ₂ H	Me	4-OCF ₂ CF ₂ H
Me	2-SCF ₃	Me	3-SCF ₃	Me	4-SCF ₃
Me	2-SCF ₂ H	Me	3-SCF ₂ H	Me	4-SCF ₂ H
Me	2-SO ₂ CF ₃	Me	3-SO ₂ CF ₃	Me	4-SO ₂ CF ₃
Me	2-SOCF ₂ H	Me	3-SOCF ₂ H	Me	4-SOCF ₂ H
Cl	2-Me	Cl	3-Me	Cl	4-Me
Cl	2-Et	Cl	3-Et	Cl	4-Et
Cl	2- <i>i</i> -Bu	Cl	3- <i>i</i> -Bu	Cl	4- <i>i</i> -Bu
Cl	2-OMe	Cl	3-OMe	Cl	4-OMe
Cl	2-OEt	Cl	3-OEt	Cl	4-OEt
Cl	2-SMe	Cl	3-SMe	Cl	4-SMe
Cl	2-SO ₂ Me	Cl	3-SO ₂ Me	Cl	4-SO ₂ Me

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Cl	2-F	Cl	3-F	Cl	4-F
Cl	2-Cl	Cl	3-Cl	Cl	4-Cl
Cl	2-Br	Cl	3-Br	Cl	4-Br
Cl	2-I	Cl	3-I	Cl	4-I
Cl	2-CF ₃	Cl	3-CF ₃	Cl	4-CF ₃
Cl	2-OCF ₃	Cl	3-OCF ₃	Cl	4-OCF ₃
Cl	2-OCF ₂ H	Cl	3-OCF ₂ H	Cl	4-OCF ₂ H
Cl	2-OCF ₂ CF ₂ H	Cl	3-OCF ₂ CF ₂ H	Cl	4-OCF ₂ CF ₂ H
Cl	2-SCF ₃	Cl	3-SCF ₃	Cl	4-SCF ₃
Cl	2-SCF ₂ H	Cl	3-SCF ₂ H	Cl	4-SCF ₂ H
Cl	2-SO ₂ CF ₃	Cl	3-SO ₂ CF ₃	Cl	4-SO ₂ CF ₃
Cl	2-SOCF ₂ H	Cl	3-SOCF ₂ H	Cl	4-SOCF ₂ H
F	2-Me	F	3-Me	F	4-Me
F	2-Et	F	3-Et	F	4-Et
F	2- <i>t</i> -Bu	F	3- <i>t</i> -Bu	F	4- <i>t</i> -Bu
F	2-OMe	F	3-OMe	F	4-OMe
F	2-OEt	F	3-OEt	F	4-OEt
F	2-SMe	F	3-SMe	F	4-SMe
F	2-SO ₂ Me	F	3-SO ₂ Me	F	4-SO ₂ Me
F	2-F	F	3-F	F	4-F
F	2-Cl	F	3-Cl	F	4-Cl
F	2-Br	F	3-Br	F	4-Br
F	2-I	F	3-I	F	4-I
F	2-CF ₃	F	3-CF ₃	F	4-CF ₃
F	2-OCF ₃	F	3-OCF ₃	F	4-OCF ₃
F	2-OCF ₂ H	F	3-OCF ₂ H	F	4-OCF ₂ H
F	2-OCF ₂ CF ₂ H	F	3-OCF ₂ CF ₂ H	F	4-OCF ₂ CF ₂ H
F	2-SCF ₃	F	3-SCF ₃	F	4-SCF ₃
F	2-SCF ₂ H	F	3-SCF ₂ H	F	4-SCF ₂ H
F	2-SO ₂ CF ₃	F	3-SO ₂ CF ₃	F	4-SO ₂ CF ₃
F	2-SOCF ₂ H	F	3-SOCF ₂ H	F	4-SOCF ₂ H
Br	2-Me	Br	3-Me	Br	4-Me
Br	2-Et	Br	3-Et	Br	4-Et
Br	2- <i>t</i> -Bu	Br	3- <i>t</i> -Bu	Br	4- <i>t</i> -Bu
Br	2-OMe	Br	3-OMe	Br	4-OMe
Br	2-OEt	Br	3-OEt	Br	4-OEt
Br	2-SMe	Br	3-SMe	Br	4-SMe
Br	2-SO ₂ Me	Br	3-SO ₂ Me	Br	4-SO ₂ Me

Br	2-F	Br	3-F	Br	4-F
Br	2-Cl	Br	3-Cl	Br	4-Cl
Br	2-Br	Br	3-Br	Br	4-Br
Br	2-I	Br	3-I	Br	4-I
Br	2-CF ₃	Br	3-CF ₃	Br	4-CF ₃
Br	2-OCF ₃	Br	3-OCF ₃	Br	4-OCF ₃
Br	2-OCF ₂ H	Br	3-OCF ₂ H	Br	4-OCF ₂ H
Br	2-OCF ₂ CF ₂ H	Br	3-OCF ₂ CF ₂ H	Br	4-OCF ₂ CF ₂ H
Br	2-SCF ₃	Br	3-SCF ₃	Br	4-SCF ₃
Br	2-SCF ₂ H	Br	3-SCF ₂ H	Br	4-SCF ₂ H
Br	2-SO ₂ CF ₃	Br	3-SO ₂ CF ₃	Br	4-SO ₂ CF ₃
Br	2-SOCF ₂ H	Br	3-SOCF ₂ H	Br	4-SOCF ₂ H
I	2-Me	I	3-Me	I	4-Me
I	2-Et	I	3-Et	I	4-Et
I	2- <i>t</i> -Bu	I	3- <i>t</i> -Bu	I	4- <i>t</i> -Bu
I	2-OMe	I	3-OMe	I	4-OMe
I	2-OEt	I	3-OEt	I	4-OEt
I	2-SMe	I	3-SMe	I	4-SMe
I	2-SO ₂ Me	I	3-SO ₂ Me	I	4-SO ₂ Me
I	2-F	I	3-F	I	4-F
I	2-Cl	I	3-Cl	I	4-Cl
I	2-Br	I	3-Br	I	4-Br
I	2-I	I	3-I	I	4-I
I	2-CF ₃	I	3-CF ₃	I	4-CF ₃
I	2-OCF ₃	I	3-OCF ₃	I	4-OCF ₃
I	2-OCF ₂ H	I	3-OCF ₂ H	I	4-OCF ₂ H
I	2-OCF ₂ CF ₂ H	I	3-OCF ₂ CF ₂ H	I	4-OCF ₂ CF ₂ H
I	2-SCF ₃	I	3-SCF ₃	I	4-SCF ₃
I	2-SCF ₂ H	I	3-SCF ₂ H	I	4-SCF ₂ H
I	2-SO ₂ CF ₃	I	3-SO ₂ CF ₃	I	4-SO ₂ CF ₃
I	2-SOCF ₂ H	I	3-SOCF ₂ H	I	4-SOCF ₂ H
OMe	2-Me	OMe	3-Me	OMe	4-Me
OMe	2-Et	OMe	3-Et	OMe	4-Et
OMe	2- <i>t</i> -Bu	OMe	3- <i>t</i> -Bu	OMe	4- <i>t</i> -Bu
OMe	2-OMe	OMe	3-OMe	OMe	4-OMe
OMe	2-OEt	OMe	3-OEt	OMe	4-OEt
OMe	2-SMe	OMe	3-SMe	OMe	4-SMe
OMe	2-SO ₂ Me	OMe	3-SO ₂ Me	OMe	4-SO ₂ Me

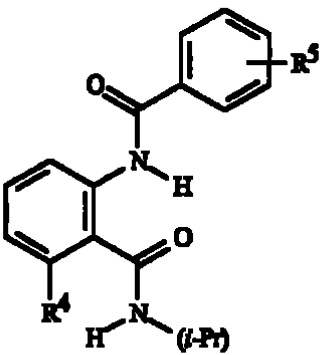
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OMe	2-F	OMe	3-F	OMe	4-F
OMe	2-Cl	OMe	3-Cl	OMe	4-Cl
OMe	2-Br	OMe	3-Br	OMe	4-Br
OMe	2-I	OMe	3-I	OMe	4-I
OMe	2-CF ₃	OMe	3-CF ₃	OMe	4-CF ₃
OMe	2-OCF ₃	OMe	3-OCF ₃	OMe	4-OCF ₃
OMe	2-OCF ₂ H	OMe	3-OCF ₂ H	OMe	4-OCF ₂ H
OMe	2-OCF ₂ CF ₂ H	OMe	3-OCF ₂ CF ₂ H	OMe	4-OCF ₂ CF ₂ H
OMe	2-SCF ₃	OMe	3-SCF ₃	OMe	4-SCF ₃
OMe	2-SCF ₂ H	OMe	3-SCF ₂ H	OMe	4-SCF ₂ H
OMe	2-SO ₂ CF ₃	OMe	3-SO ₂ CF ₃	OMe	4-SO ₂ CF ₃
OMe	2-SOCF ₂ H	OMe	3-SOCF ₂ H	OMe	4-SOCF ₂ H
CF ₃	2-Me	CF ₃	3-Me	CF ₃	4-Me
CF ₃	2-Et	CF ₃	3-Et	CF ₃	4-Et
CF ₃	2- <i>i</i> -Bu	CF ₃	3- <i>i</i> -Bu	CF ₃	4- <i>i</i> -Bu
CF ₃	2-OMe	CF ₃	3-OMe	CF ₃	4-OMe
CF ₃	2-OEt	CF ₃	3-OEt	CF ₃	4-OEt
CF ₃	2-SMe	CF ₃	3-SMe	CF ₃	4-SMe
CF ₃	2-SO ₂ Me	CF ₃	3-SO ₂ Me	CF ₃	4-SO ₂ Me
CF ₃	2-F	CF ₃	3-F	CF ₃	4-F
CF ₃	2-Cl	CF ₃	3-Cl	CF ₃	4-Cl
CF ₃	2-Br	CF ₃	3-Br	CF ₃	4-Br
CF ₃	2-I	CF ₃	3-I	CF ₃	4-I
CF ₃	2-CF ₃	CF ₃	3-CF ₃	CF ₃	4-CF ₃
CF ₃	2-OCF ₃	CF ₃	3-OCF ₃	CF ₃	4-OCF ₃
CF ₃	2-OCF ₂ H	CF ₃	3-OCF ₂ H	CF ₃	4-OCF ₂ H
CF ₃	2-OCF ₂ CF ₂ H	CF ₃	3-OCF ₂ CF ₂ H	CF ₃	4-OCF ₂ CF ₂ H
CF ₃	2-SCF ₃	CF ₃	3-SCF ₃	CF ₃	4-SCF ₃
CF ₃	2-SCF ₂ H	CF ₃	3-SCF ₂ H	CF ₃	4-SCF ₂ H
CF ₃	2-SO ₂ CF ₃	CF ₃	3-SO ₂ CF ₃	CF ₃	4-SO ₂ CF ₃
CF ₃	2-SOCF ₂ H	CF ₃	3-SOCF ₂ H	CF ₃	4-SOCF ₂ H
Me	2,4-di-Me	F	2,4-di-Me	Cl	2,4-di-Me
Me	2-Me-4-OMe	F	2-Me-4-OMe	Cl	2-Me-4-OMe
Me	2-Me-4-SMe	F	2-Me-4-SMe	Cl	2-Me-4-SMe
Me	2-Me-4-SO ₂ Me	F	2-Me-4-SO ₂ Me	Cl	2-Me-4-SO ₂ Me
Me	2-Me-4-F	F	2-Me-4-F	Cl	2-Me-4-F
Me	2-Me-4-Cl	F	2-Me-4-Cl	Cl	2-Me-4-Cl
Me	2-Me-4-Br	F	2-Me-4-Br	Cl	2-Me-4-Br

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Me	2-Me-4-I	F	2-Me-4-I	Cl	2-Me-4-I
Me	2-Me-4-CF ₃	F	2-Me-4-CF ₃	Cl	2-Me-4-CF ₃
Me	2-Me-4-OCF ₃	F	2-Me-4-OCF ₃	Cl	2-Me-4-OCF ₃
Me	2-Me-4-OCF ₂ H	F	2-Me-4-OCF ₂ H	Cl	2-Me-4-OCF ₂ H
Me	2-Me-4-SCF ₃	F	2-Me-4-SCF ₃	Cl	2-Me-4-SCF ₃
Me	2-Me-4-SCF ₂ H	F	2-Me-4-SCF ₂ H	Cl	2-Me-4-SCF ₂ H
Me	2-Me-4-SO ₂ CF ₃	F	2-Me-4-SO ₂ CF ₃	Cl	2-Me-4-SO ₂ CF ₃
Br	2,4-di-Me	I	2,4-di-Me	OMe	2,4-di-Me
Br	2-Me-4-OMe	I	2-Me-4-OMe	OMe	2-Me-4-OMe
Br	2-Me-4-SMe	I	2-Me-4-SMe	OMe	2-Me-4-SMe
Br	2-Me-4-SO ₂ Me	I	2-Me-4-SO ₂ Me	OMe	2-Me-4-SO ₂ Me
Br	2-Me-4-F	I	2-Me-4-F	OMe	2-Me-4-F
Br	2-Me-4-Cl	I	2-Me-4-Cl	OMe	2-Me-4-Cl
Br	2-Me-4-Br	I	2-Me-4-Br	OMe	2-Me-4-Br
Br	2-Me-4-I	I	2-Me-4-I	OMe	2-Me-4-I
Br	2-Me-4-CF ₃	I	2-Me-4-CF ₃	OMe	2-Me-4-CF ₃
Br	2-Me-4-OCF ₃	I	2-Me-4-OCF ₃	OMe	2-Me-4-OCF ₃
Br	2-Me-4-OCF ₂ H	I	2-Me-4-OCF ₂ H	OMe	2-Me-4-OCF ₂ H
Br	2-Me-4-SCF ₃	I	2-Me-4-SCF ₃	OMe	2-Me-4-SCF ₃
Br	2-Me-4-SCF ₂ H	I	2-Me-4-SCF ₂ H	OMe	2-Me-4-SCF ₂ H
Br	2-Me-4-SO ₂ CF ₃	I	2-Me-4-SO ₂ CF ₃	OMe	2-Me-4-SO ₂ CF ₃
CF ₃	2,4-di-Me	NO ₂	2,4-di-Me	SMe	2,4-di-Me
CF ₃	2-Me-4-OMe	NO ₂	2-Me-4-OMe	SMe	2-Me-4-OMe
CF ₃	2-Me-4-SMe	NO ₂	2-Me-4-SMe	SMe	2-Me-4-SMe
CF ₃	2-Me-4-SO ₂ Me	NO ₂	2-Me-4-SO ₂ Me	SMe	2-Me-4-SO ₂ Me
CF ₃	2-Me-4-F	NO ₂	2-Me-4-F	SMe	2-Me-4-F
CF ₃	2-Me-4-Cl	NO ₂	2-Me-4-Cl	SMe	2-Me-4-Cl
CF ₃	2-Me-4-Br	NO ₂	2-Me-4-Br	SMe	2-Me-4-Br
CF ₃	2-Me-4-I	NO ₂	2-Me-4-I	SMe	2-Me-4-I
CF ₃	2-Me-4-CF ₃	NO ₂	2-Me-4-CF ₃	SMe	2-Me-4-CF ₃
CF ₃	2-Me-4-OCF ₃	NO ₂	2-Me-4-OCF ₃	SMe	2-Me-4-OCF ₃
CF ₃	2-Me-4-OCF ₂ H	NO ₂	2-Me-4-OCF ₂ H	SMe	2-Me-4-OCF ₂ H
CF ₃	2-Me-4-SCF ₃	NO ₂	2-Me-4-SCF ₃	SMe	2-Me-4-SCF ₃
CF ₃	2-Me-4-SCF ₂ H	NO ₂	2-Me-4-SCF ₂ H	SMe	2-Me-4-SCF ₂ H
CF ₃	2-Me-4-SO ₂ CF ₃	NO ₂	2-Me-4-SO ₂ CF ₃	SMe	2-Me-4-SO ₂ CF ₃

Table 3



R ⁴	R ⁵	R ⁴	R ⁵	R ⁴	R ⁵
Me	2-Me	Me	3-Me	Me	4-Me
Me	2-Et	Me	3-Et	Me	4-Et
Me	2- <i>i</i> -Bu	Me	3- <i>i</i> -Bu	Me	4- <i>i</i> -Bu
Me	2-OMe	Me	3-OMe	Me	4-OMe
Me	2-OEt	Me	3-OEt	Me	4-OEt
Me	2-SMe	Me	3-SMe	Me	4-SMe
Me	2-SO ₂ Me	Me	3-SO ₂ Me	Me	4-SO ₂ Me
Me	2-F	Me	3-F	Me	4-F
Me	2-Cl	Me	3-Cl	Me	4-Cl
Me	2-Br	Me	3-Br	Me	4-Br
Me	2-I	Me	3-I	Me	4-I
Me	2-CF ₃	Me	3-CF ₃	Me	4-CF ₃
Me	2-OCF ₃	Me	3-OCF ₃	Me	4-OCF ₃
Me	2-OCF ₂ H	Me	3-OCF ₂ H	Me	4-OCF ₂ H
Me	2-OCF ₂ CF ₂ H	Me	3-OCF ₂ CF ₂ H	Me	4-OCF ₂ CF ₂ H
Me	2-SCF ₃	Me	3-SCF ₃	Me	4-SCF ₃
Me	2-SCF ₂ H	Me	3-SCF ₂ H	Me	4-SCF ₂ H
Me	2-SO ₂ CF ₃	Me	3-SO ₂ CF ₃	Me	4-SO ₂ CF ₃
Me	2-SOCF ₂ H	Me	3-SOCF ₂ H	Me	4-SOCF ₂ H
Cl	2-Me	Cl	3-Me	Cl	4-Me
Cl	2-Et	Cl	3-Et	Cl	4-Et
Cl	2- <i>i</i> -Bu	Cl	3- <i>i</i> -Bu	Cl	4- <i>i</i> -Bu
Cl	2-OMe	Cl	3-OMe	Cl	4-OMe
Cl	2-OEt	Cl	3-OEt	Cl	4-OEt
Cl	2-SMe	Cl	3-SMe	Cl	4-SMe
Cl	2-SO ₂ Me	Cl	3-SO ₂ Me	Cl	4-SO ₂ Me

Cl	2-F	Cl	3-F	Cl	4-F
Cl	2-Cl	Cl	3-Cl	Cl	4-Cl
Cl	2-Br	Cl	3-Br	Cl	4-Br
Cl	2-I	Cl	3-I	Cl	4-I
Cl	2-CF ₃	Cl	3-CF ₃	Cl	4-CF ₃
Cl	2-OCF ₃	Cl	3-OCF ₃	Cl	4-OCF ₃
Cl	2-OCF ₂ H	Cl	3-OCF ₂ H	Cl	4-OCF ₂ H
Cl	2-OCF ₂ CF ₂ H	Cl	3-OCF ₂ CF ₂ H	Cl	4-OCF ₂ CF ₂ H
Cl	2-SCF ₃	Cl	3-SCF ₃	Cl	4-SCF ₃
Cl	2-SCF ₂ H	Cl	3-SCF ₂ H	Cl	4-SCF ₂ H
Cl	2-SO ₂ CF ₃	Cl	3-SO ₂ CF ₃	Cl	4-SO ₂ CF ₃
Cl	2-SOCF ₂ H	Cl	3-SOCF ₂ H	Cl	4-SOCF ₂ H
F	2-Me	F	3-Me	F	4-Me
F	2-Et	F	3-Et	F	4-Et
F	2- <i>i</i> -Bu	F	3- <i>i</i> -Bu	F	4- <i>i</i> -Bu
F	2-OMe	F	3-OMe	F	4-OMe
F	2-OEt	F	3-OEt	F	4-OEt
F	2-SMe	F	3-SMe	F	4-SMe
F	2-SO ₂ Me	F	3-SO ₂ Me	F	4-SO ₂ Me
F	2-F	F	3-F	F	4-F
F	2-Cl	F	3-Cl	F	4-Cl
F	2-Br	F	3-Br	F	4-Br
F	2-I	F	3-I	F	4-I
F	2-CF ₃	F	3-CF ₃	F	4-CF ₃
F	2-OCF ₃	F	3-OCF ₃	F	4-OCF ₃
F	2-OCF ₂ H	F	3-OCF ₂ H	F	4-OCF ₂ H
F	2-OCF ₂ CF ₂ H	F	3-OCF ₂ CF ₂ H	F	4-OCF ₂ CF ₂ H
F	2-SCF ₃	F	3-SCF ₃	F	4-SCF ₃
F	2-SCF ₂ H	F	3-SCF ₂ H	F	4-SCF ₂ H
F	2-SO ₂ CF ₃	F	3-SO ₂ CF ₃	F	4-SO ₂ CF ₃
F	2-SOCF ₂ H	F	3-SOCF ₂ H	F	4-SOCF ₂ H
Br	2-Me	Br	3-Me	Br	4-Me
Br	2-Et	Br	3-Et	Br	4-Et
Br	2- <i>i</i> -Bu	Br	3- <i>i</i> -Bu	Br	4- <i>i</i> -Bu
Br	2-OMe	Br	3-OMe	Br	4-OMe
Br	2-OEt	Br	3-OEt	Br	4-OEt
Br	2-SMe	Br	3-SMe	Br	4-SMe
Br	2-SO ₂ Me	Br	3-SO ₂ Me	Br	4-SO ₂ Me

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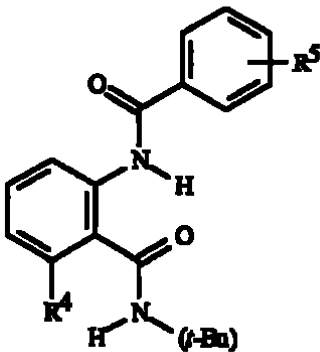
Br	2-F	Br	3-F	Br	4-F
Br	2-Cl	Br	3-Cl	Br	4-Cl
Br	2-Br	Br	3-Br	Br	4-Br
Br	2-I	Br	3-I	Br	4-I
Br	2-CF ₃	Br	3-CF ₃	Br	4-CF ₃
Br	2-OCF ₃	Br	3-OCF ₃	Br	4-OCF ₃
Br	2-OCF ₂ H	Br	3-OCF ₂ H	Br	4-OCF ₂ H
Br	2-OCF ₂ CF ₂ H	Br	3-OCF ₂ CF ₂ H	Br	4-OCF ₂ CF ₂ H
Br	2-SCF ₃	Br	3-SCF ₃	Br	4-SCF ₃
Br	2-SCF ₂ H	Br	3-SCF ₂ H	Br	4-SCF ₂ H
Br	2-SO ₂ CF ₃	Br	3-SO ₂ CF ₃	Br	4-SO ₂ CF ₃
Br	2-SOCF ₂ H	Br	3-SOCF ₂ H	Br	4-SOCF ₂ H
I	2-Me	I	3-Me	I	4-Me
I	2-Et	I	3-Et	I	4-Et
I	2- <i>t</i> -Bu	I	3- <i>t</i> -Bu	I	4- <i>t</i> -Bu
I	2-OMe	I	3-OMe	I	4-OMe
I	2-OEt	I	3-OEt	I	4-OEt
I	2-SMe	I	3-SMe	I	4-SMe
I	2-SO ₂ Me	I	3-SO ₂ Me	I	4-SO ₂ Me
I	2-F	I	3-F	I	4-F
I	2-Cl	I	3-Cl	I	4-Cl
I	2-Br	I	3-Br	I	4-Br
I	2-I	I	3-I	I	4-I
I	2-CF ₃	I	3-CF ₃	I	4-CF ₃
I	2-OCF ₃	I	3-OCF ₃	I	4-OCF ₃
I	2-OCF ₂ H	I	3-OCF ₂ H	I	4-OCF ₂ H
I	2-OCF ₂ CF ₂ H	I	3-OCF ₂ CF ₂ H	I	4-OCF ₂ CF ₂ H
I	2-SCF ₃	I	3-SCF ₃	I	4-SCF ₃
I	2-SCF ₂ H	I	3-SCF ₂ H	I	4-SCF ₂ H
I	2-SO ₂ CF ₃	I	3-SO ₂ CF ₃	I	4-SO ₂ CF ₃
I	2-SOCF ₂ H	I	3-SOCF ₂ H	I	4-SOCF ₂ H
OMe	2-Me	OMe	3-Me	OMe	4-Me
OMe	2-Et	OMe	3-Et	OMe	4-Et
OMe	2- <i>t</i> -Bu	OMe	3- <i>t</i> -Bu	OMe	4- <i>t</i> -Bu
OMe	2-OMe	OMe	3-OMe	OMe	4-OMe
OMe	2-OEt	OMe	3-OEt	OMe	4-OEt
OMe	2-SMe	OMe	3-SMe	OMe	4-SMe
OMe	2-SO ₂ Me	OMe	3-SO ₂ Me	OMe	4-SO ₂ Me

OMe	2-F	OMe	3-F	OMe	4-F
OMe	2-Cl	OMe	3-Cl	OMe	4-Cl
OMe	2-Br	OMe	3-Br	OMe	4-Br
OMe	2-I	OMe	3-I	OMe	4-I
OMe	2-CF ₃	OMe	3-CF ₃	OMe	4-CF ₃
OMe	2-OCF ₃	OMe	3-OCF ₃	OMe	4-OCF ₃
OMe	2-OCF ₂ H	OMe	3-OCF ₂ H	OMe	4-OCF ₂ H
OMe	2-OCF ₂ CF ₂ H	OMe	3-OCF ₂ CF ₂ H	OMe	4-OCF ₂ CF ₂ H
OMe	2-SCF ₃	OMe	3-SCF ₃	OMe	4-SCF ₃
OMe	2-SCF ₂ H	OMe	3-SCF ₂ H	OMe	4-SCF ₂ H
OMe	2-SO ₂ CF ₃	OMe	3-SO ₂ CF ₃	OMe	4-SO ₂ CF ₃
OMe	2-SOCF ₂ H	OMe	3-SOCF ₂ H	OMe	4-SOCF ₂ H
CF ₃	2-Me	CF ₃	3-Me	CF ₃	4-Me
CF ₃	2-Et	CF ₃	3-Et	CF ₃	4-Et
CF ₃	2- <i>i</i> -Bu	CF ₃	3- <i>i</i> -Bu	CF ₃	4- <i>i</i> -Bu
CF ₃	2-OMe	CF ₃	3-OMe	CF ₃	4-OMe
CF ₃	2-OEt	CF ₃	3-OEt	CF ₃	4-OEt
CF ₃	2-SMe	CF ₃	3-SMe	CF ₃	4-SMe
CF ₃	2-SO ₂ Me	CF ₃	3-SO ₂ Me	CF ₃	4-SO ₂ Me
CF ₃	2-F	CF ₃	3-F	CF ₃	4-F
CF ₃	2-Cl	CF ₃	3-Cl	CF ₃	4-Cl
CF ₃	2-Br	CF ₃	3-Br	CF ₃	4-Br
CF ₃	2-I	CF ₃	3-I	CF ₃	4-I
CF ₃	2-CF ₃	CF ₃	3-CF ₃	CF ₃	4-CF ₃
CF ₃	2-OCF ₃	CF ₃	3-OCF ₃	CF ₃	4-OCF ₃
CF ₃	2-OCF ₂ H	CF ₃	3-OCF ₂ H	CF ₃	4-OCF ₂ H
CF ₃	2-OCF ₂ CF ₂ H	CF ₃	3-OCF ₂ CF ₂ H	CF ₃	4-OCF ₂ CF ₂ H
CF ₃	2-SCF ₃	CF ₃	3-SCF ₃	CF ₃	4-SCF ₃
CF ₃	2-SCF ₂ H	CF ₃	3-SCF ₂ H	CF ₃	4-SCF ₂ H
CF ₃	2-SO ₂ CF ₃	CF ₃	3-SO ₂ CF ₃	CF ₃	4-SO ₂ CF ₃
CF ₃	2-SOCF ₂ H	CF ₃	3-SOCF ₂ H	CF ₃	4-SOCF ₂ H
Me	2,4-di-Me	F	2,4-di-Me	Cl	2,4-di-Me
Me	2-Me-4-OMe	F	2-Me-4-OMe	Cl	2-Me-4-OMe
Me	2-Me-4-SMe	F	2-Me-4-SMe	Cl	2-Me-4-SMe
Me	2-Me-4-SO ₂ Me	F	2-Me-4-SO ₂ Me	Cl	2-Me-4-SO ₂ Me
Me	2-Me-4-F	F	2-Me-4-F	Cl	2-Me-4-F
Me	2-Me-4-Cl	F	2-Me-4-Cl	Cl	2-Me-4-Cl
Me	2-Me-4-Br	F	2-Me-4-Br	Cl	2-Me-4-Br

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Me	2-Me-4-I	F	2-Me-4-I	Cl	2-Me-4-I
Me	2-Me-4-CF ₃	F	2-Me-4-CF ₃	Cl	2-Me-4-CF ₃
Me	2-Me-4-OCF ₃	F	2-Me-4-OCF ₃	Cl	2-Me-4-OCF ₃
Me	2-Me-4-OCF ₂ H	F	2-Me-4-OCF ₂ H	Cl	2-Me-4-OCF ₂ H
Me	2-Me-4-SCF ₃	F	2-Me-4-SCF ₃	Cl	2-Me-4-SCF ₃
Me	2-Me-4-SCF ₂ H	F	2-Me-4-SCF ₂ H	Cl	2-Me-4-SCF ₂ H
Me	2-Me-4-SO ₂ CF ₃	F	2-Me-4-SO ₂ CF ₃	Cl	2-Me-4-SO ₂ CF ₃
Br	2,4-di-Me	I	2,4-di-Me	OMe	2,4-di-Me
Br	2-Me-4-OMe	I	2-Me-4-OMe	OMe	2-Me-4-OMe
Br	2-Me-4-SMe	I	2-Me-4-SMe	OMe	2-Me-4-SMe
Br	2-Me-4-SO ₂ Me	I	2-Me-4-SO ₂ Me	OMe	2-Me-4-SO ₂ Me
Br	2-Me-4-F	I	2-Me-4-F	OMe	2-Me-4-F
Br	2-Me-4-Cl	I	2-Me-4-Cl	OMe	2-Me-4-Cl
Br	2-Me-4-Br	I	2-Me-4-Br	OMe	2-Me-4-Br
Br	2-Me-4-I	I	2-Me-4-I	OMe	2-Me-4-I
Br	2-Me-4-CF ₃	I	2-Me-4-CF ₃	OMe	2-Me-4-CF ₃
Br	2-Me-4-OCF ₃	I	2-Me-4-OCF ₃	OMe	2-Me-4-OCF ₃
Br	2-Me-4-OCF ₂ H	I	2-Me-4-OCF ₂ H	OMe	2-Me-4-OCF ₂ H
Br	2-Me-4-SCF ₃	I	2-Me-4-SCF ₃	OMe	2-Me-4-SCF ₃
Br	2-Me-4-SCF ₂ H	I	2-Me-4-SCF ₂ H	OMe	2-Me-4-SCF ₂ H
Br	2-Me-4-SO ₂ CF ₃	I	2-Me-4-SO ₂ CF ₃	OMe	2-Me-4-SO ₂ CF ₃
CF ₃	2,4-di-Me	NO ₂	2,4-di-Me	SMe	2,4-di-Me
CF ₃	2-Me-4-OMe	NO ₂	2-Me-4-OMe	SMe	2-Me-4-OMe
CF ₃	2-Me-4-SMe	NO ₂	2-Me-4-SMe	SMe	2-Me-4-SMe
CF ₃	2-Me-4-SO ₂ Me	NO ₂	2-Me-4-SO ₂ Me	SMe	2-Me-4-SO ₂ Me
CF ₃	2-Me-4-F	NO ₂	2-Me-4-F	SMe	2-Me-4-F
CF ₃	2-Me-4-Cl	NO ₂	2-Me-4-Cl	SMe	2-Me-4-Cl
CF ₃	2-Me-4-Br	NO ₂	2-Me-4-Br	SMe	2-Me-4-Br
CF ₃	2-Me-4-I	NO ₂	2-Me-4-I	SMe	2-Me-4-I
CF ₃	2-Me-4-CF ₃	NO ₂	2-Me-4-CF ₃	SMe	2-Me-4-CF ₃
CF ₃	2-Me-4-OCF ₃	NO ₂	2-Me-4-OCF ₃	SMe	2-Me-4-OCF ₃
CF ₃	2-Me-4-OCF ₂ H	NO ₂	2-Me-4-OCF ₂ H	SMe	2-Me-4-OCF ₂ H
CF ₃	2-Me-4-SCF ₃	NO ₂	2-Me-4-SCF ₃	SMe	2-Me-4-SCF ₃
CF ₃	2-Me-4-SCF ₂ H	NO ₂	2-Me-4-SCF ₂ H	SMe	2-Me-4-SCF ₂ H
CF ₃	2-Me-4-SO ₂ CF ₃	NO ₂	2-Me-4-SO ₂ CF ₃	SMe	2-Me-4-SO ₂ CF ₃

Table 4



R^4	R^5	R^4	R^5	R^4	R^5
Me	2-Me	Me	3-Me	Me	4-Me
Me	2-Et	Me	3-Et	Me	4-Et
Me	2-t-Bu	Me	3-t-Bu	Me	4-t-Bu
Me	2-OMe	Me	3-OMe	Me	4-OMe
Me	2-OEt	Me	3-OEt	Me	4-OEt
Me	2-SMe	Me	3-SMe	Me	4-SMe
Me	2-SO ₂ Me	Me	3-SO ₂ Me	Me	4-SO ₂ Me
Me	2-F	Me	3-F	Me	4-F
Me	2-Cl	Me	3-Cl	Me	4-Cl
Me	2-Br	Me	3-Br	Me	4-Br
Me	2-I	Me	3-I	Me	4-I
Me	2-CF ₃	Me	3-CF ₃	Me	4-CF ₃
Me	2-OCF ₃	Me	3-OCF ₃	Me	4-OCF ₃
Me	2-OCF ₂ H	Me	3-OCF ₂ H	Me	4-OCF ₂ H
Me	2-OCF ₂ CF ₂ H	Me	3-OCF ₂ CF ₂ H	Me	4-OCF ₂ CF ₂ H
Me	2-SCF ₃	Me	3-SCF ₃	Me	4-SCF ₃
Me	2-SCF ₂ H	Me	3-SCF ₂ H	Me	4-SCF ₂ H
Me	2-SO ₂ CF ₃	Me	3-SO ₂ CF ₃	Me	4-SO ₂ CF ₃
Me	2-SOCF ₂ H	Me	3-SOCF ₂ H	Me	4-SOCF ₂ H
Cl	2-Me	Cl	3-Me	Cl	4-Me
Cl	2-Et	Cl	3-Et	Cl	4-Et
Cl	2-t-Bu	Cl	3-t-Bu	Cl	4-t-Bu
Cl	2-OMe	Cl	3-OMe	Cl	4-OMe
Cl	2-OEt	Cl	3-OEt	Cl	4-OEt
Cl	2-SMe	Cl	3-SMe	Cl	4-SMe
Cl	2-SO ₂ Me	Cl	3-SO ₂ Me	Cl	4-SO ₂ Me

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Cl	2-F	Cl	3-F	Cl	4-F
Cl	2-Cl	Cl	3-Cl	Cl	4-Cl
Cl	2-Br	Cl	3-Br	Cl	4-Br
Cl	2-I	Cl	3-I	Cl	4-I
Cl	2-CF ₃	Cl	3-CF ₃	Cl	4-CF ₃
Cl	2-OCF ₃	Cl	3-OCF ₃	Cl	4-OCF ₃
Cl	2-OCF ₂ H	Cl	3-OCF ₂ H	Cl	4-OCF ₂ H
Cl	2-OCF ₂ CF ₂ H	Cl	3-OCF ₂ CF ₂ H	Cl	4-OCF ₂ CF ₂ H
Cl	2-SCF ₃	Cl	3-SCF ₃	Cl	4-SCF ₃
Cl	2-SCF ₂ H	Cl	3-SCF ₂ H	Cl	4-SCF ₂ H
Cl	2-SO ₂ CF ₃	Cl	3-SO ₂ CF ₃	Cl	4-SO ₂ CF ₃
Cl	2-SOCF ₂ H	Cl	3-SOCF ₂ H	Cl	4-SOCF ₂ H
F	2-Me	F	3-Me	F	4-Me
F	2-Et	F	3-Et	F	4-Et
F	2- <i>t</i> -Bu	F	3- <i>t</i> -Bu	F	4- <i>t</i> -Bu
F	2-OMe	F	3-OMe	F	4-OMe
F	2-OEt	F	3-OEt	F	4-OEt
F	2-SMe	F	3-SMe	F	4-SMe
F	2-SO ₂ Me	F	3-SO ₂ Me	F	4-SO ₂ Me
F	2-F	F	3-F	F	4-F
F	2-Cl	F	3-Cl	F	4-Cl
F	2-Br	F	3-Br	F	4-Br
F	2-I	F	3-I	F	4-I
F	2-CF ₃	F	3-CF ₃	F	4-CF ₃
F	2-OCF ₃	F	3-OCF ₃	F	4-OCF ₃
F	2-OCF ₂ H	F	3-OCF ₂ H	F	4-OCF ₂ H
F	2-OCF ₂ CF ₂ H	F	3-OCF ₂ CF ₂ H	F	4-OCF ₂ CF ₂ H
F	2-SCF ₃	F	3-SCF ₃	F	4-SCF ₃
F	2-SCF ₂ H	F	3-SCF ₂ H	F	4-SCF ₂ H
F	2-SO ₂ CF ₃	F	3-SO ₂ CF ₃	F	4-SO ₂ CF ₃
F	2-SOCF ₂ H	F	3-SOCF ₂ H	F	4-SOCF ₂ H
Br	2-Me	Br	3-Me	Br	4-Me
Br	2-Et	Br	3-Et	Br	4-Et
Br	2- <i>t</i> -Bu	Br	3- <i>t</i> -Bu	Br	4- <i>t</i> -Bu
Br	2-OMe	Br	3-OMe	Br	4-OMe
Br	2-OEt	Br	3-OEt	Br	4-OEt
Br	2-SMe	Br	3-SMe	Br	4-SMe
Br	2-SO ₂ Me	Br	3-SO ₂ Me	Br	4-SO ₂ Me

Br	2-F	Br	3-F	Br	4-F
Br	2-Cl	Br	3-Cl	Br	4-Cl
Br	2-Br	Br	3-Br	Br	4-Br
Br	2-I	Br	3-I	Br	4-I
Br	2-CF ₃	Br	3-CF ₃	Br	4-CF ₃
Br	2-OCF ₃	Br	3-OCF ₃	Br	4-OCF ₃
Br	2-OCF ₂ H	Br	3-OCF ₂ H	Br	4-OCF ₂ H
Br	2-OCF ₂ CF ₂ H	Br	3-OCF ₂ CF ₂ H	Br	4-OCF ₂ CF ₂ H
Br	2-SCF ₃	Br	3-SCF ₃	Br	4-SCF ₃
Br	2-SCF ₂ H	Br	3-SCF ₂ H	Br	4-SCF ₂ H
Br	2-SO ₂ CF ₃	Br	3-SO ₂ CF ₃	Br	4-SO ₂ CF ₃
Br	2-SOCF ₂ H	Br	3-SOCF ₂ H	Br	4-SOCF ₂ H
I	2-Me	I	3-Me	I	4-Me
I	2-Et	I	3-Et	I	4-Et
I	2- <i>t</i> -Bu	I	3- <i>t</i> -Bu	I	4- <i>t</i> -Bu
I	2-OMe	I	3-OMe	I	4-OMe
I	2-OEt	I	3-OEt	I	4-OEt
I	2-SMe	I	3-SMe	I	4-SMe
I	2-SO ₂ Me	I	3-SO ₂ Me	I	4-SO ₂ Me
I	2-F	I	3-F	I	4-F
I	2-Cl	I	3-Cl	I	4-Cl
I	2-Br	I	3-Br	I	4-Br
I	2-I	I	3-I	I	4-I
I	2-CF ₃	I	3-CF ₃	I	4-CF ₃
I	2-OCF ₃	I	3-OCF ₃	I	4-OCF ₃
I	2-OCF ₂ H	I	3-OCF ₂ H	I	4-OCF ₂ H
I	2-OCF ₂ CF ₂ H	I	3-OCF ₂ CF ₂ H	I	4-OCF ₂ CF ₂ H
I	2-SCF ₃	I	3-SCF ₃	I	4-SCF ₃
I	2-SCF ₂ H	I	3-SCF ₂ H	I	4-SCF ₂ H
I	2-SO ₂ CF ₃	I	3-SO ₂ CF ₃	I	4-SO ₂ CF ₃
I	2-SOCF ₂ H	I	3-SOCF ₂ H	I	4-SOCF ₂ H
OMe	2-Me	OMe	3-Me	OMe	4-Me
OMe	2-Et	OMe	3-Et	OMe	4-Et
OMe	2- <i>t</i> -Bu	OMe	3- <i>t</i> -Bu	OMe	4- <i>t</i> -Bu
OMe	2-OMe	OMe	3-OMe	OMe	4-OMe
OMe	2-OEt	OMe	3-OEt	OMe	4-OEt
OMe	2-SMe	OMe	3-SMe	OMe	4-SMe
OMe	2-SO ₂ Me	OMe	3-SO ₂ Me	OMe	4-SO ₂ Me

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OMe	2-F	OMe	3-F	OMe	4-F
OMe	2-Cl	OMe	3-Cl	OMe	4-Cl
OMe	2-Br	OMe	3-Br	OMe	4-Br
OMe	2-I	OMe	3-I	OMe	4-I
OMe	2-CF ₃	OMe	3-CF ₃	OMe	4-CF ₃
OMe	2-OCF ₃	OMe	3-OCF ₃	OMe	4-OCF ₃
OMe	2-OCF ₂ H	OMe	3-OCF ₂ H	OMe	4-OCF ₂ H
OMe	2-OCF ₂ CF ₂ H	OMe	3-OCF ₂ CF ₂ H	OMe	4-OCF ₂ CF ₂ H
OMe	2-SCF ₃	OMe	3-SCF ₃	OMe	4-SCF ₃
OMe	2-SCF ₂ H	OMe	3-SCF ₂ H	OMe	4-SCF ₂ H
OMe	2-SO ₂ CF ₃	OMe	3-SO ₂ CF ₃	OMe	4-SO ₂ CF ₃
OMe	2-SOCF ₂ H	OMe	3-SOCF ₂ H	OMe	4-SOCF ₂ H
CF ₃	2-Me	CF ₃	3-Me	CF ₃	4-Me
CF ₃	2-Et	CF ₃	3-Et	CF ₃	4-Et
CF ₃	2- <i>i</i> -Bu	CF ₃	3- <i>i</i> -Bu	CF ₃	4- <i>i</i> -Bu
CF ₃	2-OMe	CF ₃	3-OMe	CF ₃	4-OMe
CF ₃	2-OEt	CF ₃	3-OEt	CF ₃	4-OEt
CF ₃	2-SMe	CF ₃	3-SMe	CF ₃	4-SMe
CF ₃	2-SO ₂ Me	CF ₃	3-SO ₂ Me	CF ₃	4-SO ₂ Me
CF ₃	2-F	CF ₃	3-F	CF ₃	4-F
CF ₃	2-Cl	CF ₃	3-Cl	CF ₃	4-Cl
CF ₃	2-Br	CF ₃	3-Br	CF ₃	4-Br
CF ₃	2-I	CF ₃	3-I	CF ₃	4-I
CF ₃	2-CF ₃	CF ₃	3-CF ₃	CF ₃	4-CF ₃
CF ₃	2-OCF ₃	CF ₃	3-OCF ₃	CF ₃	4-OCF ₃
CF ₃	2-OCF ₂ H	CF ₃	3-OCF ₂ H	CF ₃	4-OCF ₂ H
CF ₃	2-OCF ₂ CF ₂ H	CF ₃	3-OCF ₂ CF ₂ H	CF ₃	4-OCF ₂ CF ₂ H
CF ₃	2-SCF ₃	CF ₃	3-SCF ₃	CF ₃	4-SCF ₃
CF ₃	2-SCF ₂ H	CF ₃	3-SCF ₂ H	CF ₃	4-SCF ₂ H
CF ₃	2-SO ₂ CF ₃	CF ₃	3-SO ₂ CF ₃	CF ₃	4-SO ₂ CF ₃
CF ₃	2-SOCF ₂ H	CF ₃	3-SOCF ₂ H	CF ₃	4-SOCF ₂ H
Me	2,4-di-Me	F	2,4-di-Me	Cl	2,4-di-Me
Me	2-Me-4-OMe	F	2-Me-4-OMe	Cl	2-Me-4-OMe
Me	2-Me-4-SMe	F	2-Me-4-SMe	Cl	2-Me-4-SMe
Me	2-Me-4-SO ₂ Me	F	2-Me-4-SO ₂ Me	Cl	2-Me-4-SO ₂ Me
Me	2-Me-4-F	F	2-Me-4-F	Cl	2-Me-4-F
Me	2-Me-4-Cl	F	2-Me-4-Cl	Cl	2-Me-4-Cl
Me	2-Me-4-Br	F	2-Me-4-Br	Cl	2-Me-4-Br

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Me	2-Me-4-I	F	2-Me-4-I	Cl	2-Me-4-I
Me	2-Me-4-CF ₃	F	2-Me-4-CF ₃	Cl	2-Me-4-CF ₃
Me	2-Me-4-OCF ₃	F	2-Me-4-OCF ₃	Cl	2-Me-4-OCF ₃
Me	2-Me-4-OCF ₂ H	F	2-Me-4-OCF ₂ H	Cl	2-Me-4-OCF ₂ H
Me	2-Me-4-SCF ₃	F	2-Me-4-SCF ₃	Cl	2-Me-4-SCF ₃
Me	2-Me-4-SCF ₂ H	F	2-Me-4-SCF ₂ H	Cl	2-Me-4-SCF ₂ H
Me	2-Me-4-SO ₂ CF ₃	F	2-Me-4-SO ₂ CF ₃	Cl	2-Me-4-SO ₂ CF ₃
Br	2,4-di-Me	I	2,4-di-Me	OMe	2,4-di-Me
Br	2-Me-4-OMe	I	2-Me-4-OMe	OMe	2-Me-4-OMe
Br	2-Me-4-SMe	I	2-Me-4-SMe	OMe	2-Me-4-SMe
Br	2-Me-4-SO ₂ Me	I	2-Me-4-SO ₂ Me	OMe	2-Me-4-SO ₂ Me
Br	2-Me-4-F	I	2-Me-4-F	OMe	2-Me-4-F
Br	2-Me-4-Cl	I	2-Me-4-Cl	OMe	2-Me-4-Cl
Br	2-Me-4-Br	I	2-Me-4-Br	OMe	2-Me-4-Br
Br	2-Me-4-I	I	2-Me-4-I	OMe	2-Me-4-I
Br	2-Me-4-CF ₃	I	2-Me-4-CF ₃	OMe	2-Me-4-CF ₃
Br	2-Me-4-OCF ₃	I	2-Me-4-OCF ₃	OMe	2-Me-4-OCF ₃
Br	2-Me-4-OCF ₂ H	I	2-Me-4-OCF ₂ H	OMe	2-Me-4-OCF ₂ H
Br	2-Me-4-SCF ₃	I	2-Me-4-SCF ₃	OMe	2-Me-4-SCF ₃
Br	2-Me-4-SCF ₂ H	I	2-Me-4-SCF ₂ H	OMe	2-Me-4-SCF ₂ H
Br	2-Me-4-SO ₂ CF ₃	I	2-Me-4-SO ₂ CF ₃	OMe	2-Me-4-SO ₂ CF ₃
CF ₃	2,4-di-Me	NO ₂	2,4-di-Me	SMe	2,4-di-Me
CF ₃	2-Me-4-OMe	NO ₂	2-Me-4-OMe	SMe	2-Me-4-OMe
CF ₃	2-Me-4-SMe	NO ₂	2-Me-4-SMe	SMe	2-Me-4-SMe
CF ₃	2-Me-4-SO ₂ Me	NO ₂	2-Me-4-SO ₂ Me	SMe	2-Me-4-SO ₂ Me
CF ₃	2-Me-4-F	NO ₂	2-Me-4-F	SMe	2-Me-4-F
CF ₃	2-Me-4-Cl	NO ₂	2-Me-4-Cl	SMe	2-Me-4-Cl
CF ₃	2-Me-4-Br	NO ₂	2-Me-4-Br	SMe	2-Me-4-Br
CF ₃	2-Me-4-I	NO ₂	2-Me-4-I	SMe	2-Me-4-I
CF ₃	2-Me-4-CF ₃	NO ₂	2-Me-4-CF ₃	SMe	2-Me-4-CF ₃
CF ₃	2-Me-4-OCF ₃	NO ₂	2-Me-4-OCF ₃	SMe	2-Me-4-OCF ₃
CF ₃	2-Me-4-OCF ₂ H	NO ₂	2-Me-4-OCF ₂ H	SMe	2-Me-4-OCF ₂ H
CF ₃	2-Me-4-SCF ₃	NO ₂	2-Me-4-SCF ₃	SMe	2-Me-4-SCF ₃
CF ₃	2-Me-4-SCF ₂ H	NO ₂	2-Me-4-SCF ₂ H	SMe	2-Me-4-SCF ₂ H
CF ₃	2-Me-4-SO ₂ CF ₃	NO ₂	2-Me-4-SO ₂ CF ₃	SMe	2-Me-4-SO ₂ CF ₃

Formulation/Utility

Compounds of this invention will generally be used as a formulation or composition with an agriculturally 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, and the like which can be water-dispersible ("wetable") 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.	5-90	0-94	1-15
Suspensions, Emulsions, Solutions (including Emulsifiable Concentrates)	5-50	40-95	0-15
Dusts	1-25	70-99	0-5
Granules and Pellets	0.01-99	5-99.99	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, and polyoxyethylene/polyoxypropylene block copolymers. 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, paraffins, alkylbenzenes, alkylnaphthalenes, 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, and alcohols such as methanol, cyclohexanol, decanol and tetrahydrofurfuryl alcohol.

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 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; and Hance et al., *Weed Control Handbook*, 8th Ed., Blackwell Scientific Publications, Oxford, 1989.

In the following Examples, all percentages are by weight and all formulations are prepared in conventional ways. Compound numbers refer to compounds in Index Tables A.

Example A

Wettable Powder

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

Example B

Granule

10	Compound 1	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

15	Compound 1	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 1	20.0%
	blend of oil soluble sulfonates and polyoxyethylene ethers	10.0%
25	isophorone	70.0%.

The compounds of this invention exhibit activity against a wide spectrum of foliar-feeding, fruit-feeding, stem or root feeding, seed-feeding, aquatic and soil-inhabiting arthropods (term "arthropods" includes insects, mites and nematodes) which are pests of growing and stored agronomic crops, forestry, greenhouse crops, ornamentals, nursery crops, stored food and fiber products, livestock, household, and public and animal health. Those skilled in the art will appreciate that not all compounds are equally effective against all growth stages of all pests. Nevertheless, all of the compounds of this invention display activity against pests that include: eggs, larvae and adults of the Order Lepidoptera; eggs, foliar-feeding, fruit-feeding, root-feeding, seed-feeding larvae and adults of the Order Coleoptera; eggs, immatures and adults of the Orders Hemiptera and Homoptera; eggs, larvae, nymphs and adults of the Order Acari; eggs, immatures and adults of the Orders Thysanoptera, Orthoptera and Dermaptera; eggs, immatures and adults of the Order Diptera;

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and eggs, juveniles and adults of the Phylum Nematoda. The compounds of this invention are also active against pests of the Orders Hymenoptera, Isoptera, Siphonaptera, Blattaria, Thysanura and Psocoptera; pests belonging to the Class Arachnida and Phylum Platyhelminthes. Specifically, the compounds are active against southern corn rootworm (*Diabrotica undecimpunctata howardi*), aster leafhopper (*Mascrostelus fascifrons*), boll weevil (*Anthonomus grandis*), two-spotted spider mite (*Tetranychus urticae*), fall armyworm (*Spodoptera frugiperda*), black bean aphid (*Aphis fabae*), green peach aphid (*Myzus persica*), cotton aphid (*Aphis gossypii*), Russian wheat aphid (*Diuraphis noxia*), English grain aphid (*Sitobion avenae*), tobacco budworm (*Heliothis virescens*), rice water weevil (*Lissorhoptrus oryzophilus*), rice leaf beetle (*Oulema oryzae*), whitebacked planthopper (*Sogatella furcifera*), green leafhopper (*Nephotettix cincticeps*), brown planthopper (*Nilaparvata lugens*), small brown planthopper (*Laodelphax striatellus*), rice stem borer (*Chilo suppressalis*), rice leafroller (*Cnaphalocrocis medinalis*), black rice stink bug (*Scotinophara lurida*), rice stink bug (*Oebalus pugnax*), rice bug (*Leptocoris chinensis*), slender rice bug (*Cletus punctiger*), and southern green stink bug (*Nezara viridula*). The compounds are active on mites, demonstrating ovicidal, larvicidal and chemosterilant activity against such families as Tetranychidae including *Tetranychus urticae*, *Tetranychus cinnabarinus*, *Tetranychus mcDanieli*, *Tetranychus pacificus*, *Tetranychus turkestanii*, *Byrobia rubrioculus*, *Panonychus ulmi*, *Panonychus citri*, *Eotetranychus carpinii borealis*, *Eotetranychus*, *hicoriae*, *Eotetranychus sexmaculatus*, *Eotetranychus yamensis*, *Eotetranychus banksi* and *Oligonychus pratensis*; Tenuipalpidae including *Brevipalpus lewisi*, *Brevipalpus phoenicis*, *Brevipalpus californicus* and *Brevipalpus obovatus*; Eriophyidae including *Phyllocoptruta oleivora*, *Eriophyes sheldoni*, *Aculus cornutus*, *Epitrimerus pyri* and *Eriophyes mangiferae*. See WO 90/10623 and WO 92/00673 for more detailed pest descriptions.

Compounds of this invention can also be mixed with one or more other insecticides, fungicides, nematocides, bactericides, acaricides, growth regulators, chemosterilants, semiochemicals, repellents, attractants, pheromones, feeding stimulants or other biologically active compounds to form a multi-component pesticide giving an even broader spectrum of agricultural protection. Examples of such agricultural protectants with which compounds of this invention can be formulated are: insecticides such as abamectin, acephate, azinphos-methyl, bifenthrin, buprofezin, carbofuran, chlorfenapyr, chlorpyrifos, chlorpyrifos-methyl, cyfluthrin, beta-cyfluthrin, cyhalothrin, lambda-cyhalothrin, deltamethrin, diafenthiuron, diazinon, diflubenzuron, dimethoate, esfenvalerate, fenoxycarb, fenpropathrin, fenvalerate, fipronil, flucythrinate, tau-fluvalinate, fonophos, imidacloprid, isofenphos, malathion, metaldehyde, methamidophos, methidathion, methomyl, methoprene, methoxychlor, methyl 7-chloro-2,5-dihydro-2-[[N-(methoxycarbonyl)-N-[4-(trifluoromethoxy)phenyl]amino]carbonyl]indeno[1,2-e][1,3,4]oxadiazine-4a(3H)-

carboxylate (DPX-JW062), monocrotophos, oxamyl, parathion, parathion-methyl, permethrin, phorate, phosalone, phosmet, phosphamidon, pirimicarb, profenofos, rotenone, sulprofos, tebufenozide, tefluthrin, terbufos, tetrachlorvinphos, thiodicarb, tralomethrin, trichlorfon and triflumuron; fungicides such as acibenzolar, azoxystrobin, binomial, blasticidin-S, Bordeaux mixture (Triassic copper sulfate), bromuconazole, capropamid (KTU 3616), captafol, captan, carbendazim, chloroneb, chlorothalonil, copper oxychloride, copper salts, cymoxanil, cyproconazole, cyprodinil (CGA 219417), (S)-3,5-dichloro-N-(3-chloro-1-ethyl-1-methyl-2-oxopropyl)-4-methylbenzamide (RH 7281), diclocymet (S-2900), diclomezine, dicloran, difenoconazole, (S)-3,5-dihydro-5-methyl-2-(methylthio)-5-phenyl-3-(phenylamino)-4H-imidazol-4-one (RP 407213), dimethomorph, diniconazole, diniconazole-M, dodine, edifenphos, epoxiconazole (BAS 480F), famoxadone, fenarimol, fenbuconazole, fencaramid (SZX0722), fenpiclonil, fenpropidin, fenpropimorph, fentin acetate, fentin hydroxide, fluazinam, fludioxonil, flumetover (RPA 403397), fluquinconazole, flusilazole, flutolanil, flutriafol, folpet, fosetyl-aluminum, furalaxyl, furametapyr (S-82658), hexaconazole, ipconazole, iprobenfos, iprodione, isoprothiolane, kasugamycin, kresoxim-methyl, mancozeb, maneb, mefenoxam, mepronil, metalaxyl, metconazole, metominostrobin/fenominostrobin (SSF-126), myclobutanil, neo-asozin (ferric methanearsonate), oxadixyl, penconazole, pencycuron, probenazole, prochloraz, propamocarb, propiconazole, pyrifenoxy, pyrimethanil, pyroquilon, quinoxifen, spiroxamine, sulfur, tebuconazole, tetraconazole, thiabendazole, thifluzamide, thiophanate-methyl, thiram, triadimefon, triadimenol, tricyclazole, triticonazole, validamycin and vinclozolin; nematocides such as aldoxycarb and fenamiphos; bactericides such as streptomycin; acaricides such as amitraz, chinomethionat, chlorobenzilate, cyhexatin, dicofol, dienochlor, etoxazole, fenazaquin, fenbutatin oxide, fenpropathrin, fenpyroximate, hexythiazox, propargite, pyridaben and tebufenpyrad; and biological agents such as *Bacillus thuringiensis*, *Bacillus thuringiensis* delta endotoxin, baculovirus, and entomopathogenic bacteria, virus and fungi.

In certain instances, combinations with other arthropodicides having a similar spectrum of control but a different mode of action will be particularly advantageous for resistance management.

Arthropod pests are controlled and protection of agronomic, horticultural and specialty crops, animal and human health is achieved by applying one or more of the compounds of this invention, in an 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 further comprises a method for the control of foliar and soil inhabiting arthropods and nematode pests and protection of agronomic and/or nonagronomic crops, comprising applying one or more of the compounds of the invention, or compositions containing at least one such compound, in an effective

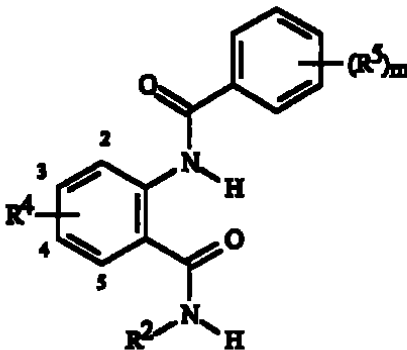
amount, to the environment of the pests including the agronomic and/or nonagronomic locus of infestation, to the area to be protected, or directly on the pests to be controlled. A preferred method of application is by spraying. Alternatively, granular formulations of these compounds can be applied to the plant foliage or the soil. Other methods of application include direct and residual sprays, aerial sprays, seed coats, microencapsulations, systemic uptake, baits, ear tags, boluses, foggers, fumigants, aerosols, dusts and many others. The compounds can be incorporated into baits that are consumed by the arthropods or in devices such as traps and the like.

The compounds of this invention can be applied in their pure state, but most often application will be of a formulation comprising one or more compounds with suitable carriers, diluents, and surfactants and possibly in combination with a food depending on the contemplated end use. A preferred method of application involves spraying a water dispersion or refined oil solution of the compounds. Combinations with spray oils, spray oil concentrations, spreader stickers, adjuvants, other solvents, and synergists such as piperonyl butoxide often enhance compound efficacy.

The rate of application required for effective control will depend on such factors as the species of arthropod 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 ingredient per hectare are sufficient to control pests in agronomic ecosystems, but as little as 0.001 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.

The following TEST demonstrate the control efficacy of compounds of this invention on specific pests. "Control efficacy" represents inhibition of arthropod 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 for compound descriptions. The following abbreviations are used in the Index Tables which follow: *t* = tertiary, *n* = normal, *i* = iso, Me = methyl, Et = ethyl, Pr = propyl, *i*-Pr = isopropyl, Bu = butyl, OMe = methoxy, OEt = ethoxy, SMe = methylthio, SEt = ethylthio, CN = cyano, and NO₂ = nitro. The abbreviation "Ex." stands for "Example" and is followed by a number indicating in which example the compound is prepared.

INDEX TABLE A



Compound	R ²	R ⁴	m	R ⁵	m.p. °C
1 (Ex. 1)	<i>i</i> -Pr	2-Me	1	4-OCF ₃	207-209
2	<i>i</i> -Pr	2-Me	2	2,4-di-F	204-205
3	<i>i</i> -Pr	3-Cl	1	2-CF ₃	195-196
4	<i>i</i> -Pr	3-Cl	2	2-Me-4-CF ₃	182-184
5	<i>i</i> -Pr	2-Me	1	4-CF ₃	238-240
6	<i>i</i> -Pr	2-Me	1	3-OMe	190-191
7	<i>i</i> -Pr	2-Me	1	4- <i>t</i> -Bu	156-158
8	<i>i</i> -Pr	2-Me	1	4-Br	>250
9	<i>i</i> -Pr	2-Me	1	4-CO ₂ Me	216-217
10	<i>i</i> -Pr	2-Me	1	3-NO ₂	230-233
11	<i>i</i> -Pr	2-Me	1	4-Et	208-209
12	<i>i</i> -Pr	2-Me	3	2,4,6-tri-F	253-254
13	<i>i</i> -Pr	2-Me	1	4- <i>n</i> -Pent	150-152
14	<i>i</i> -Pr	2-Me	2	2,6-di-F	228-229
15	<i>i</i> -Pr	2-Me	2	3-CF ₃ -4-F	223-225
16	<i>i</i> -Pr	2-Me	2	3,5-di-F	232-235
17	<i>i</i> -Pr	2-Me	1	4-I	225-228
18	<i>i</i> -Pr	2-Me	1	3-CN	237-239
19	<i>i</i> -Pr	2-Me	1	2-OCF ₃	191-193
20	<i>i</i> -Pr	2-Me	1	3-Cl	216-218
21	<i>i</i> -Pr	2-Me	1	4-F	224-226
22	<i>i</i> -Pr	2-Me	1	2-F	185-188
23	<i>i</i> -Pr	2-Me	1	3-Me	209-210
24	<i>i</i> -Bu	2-Me	1	4-Br	207-213
25	<i>i</i> -Bu	2-Me	1	4-OCF ₃	163-167
26	<i>i</i> -Bu	2-Me	1	4- <i>n</i> -Pent	122-126

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27	<i>t</i> -Bu	2-Me	1	4-CO ₂ Me	164-169
28	<i>i</i> -Pr	2-Cl	1	4-CO ₂ Me	224-225
29	<i>t</i> -Bu	2-Me	1	4-I	201-203
30	<i>t</i> -Bu	2-Me	1	2-OCF ₃	203-204
31	<i>t</i> -Bu	2-Me	2	3,5-di-Cl	168-171
32	<i>t</i> -Bu	2-Me	1	4- <i>t</i> -Bu	175-178
33	<i>t</i> -Bu	2-Me	1	4-Et	175-178
34	<i>t</i> -Bu	2-Me	1	3-NO ₂	193-195
35	<i>t</i> -Bu	2-Me	2	2,6-di-F	>230
36	<i>t</i> -Bu	2-Me	2	3-CF ₃ -4-F	198-199
37	<i>t</i> -Bu	2-Me	2	3,5-di-F	196-202
38	<i>t</i> -Bu	2-Me	1	3-Cl	189-194
39	<i>t</i> -Bu	2-Me	1	4-F	217-219

BIOLOGICAL EXAMPLES OF THE INVENTION

TEST A

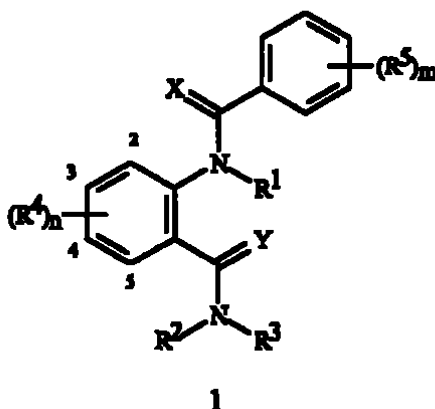
Test units, consisting of a single radish seed planted in a small self contained unit and grown to approximately 5-7 cm in height, were prepared. Test units were infested by placing on the lip of each test unit diet pieces each containing approximately 10 diamond back moth larvae. A cap was placed on each test unit, and solutions of the test compounds were sprayed at 1 mL volume into each unit. Test compounds were sprayed at a concentration of 250 ppm and each was replicated three times. Test units were evaluated at 6 days post treatment. Of the compounds tested, the following killed all diamond back moth larvae: 1 and 2.

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CLAIMS

What is claimed is:

1. A method for controlling arthropods comprising contacting the arthropods or their environment with an arthropodically effective amount of a compound of Formula 1



wherein

X and Y are independently O or S;

m is 1 to 5;

n is 1 to 4;

R¹ is H; or C₁-C₆ alkyl, C₂-C₆ alkenyl, C₂-C₆ alkynyl or C₃-C₆ cycloalkyl each optionally substituted with one or more substituents selected from the group consisting of halogen, CN, NO₂, hydroxy, C₁-C₄ alkoxy, C₁-C₄ alkylthio, C₁-C₄ alkylsulfinyl, C₁-C₄ alkylsulfonyl, C₂-C₄ alkoxycarbonyl, C₁-C₄ alkylamino, C₂-C₈ dialkylamino and C₃-C₆ cycloalkylamino; or

R¹ is C₂-C₆ alkylcarbonyl, C₂-C₆ alkoxycarbonyl, C₂-C₆ alkylaminocarbonyl or C₃-C₆ dialkylaminocarbonyl;

R² is H, C₁-C₆ alkyl, C₂-C₆ alkenyl, C₂-C₆ alkynyl, C₃-C₆ cycloalkyl, C₁-C₄ alkoxy, C₁-C₄ alkylamino, C₂-C₈ dialkylamino, C₃-C₆ cycloalkylamino, C₂-C₆ alkoxycarbonyl or C₂-C₆ alkylcarbonyl;

R³ is H; or C₁-C₆ alkyl, C₂-C₆ alkenyl, C₂-C₆ alkynyl or C₃-C₆ cycloalkyl each optionally substituted with one or more substituents selected from the group consisting of halogen, CN, NO₂, hydroxy, C₁-C₄ alkoxy, C₁-C₄ alkylthio, C₁-C₄ alkylsulfinyl and C₁-C₄ alkylsulfonyl;

R² and R³ can be 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 of nitrogen, sulfur or oxygen, said ring may be optionally substituted with 1 to 4 substituents selected from the group consisting of C₁-C₂ alkyl, halogen, CN, NO₂ and C₁-C₂ alkoxy; and

each R⁴ and R⁵ are independently H, C₁-C₆ alkyl, C₂-C₆ alkenyl, C₂-C₆ alkynyl, C₃-C₆ cycloalkyl, C₁-C₆ haloalkyl, C₂-C₆ haloalkenyl, C₂-C₆ haloalkynyl, C₃-C₆ halocycloalkyl, halogen, CN, CO₂H, CONH₂, NO₂, hydroxy, C₁-C₄ alkoxy, C₁-C₄ haloalkoxy, C₁-C₄ alkylthio, C₁-C₄ alkylsulfinyl, C₁-C₄ alkylsulfonyl, C₁-C₄ haloalkylthio, C₁-C₄ haloalkylsulfinyl, C₁-C₄ haloalkylsulfonyl, C₁-C₄ alkoxycarbonyl, C₁-C₄ alkylamino, C₂-C₈ dialkylamino, C₃-C₆ cycloalkylamino, C₂-C₆ alkylcarbonyl, C₂-C₆ alkoxycarbonyl, C₂-C₆ alkylaminocarbonyl, C₃-C₈ dialkylaminocarbonyl, C₃-C₆ trialkylsilyl; or each R⁴ and R⁵ are independently phenyl optionally substituted with C₁-C₄ alkyl, C₂-C₄ alkenyl, C₂-C₄ alkynyl, C₃-C₆ cycloalkyl, C₁-C₄ haloalkyl, C₂-C₄ haloalkenyl, C₂-C₄ haloalkynyl, C₃-C₆ halocycloalkyl, halogen, CN, NO₂, C₁-C₄ alkoxy, C₁-C₄ haloalkoxy, C₁-C₄ alkylthio, C₁-C₄ alkylsulfinyl, C₁-C₄ alkylsulfonyl, C₁-C₄ alkoxycarbonyl, C₁-C₄ alkylamino, C₂-C₈ dialkylamino, C₃-C₆ cycloalkylamino, C₃-C₆ (alkyl)cycloalkylamino, C₂-C₄ alkylcarbonyl, C₂-C₆ alkoxycarbonyl, C₂-C₆ alkylaminocarbonyl, C₃-C₈ dialkylaminocarbonyl or C₃-C₆ trialkylsilyl.

2. The method of Claim 1 wherein

X and Y are O;

m is 1 to 3;

n is 1 to 2;

R¹ is H, C₁-C₄ alkyl, C₂-C₄ alkenyl, C₂-C₄ alkynyl, C₃-C₆ cycloalkyl, C₂-C₆ alkylcarbonyl or C₂-C₆ alkoxycarbonyl;

one of the R⁴ groups is substituted to the phenyl ring at the 2- or 5-position, and said R⁴ is C₁-C₄ alkyl, C₁-C₄ haloalkyl, halogen, CN, NO₂, C₁-C₄ alkoxy, C₁-C₄ haloalkoxy, C₁-C₄ alkylthio, C₁-C₄ alkylsulfinyl, C₁-C₄ alkylsulfonyl, C₁-C₄ haloalkylthio, C₁-C₄ haloalkylsulfinyl, C₁-C₄ haloalkylsulfonyl or C₂-C₄ alkoxycarbonyl; and

R⁵ is H, C₁-C₄ alkyl, C₁-C₄ haloalkyl, halogen, CN, NO₂, C₁-C₄ alkoxy, C₁-C₄ haloalkoxy, C₁-C₄ alkylthio, C₁-C₄ alkylsulfinyl, C₁-C₄ alkylsulfonyl, C₁-C₄ haloalkylthio, C₁-C₄ haloalkylsulfinyl, C₁-C₄ haloalkylsulfonyl or C₂-C₄ alkoxycarbonyl.

3. The method of Claim 1 wherein

X and Y are O;

m is 1 to 3;

n is 1 to 2;

each R^4 and R^5 are independently C_1 - C_4 alkyl, C_1 - C_4 haloalkyl, halogen, CN, NO_2 , C_1 - C_4 alkoxy, C_1 - C_4 haloalkoxy, C_1 - C_4 alkylthio, C_1 - C_4 alkylsulfinyl, C_1 - C_4 alkylsulfonyl, C_1 - C_4 haloalkylthio, C_1 - C_4 haloalkylsulfinyl, C_1 - C_4 haloalkylsulfonyl or C_2 - C_4 alkoxy-carbonyl; and one of the R^5 substituents is ortho to the amide linkage.

4. The method of Claim 1 wherein

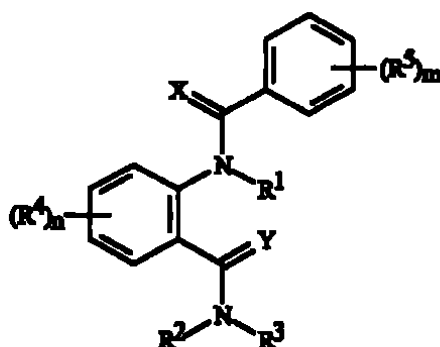
X and Y are O;

R^2 is H; and

R^3 is *t*-propyl or *t*-butyl.

5. The method of Claim 1 wherein the compound of Formula 1 is applied in combination with at least one of the following: surfactant, solid or liquid diluent.

6. A compound of Formula 1



1

wherein

X and Y are O;

m is 1 to 5;

n is 1 to 4;

R^1 is H; or C_1 - C_6 alkyl, C_2 - C_6 alkenyl, C_2 - C_6 alkynyl or C_3 - C_6 cycloalkyl each optionally substituted with one or more substituents selected from the group consisting of halogen, CN, NO_2 , hydroxy, C_1 - C_4 alkoxy, C_1 - C_4 alkylthio, C_1 - C_4 alkylsulfinyl, C_1 - C_4 alkylsulfonyl, C_2 - C_4 alkoxy-carbonyl, C_1 - C_4 alkylamino, C_2 - C_6 dialkylamino and C_3 - C_6 cycloalkylamino; or

R^1 is C_2 - C_6 alkylcarbonyl, C_2 - C_6 alkoxy-carbonyl, C_2 - C_6 alkylaminocarbonyl or C_3 - C_6 dialkylaminocarbonyl;

R^2 is H, C₁-C₆ alkyl, C₂-C₆ alkenyl, C₂-C₆ alkynyl, C₃-C₆ cycloalkyl, C₁-C₄ alkoxy, C₁-C₄ alkylamino, C₂-C₈ dialkylamino, C₃-C₆ cycloalkylamino, C₂-C₆ alkoxycarbonyl or C₂-C₆ alkylcarbonyl

R^3 is *i*-propyl or *t*-butyl; and

each R^4 and R^5 are independently H, C₁-C₆ alkyl, C₂-C₆ alkenyl, C₂-C₆ alkynyl, C₃-C₆ cycloalkyl, C₁-C₆ haloalkyl, C₂-C₆ haloalkenyl, C₂-C₆ haloalkynyl, C₃-C₆ halocycloalkyl, halogen, CN, CO₂H, CONH₂, NO₂, hydroxy, C₁-C₄ alkoxy, C₁-C₄ haloalkoxy, C₁-C₄ alkylthio, C₁-C₄ alkylsulfinyl, C₁-C₄ alkylsulfonyl, C₁-C₄ haloalkylthio, C₁-C₄ haloalkylsulfinyl, C₁-C₄ haloalkylsulfonyl, C₁-C₄ alkoxycarbonyl, C₁-C₄ alkylamino, C₂-C₈ dialkylamino, C₃-C₆ cycloalkylamino, C₂-C₆ alkylcarbonyl, C₂-C₆ alkoxycarbonyl, C₂-C₆ alkylaminocarbonyl, C₃-C₈ dialkylaminocarbonyl, C₃-C₆ trialkylsilyl; or each R^4 and R^5 are independently phenyl optionally substituted with C₁-C₄ alkyl, C₂-C₄ alkenyl, C₂-C₄ alkynyl, C₃-C₆ cycloalkyl, C₁-C₄ haloalkyl, C₂-C₄ haloalkenyl, C₂-C₄ haloalkynyl, C₃-C₆ halocycloalkyl, halogen, CN, NO₂, C₁-C₄ alkoxy, C₁-C₄ haloalkoxy, C₁-C₄ alkylthio, C₁-C₄ alkylsulfinyl, C₁-C₄ alkylsulfonyl, C₁-C₄ alkoxycarbonyl, C₁-C₄ alkylamino, C₂-C₈ dialkylamino, C₃-C₆ cycloalkylamino, C₃-C₆ (alkyl)cycloalkylamino, C₂-C₄ alkylcarbonyl, C₂-C₆ alkoxycarbonyl, C₂-C₆ alkylaminocarbonyl, C₃-C₈ dialkylaminocarbonyl or C₃-C₆ trialkylsilyl.

7. The compound of Claim 6 wherein

X and Y are O;

m is 1 to 3;

n is 1 to 2;

R^1 is H, C₁-C₄ alkyl, C₂-C₄ alkenyl, C₂-C₄ alkynyl, C₃-C₆ cycloalkyl, C₂-C₆ alkylcarbonyl or C₂-C₆ alkoxycarbonyl;

one of the R^4 groups is substituted to the phenyl ring at the 2- or 5-position, and said

R^4 is H, C₁-C₄ alkyl, C₁-C₄ haloalkyl, halogen, CN, NO₂, C₁-C₄ alkoxy, C₁-C₄ haloalkoxy, C₁-C₄ alkylthio, C₁-C₄ alkylsulfinyl, C₁-C₄ alkylsulfonyl, C₁-C₄ haloalkylthio, C₁-C₄ haloalkylsulfinyl, C₁-C₄ haloalkylsulfonyl or C₂-C₄ alkoxycarbonyl; and

R^5 is H, C₁-C₄ alkyl, C₁-C₄ haloalkyl, halogen, CN, NO₂, C₁-C₄ alkoxy, C₁-C₄ haloalkoxy, C₁-C₄ alkylthio, C₁-C₄ alkylsulfinyl, C₁-C₄ alkylsulfonyl, C₁-C₄ haloalkylthio, C₁-C₄ haloalkylsulfinyl, C₁-C₄ haloalkylsulfonyl or C₂-C₄ alkoxycarbonyl.

8. The compound of Claim 6 wherein

X and Y are O;

m is 1 to 3;

n is 1 to 2;

each R⁴ and R⁵ are independently C₁-C₄ alkyl, C₁-C₄ haloalkyl, halogen, CN, NO₂,

5 C₁-C₄ alkoxy, C₁-C₄ haloalkoxy, C₁-C₄ alkylthio, C₁-C₄ alkylsulfinyl, C₁-C₄ alkylsulfonyl, C₁-C₄ haloalkylthio, C₁-C₄ haloalkylsulfinyl, C₁-C₄ haloalkylsulfonyl or C₂-C₄ alkoxycarbonyl; and one of the R⁵ substituents is ortho to the amide linkage.

10 9. The compound of Claim 6 which is selected from the group consisting of:

(a) 3-methyl-N-(1-methylethyl)-2-[[4-

(trifluoromethoxy)benzoyl]amino]benzamide; and

(b) 2-[(2,4-difluorobenzoyl)amino]-3-methyl-N-(1-methylethyl)benzamide.

15 10. An arthropodicial composition comprising an arthropodically effective amount of a compound according to any one of Claims 6 to 9 and at least one of a surfactant, a solid diluent, or a liquid diluent.

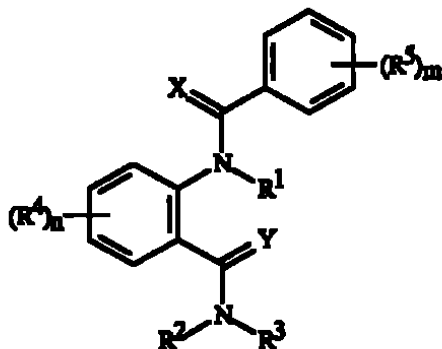
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INSECTICIDAL ANTHRANILAMIDES

ABSTRACT OF THE DISCLOSURE

This invention provides a method for controlling arthropods comprising contacting the
5 arthropods or their environment with an arthropodically effective amount of a compound of
Formula 1



1

wherein

X, Y, R¹, R², R³, R⁴, R⁵, m and n are as defined in the disclosure.

Also disclosed are compositions containing the compounds of Formula 1 and novel
10 compounds of Formula 1.

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APPLICATION NUMBER: 60/220,232

FILING DATE: July 24, 2000



By Authority of the
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BOX PROVISIONAL PATENT APPLICATION
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07-25-00

PTO/SB/16 (6/93)

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A/PROV

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PROVISIONAL APPLICATION FOR PATENT COVER SHEET

A request for filing a PROVISIONAL APPLICATION FOR PATENT under 37 CFR 1.53(c).

Docket Number	BA9263 US PRV1	Type a plus sign (+) inside this box →	+
Express Mail Label Number	EL073739512US		
Express Mailing Date	JULY 24, 2000		

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TITLE OF THE INVENTION (200 characters max)

INSECTICIDAL ANTHRANILAMIDES

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ENCLOSED APPLICATION PARTS (check all that apply)

☒ Specification	Number of Pages including claims & abstract (if present)	67	☐ Other (specify)	
☐ Drawings	Number of Sheets			

METHOD OF PAYMENT

☒ The Commissioner is hereby authorized to charge filing fees and credit Deposit Account Number:	04-1928	PROVISIONAL FILING FEE AMOUNT (\$)	\$150.00

The invention was made by an agency of the United States Government or under a contract with an agency of the United States Government.

- ☒ No
☐ Yes, the name of the U.S. Government agency and the Government contract number are:
Agency: _____
Contract No.: _____

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TITLE

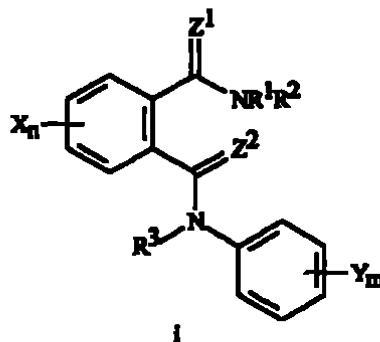
INSECTICIDAL ANTHRANILAMIDES

BACKGROUND OF THE INVENTION

This invention relates to certain anthranilamides, their *N*-oxides, agriculturally suitable salts and compositions, and methods of their use as arthropodicides in both agronomic and nonagronomic environments.

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

EP919542 discloses phthalic acid diamides of Formula i as insecticides



wherein, *inter alia*,

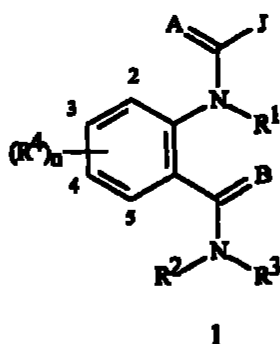
Z^1 and Z^2 are O or S; and

R^1 , R^2 and R^3 are, among others, H, alkyl or substituted alkyl.

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

SUMMARY OF THE INVENTION

This invention pertains to compounds of Formula 1, their *N*-oxides and agriculturally suitable salts.



wherein

A and B are independently O or S;

J is a phenyl group substituted with 1 to 2 R⁵ and optionally substituted with 1 to 3 R⁶,

or a 5- or 6-membered heteroaromatic ring optionally substituted with 1 to 4 R⁷;

n is 1 to 4;

R¹ is H; or C₁-C₆ alkyl, C₂-C₆ alkenyl, C₂-C₆ alkynyl or C₃-C₆ cycloalkyl each optionally substituted with one or more substituents selected from the group consisting of halogen, CN, NO₂, hydroxy, C₁-C₄ alkoxy, C₁-C₄ alkylthio, C₁-C₄ alkylsulfinyl, C₁-C₄ alkylsulfonyl, C₂-C₄ alkoxycarbonyl, C₁-C₄ alkylamino, C₂-C₆ dialkylamino and C₃-C₆ cycloalkylamino; or

R¹ is C₂-C₆ alkylcarbonyl, C₂-C₆ alkoxycarbonyl, C₂-C₆ alkylaminocarbonyl or C₃-C₆ dialkylaminocarbonyl;

R² is H, C₁-C₆ alkyl, C₂-C₆ alkenyl, C₂-C₆ alkynyl, C₃-C₆ cycloalkyl, C₁-C₄ alkoxy, C₁-C₄ alkylamino, C₂-C₆ dialkylamino, C₃-C₆ cycloalkylamino, C₂-C₆ alkoxycarbonyl or C₂-C₆ alkylcarbonyl;

R³ is H; or C₁-C₆ alkyl, C₂-C₆ alkenyl, C₂-C₆ alkynyl or C₃-C₆ cycloalkyl each optionally substituted with one or more substituents selected from the group consisting of halogen, CN, NO₂, hydroxy, C₁-C₄ alkoxy, C₁-C₄ alkylthio, C₁-C₄ alkylsulfinyl and C₁-C₄ alkylsulfonyl; or

R² and R³ can be 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 of nitrogen, sulfur or oxygen, said ring may be optionally substituted with 1 to 4 substituents selected from the group consisting of C₁-C₂ alkyl, halogen, CN, NO₂ and C₁-C₂ alkoxy;

R⁴ is H, C₁-C₆ alkyl, C₂-C₆ alkenyl, C₂-C₆ alkynyl, C₃-C₆ cycloalkyl, C₁-C₆ haloalkyl, C₂-C₆ haloalkenyl, C₂-C₆ haloalkynyl, C₃-C₆ halocycloalkyl, halogen, CN, CO₂H, CONH₂, NO₂, hydroxy, C₁-C₄ alkoxy, C₁-C₄ haloalkoxy, C₁-C₄ alkylthio, C₁-C₄ alkylsulfinyl, C₁-C₄ alkylsulfonyl, C₁-C₄ haloalkylthio, C₁-C₄ haloalkylsulfinyl, C₁-C₄ haloalkylsulfonyl, C₁-C₄ alkoxycarbonyl, C₁-C₄

alkylamino, C₂-C₈ dialkylamino, C₃-C₆ cycloalkylamino, C₂-C₆ alkylcarbonyl, C₂-C₆ alkoxy carbonyl, C₂-C₆ alkylaminocarbonyl, C₃-C₈ dialkylaminocarbonyl, C₃-C₆ trialkylsilyl; or

R⁴ is phenyl, benzyl or phenoxy, each optionally substituted with C₁-C₄ alkyl, C₂-C₄ alkenyl, C₂-C₄ alkynyl, C₃-C₆ cycloalkyl, C₁-C₄ haloalkyl, C₂-C₄ haloalkenyl, C₂-C₄ haloalkynyl, C₃-C₆ halocycloalkyl, halogen, CN, NO₂, C₁-C₄ alkoxy, C₁-C₄ haloalkoxy, C₁-C₄ alkylthio, C₁-C₄ alkylsulfinyl, C₁-C₄ alkylsulfonyl C₁-C₄ alkoxy carbonyl, C₁-C₄ alkylamino, C₂-C₈ dialkylamino, C₃-C₆ cycloalkylamino, C₃-C₆ (alkyl)cycloalkylamino, C₂-C₄ alkylcarbonyl, C₂-C₆ alkoxy carbonyl, C₂-C₆ alkylaminocarbonyl, C₃-C₈ dialkylaminocarbonyl or C₃-C₆ trialkylsilyl;

R⁵ is C₁-C₆ haloalkyl, C₂-C₆ haloalkenyl, C₂-C₆ haloalkynyl, C₃-C₆ halocycloalkyl, C₁-C₄ haloalkoxy, C₁-C₄ alkylthio, C₁-C₄ alkylsulfinyl, C₁-C₄ alkylsulfonyl, C₁-C₄ haloalkylthio, C₁-C₄ haloalkylsulfinyl, C₁-C₄ haloalkylsulfonyl, CN, NO₂, C₁-C₄ alkoxy carbonyl, C₁-C₄ alkylamino, C₂-C₈ dialkylamino, C₃-C₆ cycloalkylamino, C₂-C₆ alkylcarbonyl, C₂-C₆ alkoxy carbonyl, C₂-C₆ alkylaminocarbonyl, or C₃-C₈ dialkylaminocarbonyl; or

(R⁵)₂ attached to adjacent carbon atoms can be taken together as -OCF₂O-, -CF₂CF₂O-, or -OCF₂CF₂O-;

R⁶ is H, halogen, C₁-C₆ alkyl, C₂-C₆ alkenyl, C₂-C₆ alkynyl, C₃-C₆ cycloalkyl, C₁-C₄ alkoxy; or

R⁶ is phenyl, benzyl or phenoxy, each optionally substituted with C₁-C₄ alkyl, C₂-C₄ alkenyl, C₂-C₄ alkynyl, C₃-C₆ cycloalkyl, C₁-C₄ haloalkyl, C₂-C₄ haloalkenyl, C₂-C₄ haloalkynyl, C₃-C₆ halocycloalkyl, halogen, CN, NO₂, C₁-C₄ alkoxy, C₁-C₄ haloalkoxy, C₁-C₄ alkylthio, C₁-C₄ alkylsulfinyl, C₁-C₄ alkylsulfonyl C₁-C₄ alkoxy carbonyl, C₁-C₄ alkylamino, C₂-C₈ dialkylamino, C₃-C₆ cycloalkylamino, C₃-C₆ (alkyl)cycloalkylamino, C₂-C₄ alkylcarbonyl, C₂-C₆ alkoxy carbonyl, C₂-C₆ alkylaminocarbonyl, C₃-C₈ dialkylaminocarbonyl or C₃-C₆ trialkylsilyl;

R⁷ is H, C₁-C₆ alkyl, C₂-C₆ alkenyl, C₂-C₆ alkynyl, C₃-C₆ cycloalkyl, C₁-C₆ haloalkyl, C₂-C₆ haloalkenyl, C₂-C₆ haloalkynyl, C₃-C₆ halocycloalkyl, halogen, CN, CO₂H, CONH₂, NO₂, hydroxy, C₁-C₄ alkoxy, C₁-C₄ haloalkoxy, C₁-C₄ alkylthio, C₁-C₄ alkylsulfinyl, C₁-C₄ alkylsulfonyl, C₁-C₄ haloalkylthio, C₁-C₄ haloalkylsulfinyl, C₁-C₄ haloalkylsulfonyl, C₁-C₄ alkoxy carbonyl, C₁-C₄ alkylamino, C₂-C₈ dialkylamino, C₃-C₆ cycloalkylamino, C₂-C₆ alkylcarbonyl, C₂-C₆ alkoxy carbonyl, C₂-C₆ alkylaminocarbonyl, C₃-C₈ dialkylaminocarbonyl, C₃-C₆ trialkylsilyl; or

R⁷ is phenyl, benzyl or phenoxy, each optionally substituted with C₁-C₄ alkyl, C₂-C₄ alkenyl, C₂-C₄ alkynyl, C₃-C₆ cycloalkyl, C₁-C₄ haloalkyl, C₂-C₄ haloalkenyl, C₂-C₄ haloalkynyl, C₃-C₆ halocycloalkyl, halogen, CN, NO₂, C₁-C₄ alkoxy, C₁-C₄ haloalkoxy, C₁-C₄ alkylthio, C₁-C₄ alkylsulfinyl, C₁-C₄ alkylsulfonyl, C₁-C₄ alkoxy carbonyl, C₁-C₄ alkylamino, C₂-C₆ dialkylamino, C₃-C₆ cycloalkylamino, C₃-C₆ (alkyl)cycloalkylamino, C₂-C₄ alkylcarbonyl, C₂-C₆ alkoxy carbonyl, C₂-C₆ alkylaminocarbonyl, C₃-C₆ dialkylaminocarbonyl or C₃-C₆ trialkylamino.

This invention is also directed to a method for controlling arthropods comprising contacting the arthropods or their environment with an arthropodically effective amount of a compound of Formula 1.

This invention also pertains to arthropodicidal compositions comprising an arthropodically effective amount of a compound of Formula 1 and at least one additional component selected from the group consisting of surfactants, solid diluents and liquid diluents.

DETAILS OF THE INVENTION

In the above recitations, the term "alkyl", used either alone or in compound words such as "alkylthio" or "haloalkyl" includes straight-chain or branched alkyl, such as, methyl, ethyl, *n*-propyl, *i*-propyl, or the different butyl, pentyl or hexyl isomers. The term "1-2 alkyl" indicates that one or two of the available positions for that substituent may be alkyl. "Alkenyl" includes straight-chain or branched alkenes such as 1-propenyl, 2-propenyl, and the different butenyl, pentenyl and hexenyl isomers. "Alkynyl" includes straight-chain or branched alkynes such as 1-propynyl, 2-propynyl and the different butynyl, pentynyl and hexynyl isomers. "Alkynyl" can also include moieties comprised of multiple triple bonds such as 2,5-hexadiynyl. "Alkoxy" includes, for example, methoxy, ethoxy, *n*-propyloxy, isopropyloxy and the different butoxy, pentoxy and hexyloxy isomers. "Alkoxyalkyl" denotes alkoxy substitution on alkyl. Examples of "alkoxyalkyl" include CH₃OCH₂, CH₃OCH₂CH₂, CH₃CH₂OCH₂, CH₃CH₂CH₂CH₂OCH₂ and CH₃CH₂OCH₂CH₂. "Alkylthio" includes branched or straight-chain alkylthio moieties such as methylthio, ethylthio, and the different propylthio, butylthio, pentylthio and hexylthio isomers. "Cycloalkyl" includes, for example, cyclopropyl, cyclobutyl, cyclopentyl and cyclohexyl.

The term "heteroaromatic ring" denotes fully aromatic rings in which at least one ring atom is not carbon and 1 to 4 heteroatoms independently selected from the group consisting of nitrogen, oxygen and sulfur, provided that each heteroaromatic ring contains no more than 4 nitrogens, no more than 2 oxygens and no more than 2 sulfurs (where aromatic indicates

that the Hückel rule is satisfied). The heteroaromatic ring can be attached through any available carbon or nitrogen by replacement of hydrogen on said carbon or nitrogen.

The term "halogen", either alone or in compound words such as "haloalkyl", includes fluorine, chlorine, bromine or iodine. Further, when used in compound words such as "haloalkyl", said alkyl may be partially or fully substituted with halogen atoms which may be the same or different. Examples of "haloalkyl" include F_3C , $ClCH_2$, CF_3CH_2 and CF_3CCl_2 . The terms "haloalkenyl", "haloalkynyl", "haloalkoxy", and the like, are defined analogously to the term "haloalkyl". Examples of "haloalkenyl" include $(Cl)_2C=CHCH_2$ and $CF_3CH_2CH=CHCH_2$. Examples of "haloalkynyl" include $HC\equiv CCHCl$, $CF_3C\equiv C$, $CCl_3C\equiv C$ and $FCH_2C\equiv CCH_2$. Examples of "haloalkoxy" include CF_3O , CCl_3CH_2O , $HCF_2CH_2CH_2O$ and CF_3CH_2O .

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 6. For example, C_1-C_3 alkylsulfonyl designates methylsulfonyl through propylsulfonyl; 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 $CH_3CH_2OCH_2CH_2$. In the above recitations, when a compound of Formula 1 contains a heterocyclic ring, all substituents are attached to this ring through any available carbon or nitrogen by replacement of a hydrogen on said carbon or nitrogen.

When a group contains a substituent which can be hydrogen, for example R^3 , then, when this substituent is taken as hydrogen, it is recognized that this is equivalent to said group being unsubstituted.

Compounds of this invention can exist as one or more stereoisomers. The various stereoisomers include enantiomers, diastereomers, atropisomers and geometric isomers. One skilled in the art will appreciate that one stereoisomer may be more active and/or may exhibit beneficial effects when enriched relative to the other stereoisomer(s) or when separated from the other stereoisomer(s). Additionally, the skilled artisan knows how to separate, enrich, and/or to selectively prepare said stereoisomers. Accordingly, the present invention comprises compounds selected from Formula 1, *N*-oxides and agriculturally suitable salts thereof. The compounds of the invention may be present as a mixture of stereoisomers, individual stereoisomers, or as an optically active form.

One skilled in the art will appreciate that not all nitrogen containing heterocycles can form *N*-oxides since the nitrogen requires an available lone pair for oxidation to the oxide; one skilled in the art will recognize those nitrogen containing heterocycles which can form *N*-oxides. One skilled in the art will also recognize that tertiary amines can form *N*-oxides. Synthetic methods for the preparation of *N*-oxides of heterocycles and tertiary amines are

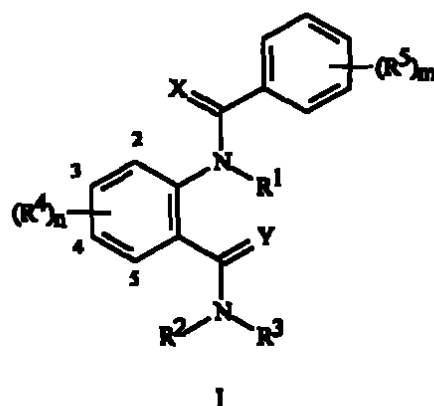
very well known by one skilled in the art including the oxidation of heterocycles and tertiary amines with peroxy acids such as peracetic and *m*-chloroperoxybenzoic acid (MCPBA), hydrogen peroxide, alkyl hydroperoxides such as *t*-butyl hydroperoxide, sodium perborate, and dioxiranes such as dimethyldioxirane. These methods for the preparation of *N*-oxides

5 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 18-19, 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 139-151, A. R. Katritzky, 10 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.

15 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.

Of note are certain compounds of Formula I



20 wherein

X and Y are O;

m is 1 to 5;

n is 1 to 4;

25 R¹ is H; or C₁-C₆ alkyl, C₂-C₆ alkenyl, C₂-C₆ alkynyl or C₃-C₆ cycloalkyl each optionally substituted with one or more substituents selected from the group consisting of halogen, CN, NO₂, hydroxy, C₁-C₄ alkoxy, C₁-C₄ alkylthio, C₁-C₄ alkylsulfinyl, C₁-C₄ alkylsulfonyl, C₂-C₄ alkoxy carbonyl, C₁-C₄ alkylamino, C₂-C₈ dialkylamino and C₃-C₆ cycloalkylamino; or

R^1 is C_2-C_6 alkylcarbonyl, C_2-C_6 alkoxy carbonyl, C_2-C_6 alkylaminocarbonyl or C_3-C_8 dialkylaminocarbonyl;

R^2 is H, C_1-C_6 alkyl, C_2-C_6 alkenyl, C_2-C_6 alkynyl, C_3-C_6 cycloalkyl, C_1-C_4 alkoxy, C_1-C_4 alkylamino, C_2-C_8 dialkylamino, C_3-C_6 cycloalkylamino, C_2-C_6 alkoxy carbonyl or C_2-C_6 alkylcarbonyl

R^3 is *i*-propyl or *t*-butyl; and

each R^4 and R^5 are independently H, C_1-C_6 alkyl, C_2-C_6 alkenyl, C_2-C_6 alkynyl, C_3-C_6 cycloalkyl, C_1-C_6 haloalkyl, C_2-C_6 haloalkenyl, C_2-C_6 haloalkynyl, C_3-C_6 halocycloalkyl, halogen, CN, CO_2H , $CONH_2$, NO_2 , hydroxy, C_1-C_4 alkoxy, C_1-C_4 haloalkoxy, C_1-C_4 alkylthio, C_1-C_4 alkylsulfinyl, C_1-C_4 alkylsulfonyl, C_1-C_4 haloalkylthio, C_1-C_4 haloalkylsulfinyl, C_1-C_4 haloalkylsulfonyl, C_1-C_4 alkoxy carbonyl, C_1-C_4 alkylamino, C_2-C_8 dialkylamino, C_3-C_6 cycloalkylamino, C_2-C_6 alkylcarbonyl, C_2-C_6 alkoxy carbonyl, C_2-C_6 alkylaminocarbonyl, C_3-C_8 dialkylaminocarbonyl, C_3-C_6 trialkylsilyl; or

each R^4 and R^5 are independently phenyl optionally substituted with C_1-C_4 alkyl, C_2-C_4 alkenyl, C_2-C_4 alkynyl, C_3-C_6 cycloalkyl, C_1-C_4 haloalkyl, C_2-C_4 haloalkenyl, C_2-C_4 haloalkynyl, C_3-C_6 halocycloalkyl, halogen, CN, NO_2 , C_1-C_4 alkoxy, C_1-C_4 haloalkoxy, C_1-C_4 alkylthio, C_1-C_4 alkylsulfinyl, C_1-C_4 alkylsulfonyl, C_1-C_4 alkoxy carbonyl, C_1-C_4 alkylamino, C_2-C_8 dialkylamino, C_3-C_6 cycloalkylamino, C_3-C_6 (alkyl)cycloalkylamino, C_2-C_4 alkylcarbonyl, C_2-C_6 alkoxy carbonyl, C_2-C_6 alkylaminocarbonyl, C_3-C_8 dialkylaminocarbonyl or C_3-C_6 trialkylsilyl.

Preferred compounds for reasons of better activity and/or ease of synthesis are:

Preferred 1. Compounds of Formula 1 wherein J is a phenyl group substituted with 1 to 2 R^5 and optionally substituted with 1 to 3 R^6 .

Preferred 2. Compounds of Preferred 1 wherein

A and B are O;

n is 1 to 2;

R^1 is H, C_1-C_4 alkyl, C_2-C_4 alkenyl, C_2-C_4 alkynyl, C_3-C_6 cycloalkyl, C_2-C_6 alkylcarbonyl or C_2-C_6 alkoxy carbonyl;

R^2 is H, C_1-C_4 alkyl, C_2-C_4 alkenyl, C_2-C_4 alkynyl, C_3-C_6 cycloalkyl, C_2-C_6 alkylcarbonyl or C_2-C_6 alkoxy carbonyl;

R^3 is H; or C_2-C_6 alkyl, C_2-C_6 alkenyl, C_2-C_6 alkynyl or C_3-C_6 cycloalkyl each optionally substituted with one or more substituents selected from the group consisting of halogen, CN, C_1-C_2 alkoxy, C_1-C_2 alkylthio, C_1-C_2 alkylsulfinyl and C_1-C_2 alkylsulfonyl;

one of the R^4 groups is attached to the phenyl ring at the 2- or 5-position, and said R^4 is C_1 - C_4 alkyl, C_1 - C_4 haloalkyl, halogen, CN, NO_2 , C_1 - C_4 alkoxy, C_1 - C_4 haloalkoxy, C_1 - C_4 alkylthio, C_1 - C_4 alkylsulfinyl, C_1 - C_4 alkylsulfonyl, C_1 - C_4 haloalkylthio, C_1 - C_4 haloalkylsulfinyl, C_1 - C_4 haloalkylsulfonyl or C_2 - C_4 alkoxycarbonyl; and

R^5 is C_1 - C_4 haloalkyl, CN, NO_2 , C_1 - C_4 haloalkoxy, C_1 - C_4 alkylthio, C_1 - C_4 alkylsulfinyl, C_1 - C_4 alkylsulfonyl, C_1 - C_4 haloalkylthio, C_1 - C_4 haloalkylsulfinyl, C_1 - C_4 haloalkylsulfonyl or C_2 - C_4 alkoxycarbonyl; or

$(R^5)_2$ attached to adjacent carbon atoms can be taken together as $-OCF_2O-$, $-CF_2CF_2O-$ or $-OCF_2CF_2O-$; and

R^6 is H, halogen, C_1 - C_4 alkyl, C_1 - C_2 alkoxy or C_2 - C_4 alkoxycarbonyl.

Preferred 3. Compounds of Preferred 2 wherein

R^1 and R^2 are H;

R^3 is C_3 - C_4 alkyl optionally substituted with halogen, CN, OCH_3 , $S(O)_pCH_3$; each R^4 is independently CH_3 , CF_3 , OCF_3 , $OCHF_2$, $S(O)_pCF_3$, $S(O)_pCHF_2$

or halogen;

each R^5 is independently CF_3 , OCF_3 , $OCHF_2$, $S(O)_pCF_3$, $S(O)_pCHF_2$;

R^6 is halogen or methyl; and

p is 0, 1 or 2.

Preferred 4. Compounds of Preferred 3 wherein R^3 is *i*-propyl or *t*-butyl.

Preferred 5. Compounds of Formula 1 wherein J is a 5- or 6-membered heteroaromatic ring optionally substituted with 1 to 4 R^7 .

Preferred 6. Compounds of Preferred 5 wherein

A and B are O;

n is 1 to 2;

R^1 is H, C_1 - C_4 alkyl, C_2 - C_4 alkenyl, C_2 - C_4 alkynyl, C_2 - C_6 alkylcarbonyl or C_2 - C_6 alkoxycarbonyl;

R^2 is H, C_1 - C_4 alkyl, C_2 - C_4 alkenyl, C_2 - C_4 alkynyl, C_3 - C_6 cycloalkyl, C_2 - C_6 alkylcarbonyl or C_2 - C_6 alkoxycarbonyl;

R^3 is H; or C_2 - C_6 alkyl, C_2 - C_6 alkenyl, C_2 - C_6 alkynyl or C_3 - C_6 cycloalkyl each optionally substituted with one or more substituents selected from the group consisting of halogen, CN, C_1 - C_2 alkoxy, C_1 - C_2 alkylthio, C_1 - C_2 alkylsulfinyl and C_1 - C_2 alkylsulfonyl;

one of the R^4 groups is attached to the phenyl ring at the 2- or 5-position, and said R^4 is C_1 - C_4 alkyl, C_1 - C_4 haloalkyl, halogen, CN, NO_2 , C_1 - C_4 alkoxy, C_1 - C_4 haloalkoxy, C_1 - C_4 alkylthio, C_1 - C_4 alkylsulfinyl, C_1 - C_4

alkylsulfonyl, C₁-C₄ haloalkylthio, C₁-C₄ haloalkylsulfinyl, C₁-C₄ haloalkylsulfonyl or C₂-C₄ alkoxy carbonyl; and

R⁷ is H, C₁-C₄ alkyl, C₁-C₄ haloalkyl, halogen, CN, NO₂, C₁-C₄ haloalkoxy, C₁-C₄ alkylthio, C₁-C₄ alkylsulfinyl, C₁-C₄ alkylsulfonyl, C₁-C₄ haloalkylthio, C₁-C₄ haloalkylsulfinyl, C₁-C₄ haloalkylsulfonyl or C₂-C₄ alkoxy carbonyl.

Preferred 7. Compounds of Preferred 6 wherein

J is selected from the group consisting of pyridine, pyrimidine, pyrazole, imidazole, triazole, thiophene, thiazole and oxazole, each optionally substituted with 1 to 3 R⁷.

Preferred 8. Compounds of Preferred 7 wherein

J is selected from the group consisting of pyridine, pyrimidine, pyrazole, thiophene and thiazole, each optionally substituted with 1 to 3 R⁷;

R¹ and R² are H;

R³ is C₃-C₄ alkyl optionally substituted with halogen, OCH₃, S(O)_pCH₃; each R⁴ and each R⁷ is independently H, CH₃, CF₃, OCF₃, OCHF₂,

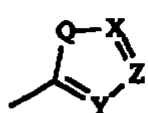
OCH₂CF₃, S(O)_pCF₃, S(O)_pCHF₂ or halogen; and

p is 0, 1 or 2.

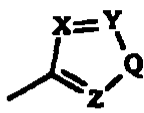
Preferred 9. Compounds of Preferred 8 wherein R³ is *i*-propyl or *t*-butyl.

Preferred 10. Compounds of Preferred 5 wherein

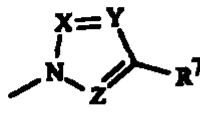
J is a 5- or 6-membered heteroaromatic ring selected from the group consisting of J-1, J-2, J-3, J-4 and J-5, each J optionally substituted with 1 to 3 R⁷



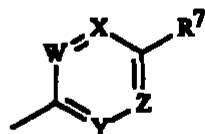
J-1



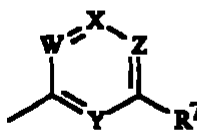
J-2



J-3



J-4



J-5

;

Q is O, S or NR⁸;

W, X, Y and Z are independently N or CR⁷, provided that in J-4 and J-5 at least one of W, X, Y or Z is N; and

each R⁸ is independently H, C₁-C₆ alkyl, C₂-C₆ alkenyl, C₂-C₆ alkynyl, C₃-C₆ cycloalkyl, C₁-C₆ haloalkyl, C₂-C₆ haloalkenyl, C₂-C₆ haloalkynyl, C₃-C₆ halocycloalkyl, C₁-C₄ alkylsulfonyl, C₁-C₄ haloalkylsulfonyl, C₁-C₄ alkoxy carbonyl, C₂-C₆ alkyl carbonyl, C₂-C₆ alkoxy carbonyl, C₂-C₆ alkylaminocarbonyl, C₃-C₆ dialkylaminocarbonyl, C₃-C₆ trialkylsilyl; or

each R⁸ is independently phenyl, benzyl, benzoyl or phenoxy, each optionally substituted with C₁-C₄ alkyl, C₂-C₄ alkenyl, C₂-C₄ alkynyl, C₃-C₆ cycloalkyl, C₁-C₄ haloalkyl, C₂-C₄ haloalkenyl, C₂-C₄ haloalkynyl, C₃-C₆ halocycloalkyl, halogen, CN, NO₂, C₁-C₄ alkoxy, C₁-C₄ haloalkoxy, C₁-C₄ alkylthio, C₁-C₄ alkylsulfinyl, C₁-C₄ alkylsulfonyl, C₁-C₄ alkoxy carbonyl, C₁-C₄ alkylamino, C₂-C₆ dialkylamino, C₃-C₆ cycloalkylamino, C₃-C₆ (alkyl)cycloalkylamino, C₂-C₄ alkyl carbonyl, C₂-C₆ alkoxy carbonyl, C₂-C₆ alkylaminocarbonyl, C₃-C₆ dialkylaminocarbonyl or C₃-C₆ trialkylsilyl.

Preferred 11. Compounds of Preferred 10 wherein

A and B are O;

n is 1 to 2;

R¹ is H, C₁-C₄ alkyl, C₂-C₄ alkenyl, C₂-C₄ alkynyl, C₂-C₆ alkyl carbonyl or C₂-C₆ alkoxy carbonyl;

R² is H, C₁-C₄ alkyl, C₂-C₄ alkenyl, C₂-C₄ alkynyl, C₃-C₆ cycloalkyl, C₂-C₆ alkyl carbonyl or C₂-C₆ alkoxy carbonyl;

R³ is H; or C₂-C₆ alkyl, C₂-C₆ alkenyl, C₂-C₆ alkynyl or C₃-C₆ cycloalkyl each optionally substituted with one or more substituents selected from the group consisting of halogen, CN, C₁-C₂ alkoxy, C₁-C₂ alkylthio, C₁-C₂ alkylsulfinyl and C₁-C₂ alkylsulfonyl;

one of the R⁴ groups is attached to the phenyl ring at the 2- or 5-position, and said R⁴ is C₁-C₄ alkyl, C₁-C₄ haloalkyl, halogen, CN, NO₂, C₁-C₄ alkoxy, C₁-C₄ haloalkoxy, C₁-C₄ alkylthio, C₁-C₄ alkylsulfinyl, C₁-C₄ alkylsulfonyl, C₁-C₄ haloalkylthio, C₁-C₄ haloalkylsulfinyl, C₁-C₄ haloalkylsulfonyl or C₂-C₄ alkoxy carbonyl; and

R⁷ is H, C₁-C₄ alkyl, C₁-C₄ haloalkyl, halogen, CN, NO₂, C₁-C₄ haloalkoxy, C₁-C₄ alkylthio, C₁-C₄ alkylsulfinyl, C₁-C₄ alkylsulfonyl, C₁-C₄ haloalkylthio, C₁-C₄ haloalkylsulfinyl, C₁-C₄ haloalkylsulfonyl or C₂-C₄ alkoxy carbonyl; and

R⁸ is H, C₁-C₄ alkyl, C₁-C₄ haloalkyl, C₁-C₄ alkylsulfonyl, C₁-C₄ haloalkylsulfonyl or C₂-C₄ alkoxy carbonyl.

Preferred 12. Compounds of Preferred 11 wherein

R^1 and R^2 are H;

R^3 is C_3 - C_4 alkyl optionally substituted with halogen, OCH_3 , $S(O)_pCH_3$;
each R^4 and each R^7 is independently H, CH_3 , CF_3 , OCF_3 , $OCHF_2$,

OCH_2CF_3 , $S(O)_pCF_3$, $S(O)_pCHF_2$ or halogen; and

p is 0, 1 or 2.

Preferred 13. Compounds of Preferred 12 wherein R^3 is *i*-propyl or *t*-butyl.

Most preferred is the compound of Formula 1 selected from the group consisting of:

3-methyl-*N*-(1-methylethyl)-2-[[4-(trifluoromethyl)benzoyl]amino]-
benzamide,

2-methyl-*N*-[2-methyl-6-[[[(1-methylethyl)amino]carbonyl]phenyl]-4-
(trifluoromethyl)benzamide,

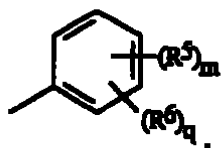
2-methyl-*N*-[2-methyl-6-[[[(1-methylethyl)amino]carbonyl]phenyl]-6-
(trifluoromethyl)-3-pyridinecarboxamide,

1-ethyl-*N*-[2-methyl-6-[[[(1-methylethyl)amino]carbonyl]phenyl]-3-
(trifluoromethyl)-1*H*-pyrazole-5-carboxamide.

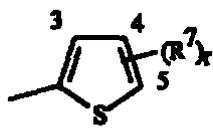
As noted above, each J is a phenyl group substituted with 1 to 2 R^5 and optionally substituted with 1 to 3 R^6 , or a 5- or 6-membered heteroaromatic ring optionally substituted with 1 to 4 R^7 . The term "optionally substituted" in connection with these J groups refers to groups which are unsubstituted or have at least one non-hydrogen substituent that does not extinguish the arthropodicidal activity possessed by the unsubstituted analog. Note also that J-1 through J-5 above denote 5- or 6-membered heteroaromatic rings. An example of phenyl substituted with 1 to 2 R^5 and optionally substituted with 1 to 3 R^6 is the ring illustrated as J-6 in Exhibit 1, wherein m is an integer from 1-2 and q is an integer from 1 to 3. Note that at least one R^5 must be present in J-6. Although R^6 groups are shown in the structure J-6, it is noted that they do not need to be present since they are optional substituents. Examples of 5- or 6-membered heteroaromatic ring optionally substituted with 1 to 4 R^7 include the rings J-7 through J-58 illustrated in Exhibit 1 wherein r is an integer from 1 to 4. Note that J-7 through J-26 are examples of J-1, J-27 through J-41 are examples of J-2, J-42 through J-44 are examples of J-3, J-46 through J-53 are examples of J-4 and J-54 through J-58 are examples of J-5. The nitrogen atoms that require substitution to fill their valence are substituted with R^8 . Note that some J groups can only be substituted with less than 4 R^7 groups (e.g. J-19 through J-26 and J-37 through J-41 can only be substituted with one R^7). Although the R^7 and/or R^8 groups are shown in the structures J-7 to J-58, it is noted that they do not need to be present since they are optional substituents. Note that when R^6 , R^7 and/or R^8 are H when attached to an atom, this is the same as if said atom is unsubstituted. Note

that when the attachment point between $(R^5)_m$, $(R^6)_q$ or $(R^7)_r$ and the J group is illustrated as floating, $(R^5)_m$, $(R^6)_q$ or $(R^7)_r$ can be attached to any available carbon atom of the J group.

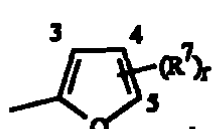
Exhibit 1



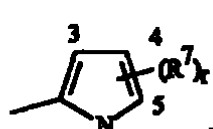
J-6



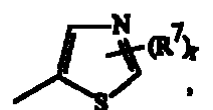
J-7



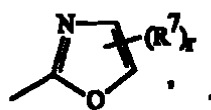
J-8



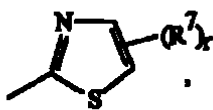
J-9



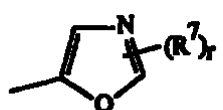
J-10



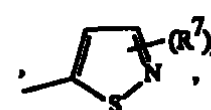
J-11



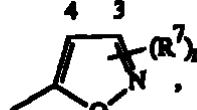
J-12



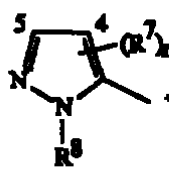
J-13



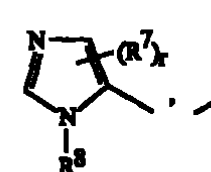
J-14



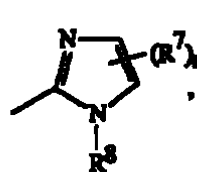
J-15



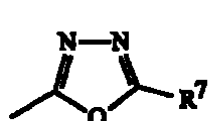
J-16



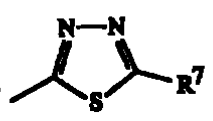
J-17



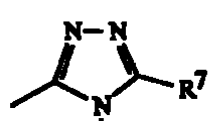
J-18



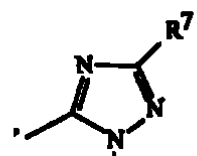
J-19



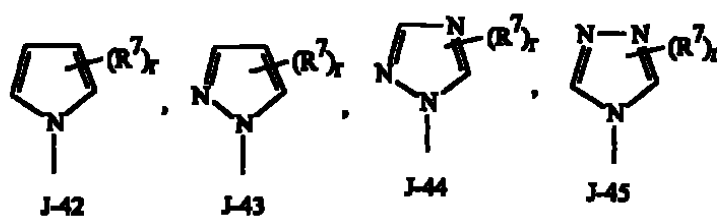
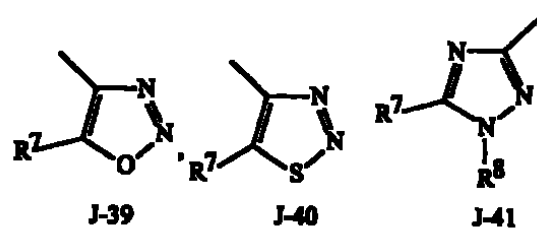
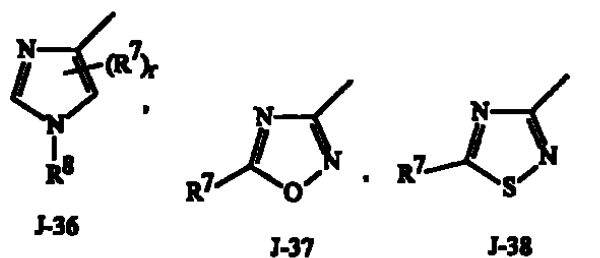
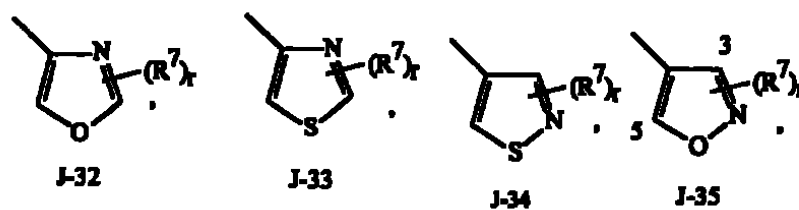
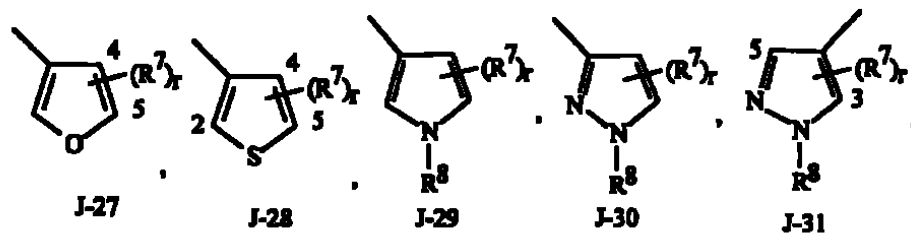
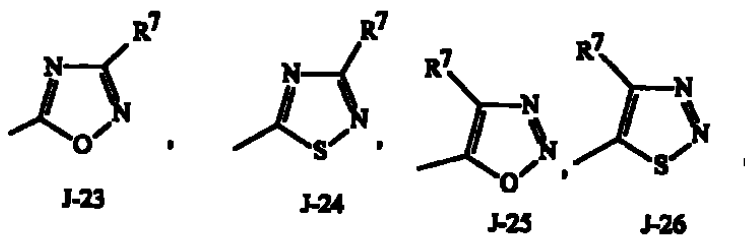
J-20

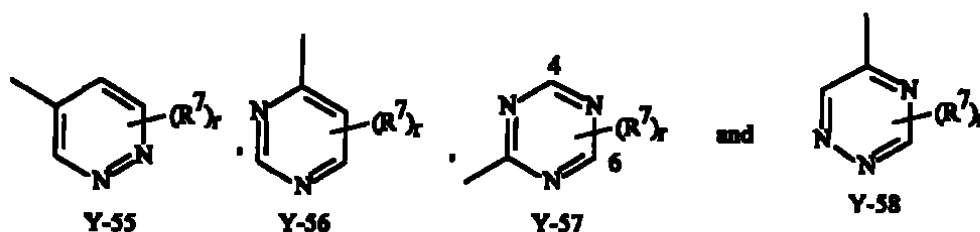
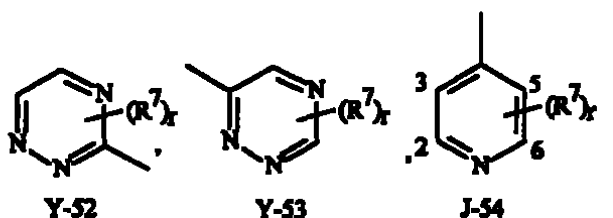
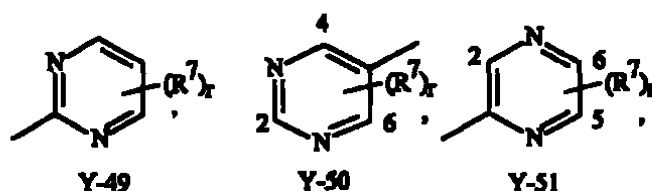
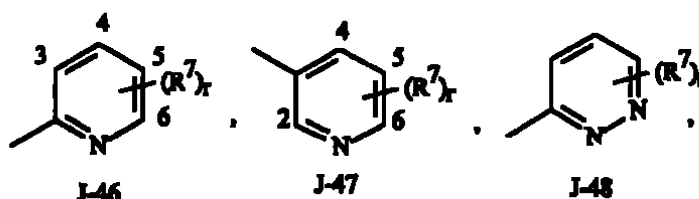


J-21



J-22

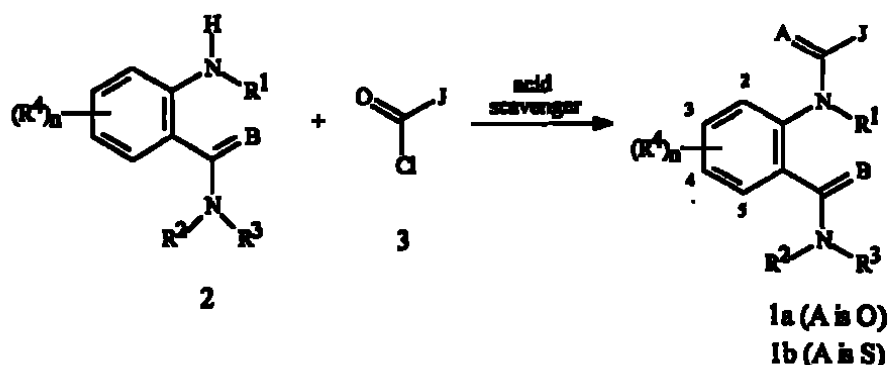




The compounds of Formula 1 can be prepared by one or more of the following methods and variations as described in Schemes 1-11. The definitions of A, B, J, R¹, R², R³, R⁴, R⁵, m and n in the compounds of Formulae 1-15 below are as defined above in the Summary of the Invention. Compounds of Formulae 1a-c, 2a-b, 5a-b are various subsets of the compounds of Formula 1, 2 and 5.

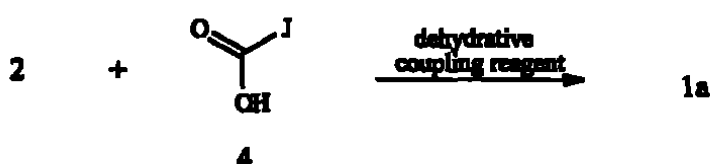
Compounds of Formula 1 can be prepared by procedures outlined in Schemes 1-11. A typical procedure is detailed in Scheme 1 and involves coupling of an anthranilic amide of Formula 2 with an acid chloride of Formula 3 in the presence of an acid scavenger to provide the compound of Formula 1a. Typical acid scavengers include amine bases such as triethylamine, diisopropylethylamine and pyridine; other scavengers include hydroxides such as sodium and potassium hydroxide and carbonates such as sodium carbonate and potassium carbonate. In certain instances it is useful to use polymer-supported acid scavengers such as polymer-bound diisopropylethylamine and polymer-bound dimethylaminopyridine. In a subsequent step, amides of Formula 1a can be converted to thioamides of Formula 1b using a variety of standard thio transfer reagents including phosphorus pentasulfide and Lawesson's reagent.

Scheme 1



An alternate procedure for the preparation of compounds of Formula 1a involves coupling of an anthranilic amide of Formula 2 with an acid of Formula 4 in the presence of a dehydrating agent such as dicyclohexylcarbodiimide (DCC). Polymer supported reagents are again useful here, such as polymer-bound cyclohexylcarbodiimide. Synthetic procedures of Schemes 1 and 2 are only representative examples of useful methods for the preparation of Formula 1 compounds as the synthetic literature is extensive for this type of reaction.

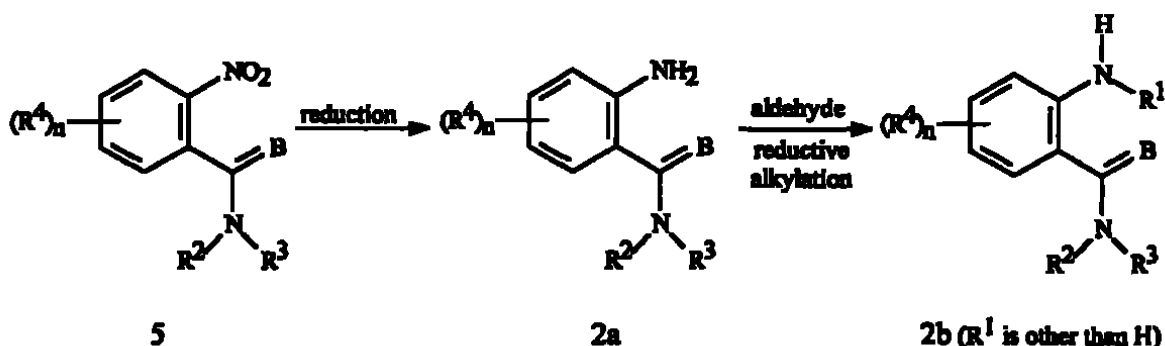
Scheme 2



One skilled in the art will also realize that acid chlorides of Formula 3 may be prepared from acids of Formula 4 by numerous well-known methods.

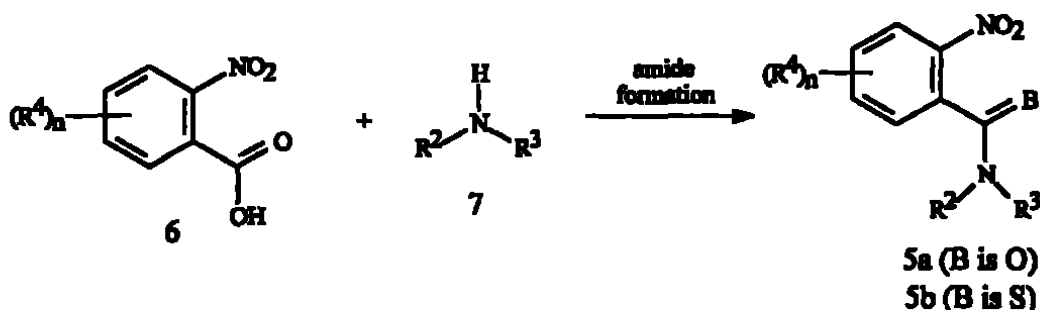
Anthranilic amides of Formula 2a are typically available from the corresponding 2-nitrobenzamides of Formula 5 via catalytic hydrogenation of the nitro group. Typical procedures involve reduction with hydrogen in the presence of a metal catalyst such as palladium on carbon or platinum oxide and in hydroxylic solvents such as ethanol and isopropanol. These procedures are well documented in the chemical literature. R^1 substituents such as alkyl, substituted alkyl and the like can generally be introduced at this stage through known procedures including either direct alkylation or through the generally preferred method of reductive alkylation of the amine. A commonly employed procedure is to combine the aniline 2a with an aldehyde in the presence of a reducing agent such as sodium cyanoborohydride to produce the Formula 2b compounds where R^1 is alkyl, alkenyl, alkynyl or substituted derivatives thereof.

Scheme 3



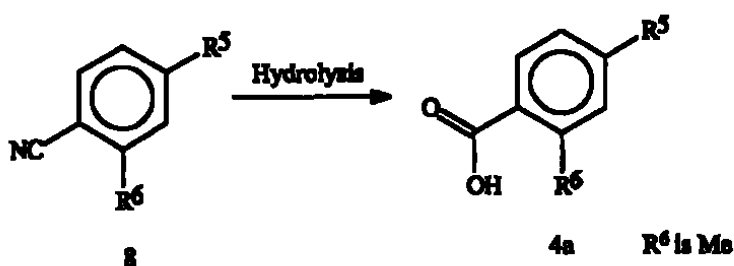
The intermediate amides of Formula 5a are readily prepared from commercially available 2-nitrobenzoic acids. Typical methods for amide formation can be applied here. These include direct dehydrative coupling of acids of Formula 6 with amines of Formula 7 using for example DCC, and conversion of the acids to an activated form such as the acid chlorides or anhydrides and subsequent coupling with amines to form amides of formula 5a. We have found ethylchloroformate to be an especially useful reagent for this type of reaction involving activation of the acid. The chemical literature is extensive on this type of reaction. Amides of Formula 5a are readily converted to thioamides of Formula 5b by using commercially available thio transfer reagents such as phosphorus pentasulfide and Lawesson's reagent.

Scheme 4



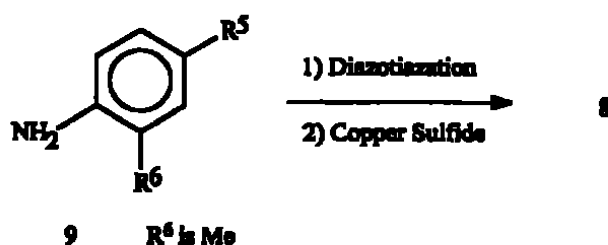
Benzoic acids of Formula 4a may be prepared from the benzonitriles of Formula 8 by hydrolysis. The conditions used may involve the use of a base such as an alkaline metal hydroxide or alkoxide (e.g. potassium or sodium hydroxide) in a solvent such as water, ethanol or ethylene glycol (e.g. J. Chem. Soc. 1948, 1025). Alternatively, the hydrolysis may be carried out using an acid such as sulphuric acid or phosphoric acid in a suitable solvent such as water (e.g. Org. Synth. 1955 Coll vol. 3, 557). The choice of the conditions is contingent on the stability of R³ to the reaction conditions and elevated temperatures are usually employed to achieve this transformation.

Scheme 5



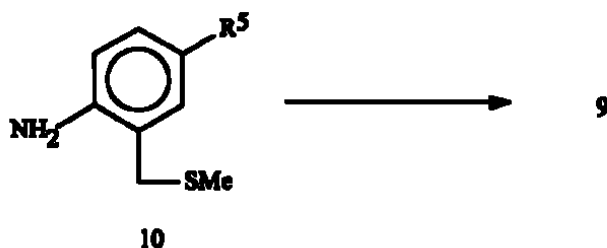
Nitriles of Formula 8 may be prepared from anilines of Formula 9 by the classical sequence involving diazotization and treatment of the intermediate diazonium salt with a copper cyanide salt (e.g. J. Amer. Chem. Soc. 1902, 24, 1035).

Scheme 6



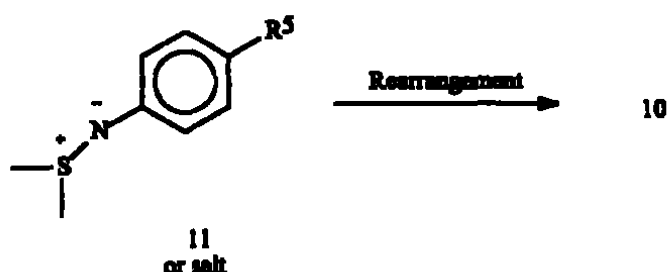
Anilines of Formula 9 may be prepared from compounds of Formula 10. This transformation may be achieved by a well-known procedure that employs Raney Nickel (Org. Synth. Coll. Vol VI, 581). Alternatively, the same transformation may be effected by the use of a suitable catalyst such as palladium in the presence of hydrogen. The reaction is usually conducted at pressures of 100-1000 p.s.i. in a suitable organic solvent such as, but not limited to toluene. Elevated temperatures of 80-110°C are usually required to achieve the transformation. As one skilled in the art will realize, numerous chemical modifications of the thio ether moiety are possible, and may be employed when necessary to facilitate this transformation.

Scheme 7



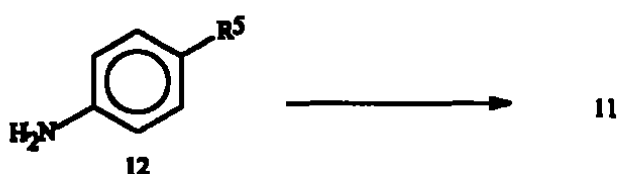
Compounds of Formula 10 may be prepared from iminosulphuranes of Formula 11. The transformation may be achieved in a protic solvent such as methanol or water, in a non-protic solvent such as dichloromethane or toluene in the presence of a suitable base such as triethylamine (e.g. Org. Synth. Coll. Vol. VI, 581) or sodium methoxide, or in a combination of a protic solvent, a protic solvent and a base. The temperature at which the reaction is conducted is usually in the range 40-110°C. As one skilled in the art will realize, suitable salts of compounds of Formula 11 such as, but not limited to a hydrochloride, a sulphate or a bisulphate may also be employed, provided that the appropriate amount of base is first used to generate the free base 11. This may be done as a separate step or as an integral part of the step involving the transformation of compounds of Formula 11 to compounds of Formula 10.

Scheme 8



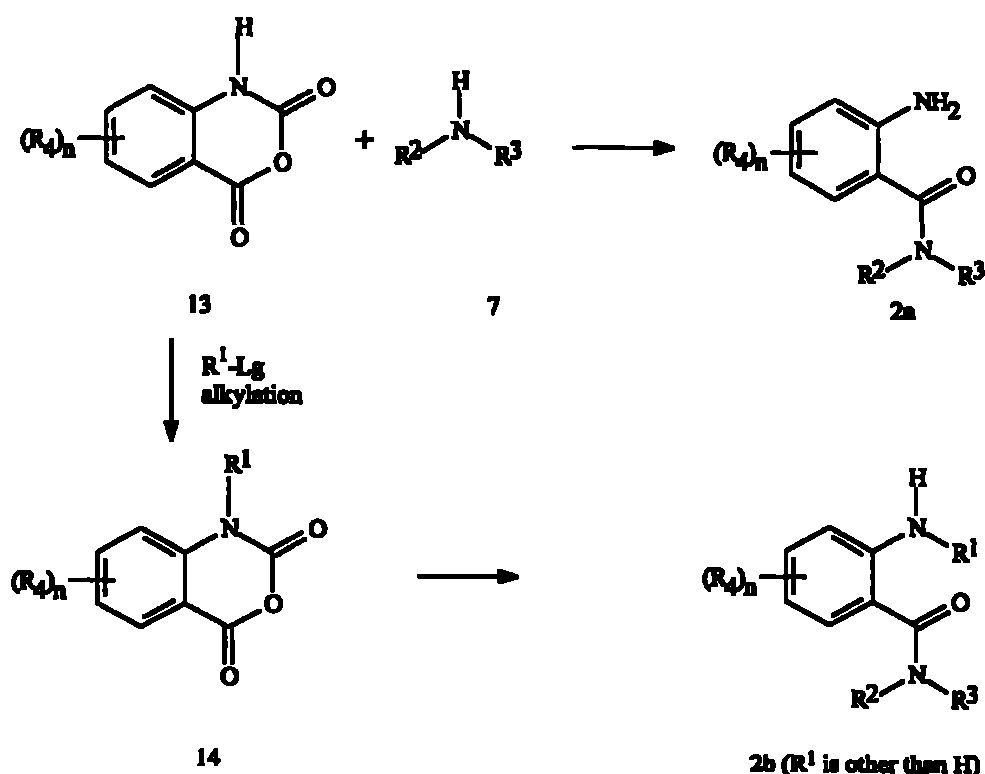
Compounds of Formula 11 may be prepared from anilines of Formula 12 by reaction with dimethyl sulphide and a suitable chlorinating agent such as, but not limited to *N*-chlorosuccinimide (e.g. Org. Synth. Coll. Vol. VI, 581), chlorine or *N*-chlorobenzotriazole. Alternatively, anilines of Formula 12 may be treated with dimethyl sulphoxide which has been "activated" by treatment with an agent such as acetic anhydride, trifluoroacetic anhydride, trifluoromethanesulphonic anhydride, cyclohexylcarbodiimide, sulphur trioxide, or phosphorus pentoxide. The reaction is conducted in a suitable organic solvent such as dichloromethane or dimethyl sulphoxide. The reaction is conducted at a temperature of -70°C to 25°C and is dependent on the solvent and reagent used.

Scheme 9



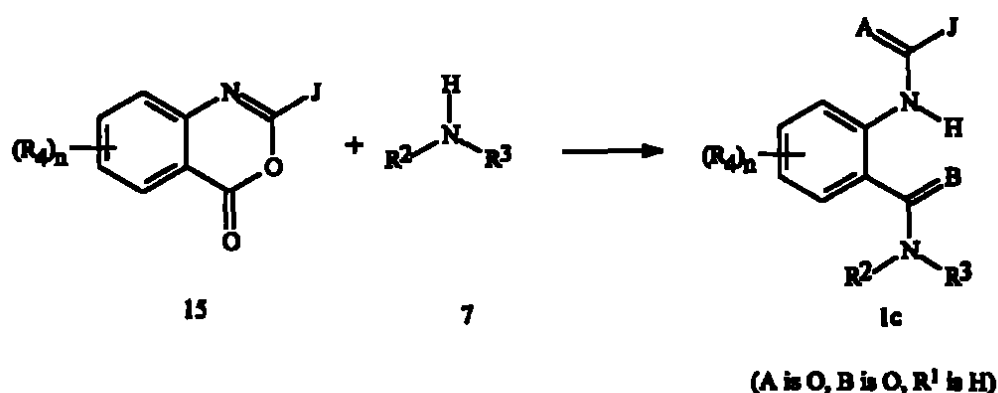
- Intermediate anthranilic amides of Formula 2a and 2b may also be prepared from isatoic anhydrides of Formula 13 and 14 (Scheme 10). Typical procedures involve combination of equimolar amounts of the amine 7 with the isatoic anhydride in polar aprotic solvents such as pyridine and dimethylformamide at temperatures ranging from room temperature to 100° C. R¹ substituents such as alkyl and substituted alkyl may be introduced by the base catalyzed alkylation of isatoic anhydride 13 with known alkylating reagents R¹-Lg (wherein Lg is a leaving group such as halogen, alkyl or aryl suphonates or OSO₂OR¹) to provide the alkyl substituted intermediates 14.

Scheme 10



- 10 An alternate procedure for the preparation of specific compounds of Formula 1 (where A is O, B is O and R¹ is H) involves reaction of an amine 7 with a benzoxazinone of Formula 15. Typical procedures involve combination of the amine with the benzoxazinone in solvents such as tetrahydrofuran or pyridine at temperatures ranging from room temperature to the reflux temperature of the solvent. Benzoxazinones are well documented
- 15 in the chemical literature and are available via known methods that involve the coupling of either an anthranilic acid or an isatoic anhydride with an acid chloride.

Scheme 11



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. Percentages are by weight except for chromatographic solvent mixtures or where otherwise indicated. Parts and percentages for chromatographic solvent mixtures are by volume unless otherwise indicated. ^1H NMR spectra are reported in ppm downfield from tetramethylsilane; s is singlet, d is doublet, t is triplet, q is quartet, m is multiplet, dd is doublet of doublets, dt is doublet of triplets, br s is broad singlet.

EXAMPLE 1**Step A: Preparation of 3-methyl-N-(1-methylethyl)-2-nitrobenzamide**

A solution of 3-methyl-2-nitrobenzoic acid (2.00 g, 11.0 mmol) and triethylamine (1.22 g, 12.1 mmol) in 25 mL of methylene chloride was cooled to 10°C. Ethyl chloroformate was carefully added and a solid precipitate formed. After stirring for 30 minutes isopropylamine (0.94 g, 16.0 mmol) was added and a homogeneous solution resulted. The reaction was stirred for an additional hour, poured into water and extracted with ethyl acetate. The organic extracts were washed with water, dried over magnesium sulfate and evaporated under reduced pressure to afford 1.96 g of the desired intermediate as a white solid melting at 126-128 °C.

¹H NMR (CDCl₃) δ 1.24 (d, 6H), 2.38 (s, 3H), 4.22 (m, 1H), 5.80 (br s, 1H), 7.4 (m, 3H).

Step B: Preparation of 2-amino-3-methyl-N-(1-methylethyl)benzamide

The 2-nitrobenzamide of Step A (1.70 g, 7.6 mmol) was hydrogenated over 5% Pd/C in 40 mL of ethanol at 50 psi. When the uptake of hydrogen ceased the reaction was filtered through celite and the celite was washed with ether. The filtrate was evaporated under reduced pressure to afford 1.41 g of the title compound as a solid melting at 149-151 °C.

¹H NMR (CDCl₃) δ 1.24 (dd, 6H), 2.16 (s, 3H), 4.25 (m, 1H), 5.54 (br s, 2H), 5.85 (br s, 1H), 6.59 (t, 1H), 7.13 (d, 1H), 7.17 (d, 1H).

Step C: Preparation of 3-methyl-N-(1-methylethyl)-2-[[4-(trifluoromethoxy)benzoyl]amino]benzamide

4-(trifluoromethoxy)benzoyl chloride (0.29 g, 1.3 mmol) was added dropwise to a mixture of the aniline from Step B (0.25 g, 1.3 mmol) and triethylamine (0.13 g, 1.3 mmol) in 5 mL of methylene chloride at room temperature. After stirring for one hour the reaction was poured into water and extracted with ethyl acetate. The combined extracts were dried over magnesium sulfate and evaporated under reduced pressure. The resulting solids were washed with hexane/ether and filtered to afford 0.41 g of the title compound, a compound of the present invention, as a solid melting at 207-209°C.

¹H NMR (CDCl₃) δ 1.19 (d, 6H), 2.33 (s, 3H), 4.15 (m, 1H), 5.97 (br d, 1H), 7.2-7.4 (m, 6H), 8.04 (d, 1H), 10.11 (br s, 1H).

EXAMPLE 2**Step A: Preparation of 1-Ethyl-3-trifluoromethylpyrazol-5-yl Carboxylic acid**

To a mixture of 3-trifluoromethylpyrazole (g, 37 mmol) and powdered potassium carbonate (10 g, 72 mmol) stirring in 30 mL of *N,N*-dimethylformamide, iodoethane (8 g, 51 mmol) was added dropwise. After a mild exotherm, the reaction was stirred overnight at room temperature. The reaction mixture was partitioned between 100 mL of diethyl ether and 100 mL of water. The ether layer was separated, washed with water (3X) and brine, and dried over magnesium sulfate. Evaporation of solvent *in vacuo* gave 4 g of oil.

To 3.8 g of this oil stirring in 40 mL of tetrahydrofuran under nitrogen in a dry ice/acetone bath, 17 mL of a 2.5 M solution of *n*-butyl lithium in tetrahydrofuran (43 mmol) and the solution stirred for 20 minutes at -78°C. An excess of gaseous carbon dioxide was bubbled into the stirred solution at a moderate rate for 10 minutes. After addition of carbon dioxide, the reaction was allowed to slowly reach room temperature and stirred overnight. The reaction mixture was partitioned between diethyl ether (100 mL) and 0.5 N aqueous sodium hydroxide (100 mL). The basic layer was separated and acidified with concentrated hydrochloric acid to a pH of 2-3. The aqueous mixture was extracted with ethyl acetate (100 mL) and the organic extract washed with water and brine and dried over magnesium sulfate. The oily residue, which remained after evaporating the solvent *in vacuo*, was triturated to a solid from a small amount of *n*-butyl chloride. After filtering and drying, a slightly impure, sample of 1-ethyl-3-trifluoromethyl-pyrazol-5-yl carboxylic acid (1.4 g) was obtained as a broad-melting solid.

¹H NMR (CDCl₃): 9.85 (br s, 1H), 7.23 (s, 1H), 4.68 (q, 2H), 1.51 (t, 3H) ppm.

Step B: Preparation of 2-[1-Ethyl-3-trifluoromethylpyrazol-5-yl carbamoyl]-3-methyl-N-(1-methylethyl)benzamide

To a solution of 1-ethyl-3-trifluoromethyl-pyrazol-5-yl carboxylic acid (0.5 g, 2.4 mmol) stirring in 20 mL of methylene chloride, oxalyl chloride (1.2 mL, 14 mmol) was added. Upon addition of 2 drops of *N,N*-dimethylformamide, foaming and bubbling occurred. The reaction mixture was heated at reflux for 1 hr as a yellow solution. After cooling, the solvent was removed *in vacuo* and the resulting residue dissolved in 20 mL of tetrahydrofuran. To the stirred solution, 2-amino-3-methyl-*N*-(1-methylethyl)benzamide (0.7 g, 3.6 mmol) was added followed by the dropwise addition of *N,N*-diisopropylethylamine (3 mL, 17 mmol). After stirring at room temperature overnight, the reaction mixture was partitioned between ethyl acetate (100 mL) and 1N aqueous hydrochloric acid (75 mL). The separated organic layer was washed with water and brine and dried over magnesium sulfate. Evaporating *in vacuo* gave a white solid residue, which on purification by flash column chromatography on silica gel (2:1 hexanes/ethyl acetate) afforded 0.5 g of the title compound, a compound of the present invention, melting at 223-226°C.

¹H NMR (DMSO-*d*₆): 10.15 (s, 1H), 8.05 (d, 1H), 7.45 (s, 1H), 7.43-7.25 (m, 3H), 4.58 (q, 2H), 3.97 (m, 1H), 2.45 (s, 3H), 1.36 (t, 3H), 1.06 (d, 6H) ppm.

EXAMPLE 3

Step A: Preparation of S,S-dimethyl-N-[4-(trifluoromethyl)phenyl]sulfilimine

A solution of *N*-chlorosuccinimide (12-43 g, 93.1 mmol) in ~170 mL of dichloromethane was added to a mixture of 4-(trifluoromethyl) aniline (15 g, 93.1 mmol) and dimethyl sulphide (6.35 g, 102 mmol) in 230 mL of dichloromethane at -5-0°C. After

the addition was complete, the mixture was stirred at 0-5°C for 1h, and *N*-chlorosuccinimide (0.02 g, 4.64 mmol) was added. After a further 30 minutes, the mixture was washed with 500 mL of 1N sodium hydroxide.

The organic phase was dried and evaporated to give the product as a solid 19-72 g melting at 101-103°C (after crystallization from ethyl acetate/hexanes).

IR (Nujol) 1603, 1562, 1532, 1502, 1428, 1402, 1335, 1300, 1270, 1185, 1150, 1103, 1067, 1000, 972, 940, 906, 837, 817 cm^{-1} .

^1H NMR (CDCl_3) δ 7.35 (d, $J=8.8$ Hz, 2 H), 6.84 (d, $J=8.8$ Hz, 2 H), 2.67 (s, 3 H).

Step B: 2-[(methylthio)methyl]-4-(trifluoromethyl)benzenamine

Sodium methoxide in methanol (1.95 g, 9.02 mmol, 25%) was added to *S,S*-dimethyl-*N*-[4-(trifluoromethyl)phenyl]sulfilimine from Step A (2 g, 9.04 mmol) in 15 mL of toluene. The mixture was warmed to -80°C for ~1 h. The mixture was allowed to cool to 25°C and was poured into 100 mL of water. The mixture was extracted with 2x100 mL of ethyl acetate and the combined extracts were dried and evaporated to give the product 1.8 g as a solid melting at 65.5-67.5°C (after crystallization from hexanes).

IR (mujol) 3419, 3333, 1629, 1584, 1512, 1440, 1334, 1302, 1235, 1193, 1139, 1098, 1078, 979, 904, 832 cm^{-1} .

^1H NMR (CDCl_3) δ 7.35 (dd, $J=1.5$ Hz x 8.2 Hz, 1H) 6.72 (d, $J=8.4$ Hz) 4.39 (br.s, 2 H, 3.69 (s, 2 H), 1.99 (s, 3 H).

Step C: Preparation of 2-methyl-4-(trifluoromethyl)benzenamine

Activated Raney nickel (500 g wet paste, ~50 μ) was added portionwise to a solution of 2-[(methylthio)methyl]-4-(trifluoromethyl)benzenamine (55.3 g, 0.25 mole) in 1 L of ethanol over 30 minutes at 25-30° C. The heterogeneous mixture was stirred vigorously for 30 minutes after the addition. The stirring was stopped, and the solids were allowed to settle over one hour. The liquid was decanted from the solids and poured through filter paper. The filtrate was evaporated under reduced pressure, and the residue was taken up in dichloromethane. The organic phase was separated from a small volume of water, dried over magnesium sulfate and evaporated under reduced pressure to afford 37.6g of the title compound as an amber oil.

^1H NMR (CDCl_3) δ 7.28 (m, 2H), 6.68 (d, 1H), 3.87 (br s, 2H), 2.19 (s, 3H).

Step D: Preparation of 2-methyl-4-(trifluoromethyl)benzonitrile

Concentrated hydrochloric acid (16 mL) was added dropwise at a moderate rate to a heterogeneous mixture of 2-methyl-4-(trifluoromethyl)benzenamine (14 g, 80 mmol) and 120 mL of water while stirring vigorously. A thick suspension resulted which was stirred for 20 minutes, diluted with 280 mL of water and cooled to 5° C. A solution of sodium nitrite (5.5 g, 80 mmol) and 25 mL of water was added slowly to the reaction suspension. After stirring for 30 minutes at 5° C a solution resulted which was stirred cold for 30 more minutes

and then neutralized with potassium carbonate. This diazonium salt solution was then added portionwise via cannula to a stirred, 95 ° C mixture of potassium cyanide (22 g, 0.34 mole), copper sulfate pentahydrate (20 g, 80 mmol) and 140 mL of water. After the addition the mixture was stirred for 30 minutes at 95° C and then allowed to cool to room temperature.

- 5 Ether was added and the heterogeneous mixture was filtered through celite. The solids were washed with ether, and the filtrate was partitioned. The aqueous phase was extracted with ether, and the combined organic extracts were dried over magnesium sulfate and concentrated under reduced pressure to afford 13.1 g of the title compound as a brown oil.

¹H NMR (CDCl₃) δ 7.74 (d, 1H), 7.60 (s, 1H), 7.55 (d, 1H), 2.64 (s, 3H).

10 **Step E: Preparation of 2-methyl-4-(trifluoromethyl) benzoic acid**

- Potassium hydroxide (15.7 g, 0.28 mole) and 15 mL of water were added as a solution to a stirred, heterogeneous mixture of 2-methyl-4-(trifluoromethyl)benzonitrile (13 g, 70 mmol) and 135 mL of ethylene glycol. The reaction mixture was heated at 120-130° C for 20 hours and allowed to cool to room temperature. The dark solution was poured into 800 mL of water and filtered through celite. The filtrate was washed with ether and then the aqueous was acidified with concentrated hydrochloric acid. This aqueous phase was extracted three times with ethyl acetate, the organic extracts were combined, dried over magnesium sulfate and evaporated under reduced pressure to afford the title compound as a tan solid.

- 20 ¹H NMR (CDCl₃) δ 7.98 (d, 1H), 7.70 (s, 1H), 7.65 (d, 1H), 2.60 (s, 3H).

Step F: Preparation of 2-methyl-4-(trifluoromethoxy)benzoyl chloride

- Thionyl chloride (0.42 g, 3.5 mmol) was added to a solution of the benzoic acid from Step E (0.50 g, 2.4 mmol) in 10 mL of toluene at room temperature. The reaction was refluxed for three hours then cooled to room temperature. The solvent was evaporated under reduced pressure and excess thionyl chloride was removed by azeotroping with toluene. The benzoyl chloride obtained was used directly in Step G.

Step G: Preparation of 2-methyl-N-[2-methyl-6-[(1-methylethyl)amino]-carbonyl]phenyl]-4-(trifluoromethyl)benzamide

- The benzoyl chloride of Step F (0.29 g, 1.3 mmol) was added to a mixture of the aniline from Example 1, Step B (0.36 g, 1.9 mmol) and diisopropylethylamine (0.26 g, 2.0 mmol) in 10 mL of chloroform at room temperature. The reaction was allowed to stir overnight. The solid precipitate was filtered and dried to afford 0.38 g of the title compound, a compound of the present invention, as a solid melting at 247-248 °C.

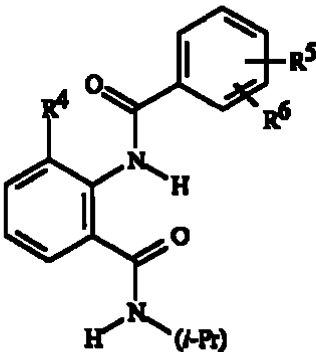
- 35 ¹H NMR (CDCl₃) α 1.24 (d, 6H), 2.41 (s, 3H), 2.58 (s, 3H), 4.20 (m, 1H), 5.94 (br d, 1H), 7.2-7.3 (m, 2H), 7.40 (d, 1H), 7.52 (s, 1H), 7.53 (d, 1H), 7.70 (d, 1H), 9.36 (br s, 1H).

By the procedures described herein together with methods known in the art, the following compounds of Tables 1 to 8 can be prepared. The following abbreviations are

used in the Tables: *t* is tertiary, *s* is secondary, *n* is normal, *i* is iso, *c* is cyclo, Me is methyl, Et is ethyl, Pr is propyl, *i*-Pr is isopropyl, *t*-Bu is tert butyl, Ph is phenyl, OMe is methoxy, OEt is ethoxy, SMe is methylthio, SEt is ethylthio, CN is cyano, NO₂ is nitro, TMS is trimethylsilyl, S(O)Me is methylsulfinyl, and S(O)₂Me is methylsulfonyl.

5

Table 1



R ⁴	R ⁵ and/or R ⁶	R ⁴	R ⁵ and/or R ⁶	R ⁴	R ⁵ and/or R ⁶
Me	2-CF ₃	Me	3-CF ₃	Me	4-CF ₃
Me	2-OCF ₃	Me	3-OCF ₃	Me	4-OCF ₃
Me	2-OCF ₂ H	Me	3-OCF ₂ H	Me	4-OCF ₂ H
Me	2-OCF ₂ CF ₂ H	Me	3-OCF ₂ CF ₂ H	Me	4-OCF ₂ CF ₂ H
Me	2-OCH ₂ CF ₃	Me	3-OCH ₂ CF ₃	Me	4-OCH ₂ CF ₃
Me	2-SCF ₃	Me	3-SCF ₃	Me	4-SCF ₃
Me	2-SOCF ₃	Me	3-SOCF ₃	Me	4-SOCF ₃
Me	2-SO ₂ CF ₃	Me	3-SO ₂ CF ₃	Me	4-SO ₂ CF ₃
Me	2-SCF ₂ H	Me	3-SCF ₂ H	Me	4-SCF ₂ H
Me	2-SOCF ₂ H	Me	3-SOCF ₂ H	Me	4-SOCF ₂ H
Me	2-SO ₂ CF ₂ H	Me	3-SO ₂ CF ₂ H	Me	4-SO ₂ CF ₂ H
Cl	2-CF ₃	Cl	3-CF ₃	Cl	4-CF ₃
Cl	2-OCF ₃	Cl	3-OCF ₃	Cl	4-OCF ₃
Cl	2-OCF ₂ H	Cl	3-OCF ₂ H	Cl	4-OCF ₂ H
Cl	2-OCF ₂ CF ₂ H	Cl	3-OCF ₂ CF ₂ H	Cl	4-OCF ₂ CF ₂ H
Cl	2-OCH ₂ CF ₃	Cl	3-OCH ₂ CF ₃	Cl	4-OCH ₂ CF ₃
Cl	2-SCF ₃	Cl	3-SCF ₃	Cl	4-SCF ₃
Cl	2-SOCF ₃	Cl	3-SOCF ₃	Cl	4-SOCF ₃
Cl	2-SO ₂ CF ₃	Cl	3-SO ₂ CF ₃	Cl	4-SO ₂ CF ₃
Cl	2-SCF ₂ H	Cl	3-SCF ₂ H	Cl	4-SCF ₂ H
Cl	2-SOCF ₂ H	Cl	3-SOCF ₂ H	Cl	4-SOCF ₂ H

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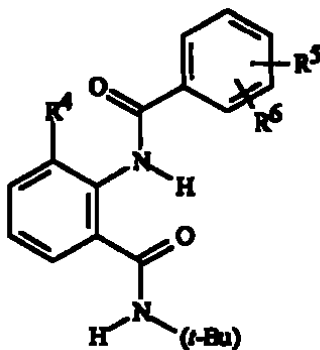
Cl	2-SO ₂ CF ₂ H	Cl	3-SO ₂ CF ₂ H	Cl	4-SO ₂ CF ₂ H
F	2-CF ₃	F	3-CF ₃	F	4-CF ₃
F	2-OCF ₃	F	3-OCF ₃	F	4-OCF ₃
F	2-OCF ₂ H	F	3-OCF ₂ H	F	4-OCF ₂ H
F	2-OCF ₂ CF ₂ H	F	3-OCF ₂ CF ₂ H	F	4-OCF ₂ CF ₂ H
F	2-OCH ₂ CF ₃	F	3-OCH ₂ CF ₃	F	4-OCH ₂ CF ₃
F	2-SCF ₃	F	3-SCF ₃	F	4-SCF ₃
F	2-SOCF ₃	F	3-SOCF ₃	F	4-SOCF ₃
F	2-SO ₂ CF ₃	F	3-SO ₂ CF ₃	F	4-SO ₂ CF ₃
F	2-SCF ₂ H	F	3-SCF ₂ H	F	4-SCF ₂ H
F	2-SOCF ₂ H	F	3-SOCF ₂ H	F	4-SOCF ₂ H
F	2-SO ₂ CF ₂ H	F	3-SO ₂ CF ₂ H	F	4-SO ₂ CF ₂ H
Br	2-CF ₃	Br	3-CF ₃	Br	4-CF ₃
Br	2-OCF ₃	Br	3-OCF ₃	Br	4-OCF ₃
Br	2-OCF ₂ H	Br	3-OCF ₂ H	Br	4-OCF ₂ H
Br	2-OCF ₂ CF ₂ H	Br	3-OCF ₂ CF ₂ H	Br	4-OCF ₂ CF ₂ H
Br	2-OCH ₂ CF ₃	Br	3-OCH ₂ CF ₃	Br	4-OCH ₂ CF ₃
Br	2-SCF ₃	Br	3-SCF ₃	Br	4-SCF ₃
Br	2-SOCF ₃	Br	3-SOCF ₃	Br	4-SOCF ₃
Br	2-SO ₂ CF ₃	Br	3-SO ₂ CF ₃	Br	4-SO ₂ CF ₃
Br	2-SCF ₂ H	Br	3-SCF ₂ H	Br	4-SCF ₂ H
Br	2-SOCF ₂ H	Br	3-SOCF ₂ H	Br	4-SOCF ₂ H
Br	2-SO ₂ CF ₂ H	Br	3-SO ₂ CF ₂ H	Br	4-SO ₂ CF ₂ H
I	2-CF ₃	I	3-CF ₃	I	4-CF ₃
I	2-OCF ₃	I	3-OCF ₃	I	4-OCF ₃
I	2-OCF ₂ H	I	3-OCF ₂ H	I	4-OCF ₂ H
I	2-OCF ₂ CF ₂ H	I	3-OCF ₂ CF ₂ H	I	4-OCF ₂ CF ₂ H
I	2-OCH ₂ CF ₃	I	3-OCH ₂ CF ₃	I	4-OCH ₂ CF ₃
I	2-SCF ₃	I	3-SCF ₃	I	4-SCF ₃
I	2-SOCF ₃	I	3-SOCF ₃	I	4-SOCF ₃
I	2-SO ₂ CF ₃	I	3-SO ₂ CF ₃	I	4-SO ₂ CF ₃
I	2-SCF ₂ H	I	3-SCF ₂ H	I	4-SCF ₂ H
I	2-SOCF ₂ H	I	3-SOCF ₂ H	I	4-SOCF ₂ H
I	2-SO ₂ CF ₂ H	I	3-SO ₂ CF ₂ H	I	4-SO ₂ CF ₂ H
OMe	2-CF ₃	OMe	3-CF ₃	OMe	4-CF ₃
OMe	2-OCF ₃	OMe	3-OCF ₃	OMe	4-OCF ₃
OMe	2-OCF ₂ H	OMe	3-OCF ₂ H	OMe	4-OCF ₂ H

OMe	2-OCF ₂ CF ₂ H	OMe	3-OCF ₂ CF ₂ H	OMe	4-OCF ₂ CF ₂ H
OMe	2-OCH ₂ CF ₃	OMe	3-OCH ₂ CF ₃	OMe	4-OCH ₂ CF ₃
OMe	2-SCF ₃	OMe	3-SCF ₃	OMe	4-SCF ₃
OMe	2-SOCF ₃	OMe	3-SOCF ₃	OMe	4-SOCF ₃
OMe	2-SO ₂ CF ₃	OMe	3-SO ₂ CF ₃	OMe	4-SO ₂ CF ₃
OMe	2-SCF ₂ H	OMe	3-SCF ₂ H	OMe	4-SCF ₂ H
OMe	2-SOCF ₂ H	OMe	3-SOCF ₂ H	OMe	4-SOCF ₂ H
OMe	2-SO ₂ CF ₂ H	OMe	3-SO ₂ CF ₂ H	OMe	4-SO ₂ CF ₂ H
CF ₃	2-CF ₃	CF ₃	3-CF ₃	CF ₃	4-CF ₃
CF ₃	2-OCF ₃	CF ₃	3-OCF ₃	CF ₃	4-OCF ₃
CF ₃	2-OCF ₂ H	CF ₃	3-OCF ₂ H	CF ₃	4-OCF ₂ H
CF ₃	2-OCF ₂ CF ₂ H	CF ₃	3-OCF ₂ CF ₂ H	CF ₃	4-OCF ₂ CF ₂ H
CF ₃	2-OCH ₂ CF ₃	CF ₃	3-OCH ₂ CF ₃	CF ₃	4-OCH ₂ CF ₃
CF ₃	2-SCF ₃	CF ₃	3-SCF ₃	CF ₃	4-SCF ₃
CF ₃	2-SOCF ₃	CF ₃	3-SOCF ₃	CF ₃	4-SOCF ₃
CF ₃	2-SO ₂ CF ₃	CF ₃	3-SO ₂ CF ₃	CF ₃	4-SO ₂ CF ₃
CF ₃	2-SCF ₂ H	CF ₃	3-SCF ₂ H	CF ₃	4-SCF ₂ H
CF ₃	2-SOCF ₂ H	CF ₃	3-SOCF ₂ H	CF ₃	4-SOCF ₂ H
CF ₃	2-SO ₂ CF ₂ H	CF ₃	3-SO ₂ CF ₂ H	CF ₃	4-SO ₂ CF ₂ H
OCF ₂ H	2-CF ₃	OCF ₂ H	3-CF ₃	OCF ₂ H	4-CF ₃
OCF ₂ H	2-OCF ₃	OCF ₂ H	3-OCF ₃	OCF ₂ H	4-OCF ₃
OCF ₂ H	2-OCF ₂ H	OCF ₂ H	3-OCF ₂ H	OCF ₂ H	4-OCF ₂ H
OCF ₂ H	2-OCF ₂ CF ₂ H	OCF ₂ H	3-OCF ₂ CF ₂ H	OCF ₂ H	4-OCF ₂ CF ₂ H
OCF ₂ H	2-OCH ₂ CF ₃	OCF ₂ H	3-OCH ₂ CF ₃	OCF ₂ H	4-OCH ₂ CF ₃
OCF ₂ H	2-SCF ₃	OCF ₂ H	3-SCF ₃	OCF ₂ H	4-SCF ₃
OCF ₂ H	2-SOCF ₃	OCF ₂ H	3-SOCF ₃	OCF ₂ H	4-SOCF ₃
OCF ₂ H	2-SO ₂ CF ₃	OCF ₂ H	3-SO ₂ CF ₃	OCF ₂ H	4-SO ₂ CF ₃
OCF ₂ H	2-SCF ₂ H	OCF ₂ H	3-SCF ₂ H	OCF ₂ H	4-SCF ₂ H
OCF ₂ H	2-SOCF ₂ H	OCF ₂ H	3-SOCF ₂ H	OCF ₂ H	4-SOCF ₂ H
OCF ₂ H	2-SO ₂ CF ₂ H	OCF ₂ H	3-SO ₂ CF ₂ H	OCF ₂ H	4-SO ₂ CF ₂ H
Me	2-Me-4-CF ₃	F	2-Me-4-CF ₃	Cl	2-Me-4-CF ₃
Me	2-Me-4-OCF ₃	F	2-Me-4-OCF ₃	Cl	2-Me-4-OCF ₃
Me	2-Me-4-OCF ₂ H	F	2-Me-4-OCF ₂ H	Cl	2-Me-4-OCF ₂ H
Me	2-Me-4-OCH ₂ CF ₃	F	2-Me-4-OCH ₂ CF ₃	Cl	2-Me-4-OCH ₂ CF ₃
Me	2-Me-4-SCF ₃	F	2-Me-4-SCF ₃	Cl	2-Me-4-SCF ₃
Me	2-Me-4-SOCF ₃	F	2-Me-4-SOCF ₃	Cl	2-Me-4-SOCF ₃
Me	2-Me-4-SO ₂ CF ₃	F	2-Me-4-SO ₂ CF ₃	Cl	2-Me-4-SO ₂ CF ₃

Me	2-Me-4-SCF ₂ H	F	2-Me-4-SCF ₂ H	Cl	2-Me-4-SCF ₂ H
Me	2-Me-4-SOCF ₂ H	F	2-Me-4-SOCF ₂ H	Cl	2-Me-4-SOCF ₂ H
Me	2-Me-4-SO ₂ CF ₂ H	F	2-Me-4-SO ₂ CF ₂ H	Cl	2-Me-4-SO ₂ CF ₂ H
Br	2-Me-4-CF ₃	I	2-Me-4-CF ₃	OMe	2-Me-4-CF ₃
Br	2-Me-4-OCF ₃	I	2-Me-4-OCF ₃	OMe	2-Me-4-OCF ₃
Br	2-Me-4-OCF ₂ H	I	2-Me-4-OCF ₂ H	OMe	2-Me-4-OCF ₂ H
Br	2-Me-4-OCH ₂ CF ₃	I	2-Me-4-OCH ₂ CF ₃	OMe	2-Me-4-OCH ₂ CF ₃
Br	2-Me-4-SCF ₃	I	2-Me-4-SCF ₃	OMe	2-Me-4-SCF ₃
Br	2-Me-4-SOCF ₃	I	2-Me-4-SOCF ₃	OMe	2-Me-4-SOCF ₃
Br	2-Me-4-SO ₂ CF ₃	I	2-Me-4-SO ₂ CF ₃	OMe	2-Me-4-SO ₂ CF ₃
Br	2-Me-4-SCF ₂ H	I	2-Me-4-SCF ₂ H	OMe	2-Me-4-SCF ₂ H
Br	2-Me-4-SOCF ₂ H	I	2-Me-4-SOCF ₂ H	OMe	2-Me-4-SOCF ₂ H
Br	2-Me-4-SO ₂ CF ₂ H	I	2-Me-4-SO ₂ CF ₂ H	OMe	2-Me-4-SO ₂ CF ₂ H
CF ₃	2-Me-4-CF ₃	NO ₂	2-Me-4-CF ₃	SMe	2-Me-4-CF ₃
CF ₃	2-Me-4-OCF ₃	NO ₂	2-Me-4-OCF ₃	SMe	2-Me-4-OCF ₃
CF ₃	2-Me-4-OCF ₂ H	NO ₂	2-Me-4-OCF ₂ H	SMe	2-Me-4-OCF ₂ H
CF ₃	2-Me-4-OCH ₂ CF ₃	NO ₂	2-Me-4-OCH ₂ CF ₃	SMe	2-Me-4-OCH ₂ CF ₃
CF ₃	2-Me-4-SCF ₃	NO ₂	2-Me-4-SCF ₃	SMe	2-Me-4-SCF ₃
CF ₃	2-Me-4-SOCF ₃	NO ₂	2-Me-4-SOCF ₃	SMe	2-Me-4-SOCF ₃
CF ₃	2-Me-4-SO ₂ CF ₃	NO ₂	2-Me-4-SO ₂ CF ₃	SMe	2-Me-4-SO ₂ CF ₃
CF ₃	2-Me-4-SCF ₂ H	NO ₂	2-Me-4-SCF ₂ H	SMe	2-Me-4-SCF ₂ H
CF ₃	2-Me-4-SOCF ₂ H	NO ₂	2-Me-4-SOCF ₂ H	SMe	2-Me-4-SOCF ₂ H
CF ₃	2-Me-4-SO ₂ CF ₂ H	NO ₂	2-Me-4-SO ₂ CF ₂ H	SMe	2-Me-4-SO ₂ CF ₂ H

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Table 2



R ⁴	R ⁵ and/or R ⁶	R ⁴	R ⁵ and/or R ⁶	R ⁴	R ⁵ and/or R ⁶
Me	2-CF ₃	Me	3-CF ₃	Me	4-CF ₃
Me	2-OCF ₃	Me	3-OCF ₃	Me	4-OCF ₃

Me	2-OCF ₂ H	Me	3-OCF ₂ H	Me	4-OCF ₂ H
Me	2-OCF ₂ CF ₂ H	Me	3-OCF ₂ CF ₂ H	Me	4-OCF ₂ CF ₂ H
Me	2-OCH ₂ CF ₃	Me	3-OCH ₂ CF ₃	Me	4-OCH ₂ CF ₃
Me	2-SCF ₃	Me	3-SCF ₃	Me	4-SCF ₃
Me	2-SOCF ₃	Me	3-SOCF ₃	Me	4-SOCF ₃
Me	2-SO ₂ CF ₃	Me	3-SO ₂ CF ₃	Me	4-SO ₂ CF ₃
Me	2-SCF ₂ H	Me	3-SCF ₂ H	Me	4-SCF ₂ H
Me	2-SOCF ₂ H	Me	3-SOCF ₂ H	Me	4-SOCF ₂ H
Me	2-SO ₂ CF ₂ H	Me	3-SO ₂ CF ₂ H	Me	4-SO ₂ CF ₂ H
Cl	2-CF ₃	Cl	3-CF ₃	Cl	4-CF ₃
Cl	2-OCF ₃	Cl	3-OCF ₃	Cl	4-OCF ₃
Cl	2-OCF ₂ H	Cl	3-OCF ₂ H	Cl	4-OCF ₂ H
Cl	2-OCF ₂ CF ₂ H	Cl	3-OCF ₂ CF ₂ H	Cl	4-OCF ₂ CF ₂ H
Cl	2-OCH ₂ CF ₃	Cl	3-OCH ₂ CF ₃	Cl	4-OCH ₂ CF ₃
Cl	2-SCF ₃	Cl	3-SCF ₃	Cl	4-SCF ₃
Cl	2-SOCF ₃	Cl	3-SOCF ₃	Cl	4-SOCF ₃
Cl	2-SO ₂ CF ₃	Cl	3-SO ₂ CF ₃	Cl	4-SO ₂ CF ₃
Cl	2-SCF ₂ H	Cl	3-SCF ₂ H	Cl	4-SCF ₂ H
Cl	2-SOCF ₂ H	Cl	3-SOCF ₂ H	Cl	4-SOCF ₂ H
Cl	2-SO ₂ CF ₂ H	Cl	3-SO ₂ CF ₂ H	Cl	4-SO ₂ CF ₂ H
F	2-CF ₃	F	3-CF ₃	F	4-CF ₃
F	2-OCF ₃	F	3-OCF ₃	F	4-OCF ₃
F	2-OCF ₂ H	F	3-OCF ₂ H	F	4-OCF ₂ H
F	2-OCF ₂ CF ₂ H	F	3-OCF ₂ CF ₂ H	F	4-OCF ₂ CF ₂ H
F	2-OCH ₂ CF ₃	F	3-OCH ₂ CF ₃	F	4-OCH ₂ CF ₃
F	2-SCF ₃	F	3-SCF ₃	F	4-SCF ₃
F	2-SOCF ₃	F	3-SOCF ₃	F	4-SOCF ₃
F	2-SO ₂ CF ₃	F	3-SO ₂ CF ₃	F	4-SO ₂ CF ₃
F	2-SCF ₂ H	F	3-SCF ₂ H	F	4-SCF ₂ H
F	2-SOCF ₂ H	F	3-SOCF ₂ H	F	4-SOCF ₂ H
F	2-SO ₂ CF ₂ H	F	3-SO ₂ CF ₂ H	F	4-SO ₂ CF ₂ H
Br	2-CF ₃	Br	3-CF ₃	Br	4-CF ₃
Br	2-OCF ₃	Br	3-OCF ₃	Br	4-OCF ₃
Br	2-OCF ₂ H	Br	3-OCF ₂ H	Br	4-OCF ₂ H
Br	2-OCF ₂ CF ₂ H	Br	3-OCF ₂ CF ₂ H	Br	4-OCF ₂ CF ₂ H
Br	2-OCH ₂ CF ₃	Br	3-OCH ₂ CF ₃	Br	4-OCH ₂ CF ₃
Br	2-SCF ₃	Br	3-SCF ₃	Br	4-SCF ₃

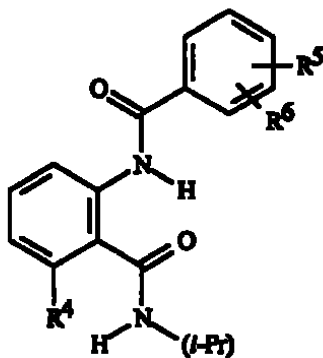
Br	2-SOCF ₃	Br	3-SOCF ₃	Br	4-SOCF ₃
Br	2-SO ₂ CF ₃	Br	3-SO ₂ CF ₃	Br	4-SO ₂ CF ₃
Br	2-SCF ₂ H	Br	3-SCF ₂ H	Br	4-SCF ₂ H
Br	2-SOCF ₂ H	Br	3-SOCF ₂ H	Br	4-SOCF ₂ H
Br	2-SO ₂ CF ₂ H	Br	3-SO ₂ CF ₂ H	Br	4-SO ₂ CF ₂ H
I	2-CF ₃	I	3-CF ₃	I	4-CF ₃
I	2-OCF ₃	I	3-OCF ₃	I	4-OCF ₃
I	2-OCF ₂ H	I	3-OCF ₂ H	I	4-OCF ₂ H
I	2-OCF ₂ CF ₂ H	I	3-OCF ₂ CF ₂ H	I	4-OCF ₂ CF ₂ H
I	2-OCH ₂ CF ₃	I	3-OCH ₂ CF ₃	I	4-OCH ₂ CF ₃
I	2-SCF ₃	I	3-SCF ₃	I	4-SCF ₃
I	2-SOCF ₃	I	3-SOCF ₃	I	4-SOCF ₃
I	2-SO ₂ CF ₃	I	3-SO ₂ CF ₃	I	4-SO ₂ CF ₃
I	2-SCF ₂ H	I	3-SCF ₂ H	I	4-SCF ₂ H
I	2-SOCF ₂ H	I	3-SOCF ₂ H	I	4-SOCF ₂ H
I	2-SO ₂ CF ₂ H	I	3-SO ₂ CF ₂ H	I	4-SO ₂ CF ₂ H
OMe	2-CF ₃	OMe	3-CF ₃	OMe	4-CF ₃
OMe	2-OCF ₃	OMe	3-OCF ₃	OMe	4-OCF ₃
OMe	2-OCF ₂ H	OMe	3-OCF ₂ H	OMe	4-OCF ₂ H
OMe	2-OCF ₂ CF ₂ H	OMe	3-OCF ₂ CF ₂ H	OMe	4-OCF ₂ CF ₂ H
OMe	2-OCH ₂ CF ₃	OMe	3-OCH ₂ CF ₃	OMe	4-OCH ₂ CF ₃
OMe	2-SCF ₃	OMe	3-SCF ₃	OMe	4-SCF ₃
OMe	2-SOCF ₃	OMe	3-SOCF ₃	OMe	4-SOCF ₃
OMe	2-SO ₂ CF ₃	OMe	3-SO ₂ CF ₃	OMe	4-SO ₂ CF ₃
OMe	2-SCF ₂ H	OMe	3-SCF ₂ H	OMe	4-SCF ₂ H
OMe	2-SOCF ₂ H	OMe	3-SOCF ₂ H	OMe	4-SOCF ₂ H
OMe	2-SO ₂ CF ₂ H	OMe	3-SO ₂ CF ₂ H	OMe	4-SO ₂ CF ₂ H
CF ₃	2-CF ₃	CF ₃	3-CF ₃	CF ₃	4-CF ₃
CF ₃	2-OCF ₃	CF ₃	3-OCF ₃	CF ₃	4-OCF ₃
CF ₃	2-OCF ₂ H	CF ₃	3-OCF ₂ H	CF ₃	4-OCF ₂ H
CF ₃	2-OCF ₂ CF ₂ H	CF ₃	3-OCF ₂ CF ₂ H	CF ₃	4-OCF ₂ CF ₂ H
CF ₃	2-OCH ₂ CF ₃	CF ₃	3-OCH ₂ CF ₃	CF ₃	4-OCH ₂ CF ₃
CF ₃	2-SCF ₃	CF ₃	3-SCF ₃	CF ₃	4-SCF ₃
CF ₃	2-SOCF ₃	CF ₃	3-SOCF ₃	CF ₃	4-SOCF ₃
CF ₃	2-SO ₂ CF ₃	CF ₃	3-SO ₂ CF ₃	CF ₃	4-SO ₂ CF ₃
CF ₃	2-SCF ₂ H	CF ₃	3-SCF ₂ H	CF ₃	4-SCF ₂ H
CF ₃	2-SOCF ₂ H	CF ₃	3-SOCF ₂ H	CF ₃	4-SOCF ₂ H

CF ₃	2-SO ₂ CF ₂ H	CF ₃	3-SO ₂ CF ₂ H	CF ₃	4-SO ₂ CF ₂ H
OCF ₂ H	2-CF ₃	OCF ₂ H	3-CF ₃	OCF ₂ H	4-CF ₃
OCF ₂ H	2-OCF ₃	OCF ₂ H	3-OCF ₃	OCF ₂ H	4-OCF ₃
OCF ₂ H	2-OCF ₂ H	OCF ₂ H	3-OCF ₂ H	OCF ₂ H	4-OCF ₂ H
OCF ₂ H	2-OCF ₂ CF ₂ H	OCF ₂ H	3-OCF ₂ CF ₂ H	OCF ₂ H	4-OCF ₂ CF ₂ H
OCF ₂ H	2-OCH ₂ CF ₃	OCF ₂ H	3-OCH ₂ CF ₃	OCF ₂ H	4-OCH ₂ CF ₃
OCF ₂ H	2-SCF ₃	OCF ₂ H	3-SCF ₃	OCF ₂ H	4-SCF ₃
OCF ₂ H	2-SOCF ₃	OCF ₂ H	3-SOCF ₃	OCF ₂ H	4-SOCF ₃
OCF ₂ H	2-SO ₂ CF ₃	OCF ₂ H	3-SO ₂ CF ₃	OCF ₂ H	4-SO ₂ CF ₃
OCF ₂ H	2-SCF ₂ H	OCF ₂ H	3-SCF ₂ H	OCF ₂ H	4-SCF ₂ H
OCF ₂ H	2-SOCF ₂ H	OCF ₂ H	3-SOCF ₂ H	OCF ₂ H	4-SOCF ₂ H
OCF ₂ H	2-SO ₂ CF ₂ H	OCF ₂ H	3-SO ₂ CF ₂ H	OCF ₂ H	4-SO ₂ CF ₂ H
Me	2-Me-4-CF ₃	F	2-Me-4-CF ₃	Cl	2-Me-4-CF ₃
Me	2-Me-4-OCF ₃	F	2-Me-4-OCF ₃	Cl	2-Me-4-OCF ₃
Me	2-Me-4-OCF ₂ H	F	2-Me-4-OCF ₂ H	Cl	2-Me-4-OCF ₂ H
Me	2-Me-4-OCH ₂ CF ₃	F	2-Me-4-OCH ₂ CF ₃	Cl	2-Me-4-OCH ₂ CF ₃
Me	2-Me-4-SCF ₃	F	2-Me-4-SCF ₃	Cl	2-Me-4-SCF ₃
Me	2-Me-4-SOCF ₃	F	2-Me-4-SOCF ₃	Cl	2-Me-4-SOCF ₃
Me	2-Me-4-SO ₂ CF ₃	F	2-Me-4-SO ₂ CF ₃	Cl	2-Me-4-SO ₂ CF ₃
Me	2-Me-4-SCF ₂ H	F	2-Me-4-SCF ₂ H	Cl	2-Me-4-SCF ₂ H
Me	2-Me-4-SOCF ₂ H	F	2-Me-4-SOCF ₂ H	Cl	2-Me-4-SOCF ₂ H
Me	2-Me-4-SO ₂ CF ₂ H	F	2-Me-4-SO ₂ CF ₂ H	Cl	2-Me-4-SO ₂ CF ₂ H
Br	2-Me-4-CF ₃	I	2-Me-4-CF ₃	OMe	2-Me-4-CF ₃
Br	2-Me-4-OCF ₃	I	2-Me-4-OCF ₃	OMe	2-Me-4-OCF ₃
Br	2-Me-4-OCF ₂ H	I	2-Me-4-OCF ₂ H	OMe	2-Me-4-OCF ₂ H
Br	2-Me-4-OCH ₂ CF ₃	I	2-Me-4-OCH ₂ CF ₃	OMe	2-Me-4-OCH ₂ CF ₃
Br	2-Me-4-SCF ₃	I	2-Me-4-SCF ₃	OMe	2-Me-4-SCF ₃
Br	2-Me-4-SOCF ₃	I	2-Me-4-SOCF ₃	OMe	2-Me-4-SOCF ₃
Br	2-Me-4-SO ₂ CF ₃	I	2-Me-4-SO ₂ CF ₃	OMe	2-Me-4-SO ₂ CF ₃
Br	2-Me-4-SCF ₂ H	I	2-Me-4-SCF ₂ H	OMe	2-Me-4-SCF ₂ H
Br	2-Me-4-SOCF ₂ H	I	2-Me-4-SOCF ₂ H	OMe	2-Me-4-SOCF ₂ H
Br	2-Me-4-SO ₂ CF ₂ H	I	2-Me-4-SO ₂ CF ₂ H	OMe	2-Me-4-SO ₂ CF ₂ H
CF ₃	2-Me-4-CF ₃	NO ₂	2-Me-4-CF ₃	SMe	2-Me-4-CF ₃
CF ₃	2-Me-4-OCF ₃	NO ₂	2-Me-4-OCF ₃	SMe	2-Me-4-OCF ₃
CF ₃	2-Me-4-OCF ₂ H	NO ₂	2-Me-4-OCF ₂ H	SMe	2-Me-4-OCF ₂ H
CF ₃	2-Me-4-OCH ₂ CF ₃	NO ₂	2-Me-4-OCH ₂ CF ₃	SMe	2-Me-4-OCH ₂ CF ₃
CF ₃	2-Me-4-SCF ₃	NO ₂	2-Me-4-SCF ₃	SMe	2-Me-4-SCF ₃

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CF ₃	2-Me-4-SOCF ₃	NO ₂	2-Me-4-SOCF ₃	SMe	2-Me-4-SOCF ₃
CF ₃	2-Me-4-SO ₂ CF ₃	NO ₂	2-Me-4-SO ₂ CF ₃	SMe	2-Me-4-SO ₂ CF ₃
CF ₃	2-Me-4-SCF ₂ H	NO ₂	2-Me-4-SCF ₂ H	SMe	2-Me-4-SCF ₂ H
CF ₃	2-Me-4-SOCF ₂ H	NO ₂	2-Me-4-SOCF ₂ H	SMe	2-Me-4-SOCF ₂ H
CF ₃	2-Me-4-SO ₂ CF ₂ H	NO ₂	2-Me-4-SO ₂ CF ₂ H	SMe	2-Me-4-SO ₂ CF ₂ H

Table 3



R ⁴	R ⁵ and/or R ⁶	R ⁴	R ⁵ and/or R ⁶	R ⁴	R ⁵ and/or R ⁶
Me	2-CF ₃	Me	3-CF ₃	Me	4-CF ₃
Me	2-OCF ₃	Me	3-OCF ₃	Me	4-OCF ₃
Me	2-OCF ₂ H	Me	3-OCF ₂ H	Me	4-OCF ₂ H
Me	2-OCF ₂ CF ₂ H	Me	3-OCF ₂ CF ₂ H	Me	4-OCF ₂ CF ₂ H
Me	2-OCH ₂ CF ₃	Me	3-OCH ₂ CF ₃	Me	4-OCH ₂ CF ₃
Me	2-SCF ₃	Me	3-SCF ₃	Me	4-SCF ₃
Me	2-SOCF ₃	Me	3-SOCF ₃	Me	4-SOCF ₃
Me	2-SO ₂ CF ₃	Me	3-SO ₂ CF ₃	Me	4-SO ₂ CF ₃
Me	2-SCF ₂ H	Me	3-SCF ₂ H	Me	4-SCF ₂ H
Me	2-SOCF ₂ H	Me	3-SOCF ₂ H	Me	4-SOCF ₂ H
Me	2-SO ₂ CF ₂ H	Me	3-SO ₂ CF ₂ H	Me	4-SO ₂ CF ₂ H
Cl	2-CF ₃	Cl	3-CF ₃	Cl	4-CF ₃
Cl	2-OCF ₃	Cl	3-OCF ₃	Cl	4-OCF ₃
Cl	2-OCF ₂ H	Cl	3-OCF ₂ H	Cl	4-OCF ₂ H
Cl	2-OCF ₂ CF ₂ H	Cl	3-OCF ₂ CF ₂ H	Cl	4-OCF ₂ CF ₂ H
Cl	2-OCH ₂ CF ₃	Cl	3-OCH ₂ CF ₃	Cl	4-OCH ₂ CF ₃
Cl	2-SCF ₃	Cl	3-SCF ₃	Cl	4-SCF ₃
Cl	2-SOCF ₃	Cl	3-SOCF ₃	Cl	4-SOCF ₃
Cl	2-SO ₂ CF ₃	Cl	3-SO ₂ CF ₃	Cl	4-SO ₂ CF ₃
Cl	2-SCF ₂ H	Cl	3-SCF ₂ H	Cl	4-SCF ₂ H
Cl	2-SOCF ₂ H	Cl	3-SOCF ₂ H	Cl	4-SOCF ₂ H

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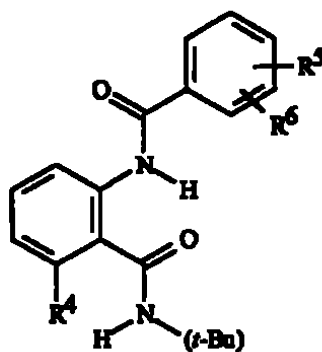
Cl	2-SO ₂ CF ₂ H	Cl	3-SO ₂ CF ₂ H	Cl	4-SO ₂ CF ₂ H
F	2-CF ₃	F	3-CF ₃	F	4-CF ₃
F	2-OCF ₃	F	3-OCF ₃	F	4-OCF ₃
F	2-OCF ₂ H	F	3-OCF ₂ H	F	4-OCF ₂ H
F	2-OCF ₂ CF ₂ H	F	3-OCF ₂ CF ₂ H	F	4-OCF ₂ CF ₂ H
F	2-OCH ₂ CF ₃	F	3-OCH ₂ CF ₃	F	4-OCH ₂ CF ₃
F	2-SCF ₃	F	3-SCF ₃	F	4-SCF ₃
F	2-SOCF ₃	F	3-SOCF ₃	F	4-SOCF ₃
F	2-SO ₂ CF ₃	F	3-SO ₂ CF ₃	F	4-SO ₂ CF ₃
F	2-SCF ₂ H	F	3-SCF ₂ H	F	4-SCF ₂ H
F	2-SOCF ₂ H	F	3-SOCF ₂ H	F	4-SOCF ₂ H
F	2-SO ₂ CF ₂ H	F	3-SO ₂ CF ₂ H	F	4-SO ₂ CF ₂ H
Br	2-CF ₃	Br	3-CF ₃	Br	4-CF ₃
Br	2-OCF ₃	Br	3-OCF ₃	Br	4-OCF ₃
Br	2-OCF ₂ H	Br	3-OCF ₂ H	Br	4-OCF ₂ H
Br	2-OCF ₂ CF ₂ H	Br	3-OCF ₂ CF ₂ H	Br	4-OCF ₂ CF ₂ H
Br	2-OCH ₂ CF ₃	Br	3-OCH ₂ CF ₃	Br	4-OCH ₂ CF ₃
Br	2-SCF ₃	Br	3-SCF ₃	Br	4-SCF ₃
Br	2-SOCF ₃	Br	3-SOCF ₃	Br	4-SOCF ₃
Br	2-SO ₂ CF ₃	Br	3-SO ₂ CF ₃	Br	4-SO ₂ CF ₃
Br	2-SCF ₂ H	Br	3-SCF ₂ H	Br	4-SCF ₂ H
Br	2-SOCF ₂ H	Br	3-SOCF ₂ H	Br	4-SOCF ₂ H
Br	2-SO ₂ CF ₂ H	Br	3-SO ₂ CF ₂ H	Br	4-SO ₂ CF ₂ H
I	2-CF ₃	I	3-CF ₃	I	4-CF ₃
I	2-OCF ₃	I	3-OCF ₃	I	4-OCF ₃
I	2-OCF ₂ H	I	3-OCF ₂ H	I	4-OCF ₂ H
I	2-OCF ₂ CF ₂ H	I	3-OCF ₂ CF ₂ H	I	4-OCF ₂ CF ₂ H
I	2-OCH ₂ CF ₃	I	3-OCH ₂ CF ₃	I	4-OCH ₂ CF ₃
I	2-SCF ₃	I	3-SCF ₃	I	4-SCF ₃
I	2-SOCF ₃	I	3-SOCF ₃	I	4-SOCF ₃
I	2-SO ₂ CF ₃	I	3-SO ₂ CF ₃	I	4-SO ₂ CF ₃
I	2-SCF ₂ H	I	3-SCF ₂ H	I	4-SCF ₂ H
I	2-SOCF ₂ H	I	3-SOCF ₂ H	I	4-SOCF ₂ H
I	2-SO ₂ CF ₂ H	I	3-SO ₂ CF ₂ H	I	4-SO ₂ CF ₂ H
OMe	2-CF ₃	OMe	3-CF ₃	OMe	4-CF ₃
OMe	2-OCF ₃	OMe	3-OCF ₃	OMe	4-OCF ₃
OMe	2-OCF ₂ H	OMe	3-OCF ₂ H	OMe	4-OCF ₂ H

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OMe	2-OCF ₂ CF ₂ H	OMe	3-OCF ₂ CF ₂ H	OMe	4-OCF ₂ CF ₂ H
OMe	2-OCH ₂ CF ₃	OMe	3-OCH ₂ CF ₃	OMe	4-OCH ₂ CF ₃
OMe	2-SCF ₃	OMe	3-SCF ₃	OMe	4-SCF ₃
OMe	2-SOCF ₃	OMe	3-SOCF ₃	OMe	4-SOCF ₃
OMe	2-SO ₂ CF ₃	OMe	3-SO ₂ CF ₃	OMe	4-SO ₂ CF ₃
OMe	2-SCF ₂ H	OMe	3-SCF ₂ H	OMe	4-SCF ₂ H
OMe	2-SOCF ₂ H	OMe	3-SOCF ₂ H	OMe	4-SOCF ₂ H
OMe	2-SO ₂ CF ₂ H	OMe	3-SO ₂ CF ₂ H	OMe	4-SO ₂ CF ₂ H
CF ₃	2-CF ₃	CF ₃	3-CF ₃	CF ₃	4-CF ₃
CF ₃	2-OCF ₃	CF ₃	3-OCF ₃	CF ₃	4-OCF ₃
CF ₃	2-OCF ₂ H	CF ₃	3-OCF ₂ H	CF ₃	4-OCF ₂ H
CF ₃	2-OCF ₂ CF ₂ H	CF ₃	3-OCF ₂ CF ₂ H	CF ₃	4-OCF ₂ CF ₂ H
CF ₃	2-OCH ₂ CF ₃	CF ₃	3-OCH ₂ CF ₃	CF ₃	4-OCH ₂ CF ₃
CF ₃	2-SCF ₃	CF ₃	3-SCF ₃	CF ₃	4-SCF ₃
CF ₃	2-SOCF ₃	CF ₃	3-SOCF ₃	CF ₃	4-SOCF ₃
CF ₃	2-SO ₂ CF ₃	CF ₃	3-SO ₂ CF ₃	CF ₃	4-SO ₂ CF ₃
CF ₃	2-SCF ₂ H	CF ₃	3-SCF ₂ H	CF ₃	4-SCF ₂ H
CF ₃	2-SOCF ₂ H	CF ₃	3-SOCF ₂ H	CF ₃	4-SOCF ₂ H
CF ₃	2-SO ₂ CF ₂ H	CF ₃	3-SO ₂ CF ₂ H	CF ₃	4-SO ₂ CF ₂ H
OCF ₂ H	2-CF ₃	OCF ₂ H	3-CF ₃	OCF ₂ H	4-CF ₃
OCF ₂ H	2-OCF ₃	OCF ₂ H	3-OCF ₃	OCF ₂ H	4-OCF ₃
OCF ₂ H	2-OCF ₂ H	OCF ₂ H	3-OCF ₂ H	OCF ₂ H	4-OCF ₂ H
OCF ₂ H	2-OCF ₂ CF ₂ H	OCF ₂ H	3-OCF ₂ CF ₂ H	OCF ₂ H	4-OCF ₂ CF ₂ H
OCF ₂ H	2-OCH ₂ CF ₃	OCF ₂ H	3-OCH ₂ CF ₃	OCF ₂ H	4-OCH ₂ CF ₃
OCF ₂ H	2-SCF ₃	OCF ₂ H	3-SCF ₃	OCF ₂ H	4-SCF ₃
OCF ₂ H	2-SOCF ₃	OCF ₂ H	3-SOCF ₃	OCF ₂ H	4-SOCF ₃
OCF ₂ H	2-SO ₂ CF ₃	OCF ₂ H	3-SO ₂ CF ₃	OCF ₂ H	4-SO ₂ CF ₃
OCF ₂ H	2-SCF ₂ H	OCF ₂ H	3-SCF ₂ H	OCF ₂ H	4-SCF ₂ H
OCF ₂ H	2-SOCF ₂ H	OCF ₂ H	3-SOCF ₂ H	OCF ₂ H	4-SOCF ₂ H
OCF ₂ H	2-SO ₂ CF ₂ H	OCF ₂ H	3-SO ₂ CF ₂ H	OCF ₂ H	4-SO ₂ CF ₂ H
Me	2-Me-4-CF ₃	F	2-Me-4-CF ₃	Cl	2-Me-4-CF ₃
Me	2-Me-4-OCF ₃	F	2-Me-4-OCF ₃	Cl	2-Me-4-OCF ₃
Me	2-Me-4-OCF ₂ H	F	2-Me-4-OCF ₂ H	Cl	2-Me-4-OCF ₂ H
Me	2-Me-4-OCH ₂ CF ₃	F	2-Me-4-OCH ₂ CF ₃	Cl	2-Me-4-OCH ₂ CF ₃
Me	2-Me-4-SCF ₃	F	2-Me-4-SCF ₃	Cl	2-Me-4-SCF ₃
Me	2-Me-4-SOCF ₃	F	2-Me-4-SOCF ₃	Cl	2-Me-4-SOCF ₃
Me	2-Me-4-SO ₂ CF ₃	F	2-Me-4-SO ₂ CF ₃	Cl	2-Me-4-SO ₂ CF ₃

Me	2-Me-4-SCF ₂ H	F	2-Me-4-SCF ₂ H	Cl	2-Me-4-SCF ₂ H
Me	2-Me-4-SOCF ₂ H	F	2-Me-4-SOCF ₂ H	Cl	2-Me-4-SOCF ₂ H
Me	2-Me-4-SO ₂ CF ₂ H	F	2-Me-4-SO ₂ CF ₂ H	Cl	2-Me-4-SO ₂ CF ₂ H
Br	2-Me-4-CF ₃	I	2-Me-4-CF ₃	OMe	2-Me-4-CF ₃
Br	2-Me-4-OCF ₃	I	2-Me-4-OCF ₃	OMe	2-Me-4-OCF ₃
Br	2-Me-4-OCF ₂ H	I	2-Me-4-OCF ₂ H	OMe	2-Me-4-OCF ₂ H
Br	2-Me-4-OCH ₂ CF ₃	I	2-Me-4-OCH ₂ CF ₃	OMe	2-Me-4-OCH ₂ CF ₃
Br	2-Me-4-SCF ₃	I	2-Me-4-SCF ₃	OMe	2-Me-4-SCF ₃
Br	2-Me-4-SOCF ₃	I	2-Me-4-SOCF ₃	OMe	2-Me-4-SOCF ₃
Br	2-Me-4-SO ₂ CF ₃	I	2-Me-4-SO ₂ CF ₃	OMe	2-Me-4-SO ₂ CF ₃
Br	2-Me-4-SCF ₂ H	I	2-Me-4-SCF ₂ H	OMe	2-Me-4-SCF ₂ H
Br	2-Me-4-SOCF ₂ H	I	2-Me-4-SOCF ₂ H	OMe	2-Me-4-SOCF ₂ H
Br	2-Me-4-SO ₂ CF ₂ H	I	2-Me-4-SO ₂ CF ₂ H	OMe	2-Me-4-SO ₂ CF ₂ H
CF ₃	2-Me-4-CF ₃	NO ₂	2-Me-4-CF ₃	SMe	2-Me-4-CF ₃
CF ₃	2-Me-4-OCF ₃	NO ₂	2-Me-4-OCF ₃	SMe	2-Me-4-OCF ₃
CF ₃	2-Me-4-OCF ₂ H	NO ₂	2-Me-4-OCF ₂ H	SMe	2-Me-4-OCF ₂ H
CF ₃	2-Me-4-OCH ₂ CF ₃	NO ₂	2-Me-4-OCH ₂ CF ₃	SMe	2-Me-4-OCH ₂ CF ₃
CF ₃	2-Me-4-SCF ₃	NO ₂	2-Me-4-SCF ₃	SMe	2-Me-4-SCF ₃
CF ₃	2-Me-4-SOCF ₃	NO ₂	2-Me-4-SOCF ₃	SMe	2-Me-4-SOCF ₃
CF ₃	2-Me-4-SO ₂ CF ₃	NO ₂	2-Me-4-SO ₂ CF ₃	SMe	2-Me-4-SO ₂ CF ₃
CF ₃	2-Me-4-SCF ₂ H	NO ₂	2-Me-4-SCF ₂ H	SMe	2-Me-4-SCF ₂ H
CF ₃	2-Me-4-SOCF ₂ H	NO ₂	2-Me-4-SOCF ₂ H	SMe	2-Me-4-SOCF ₂ H
CF ₃	2-Me-4-SO ₂ CF ₂ H	NO ₂	2-Me-4-SO ₂ CF ₂ H	SMe	2-Me-4-SO ₂ CF ₂ H

Table 4



R ⁴	R ⁵ and/or R ⁶	R ⁴	R ⁵ and/or R ⁶	R ⁴	R ⁵ and/or R ⁶
Me	2-CF ₃	Me	3-CF ₃	Me	4-CF ₃
Me	2-OCF ₃	Me	3-OCF ₃	Me	4-OCF ₃

Me	2-OCF ₂ H	Me	3-OCF ₂ H	Me	4-OCF ₂ H
Me	2-OCF ₂ CF ₂ H	Me	3-OCF ₂ CF ₂ H	Me	4-OCF ₂ CF ₂ H
Me	2-OCH ₂ CF ₃	Me	3-OCH ₂ CF ₃	Me	4-OCH ₂ CF ₃
Me	2-SCF ₃	Me	3-SCF ₃	Me	4-SCF ₃
Me	2-SOCF ₃	Me	3-SOCF ₃	Me	4-SOCF ₃
Me	2-SO ₂ CF ₃	Me	3-SO ₂ CF ₃	Me	4-SO ₂ CF ₃
Me	2-SCF ₂ H	Me	3-SCF ₂ H	Me	4-SCF ₂ H
Me	2-SOCF ₂ H	Me	3-SOCF ₂ H	Me	4-SOCF ₂ H
Me	2-SO ₂ CF ₂ H	Me	3-SO ₂ CF ₂ H	Me	4-SO ₂ CF ₂ H
Cl	2-CF ₃	Cl	3-CF ₃	Cl	4-CF ₃
Cl	2-OCF ₃	Cl	3-OCF ₃	Cl	4-OCF ₃
Cl	2-OCF ₂ H	Cl	3-OCF ₂ H	Cl	4-OCF ₂ H
Cl	2-OCF ₂ CF ₂ H	Cl	3-OCF ₂ CF ₂ H	Cl	4-OCF ₂ CF ₂ H
Cl	2-OCH ₂ CF ₃	Cl	3-OCH ₂ CF ₃	Cl	4-OCH ₂ CF ₃
Cl	2-SCF ₃	Cl	3-SCF ₃	Cl	4-SCF ₃
Cl	2-SOCF ₃	Cl	3-SOCF ₃	Cl	4-SOCF ₃
Cl	2-SO ₂ CF ₃	Cl	3-SO ₂ CF ₃	Cl	4-SO ₂ CF ₃
Cl	2-SCF ₂ H	Cl	3-SCF ₂ H	Cl	4-SCF ₂ H
Cl	2-SOCF ₂ H	Cl	3-SOCF ₂ H	Cl	4-SOCF ₂ H
Cl	2-SO ₂ CF ₂ H	Cl	3-SO ₂ CF ₂ H	Cl	4-SO ₂ CF ₂ H
F	2-CF ₃	F	3-CF ₃	F	4-CF ₃
F	2-OCF ₃	F	3-OCF ₃	F	4-OCF ₃
F	2-OCF ₂ H	F	3-OCF ₂ H	F	4-OCF ₂ H
F	2-OCF ₂ CF ₂ H	F	3-OCF ₂ CF ₂ H	F	4-OCF ₂ CF ₂ H
F	2-OCH ₂ CF ₃	F	3-OCH ₂ CF ₃	F	4-OCH ₂ CF ₃
F	2-SCF ₃	F	3-SCF ₃	F	4-SCF ₃
F	2-SOCF ₃	F	3-SOCF ₃	F	4-SOCF ₃
F	2-SO ₂ CF ₃	F	3-SO ₂ CF ₃	F	4-SO ₂ CF ₃
F	2-SCF ₂ H	F	3-SCF ₂ H	F	4-SCF ₂ H
F	2-SOCF ₂ H	F	3-SOCF ₂ H	F	4-SOCF ₂ H
F	2-SO ₂ CF ₂ H	F	3-SO ₂ CF ₂ H	F	4-SO ₂ CF ₂ H
Br	2-CF ₃	Br	3-CF ₃	Br	4-CF ₃
Br	2-OCF ₃	Br	3-OCF ₃	Br	4-OCF ₃
Br	2-OCF ₂ H	Br	3-OCF ₂ H	Br	4-OCF ₂ H
Br	2-OCF ₂ CF ₂ H	Br	3-OCF ₂ CF ₂ H	Br	4-OCF ₂ CF ₂ H
Br	2-OCH ₂ CF ₃	Br	3-OCH ₂ CF ₃	Br	4-OCH ₂ CF ₃
Br	2-SCF ₃	Br	3-SCF ₃	Br	4-SCF ₃

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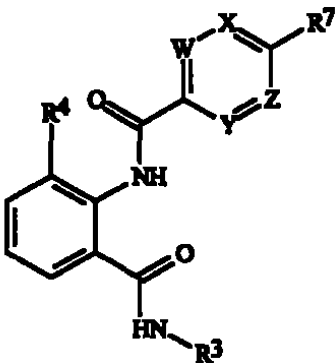
Br	2-SOCF ₃	Br	3-SOCF ₃	Br	4-SOCF ₃
Br	2-SO ₂ CF ₃	Br	3-SO ₂ CF ₃	Br	4-SO ₂ CF ₃
Br	2-SCF ₂ H	Br	3-SCF ₂ H	Br	4-SCF ₂ H
Br	2-SOCF ₂ H	Br	3-SOCF ₂ H	Br	4-SOCF ₂ H
Br	2-SO ₂ CF ₂ H	Br	3-SO ₂ CF ₂ H	Br	4-SO ₂ CF ₂ H
I	2-CF ₃	I	3-CF ₃	I	4-CF ₃
I	2-OCF ₃	I	3-OCF ₃	I	4-OCF ₃
I	2-OCF ₂ H	I	3-OCF ₂ H	I	4-OCF ₂ H
I	2-OCF ₂ CF ₂ H	I	3-OCF ₂ CF ₂ H	I	4-OCF ₂ CF ₂ H
I	2-OCH ₂ CF ₃	I	3-OCH ₂ CF ₃	I	4-OCH ₂ CF ₃
I	2-SCF ₃	I	3-SCF ₃	I	4-SCF ₃
I	2-SOCF ₃	I	3-SOCF ₃	I	4-SOCF ₃
I	2-SO ₂ CF ₃	I	3-SO ₂ CF ₃	I	4-SO ₂ CF ₃
I	2-SCF ₂ H	I	3-SCF ₂ H	I	4-SCF ₂ H
I	2-SOCF ₂ H	I	3-SOCF ₂ H	I	4-SOCF ₂ H
I	2-SO ₂ CF ₂ H	I	3-SO ₂ CF ₂ H	I	4-SO ₂ CF ₂ H
OMe	2-CF ₃	OMe	3-CF ₃	OMe	4-CF ₃
OMe	2-OCF ₃	OMe	3-OCF ₃	OMe	4-OCF ₃
OMe	2-OCF ₂ H	OMe	3-OCF ₂ H	OMe	4-OCF ₂ H
OMe	2-OCF ₂ CF ₂ H	OMe	3-OCF ₂ CF ₂ H	OMe	4-OCF ₂ CF ₂ H
OMe	2-OCH ₂ CF ₃	OMe	3-OCH ₂ CF ₃	OMe	4-OCH ₂ CF ₃
OMe	2-SCF ₃	OMe	3-SCF ₃	OMe	4-SCF ₃
OMe	2-SOCF ₃	OMe	3-SOCF ₃	OMe	4-SOCF ₃
OMe	2-SO ₂ CF ₃	OMe	3-SO ₂ CF ₃	OMe	4-SO ₂ CF ₃
OMe	2-SCF ₂ H	OMe	3-SCF ₂ H	OMe	4-SCF ₂ H
OMe	2-SOCF ₂ H	OMe	3-SOCF ₂ H	OMe	4-SOCF ₂ H
OMe	2-SO ₂ CF ₂ H	OMe	3-SO ₂ CF ₂ H	OMe	4-SO ₂ CF ₂ H
CF ₃	2-CF ₃	CF ₃	3-CF ₃	CF ₃	4-CF ₃
CF ₃	2-OCF ₃	CF ₃	3-OCF ₃	CF ₃	4-OCF ₃
CF ₃	2-OCF ₂ H	CF ₃	3-OCF ₂ H	CF ₃	4-OCF ₂ H
CF ₃	2-OCF ₂ CF ₂ H	CF ₃	3-OCF ₂ CF ₂ H	CF ₃	4-OCF ₂ CF ₂ H
CF ₃	2-OCH ₂ CF ₃	CF ₃	3-OCH ₂ CF ₃	CF ₃	4-OCH ₂ CF ₃
CF ₃	2-SCF ₃	CF ₃	3-SCF ₃	CF ₃	4-SCF ₃
CF ₃	2-SOCF ₃	CF ₃	3-SOCF ₃	CF ₃	4-SOCF ₃
CF ₃	2-SO ₂ CF ₃	CF ₃	3-SO ₂ CF ₃	CF ₃	4-SO ₂ CF ₃
CF ₃	2-SCF ₂ H	CF ₃	3-SCF ₂ H	CF ₃	4-SCF ₂ H
CF ₃	2-SOCF ₂ H	CF ₃	3-SOCF ₂ H	CF ₃	4-SOCF ₂ H

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CF ₃	2-SO ₂ CF ₂ H	CF ₃	3-SO ₂ CF ₂ H	CF ₃	4-SO ₂ CF ₂ H
OCF ₂ H	2-CF ₃	OCF ₂ H	3-CF ₃	OCF ₂ H	4-CF ₃
OCF ₂ H	2-OCF ₃	OCF ₂ H	3-OCF ₃	OCF ₂ H	4-OCF ₃
OCF ₂ H	2-OCF ₂ H	OCF ₂ H	3-OCF ₂ H	OCF ₂ H	4-OCF ₂ H
OCF ₂ H	2-OCF ₂ CF ₂ H	OCF ₂ H	3-OCF ₂ CF ₂ H	OCF ₂ H	4-OCF ₂ CF ₂ H
OCF ₂ H	2-OCH ₂ CF ₃	OCF ₂ H	3-OCH ₂ CF ₃	OCF ₂ H	4-OCH ₂ CF ₃
OCF ₂ H	2-SCF ₃	OCF ₂ H	3-SCF ₃	OCF ₂ H	4-SCF ₃
OCF ₂ H	2-SOCF ₃	OCF ₂ H	3-SOCF ₃	OCF ₂ H	4-SOCF ₃
OCF ₂ H	2-SO ₂ CF ₃	OCF ₂ H	3-SO ₂ CF ₃	OCF ₂ H	4-SO ₂ CF ₃
OCF ₂ H	2-SCF ₂ H	OCF ₂ H	3-SCF ₂ H	OCF ₂ H	4-SCF ₂ H
OCF ₂ H	2-SOCF ₂ H	OCF ₂ H	3-SOCF ₂ H	OCF ₂ H	4-SOCF ₂ H
OCF ₂ H	2-SO ₂ CF ₂ H	OCF ₂ H	3-SO ₂ CF ₂ H	OCF ₂ H	4-SO ₂ CF ₂ H
Me	2-Me-4-CF ₃	F	2-Me-4-CF ₃	Cl	2-Me-4-CF ₃
Me	2-Me-4-OCF ₃	F	2-Me-4-OCF ₃	Cl	2-Me-4-OCF ₃
Me	2-Me-4-OCF ₂ H	F	2-Me-4-OCF ₂ H	Cl	2-Me-4-OCF ₂ H
Me	2-Me-4-OCH ₂ CF ₃	F	2-Me-4-OCH ₂ CF ₃	Cl	2-Me-4-OCH ₂ CF ₃
Me	2-Me-4-SCF ₃	F	2-Me-4-SCF ₃	Cl	2-Me-4-SCF ₃
Me	2-Me-4-SOCF ₃	F	2-Me-4-SOCF ₃	Cl	2-Me-4-SOCF ₃
Me	2-Me-4-SO ₂ CF ₃	F	2-Me-4-SO ₂ CF ₃	Cl	2-Me-4-SO ₂ CF ₃
Me	2-Me-4-SCF ₂ H	F	2-Me-4-SCF ₂ H	Cl	2-Me-4-SCF ₂ H
Me	2-Me-4-SOCF ₂ H	F	2-Me-4-SOCF ₂ H	Cl	2-Me-4-SOCF ₂ H
Me	2-Me-4-SO ₂ CF ₂ H	F	2-Me-4-SO ₂ CF ₂ H	Cl	2-Me-4-SO ₂ CF ₂ H
Br	2-Me-4-CF ₃	I	2-Me-4-CF ₃	OMe	2-Me-4-CF ₃
Br	2-Me-4-OCF ₃	I	2-Me-4-OCF ₃	OMe	2-Me-4-OCF ₃
Br	2-Me-4-OCF ₂ H	I	2-Me-4-OCF ₂ H	OMe	2-Me-4-OCF ₂ H
Br	2-Me-4-OCH ₂ CF ₃	I	2-Me-4-OCH ₂ CF ₃	OMe	2-Me-4-OCH ₂ CF ₃
Br	2-Me-4-SCF ₃	I	2-Me-4-SCF ₃	OMe	2-Me-4-SCF ₃
Br	2-Me-4-SOCF ₃	I	2-Me-4-SOCF ₃	OMe	2-Me-4-SOCF ₃
Br	2-Me-4-SO ₂ CF ₃	I	2-Me-4-SO ₂ CF ₃	OMe	2-Me-4-SO ₂ CF ₃
Br	2-Me-4-SCF ₂ H	I	2-Me-4-SCF ₂ H	OMe	2-Me-4-SCF ₂ H
Br	2-Me-4-SOCF ₂ H	I	2-Me-4-SOCF ₂ H	OMe	2-Me-4-SOCF ₂ H
Br	2-Me-4-SO ₂ CF ₂ H	I	2-Me-4-SO ₂ CF ₂ H	OMe	2-Me-4-SO ₂ CF ₂ H
CF ₃	2-Me-4-CF ₃	NO ₂	2-Me-4-CF ₃	SMc	2-Me-4-CF ₃
CF ₃	2-Me-4-OCF ₃	NO ₂	2-Me-4-OCF ₃	SMc	2-Me-4-OCF ₃
CF ₃	2-Me-4-OCF ₂ H	NO ₂	2-Me-4-OCF ₂ H	SMc	2-Me-4-OCF ₂ H
CF ₃	2-Me-4-OCH ₂ CF ₃	NO ₂	2-Me-4-OCH ₂ CF ₃	SMc	2-Me-4-OCH ₂ CF ₃
CF ₃	2-Me-4-SCF ₃	NO ₂	2-Me-4-SCF ₃	SMc	2-Me-4-SCF ₃

CF ₃	2-Me-4-SOCF ₃	NO ₂	2-Me-4-SOCF ₃	SMe	2-Me-4-SOCF ₃
CF ₃	2-Me-4-SO ₂ CF ₃	NO ₂	2-Me-4-SO ₂ CF ₃	SMe	2-Me-4-SO ₂ CF ₃
CF ₃	2-Me-4-SCF ₂ H	NO ₂	2-Me-4-SCF ₂ H	SMe	2-Me-4-SCF ₂ H
CF ₃	2-Me-4-SOCF ₂ H	NO ₂	2-Me-4-SOCF ₂ H	SMe	2-Me-4-SOCF ₂ H
CF ₃	2-Me-4-SO ₂ CF ₂ H	NO ₂	2-Me-4-SO ₂ CF ₂ H	SMe	2-Me-4-SO ₂ CF ₂ H

Table 5



R ³	R ⁴	R ⁷	W	X	Y	Z
<i>i</i> -Pr	Me	CF ₃	CMe	N	CH	CH
<i>i</i> -Pr	Cl	CF ₃	CMe	N	CH	CH
<i>i</i> -Pr	Br	CF ₃	CMe	N	CH	CH
<i>i</i> -Pr	I	CF ₃	CMe	N	CH	CH
<i>i</i> -Pr	F	CF ₃	CMe	N	CH	CH
<i>i</i> -Pr	H	CF ₃	CMe	N	CH	CH
<i>i</i> -Pr	Et	CF ₃	CMe	N	CH	CH
<i>i</i> -Pr	Me	CF ₃	CMe	CH	N	CH
<i>i</i> -Pr	Cl	CF ₃	CMe	CH	N	CH
<i>i</i> -Pr	Br	CF ₃	CMe	CH	N	CH
<i>i</i> -Pr	I	CF ₃	CMe	CH	N	CH
<i>i</i> -Pr	F	CF ₃	CMe	CH	N	CH
<i>i</i> -Pr	H	CF ₃	CMe	CH	N	CH
<i>i</i> -Pr	Et	CF ₃	CMe	CH	N	CH
<i>i</i> -Pr	Me	CF ₃	CMe	CH	CH	N
<i>i</i> -Pr	Cl	CF ₃	CMe	CH	CH	N
<i>i</i> -Pr	Br	CF ₃	CMe	CH	CH	N
<i>i</i> -Pr	I	CF ₃	CMe	CH	CH	N
<i>i</i> -Pr	F	CF ₃	CMe	CH	CH	N
<i>i</i> -Pr	H	CF ₃	CMe	CH	CH	N

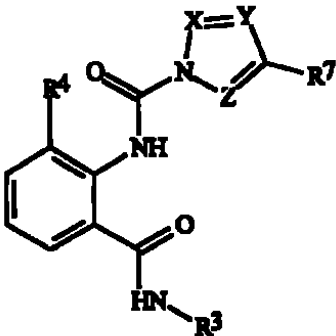
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i-Pr	Et	CF ₃	CMe	CH	CH	N
i-Pr	Me	CF ₃	CMe	N	CH	N
i-Pr	Cl	CF ₃	CMe	N	CH	N
i-Pr	Br	CF ₃	CMe	N	CH	N
i-Pr	I	CF ₃	CMe	N	CH	N
i-Pr	F	CF ₃	CMe	N	CH	N
i-Pr	H	CF ₃	CMe	N	CH	N
i-Pr	Et	CF ₃	CMe	N	CH	N
i-Bu	Me	CF ₃	CMe	N	CH	CH
i-Bu	Cl	CF ₃	CMe	N	CH	CH
i-Bu	Br	CF ₃	CMe	N	CH	CH
i-Bu	I	CF ₃	CMe	N	CH	CH
i-Bu	F	CF ₃	CMe	N	CH	CH
i-Bu	H	CF ₃	CMe	N	CH	CH
i-Bu	Et	CF ₃	CMe	N	CH	CH
i-Bu	Me	CF ₃	CMe	CH	N	CH
i-Bu	Cl	CF ₃	CMe	CH	N	CH
i-Bu	Br	CF ₃	CMe	CH	N	CH
i-Bu	I	CF ₃	CMe	CH	N	CH
i-Bu	F	CF ₃	CMe	CH	N	CH
i-Bu	H	CF ₃	CMe	CH	N	CH
i-Bu	Et	CF ₃	CMe	CH	N	CH
i-Bu	Me	CF ₃	CMe	CH	CH	N
i-Bu	Cl	CF ₃	CMe	CH	CH	N
i-Bu	Br	CF ₃	CMe	CH	CH	N
i-Bu	I	CF ₃	CMe	CH	CH	N
i-Bu	F	CF ₃	CMe	CH	CH	N
i-Bu	H	CF ₃	CMe	CH	CH	N
i-Bu	Et	CF ₃	CMe	CH	CH	N
i-Pr	Me	OCF ₃	CMe	N	CH	CH
i-Pr	Cl	OCF ₃	CMe	N	CH	CH
i-Pr	Br	OCF ₃	CMe	N	CH	CH
i-Pr	I	OCF ₃	CMe	N	CH	CH
i-Pr	F	OCF ₃	CMe	N	CH	CH
i-Pr	H	OCF ₃	CMe	N	CH	CH
i-Pr	Et	OCF ₃	CMe	N	CH	CH
i-Pr	Me	CF ₃	CH	N	CH	CH

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<i>i</i> -Pr	Cl	CF ₃	CH	N	CH	CH
<i>i</i> -Pr	Br	CF ₃	CH	N	CH	CH
<i>i</i> -Pr	I	CF ₃	CH	N	CH	CH
<i>i</i> -Pr	F	CF ₃	CH	N	CH	CH
<i>i</i> -Pr	H	CF ₃	CH	N	CH	CH
<i>i</i> -Pr	Et	CF ₃	CH	N	CH	CH
<i>i</i> -Pr	Me	Cl	CMe	CH	CH	N
<i>i</i> -Pr	Cl	Cl	CMe	CH	CH	N
<i>i</i> -Pr	Br	Cl	CMe	CH	CH	N
<i>i</i> -Pr	I	Cl	CMe	CH	CH	N
<i>i</i> -Pr	F	Cl	CMe	CH	CH	N
<i>i</i> -Pr	H	Cl	CMe	CH	CH	N
<i>i</i> -Pr	Et	Cl	CMe	CH	CH	N

Table 6



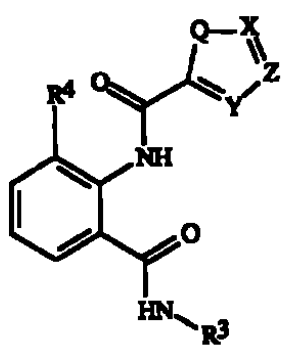
R ³	R ⁴	R ⁷	X	Y	Z
<i>i</i> -Pr	Me	CF ₃	CMe	N	CH
<i>i</i> -Pr	Cl	CF ₃	CMe	N	CH
<i>i</i> -Pr	Br	CF ₃	CMe	N	CH
<i>i</i> -Pr	I	CF ₃	CMe	N	CH
<i>i</i> -Pr	F	CF ₃	CMe	N	CH
<i>i</i> -Pr	H	CF ₃	CMe	N	CH
<i>i</i> -Pr	Et	CF ₃	CMe	N	CH
<i>i</i> -Pr	Me	CF ₃	CMe	CH	N
<i>i</i> -Pr	Cl	CF ₃	CMe	CH	N
<i>i</i> -Pr	Br	CF ₃	CMe	CH	N
<i>i</i> -Pr	I	CF ₃	CMe	CH	N
<i>i</i> -Pr	F	CF ₃	CMe	CH	N
<i>i</i> -Pr	H	CF ₃	CMe	CH	N

i-Pr	Et	CF ₃	CMe	CH	N
i-Pr	Me	CF ₃	CMe	N	N
i-Pr	Cl	CF ₃	CMe	N	N
i-Pr	Br	CF ₃	CMe	N	N
i-Pr	I	CF ₃	CMe	N	N
i-Pr	F	CF ₃	CMe	N	N
i-Pr	H	CF ₃	CMe	N	N
i-Pr	Et	CF ₃	CMe	N	N
i-Pr	Me	CF ₃	CEt	CH	N
i-Pr	Cl	CF ₃	CEt	CH	N
i-Pr	Br	CF ₃	CEt	CH	N
i-Pr	I	CF ₃	CEt	CH	N
i-Pr	F	CF ₃	CEt	CH	N
i-Pr	H	CF ₃	CEt	CH	N
i-Pr	Et	CF ₃	CEt	CH	N
i-Bu	Me	CF ₃	CMe	N	CH
i-Bu	Cl	CF ₃	CMe	N	CH
i-Bu	Br	CF ₃	CMe	N	CH
i-Bu	I	CF ₃	CMe	N	CH
i-Bu	F	CF ₃	CMe	N	CH
i-Bu	H	CF ₃	CMe	N	CH
i-Bu	Et	CF ₃	CMe	N	CH
i-Bu	Me	CF ₃	CMe	CH	N
i-Bu	Cl	CF ₃	CMe	CH	N
i-Bu	Br	CF ₃	CMe	CH	N
i-Bu	I	CF ₃	CMe	CH	N
i-Bu	F	CF ₃	CMe	CH	N
i-Bu	H	CF ₃	CMe	CH	N
i-Bu	Et	CF ₃	CMe	CH	N
i-Bu	Me	CF ₃	CMe	N	N
i-Bu	Cl	CF ₃	CMe	N	N
i-Bu	Br	CF ₃	CMe	N	N
i-Bu	I	CF ₃	CMe	N	N
i-Bu	F	CF ₃	CMe	N	N
i-Bu	H	CF ₃	CMe	N	N
i-Bu	Et	CF ₃	CMe	N	N
i-Pr	Me	OCF ₃	CMe	CH	N

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<i>i</i> -Pr	Cl	OCF ₃	CMe	CH	N
<i>i</i> -Pr	Br	OCF ₃	CMe	CH	N
<i>i</i> -Pr	I	OCF ₃	CMe	CH	N
<i>i</i> -Pr	F	OCF ₃	CMe	CH	N
<i>i</i> -Pr	H	OCF ₃	CMe	CH	N
<i>i</i> -Pr	Et	OCF ₃	CMe	CH	N
<i>i</i> -Pr	Me	CF ₃	CH	CH	N
<i>i</i> -Pr	Cl	CF ₃	CH	CH	N
<i>i</i> -Pr	Br	CF ₃	CH	CH	N
<i>i</i> -Pr	I	CF ₃	CH	CH	N
<i>i</i> -Pr	F	CF ₃	CH	CH	N
<i>i</i> -Pr	H	CF ₃	CH	CH	N
<i>i</i> -Pr	Et	CF ₃	CH	CH	N
<i>i</i> -Pr	Me	Cl	CMe	CH	N
<i>i</i> -Pr	Cl	Cl	CMe	CH	N
<i>i</i> -Pr	Br	Cl	CMe	CH	N
<i>i</i> -Pr	I	Cl	CMe	CH	N
<i>i</i> -Pr	F	Cl	CMe	CH	N
<i>i</i> -Pr	H	Cl	CMe	CH	N
<i>i</i> -Pr	Et	Cl	CMe	CH	N

Table 7



R ³	R ⁴	Q	X	Y	Z
<i>i</i> -Pr	Me	S	CCF ₃	CH	CH
<i>i</i> -Pr	Cl	S	OCF ₃	CH	CH
<i>i</i> -Pr	Br	S	CCF ₃	CH	CH
<i>i</i> -Pr	I	S	CCF ₃	CH	CH
<i>i</i> -Pr	F	S	CCF ₃	CH	CH
<i>i</i> -Pr	H	S	CCF ₃	CH	CH

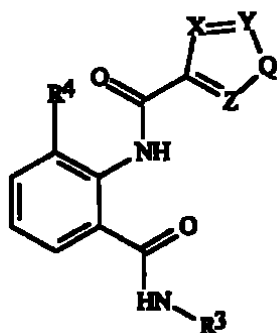
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i-Pr	Et	S	CCF ₃	CH	CH
i-Pr	Me	S	CCF ₃	CMe	CH
i-Pr	Cl	S	CCF ₃	CMe	CH
i-Pr	Br	S	CCF ₃	CMe	CH
i-Pr	I	S	CCF ₃	CMe	CH
i-Pr	F	S	CCF ₃	CMe	CH
i-Pr	H	S	CCF ₃	CMe	CH
i-Pr	Et	S	CCF ₃	CMe	CH
i-Bu	Me	S	CCF ₃	CMe	CH
i-Bu	Cl	S	CCF ₃	CMe	CH
i-Bu	Br	S	CCF ₃	CMe	CH
i-Bu	I	S	CCF ₃	CMe	CH
i-Bu	F	S	CCF ₃	CMe	CH
i-Bu	H	S	CCF ₃	CMe	CH
i-Bu	Et	S	CCF ₃	CMe	CH
i-Pr	Me	S	CCF ₃	CMe	N
i-Pr	Cl	S	CCF ₃	CMe	N
i-Pr	Br	S	CCF ₃	CMe	N
i-Pr	I	S	CCF ₃	CMe	N
i-Pr	F	S	CCF ₃	CMe	N
i-Pr	H	S	CCF ₃	CMe	N
i-Pr	Et	S	CCF ₃	CMe	N
i-Pr	Me	S	COCH ₂ CF ₃	CMe	N
i-Pr	Cl	S	COCH ₂ CF ₃	CMe	N
i-Pr	Br	S	COCH ₂ CF ₃	CMe	N
i-Pr	I	S	COCH ₂ CF ₃	CMe	N
i-Pr	F	S	COCH ₂ CF ₃	CMe	N
i-Pr	H	S	COCH ₂ CF ₃	CMe	N
i-Pr	Et	S	COCH ₂ CF ₃	CMe	N
i-Pr	Me	S	COCHF ₂	CMe	N
i-Pr	Cl	S	COCHF ₂	CMe	N
i-Pr	Br	S	COCHF ₂	CMe	N
i-Pr	I	S	COCHF ₂	CMe	N
i-Pr	F	S	COCHF ₂	CMe	N
i-Pr	H	S	COCHF ₂	CMe	N
i-Pr	Et	S	COCHF ₂	CMe	N
i-Pr	Me	O	CCF ₃	CMe	N

<i>i</i> -Pr	Cl	O	CCF ₃	CMe	N
<i>i</i> -Pr	Br	O	CCF ₃	CMe	N
<i>i</i> -Pr	I	O	CCF ₃	CMe	N
<i>i</i> -Pr	F	O	CCF ₃	CMe	N
<i>i</i> -Pr	H	O	CCF ₃	CMe	N
<i>i</i> -Pr	Et	O	CCF ₃	CMe	N
<i>i</i> -Pr	Me	NMe	N	CH	CCF ₃
<i>i</i> -Pr	Cl	NMe	N	CH	CCF ₃
<i>i</i> -Pr	Br	NMe	N	CH	CCF ₃
<i>i</i> -Pr	I	NMe	N	CH	CCF ₃
<i>i</i> -Pr	F	NMe	N	CH	CCF ₃
<i>i</i> -Pr	H	NMe	N	CH	CCF ₃
<i>i</i> -Pr	Et	NMe	N	CH	CCF ₃
<i>i</i> -Pr	Me	NEt	N	CH	CCF ₃
<i>i</i> -Pr	Cl	NEt	N	CH	CCF ₃
<i>i</i> -Pr	Br	NEt	N	CH	CCF ₃
<i>i</i> -Pr	I	NEt	N	CH	CCF ₃
<i>i</i> -Pr	F	NEt	N	CH	CCF ₃
<i>i</i> -Pr	H	NEt	N	CH	CCF ₃
<i>i</i> -Pr	Et	NEt	N	CH	CCF ₃
<i>i</i> -Pr	Me	NMe	N	CH	CC ₂ F ₅
<i>i</i> -Pr	Cl	NMe	N	CH	CC ₂ F ₅
<i>i</i> -Pr	Br	NMe	N	CH	CC ₂ F ₅
<i>i</i> -Pr	I	NMe	N	CH	CC ₂ F ₅
<i>i</i> -Pr	F	NMe	N	CH	CC ₂ F ₅
<i>i</i> -Pr	H	NMe	N	CH	CC ₂ F ₅
<i>i</i> -Pr	Et	NMe	N	CH	CC ₂ F ₅
<i>i</i> -Bu	Me	NMe	N	CH	CCF ₃
<i>i</i> -Bu	Cl	NMe	N	CH	CCF ₃
<i>i</i> -Bu	Br	NMe	N	CH	CCF ₃
<i>i</i> -Bu	I	NMe	N	CH	CCF ₃
<i>i</i> -Bu	F	NMe	N	CH	CCF ₃
<i>i</i> -Bu	H	NMe	N	CH	CCF ₃
<i>i</i> -Bu	Et	NMe	N	CH	CCF ₃
<i>i</i> -Pr	Me	NMe	CH	N	CCF ₃
<i>i</i> -Pr	Cl	NMe	CH	N	CCF ₃
<i>i</i> -Pr	Br	NMe	CH	N	CCF ₃

<i>i</i> -Pr	I	NMe	CH	N	CCF ₃
<i>i</i> -Pr	F	NMe	CH	N	CCF ₃
<i>i</i> -Pr	H	NMe	CH	N	CCF ₃
<i>i</i> -Pr	Et	NMe	CH	N	CCF ₃
<i>i</i> -Pr	Me	NMe	N	N	CCF ₃
<i>i</i> -Pr	Cl	NMe	N	N	CCF ₃
<i>i</i> -Pr	Br	NMe	N	N	CCF ₃
<i>i</i> -Pr	I	NMe	N	N	CCF ₃
<i>i</i> -Pr	F	NMe	N	N	CCF ₃
<i>i</i> -Pr	H	NMe	N	N	CCF ₃
<i>i</i> -Pr	Et	NMe	N	N	CCF ₃

Table 8



R ³	R ⁴	Q	X	Y	Z
<i>i</i> -Pr	Me	NCHF ₂	CMe	N	CH
<i>i</i> -Pr	Cl	NCHF ₂	CMe	N	CH
<i>i</i> -Pr	Br	NCHF ₂	CMe	N	CH
<i>i</i> -Pr	I	NCHF ₂	CMe	N	CH
<i>i</i> -Pr	F	NCHF ₂	CMe	N	CH
<i>i</i> -Pr	H	NCHF ₂	CMe	N	CH
<i>i</i> -Pr	Et	NCHF ₂	CMe	N	CH
<i>i</i> -Pr	Me	NCHF ₂	CH	N	CMe
<i>i</i> -Pr	Cl	NCHF ₂	CH	N	CMe
<i>i</i> -Pr	Br	NCHF ₂	CH	N	CMe
<i>i</i> -Pr	I	NCHF ₂	CH	N	CMe
<i>i</i> -Pr	F	NCHF ₂	CH	N	CMe
<i>i</i> -Pr	H	NCHF ₂	CH	N	CMe
<i>i</i> -Pr	Et	NCHF ₂	CH	N	CMe
<i>i</i> -Pr	Me	NCF ₂ CHF ₂	CMe	N	CH

<i>i</i> -Pr	Cl	NCF ₂ CHF ₂	CMe	N	CH
<i>i</i> -Pr	Br	NCF ₂ CHF ₂	CMe	N	CH
<i>i</i> -Pr	I	NCF ₂ CHF ₂	CMe	N	CH
<i>i</i> -Pr	F	NCF ₂ CHF ₂	CMe	N	CH
<i>i</i> -Pr	H	NCF ₂ CHF ₂	CMe	N	CH
<i>i</i> -Pr	Et	NCF ₂ CHF ₂	CMe	N	CH
<i>i</i> -Pr	Me	NCF ₂ CHF ₂	CH	N	CMe
<i>i</i> -Pr	Cl	NCF ₂ CHF ₂	CH	N	CMe
<i>i</i> -Pr	Br	NCF ₂ CHF ₂	CH	N	CMe
<i>i</i> -Pr	I	NCF ₂ CHF ₂	CH	N	CMe
<i>i</i> -Pr	F	NCF ₂ CHF ₂	CH	N	CMe
<i>i</i> -Pr	H	NCF ₂ CHF ₂	CH	N	CMe
<i>i</i> -Pr	Et	NCF ₂ CHF ₂	CH	N	CMe
<i>i</i> -Pr	Me	NCH ₂ CF ₃	CMe	N	CH
<i>i</i> -Pr	Cl	NCH ₂ CF ₃	CMe	N	CH
<i>i</i> -Pr	Br	NCH ₂ CF ₃	CMe	N	CH
<i>i</i> -Pr	I	NCH ₂ CF ₃	CMe	N	CH
<i>i</i> -Pr	F	NCH ₂ CF ₃	CMe	N	CH
<i>i</i> -Pr	H	NCH ₂ CF ₃	CMe	N	CH
<i>i</i> -Pr	Et	NCH ₂ CF ₃	CMe	N	CH
<i>i</i> -Pr	Me	NCH ₂ CF ₃	CH	N	CMe
<i>i</i> -Pr	Cl	NCH ₂ CF ₃	CH	N	CMe
<i>i</i> -Pr	Br	NCH ₂ CF ₃	CH	N	CMe
<i>i</i> -Pr	I	NCH ₂ CF ₃	CH	N	CMe
<i>i</i> -Pr	F	NCH ₂ CF ₃	CH	N	CMe
<i>i</i> -Pr	H	NCH ₂ CF ₃	CH	N	CMe
<i>i</i> -Pr	Et	NCH ₂ CF ₃	CH	N	CMe
<i>i</i> -Pr	Me	NCF ₂ CHF ₂	N	CH	CMe
<i>i</i> -Pr	Cl	NCF ₂ CHF ₂	N	CH	CMe
<i>i</i> -Pr	Br	NCF ₂ CHF ₂	N	CH	CMe
<i>i</i> -Pr	I	NCF ₂ CHF ₂	N	CH	CMe
<i>i</i> -Pr	F	NCF ₂ CHF ₂	N	CH	CMe
<i>i</i> -Pr	H	NCF ₂ CHF ₂	N	CH	CMe
<i>i</i> -Pr	Et	NCF ₂ CHF ₂	N	CH	CMe

Formulation/Utility

Compounds of this invention will generally be used as a formulation or composition with an agriculturally 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, 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 that add up to 100 percent by weight.

	Weight Percent		
	Active Ingredient	Diluent	Surfactant
Water-Dispersible and Water-soluble Granules, Tablets and Powders.	5-90	0-94	1-15
Suspensions, Emulsions, Solutions (including Emulsifiable Concentrates)	5-50	40-95	0-15
Dusts	1-25	70-99	0-5
Granules and Pellets	0.01-99	5-99.99	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, and

polyoxyethylene/polyoxypropylene block copolymers. 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, paraffins, alkylbenzenes, alkylnaphthalenes, 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, and alcohols such as methanol, cyclohexanol, decanol and tetrahydrofurfuryl alcohol.

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 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; and Hance et al., *Weed Control Handbook*, 8th Ed., Blackwell Scientific Publications, Oxford, 1989.

In the following Examples, all percentages are by weight and all formulations are prepared in conventional ways. Compound numbers refer to compounds in Index Tables A.

Example A

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 1	10.0%
5	attapulgate granules (low volatile matter, 0.71/0.30 mm; U.S.S. No. 25-50 sieves)	90.0%.

Example C

Extruded Pellet

	Compound 1	25.0%
	anhydrous sodium sulfate	10.0%
10	crude calcium ligninsulfonate	5.0%
	sodium alkyl naphthalenesulfonate	1.0%
	calcium/magnesium bentonite	59.0%.

Example D

Emulsifiable Concentrate

15	Compound 1	20.0%
	blend of oil soluble sulfonates and polyoxyethylene ethers	10.0%
	isophorone	70.0%.

The compounds of this invention exhibit activity against a wide spectrum of foliar-feeding, fruit-feeding, stem or root feeding, seed-feeding, aquatic and soil-inhabiting arthropods (term "arthropods" includes insects, mites and nematodes) which are pests of growing and stored agronomic crops, forestry, greenhouse crops, ornamentals, nursery crops, stored food and fiber products, livestock, household, and public and animal health. Those skilled in the art will appreciate that not all compounds are equally effective against all growth stages of all pests. Nevertheless, all of the compounds of this invention display activity against pests that include: eggs, larvae and adults of the Order Lepidoptera; eggs, foliar-feeding, fruit-feeding, root-feeding, seed-feeding larvae and adults of the Order Coleoptera; eggs, immatures and adults of the Orders Hemiptera and Homoptera; eggs, larvae, nymphs and adults of the Order Acari; eggs, immatures and adults of the Orders Thysanoptera, Orthoptera and Dermaptera; eggs, immatures and adults of the Order Diptera; and eggs, juveniles and adults of the Phylum Nematoda. The compounds of this invention are also active against pests of the Orders Hymenoptera, Isoptera, Siphonaptera, Blattaria, Thysanura and Psocoptera; pests belonging to the Class Arachnida and Phylum Platyhelminthes. Specifically, the compounds are active against southern corn rootworm (*Diabrotica undecimpunctata howardi*), aster leafhopper (*Mascrostelus fascifrons*), boll weevil (*Anthonomus grandis*), two-spotted spider mite (*Tetranychus urticae*), fall armyworm (*Spodoptera frugiperda*), black bean aphid (*Aphis fabae*), green peach aphid (*Myzus*

persica), cotton aphid (*Aphis gossypii*), Russian wheat aphid (*Diuraphis noxia*), English grain aphid (*Sitobion avenae*), tobacco budworm (*Heliothis virescens*), rice water weevil (*Lissorhoptrus oryzophilus*), rice leaf beetle (*Oulema oryzae*), whitebacked planthopper (*Sogatella furcifera*), green leafhopper (*Nephotettix cincticeps*), brown planthopper (*Nilaparvata lugens*), small brown planthopper (*Laodelphax striatellus*), rice stem borer (*Chilo suppressalis*), rice leafroller (*Cnaphalocrocis medinalis*), black rice stink bug (*Scotinophara lurida*), rice stink bug (*Oebalus pugnax*), rice bug (*Leptocorisa chinensis*), slender rice bug (*Cletus punctiger*), and southern green stink bug (*Nezara viridula*). The compounds are active on mites, demonstrating ovicidal, larvicidal and chemosterilant activity against such families as Tetranychidae including *Tetranychus urticae*, *Tetranychus cinnabarinus*, *Tetranychus mcDanieli*, *Tetranychus pacificus*, *Tetranychus turkestanii*, *Byrobia rubrioculus*, *Panonychus ulmi*, *Panonychus citri*, *Eotetranychus carpini borealis*, *Eotetranychus*, *hicoriae*, *Eotetranychus sexmaculatus*, *Eotetranychus yumenensis*, *Eotetranychus banksi* and *Oligonychus pratensis*; Tenuipalpidae including *Brevipalpus lewisi*, *Brevipalpus phoenicis*, *Brevipalpus californicus* and *Brevipalpus obovatus*; Eriophyidae including *Phyllocoptruta oleivora*, *Eriophyes sheldoni*, *Acuulus cornutus*, *Eptitrimerus pyri* and *Eriophyes mangiferae*. See WO 90/10623 and WO 92/00673 for more detailed pest descriptions.

Compounds of this invention can also be mixed with one or more other insecticides, fungicides, nematocides, bactericides, acaricides, growth regulators, chemosterilants, semiochemicals, repellents, attractants, pheromones, feeding stimulants or other biologically active compounds to form a multi-component pesticide giving an even broader spectrum of agricultural protection. Examples of such agricultural protectants with which compounds of this invention can be formulated are: insecticides such as abamectin, acephate, azinphos-methyl, bifenthrin, buprofezin, carbofuran, chlorfenapyr, chlorpyrifos, chlorpyrifos-methyl, cyfluthrin, beta-cyfluthrin, cyhalothrin, lambda-cyhalothrin, deltamethrin, diafenthiuron, diazinon, diflubenzuron, dimethoate, esfenvalerate, fenoxycarb, fenpropathrin, fenvalerate, fipronil, flucythrinate, tau-fluvalinate, fonophos, imidacloprid, isofenphos, malathion, metaldehyde, methamidophos, methidathion, methomyl, methoprene, methoxychlor, methyl 7-chloro-2,5-dihydro-2-[[N-(methoxycarbonyl)-N-[4-(trifluoromethoxy)phenyl]amino]carbonyl]indeno[1,2-e][1,3,4]oxadiazine-4a(3H)-carboxylate, monocrotophos, oxamyl, parathion, parathion-methyl, permethrin, phorate, phosalone, phosmet, phosphamidon, pirimicarb, profenofos, rotenone, sulprofos, tebufenozide, tefluthrin, terbufos, tetrachlorvinphos, thiodicarb, tralomethrin, trichlorfon and triflumuron; fungicides such as acibenzolar, azoxystrobin, binomial, blasticidin-S, Bordeaux mixture (Tribasic copper sulfate), bromuconazole, carpropamid (KTU 3616), captafol, captan, carbendazim, chloroneb, chlorothalonil, copper oxychloride, copper salts, cymoxanil,

cyproconazole, cyprodinil (CGA 219417), (S)-3,5-dichloro-N-(3-chloro-1-ethyl-1-methyl-2-oxopropyl)-4-methylbenzamide (RH 7281), diclocymet (S-2900), diclomezine, dicloran, difenoconazole, (S)-3,5-dihydro-5-methyl-2-(methylthio)-5-phenyl-3-(phenylamino)-4H-imidazol-4-one (RP 407213), dimethomorph, diniconazole, diniconazole-M, dodine, edifenphos, epoxiconazole (BAS 480F), famoxadone, fenamidone, fenarimol, fenbuconazole, fencaramid (SZX0722), fenpiclonil, fenpropidin, fenpropimorph, fentin acetate, fentin hydroxide, fluazinam, fludioxonil, flumetover (RPA 403397), fluquinconazole, flusilazole, flutolamil, flutriafol, folpet, fosetyl-aluminum, furalaxyl, furametapyr (S-82658), hexaconazole, ipconazole, iprobenfos, iprodione, isoprothiolane, kasugamycin, kresoxim-methyl, mancozeb, maneb, mefenoxam, mepronil, metalaxyl, metconazole, metominostrobin/fenominostrobin (SSF-126), myclobutanil, neo-asozin (ferric methanearsonate), oxadixyl, penconazole, pencycuron, probenazole, prochloraz, propamocarb, propiconazole, pyrifenoxy, pyrimethanil, pyroquilon, quinoxifen, spiroxamine, sulfur, tebuconazole, tetraconazole, thiabendazole, thifluzamide, thiophanate-methyl, thiram, triadimefon, triadimenol, tricyclazole, triticonazole, validamycin and vinclozolin; nematocides such as aldoxycarb and fenamiphos; bactericides such as streptomycin; acaricides such as amitraz, chinomethionat, chlorobenzilate, cyhexatin, dicofol, dienochlor, etoxazole, fenazaquin, fenbutatin oxide, fenpropathrin, fenpyroximate, hexythiazox, propargite, pyridaben and tebufenpyrad; and biological agents such as *Bacillus thuringiensis*, *Bacillus thuringiensis* delta endotoxin, baculovirus, and entomopathogenic bacteria, virus and fungi.

In certain instances, combinations with other arthropodicides having a similar spectrum of control but a different mode of action will be particularly advantageous for resistance management.

Arthropod pests are controlled and protection of agronomic, horticultural and specialty crops, animal and human health is achieved by applying one or more of the compounds of this invention, in an 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 further comprises a method for the control of foliar and soil inhabiting arthropods and nematode pests and protection of agronomic and/or nonagronomic crops, comprising applying one or more of the compounds of the invention, or compositions containing at least one such compound, in an 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. A preferred method of application is by spraying. Alternatively, granular formulations of these compounds can be applied to the plant foliage or the soil. Other methods of application include direct and residual sprays, aerial sprays, seed coats, microencapsulations, systemic

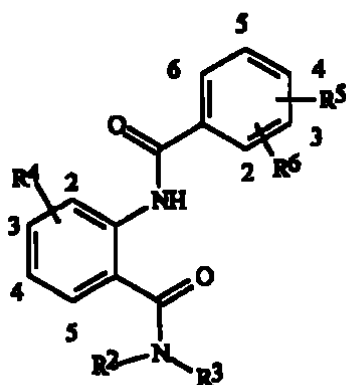
uptake, baits, cartaga, boluses, foggers, fumigants, aerosols, dusts and many others. The compounds can be incorporated into baits that are consumed by the arthropods or in devices such as traps and the like.

5 The compounds of this invention can be applied in their pure state, but most often application will be of a formulation comprising one or more compounds with suitable carriers, diluents, and surfactants and possibly in combination with a food depending on the contemplated end use. A preferred method of application involves spraying a water dispersion or refined oil solution of the compounds. Combinations with spray oils, spray oil concentrations, spreader stickers, adjuvants, other solvents, and synergists such as piperonyl butoxide often enhance compound efficacy.

10 The rate of application required for effective control will depend on such factors as the species of arthropod 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 15 2 kg of active ingredient per hectare are sufficient to control pests in agronomic ecosystems, but as little as 0.001 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.

20 The following TEST demonstrates the control efficacy of compounds of this invention on specific pests. "Control efficacy" represents inhibition of arthropod 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 for compound descriptions. The following abbreviations are used in the Index Tables which follow: *t* is tertiary, *n* is normal, *i* is iso, Me is methyl, Et is ethyl, Pr is propyl, 25 *i*-Pr is isopropyl, Bu is butyl, Pent is pentyl, OMe is methoxy, OEt is ethoxy, SMe is methylthio, SEt is ethylthio, CN is cyano, and NO₂ is nitro. The abbreviation "Ex." stands for "Example" and is followed by a number indicating in which example the compound is prepared.

INDEX TABLE A



Compound	R ¹	R ²	R ³	R ⁴	R ⁵ and/or R ⁶	m.p. °C
1 (Ex 1)	H	i-Pr	H	2-Me	4-OCF ₃	207-209
2	H	i-Pr	H	5-Cl	2-CF ₃	195-196
3	H	i-Pr	H	5-Cl	2-Me-4-CF ₃	182-184
4	H	i-Pr	H	2-Me	4-CF ₃	238-240
5	H	i-Pr	H	2-Me	4-CO ₂ Me	216-217
6	H	i-Pr	H	2-Me	3-NO ₂	230-233
7	H	i-Pr	H	2-Me	3-CF ₃ -4-F	223-225
8	H	i-Pr	H	2-Me	3-CN	237-239
9	H	i-Pr	H	2-Me	2-OCF ₃	191-193
10	H	t-Bu	H	2-Me	4-OCF ₃	163-167
11	H	t-Bu	H	2-Me	4-CO ₂ Me	164-169
12	H	i-Pr	H	2-Cl	4-CO ₂ Me	224-225
13	H	t-Bu	H	2-Me	2-OCF ₃	203-204
14	H	t-Bu	H	2-Me	3-NO ₂	193-195
15	H	t-Bu	H	2-Me	3-CF ₃ -4-F	198-199
16	H	i-Pr	H	2-OMe	4-OCF ₃	178-181
17	H	i-Pr	H	2-Me	2-OCF ₃	170-172
18	H	i-Pr	H	2-OMe	3-CF ₃ -4-F	209-211
19	H	i-Pr	H	2-Cl	4-OCF ₃	215-216
20	H	i-Pr	Me	2-Me	2-OCF ₃	153-155
21	H	i-Pr	H	5-Me	4-OCF ₃	173-175
22	H	i-Pr	H	5-Me	2-OCF ₃	180-185
23	H	i-Pr	H	5-Me	4-CO ₂ Me	182-184
24	H	i-Pr	Me	2-Me	4-OCF ₃	Glass
25	H	i-Pr	Me	2-Me	4-CO ₂ Me	67-73
26	H	(1,2-di-Me)-Pr	H	2-Me	4-OCF ₃	189-191
27	H	(3-MeO)-i-Pr	H	2-Me	4-OCF ₃	147-148
28	H	(2-OMe)-Et	H	2-Me	4-OCF ₃	153-155
29	H	2-Pent	H	2-Me	4-OCF ₃	165-168
30	H	n-Bu	H	2-Me	4-OCF ₃	181-183
31	H	propargyl	H	2-Me	4-OCF ₃	190-192

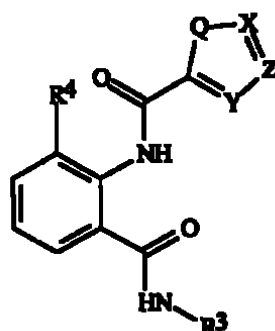
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32	H	n-Pr	H	2-Me	4-OCF ₃	189-191
33	H	Allyl	H	2-Me	4-OCF ₃	185-187
34	H	(2-Me ₂ N)-Et	H	2-Me	4-OCF ₃	168-170
35	H	propargyl	H	2-Me	4-OCF ₃	202-204
36	H	i-Bu	H	2-Me	4-OCF ₃	182-183
37	H	i-Pr	H	2,4-di-Me	4-OCF ₃	205-208
38	H	i-Pr	H	2,4-di-Me	4-CF ₃	> 230
39	H	i-Pr	H	2,4-di-Me	2-OCF ₃	231-232
40	H	i-Pr	H	2,4-di-Me	4-CO ₂ Me	219-221
41	H	i-Pr	H	2,4-di-Me	3-CF ₃ -4-F	222-224
42	H	t-Bu	H	2-OMe	4-CF ₃	210-214
43	H	t-Bu	H	2-OMe	4-OCF ₃	170-173
44	H	i-Pr	Me	2-Me	3-NO ₂	Oil
45	H	i-Pr	H	2-Cl	4-OCF ₃	187-194
46	H	t-Bu	H	2-Cl	4-OCF ₃	205-207
47	H	allyl	H	2-Cl	4-OCF ₃	188-189
48	H	s-Bu	H	2-Cl	4-OCF ₃	192-193
49	H	-CH ₂ CH ₂ CH ₂ CH ₂ -		2-Me	4-OCF ₃	138-142
50	H	CH ₂ CF ₃	H	2-Me	4-OCF ₃	> 230
51	H	c-Bu	H	2-Me	4-OCF ₃	218-220
52 (Ex 2)	H	i-Pr	H	2-Me	2-Me-4-CF ₃	247-248
53	H	i-Pr	H	5-Me	2-Me-4-CF ₃	186-188
54	H	i-Pr	H	H	4-OCF ₃	185-187
55	H	i-Pr	H	H	3-NO ₂	199-200
56	H	i-Pr	H	H	2-OCF ₃	118-122
57	Me	i-Pr	H	H	4-OCF ₃	117-118
58	Me	i-Pr	H	H	3-NO ₂	134-136
59	Me	i-Pr	H	H	2-OCF ₃	128-130
60	H	i-Pr	H	H	3-CF ₃	176-177
61	H	i-Pr	H	H	2-Me-4-CF ₃	100-106
62	H	Me	H	2-Me	4-OCF ₃	204-206
63	H	Et	H	2-Me	4-OCF ₃	198-200
64	H	i-Pr	H	2-Me	2-Cl	211-212
65	H	i-Pr	H	2-Me	3-CF ₃	198-200
66	H	i-Pr	H	2-Me	4-CN	> 230
67	H	i-Pr	H	2-Me	2-NO ₂	> 230
68	H	i-Pr	H	2-Me	3,5-di-CF ₃	> 230
69	H	i-Pr	H	2-Me	4-NO ₂	227-230
70	H	i-Pr	H	2-Me	2-CF ₃	227-230
71	H	i-Pr	H	H	2-Me-4-OCF ₃	118-124
72	H	i-Pr	H	H	4-CF ₃	196-198
73	H	i-Pr	H	2-Me	2-Me-4-SCF ₂ H	212-213
74	H	t-Bu	H	2-Me	2-Me-4-SCF ₂ H	193-195
75	H	i-Pr	H	2-Me	2-Me-4-OCF ₃	221-222
76	H	t-Bu	H	2-Me	4-CF ₃	217-219
77	H	t-Bu	H	2-Me	3-CF ₃	197-198
78	H	t-Bu	H	2-Me	3,5-di-CF ₃	206-207

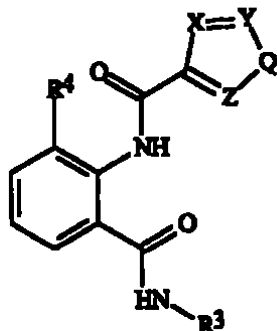
79	H	t-Bu	H	2-Me	4-CN	> 230
80	H	t-Bu	H	2-Me	4-NO ₂	> 230
81	Me	i-Pr	H	2-Me	2-CF ₃	oil
82	Me	i-Pr	H	2-Me	4-OCF ₃	151-157
83	Me	i-Pr	H	H	2-Me-4-OCF ₃	103-107
84	Me	t-Bu	H	2-Me	2-Me-4-CF ₃	233-234
85	H	t-Bu	H	2-Me	2-Me-4-OCF ₃	207-209
86	H	t-Bu	H	2-Me	2,5-di-CF ₃	199-201
87	H	i-Pr	H	2-CF ₃	4-OCF ₃	183-185
88	H	i-Pr	H	2-CF ₃	4-CF ₃	211-212
89	H	t-Bu	H	2-CF ₃	4-CF ₃	191-192
90	H	R-(-)-s-Bu	H	2-Me	4-OCF ₃	170-172
91	H	S-(+)-s-Bu	H	2-Me	4-OCF ₃	177-179
92	Me	i-Pr	H	H	4-CF ₃	oil
93	Me	i-Pr	H	2-OCF ₂ H	4-OCF ₃	162-164
94	H	t-Bu	H	2-CF ₃	4-OCF ₃	145-148
95	H	i-Pr	Me	2-CF ₃	4-CF ₃	151-154
96	H	i-Pr	Me	2-CF ₃	4-OCF ₃	140-144
97	H	i-Pr	H	2-OCF ₂ H	4-CF ₃	224-227
98	H	i-Pr	H	2,4-di-Me	2-Me-4-CF ₃	> 230
99	H	i-Pr	H	2-Cl	2-Me-4-CF ₃	> 230
100	H	(3-MeO)-i-Pr	H	2-Cl	2-Me-4-CF ₃	194-197
101	H	s-Bu	H	2-Cl	2-Me-4-CF ₃	212-214
102	H	o-Pr	H	2-Me	4-OCF ₃	208-210
103	H	(3-MeO)-i-Pr	H	2,4-di-Me	4-OCF ₃	166-168
104	H	(3-MeO)-i-Pr	H	2,4-di-Me	4-CF ₃	192-194
105	H	i-Pr	H	4-Me	4-CF ₃	212-213
106	H	i-Pr	H	4-Me	4-OCF ₃	204-205
107	H	i-Pr	H	2-Br-4-Me	4-OCF ₃	> 230
108	H	t-Bu	H	2-Br-4-Me	4-OCF ₃	118-120
109	H	i-Pr	H	2-NO ₂	4-CF ₃	222-224
110	H	t-Bu	H	2-NO ₂	4-CF ₃	199-200
111	H	i-Pr	H	2-NO ₂	4-OCF ₃	204-205
112	H	t-Bu	H	2-NO ₂	4-OCF ₃	181-182
113	H	i-Pr	H	2-Me	2-Me-4-S(O) ₂ CF ₂ H	218-221
114	H	i-Pr	H	2-Me	2-Me-4-S(O)CF ₂ H	203-206
115	H	(3-MeO)-i-Pr	H	2-Me	4-CF ₃	158-161
116	H	i-Pr	H	4-Br	4-CF ₃	232-234
117	H	t-Bu	H	4-Br	4-CF ₃	204-206
118	H	(3-MeO)-i-Pr	H	4-Br	4-CF ₃	157-158
119	H	i-Pr	H	4-Br	4-OCF ₃	221-222
120	H	t-Bu	H	4-Br	4-OCF ₃	173-175
121	H	(3-MeO)-i-Pr	H	4-Br	4-OCF ₃	153-155
122	H	(3-MeO)-i-Pr	H	3-Cl	4-OCF ₃	137-140
123	H	i-Pr	H	4-F	4-CF ₃	205-206
124	H	t-Bu	H	2-Cl	2-Me-4-CF ₃	237-240
125	H	2-Pent	H	2-Me	4-CF ₃	194-196

126	H	<i>n</i> -Bu	H	2-Me	4-CF ₃	207-210
127	H	Et	H	2-Me	4-CF ₃	> 240
128	H	Me	H	2-Me	4-CF ₃	236-237
129	H	<i>i</i> -Pr	H	4-F	4-OCF ₃	208-209
130	H	(3-MeO)- <i>i</i> -Pr	H	4-F	4-OCF ₃	151-152
131	H	(3-MeO)- <i>i</i> -Pr	H	2-Me	4-CF ₃	188-190
132	CH ₂ CO ₂ Me	<i>i</i> -Pr	H	H	4-CF ₃	oil
133	CH ₂ CO ₂ Me	<i>i</i> -Pr	H	H	4-OCF ₃	oil
134	Me	Et	H	2-Me	4-CF ₃	oil
135	Me	Et	H	2-Me	4-OCF ₃	oil
136	Me	Et	H	2-Me	2-Me-4-SCF ₂ H	132-136
137	H	(3-MeO)- <i>i</i> -Pr	H	2-Me-4-Br	4-CF ₃	197-199
138	H	(3-MeO)- <i>i</i> -Pr	H	2-Me-4-Br	4-OCF ₃	188-190
139	H	<i>i</i> -Pr	H	3-Cl	4-CF ₃	201-202
140	H	<i>t</i> -Bu	H	3-Cl	4-CF ₃	159-161
141	H	<i>i</i> -Pr	H	3-Cl	4-OCF ₃	190-192
142	H	<i>t</i> -Bu	H	3-Cl	4-OCF ₃	150-152
143	H	<i>i</i> Pr	H	2-Br-4-Me	4-CF ₃	>230
144	H	<i>t</i> -Bu	H	2-Br-4-Me	4-CF ₃	213-215

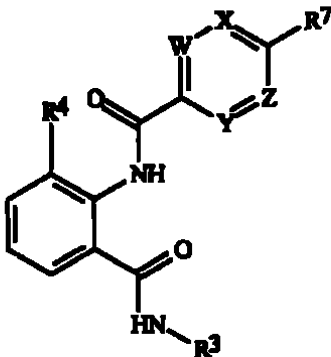
INDEX TABLE B



Compound	R ³	R ⁴	Q	X	Y	Z	m.p. °C
145	<i>i</i> -Pr	Me	NMe	N	CH	CCF ₃	>200
146	<i>i</i> -Pr	Cl	NMe	N	CH	CCF ₃	232-235
147 (Ex 2)	<i>i</i> -Pr	Me	NEt	N	CH	CCF ₃	223-226
148	<i>i</i> -Pr	Me	S	COCH ₂ CF ₃	CMe	N	145-147
149	<i>i</i> -Pr	Me	S	COPh-4-OCF ₃	CMe	N	185-187
150	<i>i</i> -Pr	Me	S	CPh-4-OCF ₃	CMe	N	233-234



Compound	R ³	R ⁴	Q	X	Y	Z	m.p. °C
151	<i>i</i> -Pr	Me	NCH ₂ CF ₃	CMe	N	CH	254-255
152	<i>i</i> -Pr	Me	NCH ₂ CF ₃	CH	N	CMe	>200



Compound	R ³	R ⁴	R ⁵	W	X	Y	Z	m.p. °C
153	<i>i</i> -Pr	Me	CF ₃	CMe	N	CH	CH	247-248
154	<i>i</i> -Pr	Me	OCH ₂ CF ₃	CH	N	CH	CH	188-191
155	<i>i</i> -Pr	Me	H	N	CBr	CH	CH	177-180

BIOLOGICAL EXAMPLES OF THE INVENTION
TEST

Application: Compounds are formulated in a 10% acetone, 90% water and 300 ppm X-77 solution, unless otherwise indicated. The formulated compounds are applied with a SUJ2 atomizer nozzle with 1/8 JJ custom body (Spraying Systems) positioned 1/2" above the top of each test unit. There are 6 of these nozzles that make up the spray boom and this is fixed in a belt sprayer. A rack (or carrier) of 6 different insect test units is placed on the conveyor belt and stops so that each unit is centered under a nozzle. Once the rack is centered, 1 mL of liquid is sprayed into each test unit; the rack then continues down the belt to the end of the sprayer to be off-loaded. All experimental compounds in this screen are sprayed at 250 ppm and replicated three times.

Diamondback Moth (DBM) - *Plutella Xylostella*: The test unit consists of a small self contained unit with a 12-14 day old radish plant inside. These are pre-infested (using a core sampler) with 10-15 neonate larvae on a piece of insect diet. Once 1 mL of formulated compound has been sprayed into each test unit, the test units are allowed to dry for 1 hour

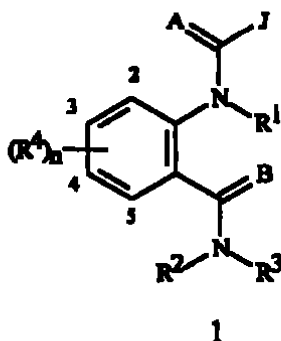
before a black, screened cap is placed on the top of the cylinder. They are held for 6 days in a growth chamber at 25C and 70% relative humidity.

- Plant feeding damage was visually assessed on a scale of 0-10 where 0 is no feeding, 1 is 10% or less feeding, 2 is 20% or less feeding, 3 is 30% or less feeding through a maximum score of 10 where 10 is 100% of foliage consumed. Of the compounds tested the following provided excellent levels of plant protection (ratings of 0-1, 10% or less feeding damage).
- 1, 2, 3, 4, 6, 7, 9, 10, 13, 14, 15, 19, 20, 24, 27, 28, 29, 30, 31, 32, 33, 35, 37, 38, 39, 51, 52, 53, 60, 61, 62, 63, 64, 65, 66, 68, 69, 72, 73, 74, 75, 76, 79, 80, 84, 86, 88, 89, 90, 92, 96, 97, 98, 99, 100, 101, 102, 103, 107, 113, 124, 126, 127, 143, 144, 145, 146, 147, 148, 149, 153, 154.

CLAIMS

What is claimed is:

1. A compound of Formula 1, *N*-oxides or agriculturally suitable salts thereof



5 wherein

A and B are independently O or S;

J is a phenyl group substituted with 1 to 2 R^5 and optionally substituted with 1 to 3 R^6 ,
or a 5- or 6-membered heteroaromatic ring optionally substituted with 1 to 4 R^7 ;
n is 1 to 4;

10 R^1 is H; or C_1 - C_6 alkyl, C_2 - C_6 alkenyl, C_2 - C_6 alkynyl or C_3 - C_6 cycloalkyl each
optionally substituted with one or more substituents selected from the group
consisting of halogen, CN, NO_2 , hydroxy, C_1 - C_4 alkoxy, C_1 - C_4 alkylthio, C_1 - C_4
alkylsulfinyl, C_1 - C_4 alkylsulfonyl, C_2 - C_4 alkoxycarbonyl, C_1 - C_4 alkylamino,
 C_2 - C_8 dialkylamino and C_3 - C_6 cycloalkylamino; or

15 R^1 is C_2 - C_6 alkylcarbonyl, C_2 - C_6 alkoxycarbonyl, C_2 - C_6 alkylaminocarbonyl or
 C_3 - C_8 dialkylaminocarbonyl;

R^2 is H, C_1 - C_6 alkyl, C_2 - C_6 alkenyl, C_2 - C_6 alkynyl, C_3 - C_6 cycloalkyl, C_1 - C_4 alkoxy,
 C_1 - C_4 alkylamino, C_2 - C_8 dialkylamino, C_3 - C_6 cycloalkylamino, C_2 - C_6
alkoxycarbonyl or C_2 - C_6 alkylcarbonyl;

20 R^3 is H; or C_1 - C_6 alkyl, C_2 - C_6 alkenyl, C_2 - C_6 alkynyl or C_3 - C_6 cycloalkyl each
optionally substituted with one or more substituents selected from the group
consisting of halogen, CN, NO_2 , hydroxy, C_1 - C_4 alkoxy, C_1 - C_4 alkylthio, C_1 - C_4
alkylsulfinyl and C_1 - C_4 alkylsulfonyl; or

25 R^2 and R^3 can be 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 of
nitrogen, sulfur or oxygen, said ring may be optionally substituted with 1 to 4
substituents selected from the group consisting of C_1 - C_2 alkyl, halogen, CN,
 NO_2 and C_1 - C_2 alkoxy;

R⁴ is H, C₁-C₆ alkyl, C₂-C₆ alkenyl, C₂-C₆ alkynyl, C₃-C₆ cycloalkyl, C₁-C₆ haloalkyl, C₂-C₆ haloalkenyl, C₂-C₆ haloalkynyl, C₃-C₆ halocycloalkyl, halogen, CN, CO₂H, CONH₂, NO₂, hydroxy, C₁-C₄ alkoxy, C₁-C₄ haloalkoxy, C₁-C₄ alkylthio, C₁-C₄ alkylsulfinyl, C₁-C₄ alkylsulfonyl, C₁-C₄ haloalkylthio, C₁-C₄ haloalkylsulfinyl, C₁-C₄ haloalkylsulfonyl, C₁-C₄ alkoxycarbonyl, C₁-C₄ alkylamino, C₂-C₈ dialkylamino, C₃-C₆ cycloalkylamino, C₂-C₆ alkylcarbonyl, C₂-C₆ alkoxycarbonyl, C₂-C₆ alkylaminocarbonyl, C₃-C₈ dialkylaminocarbonyl, C₃-C₆ trialkylsilyl; or

R⁴ is phenyl, benzyl or phenoxy, each optionally substituted with C₁-C₄ alkyl, C₂-C₄ alkenyl, C₂-C₄ alkynyl, C₃-C₆ cycloalkyl, C₁-C₄ haloalkyl, C₂-C₄ haloalkenyl, C₂-C₄ haloalkynyl, C₃-C₆ halocycloalkyl, halogen, CN, NO₂, C₁-C₄ alkoxy, C₁-C₄ haloalkoxy, C₁-C₄ alkylthio, C₁-C₄ alkylsulfinyl, C₁-C₄ alkylsulfonyl, C₁-C₄ alkoxycarbonyl, C₁-C₄ alkylamino, C₂-C₈ dialkylamino, C₃-C₆ cycloalkylamino, C₃-C₆ (alkyl)cycloalkylamino, C₂-C₄ alkylcarbonyl, C₂-C₆ alkoxycarbonyl, C₂-C₆ alkylaminocarbonyl, C₃-C₈ dialkylaminocarbonyl or C₃-C₆ trialkylsilyl;

R⁵ is C₁-C₆ haloalkyl, C₂-C₆ haloalkenyl, C₂-C₆ haloalkynyl, C₃-C₆ halocycloalkyl, C₁-C₄ haloalkoxy, C₁-C₄ alkylthio, C₁-C₄ alkylsulfinyl, C₁-C₄ alkylsulfonyl, C₁-C₄ haloalkylthio, C₁-C₄ haloalkylsulfinyl, C₁-C₄ haloalkylsulfonyl, CN, NO₂, C₁-C₄ alkoxycarbonyl, C₁-C₄ alkylamino, C₂-C₈ dialkylamino, C₃-C₆ cycloalkylamino, C₂-C₆ alkylcarbonyl, C₂-C₆ alkoxycarbonyl, C₂-C₆ alkylaminocarbonyl, or C₃-C₈ dialkylaminocarbonyl; or

(R⁵)₂ attached to adjacent carbon atoms can be taken together as -OCF₂O-, -CF₂CF₂O-, or -OCF₂CF₂O-;

R⁶ is H, halogen, C₁-C₆ alkyl, C₂-C₆ alkenyl, C₂-C₆ alkynyl, C₃-C₆ cycloalkyl, C₁-C₄ alkoxy; or

R⁶ is phenyl, benzyl or phenoxy, each optionally substituted with C₁-C₄ alkyl, C₂-C₄ alkenyl, C₂-C₄ alkynyl, C₃-C₆ cycloalkyl, C₁-C₄ haloalkyl, C₂-C₄ haloalkenyl, C₂-C₄ haloalkynyl, C₃-C₆ halocycloalkyl, halogen, CN, NO₂, C₁-C₄ alkoxy, C₁-C₄ haloalkoxy, C₁-C₄ alkylthio, C₁-C₄ alkylsulfinyl, C₁-C₄ alkylsulfonyl, C₁-C₄ alkoxycarbonyl, C₁-C₄ alkylamino, C₂-C₈ dialkylamino, C₃-C₆ cycloalkylamino, C₃-C₆ (alkyl)cycloalkylamino, C₂-C₄ alkylcarbonyl, C₂-C₆ alkoxycarbonyl, C₂-C₆ alkylaminocarbonyl, C₃-C₈ dialkylaminocarbonyl or C₃-C₆ trialkylsilyl;

R⁷ is H, C₁-C₆ alkyl, C₂-C₆ alkenyl, C₂-C₆ alkynyl, C₃-C₆ cycloalkyl, C₁-C₆ haloalkyl, C₂-C₆ haloalkenyl, C₂-C₆ haloalkynyl, C₃-C₆ halocycloalkyl, halogen, CN, CO₂H, CONH₂, NO₂, hydroxy, C₁-C₄ alkoxy, C₁-C₄ haloalkoxy,

C₁-C₄ alkylthio, C₁-C₄ alkylsulfinyl, C₁-C₄ alkylsulfonyl, C₁-C₄ haloalkylthio, C₁-C₄ haloalkylsulfinyl, C₁-C₄ haloalkylsulfonyl, C₁-C₄ alkoxycarbonyl, C₁-C₄ alkylamino, C₂-C₆ dialkylamino, C₃-C₆ cycloalkylamino, C₂-C₆ alkylcarbonyl, C₂-C₆ alkoxycarbonyl, C₂-C₆ alkylaminocarbonyl, C₃-C₆ dialkylaminocarbonyl, C₃-C₆ trialkylsilyl; or

R⁷ is phenyl, benzyl or phenoxy, each optionally substituted with C₁-C₄ alkyl, C₂-C₄ alkenyl, C₂-C₄ alkynyl, C₃-C₆ cycloalkyl, C₁-C₄ haloalkyl, C₂-C₄ haloalkenyl, C₂-C₄ haloalkynyl, C₃-C₆ halocycloalkyl, halogen, CN, NO₂, C₁-C₄ alkoxy, C₁-C₄ haloalkoxy, C₁-C₄ alkylthio, C₁-C₄ alkylsulfinyl, C₁-C₄ alkylsulfonyl, C₁-C₄ alkoxycarbonyl, C₁-C₄ alkylamino, C₂-C₆ dialkylamino, C₃-C₆ cycloalkylamino, C₃-C₆ (alkyl)cycloalkylamino, C₂-C₄ alkylcarbonyl, C₂-C₆ alkoxycarbonyl, C₂-C₆ alkylaminocarbonyl, C₃-C₆ dialkylaminocarbonyl or C₃-C₆ trialkylsilyl.

2. The compound of Claim 1 wherein

A and B are O;

n is 1 to 2;

R¹ is H, C₁-C₄ alkyl, C₂-C₄ alkenyl, C₂-C₄ alkynyl, C₃-C₆ cycloalkyl, C₂-C₆ alkylcarbonyl or C₂-C₆ alkoxycarbonyl;

R² is H, C₁-C₄ alkyl, C₂-C₄ alkenyl, C₂-C₄ alkynyl, C₃-C₆ cycloalkyl, C₂-C₆ alkylcarbonyl or C₂-C₆ alkoxycarbonyl;

R³ is H; or C₂-C₆ alkyl, C₂-C₆ alkenyl, C₂-C₆ alkynyl or C₃-C₆ cycloalkyl each optionally substituted with one or more substituents selected from the group consisting of halogen, CN, C₁-C₂ alkoxy, C₁-C₂ alkylthio, C₁-C₂ alkylsulfinyl and C₁-C₂ alkylsulfonyl;

one of the R⁴ groups is attached to the phenyl ring at the 2- or 5-position, and said R⁴ is C₁-C₄ alkyl, C₁-C₄ haloalkyl, halogen, CN, NO₂, C₁-C₄ alkoxy, C₁-C₄ haloalkoxy, C₁-C₄ alkylthio, C₁-C₄ alkylsulfinyl, C₁-C₄ alkylsulfonyl, C₁-C₄ haloalkylthio, C₁-C₄ haloalkylsulfinyl, C₁-C₄ haloalkylsulfonyl or C₂-C₄ alkoxycarbonyl; and

R⁵ is C₁-C₄ haloalkyl, CN, NO₂, C₁-C₄ haloalkoxy, C₁-C₄ alkylthio, C₁-C₄ alkylsulfinyl, C₁-C₄ alkylsulfonyl, C₁-C₄ haloalkylthio, C₁-C₄ haloalkylsulfinyl, C₁-C₄ haloalkylsulfonyl or C₂-C₄ alkoxycarbonyl; or

(R⁵)₂ attached to adjacent carbon atoms can be taken together as -OCF₂O-, -CF₂CF₂O- or -OCF₂CF₂O-; and

R⁶ is H, halogen, C₁-C₄ alkyl, C₁-C₂ alkoxy or C₂-C₄ alkoxycarbonyl.

3. The compound of Claim 2 wherein

R¹ and R² are H;

R^3 is C_3 - C_4 alkyl optionally substituted with halogen, CN, OCH_3 , $S(O)_pCH_3$;
each R^4 is independently CH_3 , CF_3 , OCF_3 , $OCHF_2$, $S(O)_pCF_3$, $S(O)_pCHF_2$ or
halogen;

each R^5 is independently CF_3 , OCF_3 , $OCHF_2$, $S(O)_pCF_3$, $S(O)_pCHF_2$;

R^6 is halogen or methyl; and

p is 0, 1 or 2.

4. The compound of Claim 3 wherein R^3 is *t*-propyl or *t*-butyl.

5. The compound of Claim 1 wherein J is a 5- or 6-membered heteroaromatic ring
optionally substituted with 1 to 4 R^7 .

6. The compound of Claim 5 wherein

A and B are O;

n is 1 to 2;

R^1 is H, C_1 - C_4 alkyl, C_2 - C_4 alkenyl, C_2 - C_4 alkynyl, C_2 - C_6 alkylcarbonyl or C_2 - C_6
alkoxycarbonyl;

R^2 is H, C_1 - C_4 alkyl, C_2 - C_4 alkenyl, C_2 - C_4 alkynyl, C_3 - C_6 cycloalkyl, C_2 - C_6
alkylcarbonyl or C_2 - C_6 alkoxycarbonyl;

R^3 is H; or C_2 - C_6 alkyl, C_2 - C_6 alkenyl, C_2 - C_6 alkynyl or C_3 - C_6 cycloalkyl each
optionally substituted with one or more substituents selected from the group
consisting of halogen, CN, C_1 - C_2 alkoxy, C_1 - C_2 alkylthio, C_1 - C_2 alkylsulfinyl
and C_1 - C_2 alkylsulfonyl;

one of the R^4 groups is attached to the phenyl ring at the 2- or 5-position, and said R^4
is C_1 - C_4 alkyl, C_1 - C_4 haloalkyl, halogen, CN, NO_2 , C_1 - C_4 alkoxy, C_1 - C_4
haloalkoxy, C_1 - C_4 alkylthio, C_1 - C_4 alkylsulfinyl, C_1 - C_4 alkylsulfonyl, C_1 - C_4
haloalkylthio, C_1 - C_4 haloalkylsulfinyl, C_1 - C_4 haloalkylsulfonyl or C_2 - C_4
alkoxycarbonyl; and

R^7 is H, C_1 - C_4 alkyl, C_1 - C_4 haloalkyl, halogen, CN, NO_2 , C_1 - C_4 haloalkoxy, C_1 - C_4
alkylthio, C_1 - C_4 alkylsulfinyl, C_1 - C_4 alkylsulfonyl, C_1 - C_4 haloalkylthio,
 C_1 - C_4 haloalkylsulfinyl, C_1 - C_4 haloalkylsulfonyl or C_2 - C_4 alkoxycarbonyl.

7. The compound of Claim 6 wherein J is selected from the group consisting of
pyridine, pyrimidine, pyrazole, imidazole, triazole, thiophene, thiazole and oxazole, each
optionally substituted with 1 to 3 R^7 .

8. The compound of Claim 7 wherein

J is selected from the group consisting of pyridine, pyrimidine, pyrazole, thiophene and
thiazole, each optionally substituted with 1 to 3 R^7 ;

R^1 and R^2 are H;

R^3 is C_3 - C_4 alkyl optionally substituted with halogen, OCH_3 , $S(O)_pCH_3$;

each R^4 and each R^7 is independently H, CH_3 , CF_3 , OCF_3 , $OCHF_2$, OCH_2CF_3 ,

$S(O)_pCF_3$, $S(O)_pCHF_2$ or halogen; and

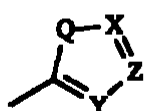
p is 0, 1 or 2.

9. The compound of Claim 8 wherein R^3 is *i*-propyl or *t*-butyl.

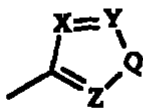
6 10. The compound of Claim 5 wherein

J is a 5- or 6-membered heteroaromatic ring selected from the group consisting of J-1,

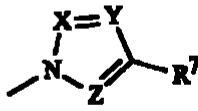
J-2, J-3, J-4 and J-5, each J optionally substituted with 1 to 3 R^7



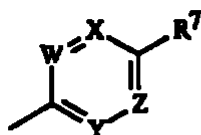
J-1



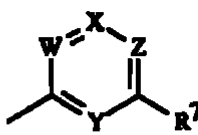
J-2



J-3



J-4



J-5

;

Q is O, S or NR^8 ;

10 W , X , Y and Z are independently N or CR^7 , provided that in J-4 and J-5 at least one of W , X , Y or Z is N; and

each R^8 is independently H, C_1 - C_6 alkyl, C_2 - C_6 alkenyl, C_2 - C_6 alkynyl, C_3 - C_6 cycloalkyl, C_1 - C_6 haloalkyl, C_2 - C_6 haloalkenyl, C_2 - C_6 haloalkynyl, C_3 - C_6 halocycloalkyl, C_1 - C_4 alkylsulfonyl, C_1 - C_4 haloalkylsulfonyl, C_1 - C_4 alkoxy, C_2 - C_6 alkylcarbonyl, C_2 - C_6 alkoxy, C_2 - C_6 alkoxy, C_2 - C_6 alkylaminocarbonyl, C_3 - C_6 dialkylaminocarbonyl, C_3 - C_6 trialkylsilyl; or

each R^8 is independently phenyl, benzyl, benzoyl or phenoxy, each optionally substituted with C_1 - C_4 alkyl, C_2 - C_4 alkenyl, C_2 - C_4 alkynyl, C_3 - C_6 cycloalkyl, C_1 - C_4 haloalkyl, C_2 - C_4 haloalkenyl, C_2 - C_4 haloalkynyl, C_3 - C_6 halocycloalkyl, halogen, CN, NO_2 , C_1 - C_4 alkoxy, C_1 - C_4 haloalkoxy, C_1 - C_4 alkylthio, C_1 - C_4 alkylsulfinyl, C_1 - C_4 alkylsulfonyl, C_1 - C_4 alkoxy, C_1 - C_4 alkoxy, C_1 - C_4 alkylamino, C_2 - C_6 dialkylamino, C_3 - C_6 cycloalkylamino, C_3 - C_6 (alkyl)cycloalkylamino, C_2 - C_4 alkylcarbonyl, C_2 - C_6 alkoxy, C_2 - C_6 alkoxy, C_2 - C_6 alkylaminocarbonyl, C_3 - C_6 dialkylaminocarbonyl or C_3 - C_6 trialkylsilyl.

25 11. The compound of Claim 10 wherein

A and B are O;

n is 1 to 2;

R¹ is H, C₁-C₄ alkyl, C₂-C₄ alkenyl, C₂-C₄ alkynyl, C₂-C₆ alkylcarbonyl or C₂-C₆ alkoxy carbonyl;

R² is H, C₁-C₄ alkyl, C₂-C₄ alkenyl, C₂-C₄ alkynyl, C₃-C₆ cycloalkyl, C₂-C₆ alkylcarbonyl or C₂-C₆ alkoxy carbonyl;

5 R³ is H; or C₂-C₆ alkyl, C₂-C₆ alkenyl, C₂-C₆ alkynyl or C₃-C₆ cycloalkyl each optionally substituted with one or more substituents selected from the group consisting of halogen, CN, C₁-C₂ alkoxy, C₁-C₂ alkylthio, C₁-C₂ alkylsulfinyl and C₁-C₂ alkylsulfonyl;

10 one of the R⁴ groups is attached to the phenyl ring at the 2- or 5-position, and said R⁴ is C₁-C₄ alkyl, C₁-C₄ haloalkyl, halogen, CN, NO₂, C₁-C₄ alkoxy, C₁-C₄ haloalkoxy, C₁-C₄ alkylthio, C₁-C₄ alkylsulfinyl, C₁-C₄ alkylsulfonyl, C₁-C₄ haloalkylthio, C₁-C₄ haloalkylsulfinyl, C₁-C₄ haloalkylsulfonyl or C₂-C₄ alkoxy carbonyl; and

15 R⁷ is H, C₁-C₄ alkyl, C₁-C₄ haloalkyl, halogen, CN, NO₂, C₁-C₄ haloalkoxy, C₁-C₄ alkylthio, C₁-C₄ alkylsulfinyl, C₁-C₄ alkylsulfonyl, C₁-C₄ haloalkylthio, C₁-C₄ haloalkylsulfinyl, C₁-C₄ haloalkylsulfonyl or C₂-C₄ alkoxy carbonyl; and

R⁸ is H, C₁-C₄ alkyl, C₁-C₄ haloalkyl, C₁-C₄ alkylsulfonyl, C₁-C₄ haloalkylsulfonyl or C₂-C₄ alkoxy carbonyl.

12. The compound of Claim 11 wherein

20 R¹ and R² are H;

R³ is C₃-C₄ alkyl optionally substituted with halogen, OCH₃, S(O)_pCH₃;

each R⁴ and each R⁷ is independently H, CH₃, CF₃, OCF₃, OCHF₂, OCH₂CF₃, S(O)_pCF₃, S(O)_pCHF₂ or halogen; and

p is 0, 1 or 2.

25 13. The compound of Claim 12 wherein R³ is *i*-propyl or *t*-butyl.

14. The compound of Claim 1 selected from the group consisting of:

3-methyl-*N*-(1-methylethyl)-2-[[4-(trifluoromethyl)benzoyl]amino]-benzamide,

30 2-methyl-*N*-[2-methyl-6-[[[(1-methylethyl)amino]carbonyl]phenyl]-4-(trifluoromethyl)benzamide,

2-methyl-*N*-[2-methyl-6-[[[(1-methylethyl)amino]carbonyl]phenyl]-6-(trifluoromethyl)-3-pyridinecarboxamide, and

1-ethyl-*N*-[2-methyl-6-[[[(1-methylethyl)amino]carbonyl]phenyl]-3-(trifluoromethyl)-1*H*-pyrazole-5-carboxamide.

35 15. An arthropodocidal composition comprising an arthropodocidally effective amount of a compound according to any one of Claims 1 to 14 and at least one additional

component selected from the group consisting of surfactants, solid diluents and liquid diluents.

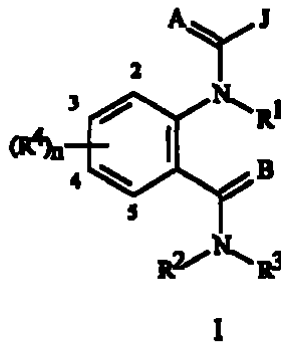
16. A method for controlling arthropods comprising contacting the arthropods or their environment with an arthropodically effective amount of a compound of Claim 1.

TITLE

INSECTICIDAL ANTHRANILAMIDES

ABSTRACT OF THE DISCLOSURE

This invention provides compounds of Formula 1, their *N*-oxides and agriculturally
5 suitable salts



wherein

A, B, J, R¹, R², R³ and R⁴ and n are as defined in the disclosure.

Also disclosed are methods for controlling arthropods comprising contacting the
10 arthropods or their environment with an arthropodically effective amount of a compound
of Formula 1 and compositions containing the compounds of Formula 1.

PA 883963

THE UNITED STATES OF AMERICA**TO ALL TO WHOM THESE PRESENTS SHALL COME:****UNITED STATES DEPARTMENT OF COMMERCE****United States Patent and Trademark Office****August 22, 2002**

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OFFICE OF THOSE PAPERS OF THE BELOW IDENTIFIED PATENT
APPLICATION THAT MET THE REQUIREMENTS TO BE GRANTED A
FILING DATE UNDER 35 USC 111.**

APPLICATION NUMBER: 60/254,635**FILING DATE: December 11, 2000**

**By Authority of the
COMMISSIONER OF PATENTS AND TRADEMARKS**




P. SWAIN
Certifying Officer

12-12-00

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PTO/SB/18 (09-00)

Approved for use through 10/31/2002. OMB 0591-0032

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PROVISIONAL APPLICATION FOR PATENT COVER SHEET

This is a request for filing a PROVISIONAL APPLICATION FOR PATENT under 37 CFR 1.63 (c).

INVENTOR(S)

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GEORGE PHILIP BRIAN JAMES	LAHM MEYERS	WILMINGTON, DELAWARE OXFORD, PENNSYLVANIA

☒ Additional inventors are being named on the 2ND PAGE separately numbered sheets attached hereto**TITLE OF THE INVENTION (250 characters max)**

INSECTICIDAL ANTHRANILAMIDES

Direct all correspondence to:

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PATENT TRADEMARK OFFICE

☐ Firm or Individual Name			
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ENCLOSED APPLICATION PARTS (check all that apply)

☒ Specification Number of Pages	<u>69</u>	☐ CD(s), Number	<u> </u>
☐ Drawing(s) Number of Sheets	<u> </u>	☒ Other (specify)	<u>FEE SHEET</u>
☒ Application Data Sheet. See 37 CFR 1.76		☒ Express Mail No.:	<u>EK630005684US</u>

METHOD OF PAYMENT OF FILING FEES FOR THIS PROVISIONAL APPLICATION FOR PATENT (check one)

☐ Applicant claims small entity status. See 37 CFR 1.27.		
☐ A check or money order is enclosed to cover the filing fees		FILING FEE AMOUNT (\$)
☒ The Commissioner is hereby authorized to charge filing fee or credit any overpayment to Deposit Account Number: <u>04-1928</u>		<u>150.00</u>
☐ Payment by credit card. Form PTO-2038 is attached.		

The invention was made by an agency of the United States Government or under a contract with an agency of the United States Government.

☒ No.
☐ Yes, the name of the U.S. Government agency and the Government contract number are: _____

Respectfully submitted,

SIGNATURE Linda D. BirchDate 12/1/00TYPED or PRINTED NAME LINDA D. BIRCHREGISTRATION NO. 38,719
(if appropriate)TELEPHONE 302-992-4949Doclet Number BA9283 US
PRV2**USE ONLY FOR FILING A PROVISIONAL APPLICATION FOR PATENT**

This collection of information is required by 37 CFR 1.61. The information is used by the public to file (and by the PTO to process) a provisional application. Confidentiality is governed by 35 U.S.C. 122 and 37 CFR 1.14. This collection is estimated to take 8 hours to complete, including gathering, preparing, and submitting the complete provisional application to the PTO. Time will vary depending upon the individual case. Any comments on the amount of time you require to complete this form under suggestions for reducing this burden, should be sent to the Chief Information Officer, U.S. Patent and Trademark Office, U.S. Department of Commerce, Washington.

12/11/00 1c960 U.S. PTO

PROVISIONAL APPLICATION COVER SHEET
Additional Page

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PTO/BB/16 (08-00)
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Docket Number		BA8263 US PRV2	Type a plus sign (+) inside this box	+
INVENTOR(S)/APPLICANT(S)				
Given Name (first and middle (if any))	Family or Surname	Residence (City and either State or Foreign Country)		
THOMAS PAUL	SELBY	WILMINGTON, DELAWARE		

Number 2 of 2

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FEE TRANSMITTAL for FY 2001

Patent fees are subject to annual revision.

Complete if known

Application Number	unknown
Filing Date	December 11, 2000
First Named Inventor	GEORGE PHILIP LAHM
Examiner Name	unknown
Group / Art Unit	unknown
Attorney Docket No.	BA8263 US PRV2

TOTAL AMOUNT OF PAYMENT (\$)
160

METHOD OF PAYMENT (check one)

1. ☒ The Commissioner is hereby authorized to charge indicated fees and credit any over payments to:

Deposit
Account
Number

04-1828

Deposit
Account
Name

E. I. du Pont de Nemours and Company

☒ Charge Any Additional Fee Required
Under 37 CFR 1.16 and 1.17
☐ Applicant claims small entity status.
See 37 CFR 1.27

2. ☐ Payment Enclosed:

☐ Check ☐ Credit card ☐ Money Order ☐ Other

FEE CALCULATION

1. BASIC FILING FEE

Large Fee Code	Small Fee Code	Small Fee Code	Small Fee Code	Fee Description	Fee Paid
101	710	201	365	Utility filing fee	
108	320	208	180	Design filing fee	
107	480	207	248	Plant filing fee	
109	710	209	365	Release filing fee	
114	180	214	75	Provisional filing fee	180

SUBTOTAL (1)

(8) 180

2. EXTRA CLAIM FEES

Total Claims	-80*-	=	0	X	Fee from below	=	0
Independent Claims	-80*-	=	0	X	Fee from below	=	0
Multiple Dependent				X	Fee from below	=	0

Large Fee Code	Small Fee Code	Small Fee Code	Small Fee Code	Fee Description	Fee Paid
103	18	203	9	Claims in excess of 20	
102	80	202	40	Independent claims in excess of 3	
104	270	204	138	Multiple dependent claim, if not paid	
109	80	209	40	** Release independent claims over original patent	
110	18	210	9	** Release claims in excess of 20 and over original patent	

SUBTOTAL (2)

(8) 0

3. ADDITIONAL FEES

Large Fee Code	Small Fee Code	Small Fee Code	Small Fee Code	Fee Description	Fee Paid
105	130	205	68	Surcharge - late filing fee or oath	
127	60	227	28	Surcharge - late provisional filing fee or cover sheet	
139	130	139	130	Non-English specification	
147	3,520	147	3,520	For filing a request for an oral examination	
112	630*	112	630*	Requesting publication of SR prior to Examiner action	
113	1,840*	113	1,840*	Requesting publication of SR after Examiner action	
115	110	215	55	Extension for reply within first month	
116	360	216	195	Extension for reply within second month	
117	680	217	445	Extension for reply within third month	
118	1,360	218	895	Extension for reply within fourth month	
138	1,880	238	945	Extension for reply within fifth month	
119	310	219	165	Notice of Appeal	
120	310	220	165	Filing a brief in support of an appeal	
121	270	221	135	Request for oral hearing	
135	1,810	135	1,810	Petition to institute a public use proceeding	
140	110	240	65	Petition to revive - unintentional	
141	1,240	241	620	Petition to revive - unintentional	
142	1,240	242	620	Utility issue fee (or release)	
143	440	243	220	Design issue fee	
144	630	244	315	Plant issue fee	
132	130	132	130	Petitions to the Commissioner	
123	130	123	130	Petitions related to provisional applications	
136	180	136	180	Submission of Information Disclosure Sheet	
681	40	681	40	Recording each patent assignment per property (form number of properties)	
148	710	248	355	Filing a submission after final rejection (37 CFR § 1.129(a))	
149	710	249	355	For each additional invention to be examined (37 CFR § 1.129(b))	
179	710	279	355	Request for Continued Examination (RCE)	
188	620	188	620	Request for expedited examination of a design application	

Other fee (specify) _____

*Reduced by Basic Filing Fee Paid

SUBTOTAL (3)

(8) 0

SUBMITTED BY

Complete if applicable

Name (Print/Type)	LINDA D. BIRCH	Registration No. (Allowing/Sign)	38,719	Telephone	302-882-4949

Signature	<i>Linda D. Birch</i>	Date	December 11, 2000

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Citizenship Country:: U.S.A.

APPLICATION INFORMATION

Title Line One:: INSECTICIDAL ANTHRANILAMIDES
Total Drawing Sheets:: 0
Formal Drawings?:: No
Application Type:: Provisional
Docket Number:: BA9263USPRV2
Secrecy Order in Parent Appl.?:: No

REPRESENTATIVE INFORMATION

Registration Number One:: 38719

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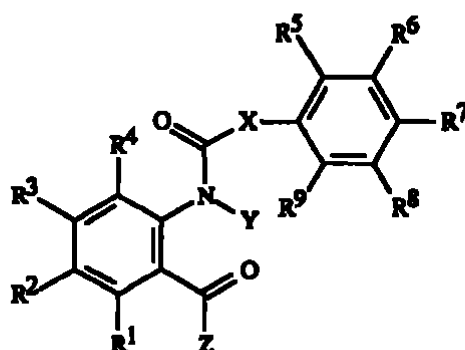
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TITLE
INSECTICIDAL ANTHRANILAMIDES
BACKGROUND OF THE INVENTION

5 This invention relates to certain anthranilamides, their *N*-oxides, agriculturally suitable salts and compositions, and methods of their use as arthropodicides in both agronomic and nonagronomic environments.

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

15 NL 9202078 discloses *N*-acyl anthranilic acid derivatives of Formula i as insecticides



i

wherein, *inter alia*,

X is a direct bond;

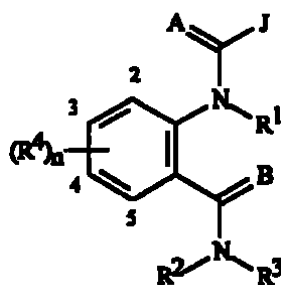
Y is H or C₁-C₆ alkyl;

20 Z is NH₂, NH(C₁-C₃ alkyl) or N(C₁-C₃ alkyl)₂; and

R¹ through R⁹ are independently H, halogen, C₁-C₆ alkyl, phenyl, hydroxy, C₁-C₆ alkoxy or C₁-C₇ acyloxy.

SUMMARY OF THE INVENTION

25 This invention pertains to compounds of Formula 1, their *N*-oxides and agriculturally suitable salts.



1

wherein

A and B are independently O or S;

J is a phenyl group substituted with 1 to 2 R^5 and optionally substituted with 1 to 3 R^6 ,
or a 5- or 6-membered heteroaromatic ring optionally substituted with 1 to 4 R^7 ;

n is 1 to 4;

R^1 is H; or C_1 - C_6 alkyl, C_2 - C_6 alkenyl, C_2 - C_6 alkynyl or C_3 - C_6 cycloalkyl each optionally substituted with one or more substituents selected from the group consisting of halogen, CN, NO_2 , hydroxy, C_1 - C_4 alkoxy, C_1 - C_4 alkylthio, C_1 - C_4 alkylsulfinyl, C_1 - C_4 alkylsulfonyl, C_2 - C_4 alkoxycarbonyl, C_1 - C_4 alkylamino, C_2 - C_8 dialkylamino and C_3 - C_6 cycloalkylamino; or

R^1 is C_2 - C_6 alkylcarbonyl, C_2 - C_6 alkoxycarbonyl, C_2 - C_6 alkylaminocarbonyl or C_3 - C_8 dialkylaminocarbonyl;

R^2 is H, C_1 - C_6 alkyl, C_2 - C_6 alkenyl, C_2 - C_6 alkynyl, C_3 - C_6 cycloalkyl, C_1 - C_4 alkoxy, C_1 - C_4 alkylamino, C_2 - C_8 dialkylamino, C_3 - C_6 cycloalkylamino, C_2 - C_6 alkoxycarbonyl or C_2 - C_6 alkylcarbonyl;

R^3 is H; C_1 - C_6 alkyl, C_2 - C_6 alkenyl, C_2 - C_6 alkynyl, C_3 - C_6 cycloalkyl, each optionally substituted with one or more substituents selected from the group consisting of halogen, CN, NO_2 , hydroxy, C_1 - C_4 alkoxy, C_1 - C_4 alkylthio, C_1 - C_4 alkylsulfinyl and C_1 - C_4 alkylsulfonyl; C_1 - C_4 alkoxy; C_1 - C_4 alkylamino; C_2 - C_8 dialkylamino; C_3 - C_6 cycloalkylamino; C_2 - C_6 alkoxycarbonyl or C_2 - C_6 alkylcarbonyl; or

R^2 and R^3 can be 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 of nitrogen, sulfur or oxygen, said ring may be optionally substituted with 1 to 4 substituents selected from the group consisting of C_1 - C_2 alkyl, halogen, CN, NO_2 and C_1 - C_2 alkoxy;

each R^4 is independently H, C_1 - C_6 alkyl, C_2 - C_6 alkenyl, C_2 - C_6 alkynyl, C_3 - C_6 cycloalkyl, C_1 - C_6 haloalkyl, C_2 - C_6 haloalkenyl, C_2 - C_6 haloalkynyl, C_3 - C_6 halocycloalkyl, halogen, CN, CO_2H , $CONH_2$, NO_2 , hydroxy, C_1 - C_4 alkoxy, C_1 - C_4 haloalkoxy, C_1 - C_4 alkylthio, C_1 - C_4 alkylsulfinyl, C_1 - C_4 alkylsulfonyl,

C₁-C₄ haloalkylthio, C₁-C₄ haloalkylsulfinyl, C₁-C₄ haloalkylsulfonyl, C₁-C₄ alkylamino, C₂-C₈ dialkylamino, C₃-C₆ cycloalkylamino, C₂-C₆ alkylcarbonyl, C₂-C₆ alkoxycarbonyl, C₂-C₆ alkylaminocarbonyl, C₃-C₈ dialkylaminocarbonyl, C₃-C₆ trialkylsilyl; or

5 each R⁴ is independently phenyl, benzyl or phenoxy, each optionally substituted with C₁-C₄ alkyl, C₂-C₄ alkenyl, C₂-C₄ alkynyl, C₃-C₆ cycloalkyl, C₁-C₄ haloalkyl, C₂-C₄ haloalkenyl, C₂-C₄ haloalkynyl, C₃-C₆ halocycloalkyl, halogen, CN, NO₂, C₁-C₄ alkoxy, C₁-C₄ haloalkoxy, C₁-C₄ alkylthio, C₁-C₄ alkylsulfinyl, C₁-C₄ alkylsulfonyl, C₁-C₄ alkylamino, C₂-C₈ dialkylamino, C₃-C₆ cycloalkylamino, C₃-C₆ (alkyl)cycloalkylamino, C₂-C₄ alkylcarbonyl, C₂-C₆ alkoxycarbonyl, C₂-C₆ alkylaminocarbonyl, C₃-C₈ dialkylaminocarbonyl or C₃-C₆ trialkylsilyl;

10 each R⁵ is independently C₁-C₆ alkyl, C₂-C₆ alkenyl, C₂-C₆ alkynyl, C₃-C₆ cycloalkyl, C₁-C₆ haloalkyl, C₂-C₆ haloalkenyl, C₂-C₆ haloalkynyl, C₃-C₆ halocycloalkyl, halogen, CN, CO₂H, CONH₂, NO₂, hydroxy, C₁-C₄ alkoxy, C₁-C₄ haloalkoxy, C₁-C₄ alkylthio, C₁-C₄ alkylsulfinyl, C₁-C₄ alkylsulfonyl, C₁-C₄ haloalkylthio, C₁-C₄ haloalkylsulfinyl, C₁-C₄ haloalkylsulfonyl, C₁-C₄ alkylamino, C₂-C₈ dialkylamino, or C₃-C₆ cycloalkylamino, C₂-C₆ alkylcarbonyl, C₂-C₆ alkoxycarbonyl, C₂-C₆ alkylaminocarbonyl, C₃-C₈ dialkylaminocarbonyl, C₃-C₆ trialkylsilyl; or

20 (R⁵)₂ when attached to adjacent carbon atoms can be taken together as -OCF₂O-, -CF₂CF₂O-, or -OCF₂CF₂O-;

each R⁶ is independently H, halogen, C₁-C₆ alkyl, C₂-C₆ alkenyl, C₂-C₆ alkynyl, C₃-C₆ cycloalkyl, C₁-C₄ alkoxy; or

25 each R⁶ is independently a phenyl, benzyl, phenoxy or a 5- or 6-membered heteroaromatic ring, each ring optionally substituted with C₁-C₄ alkyl, C₂-C₄ alkenyl, C₂-C₄ alkynyl, C₃-C₆ cycloalkyl, C₁-C₄ haloalkyl, C₂-C₄ haloalkenyl, C₂-C₄ haloalkynyl, C₃-C₆ halocycloalkyl, halogen, CN, NO₂, C₁-C₄ alkoxy, C₁-C₄ haloalkoxy, C₁-C₄ alkylthio, C₁-C₄ alkylsulfinyl, C₁-C₄ alkylsulfonyl, C₁-C₄ alkylamino, C₂-C₈ dialkylamino, C₃-C₆ cycloalkylamino, C₃-C₆ (alkyl)cycloalkylamino, C₂-C₄ alkylcarbonyl, C₂-C₆ alkoxycarbonyl, C₂-C₆ alkylaminocarbonyl, C₃-C₈ dialkylaminocarbonyl or C₃-C₆ trialkylsilyl;

30 each R⁷ is independently H, C₁-C₆ alkyl, C₂-C₆ alkenyl, C₂-C₆ alkynyl, C₃-C₆ cycloalkyl, C₁-C₆ haloalkyl, C₂-C₆ haloalkenyl, C₂-C₆ haloalkynyl, C₃-C₆ halocycloalkyl, halogen, CN, CO₂H, CONH₂, NO₂, hydroxy, C₁-C₄ alkoxy, C₁-C₄ haloalkoxy, C₁-C₄ alkylthio, C₁-C₄ alkylsulfinyl, C₁-C₄ alkylsulfonyl, C₁-C₄ haloalkylthio, C₁-C₄ haloalkylsulfinyl, C₁-C₄ haloalkylsulfonyl, C₁-C₄ alkylamino, C₂-C₈ dialkylamino, C₃-C₆ cycloalkylamino, C₂-C₆ alkylcarbonyl,

C₂-C₆ alkoxy carbonyl, C₂-C₆ alkylaminocarbonyl, C₃-C₈ dialkylaminocarbonyl, C₃-C₆ trialkylsilyl; or

each R⁷ is independently a phenyl, benzyl, benzoyl, phenoxy or a 5- or 6-membered heteroaromatic ring, each ring optionally substituted with C₁-C₄ alkyl, C₂-C₄ alkenyl, C₂-C₄ alkynyl, C₃-C₆ cycloalkyl, C₁-C₄ haloalkyl, C₂-C₄ haloalkenyl, C₂-C₄ haloalkynyl, C₃-C₆ halocycloalkyl, halogen, CN, NO₂, C₁-C₄ alkoxy, C₁-C₄ haloalkoxy, C₁-C₄ alkylthio, C₁-C₄ alkylsulfinyl, C₁-C₄ alkylsulfonyl, C₁-C₄ alkylamino, C₂-C₈ dialkylamino, C₃-C₆ cycloalkylamino, C₃-C₆ (alkyl)cycloalkylamino, C₂-C₄ alkylcarbonyl, C₂-C₆ alkoxy carbonyl, C₂-C₆ alkylaminocarbonyl, C₃-C₈ dialkylaminocarbonyl or C₃-C₆ trialkylsilyl; provided that when A and B are both O, R² is H or C₁-C₃ alkyl, R³ is H or C₁-C₃ alkyl and R⁴ is H, halogen, C₁-C₆ alkyl, phenyl, hydroxy or C₁-C₆ alkoxy, then one R⁵ is other than halogen, C₁-C₆ alkyl, hydroxy or C₁-C₆ alkoxy.

This invention is also directed to a method for controlling arthropods comprising contacting the arthropods or their environment with an arthropodically effective amount of a compound of Formula 1.

This invention also pertains to arthropodicidal compositions comprising an arthropodically effective amount of a compound of Formula 1 and at least one additional component selected from the group consisting of surfactants, solid diluents and liquid diluents.

DETAILS OF THE INVENTION

In the above recitations, the term "alkyl", used either alone or in compound words such as "alkylthio" or "haloalkyl" includes straight-chain or branched alkyl, such as, methyl, ethyl, *n*-propyl, *i*-propyl, or the different butyl, pentyl or hexyl isomers. The term "1-2 alkyl" indicates that one or two of the available positions for that substituent may be alkyl. "Alkenyl" includes straight-chain or branched alkenes such as 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 1-propynyl, 2-propynyl and the different butynyl, pentynyl and hexynyl isomers. "Alkynyl" can also include moieties comprised of multiple triple bonds such as 2,5-hexadiynyl. "Alkoxy" includes, for example, methoxy, ethoxy, *n*-propyloxy, isopropyloxy and the different butoxy, pentoxy and hexyloxy isomers. "Alkoxyalkyl" denotes alkoxy substitution on alkyl. Examples of "alkoxyalkyl" include CH₃OCH₂, CH₃OCH₂CH₂, CH₃CH₂OCH₂, CH₃CH₂CH₂CH₂OCH₂ and CH₃CH₂OCH₂CH₂. "Alkylthio" includes branched or straight-chain alkylthio moieties such as methylthio, ethylthio, and the different propylthio, butylthio, pentylthio and hexylthio isomers. "Cycloalkyl" includes, for example, cyclopropyl, cyclobutyl, cyclopentyl and cyclohexyl.

The term "heteroaromatic ring" denotes fully aromatic rings in which at least one ring atom is not carbon and comprises 1 to 4 heteroatoms independently selected from the group consisting of nitrogen, oxygen and sulfur, provided that each heteroaromatic ring contains no more than 4 nitrogens, no more than 2 oxygens and no more than 2 sulfurs (where aromatic indicates that the Hückel rule is satisfied). The heteroaromatic ring can be attached through any available carbon or nitrogen by replacement of hydrogen on said carbon or nitrogen.

The term "halogen", either alone or in compound words such as "haloalkyl", includes fluorine, chlorine, bromine or iodine. Further, when used in compound words such as "haloalkyl", said alkyl may be partially or fully substituted with halogen atoms which may be the same or different. Examples of "haloalkyl" include F_3C , $ClCH_2$, CF_3CH_2 and CF_3CCl_2 . The terms "haloalkenyl", "haloalkynyl", "haloalkoxy", and the like, are defined analogously to the term "haloalkyl". Examples of "haloalkenyl" include $(Cl)_2C=CHCH_2$ and $CF_3CH_2CH=CHCH_2$. Examples of "haloalkynyl" include $HC=CCHCl$, $CF_3C\equiv C$, $CCl_3C\equiv C$ and $FCH_2C\equiv CCH_2$. Examples of "haloalkoxy" include CF_3O , CCl_3CH_2O , $HCF_2CH_2CH_2O$ and CF_3CH_2O .

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 6. For example, C_1-C_3 alkylsulfonyl designates methylsulfonyl through propylsulfonyl; 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 $CH_3CH_2OCH_2CH_2$. In the above recitations, when a compound of Formula 1 contains a heterocyclic ring, all substituents are attached to this ring through any available carbon or nitrogen by replacement of a hydrogen on said carbon or nitrogen.

When a group contains a substituent which can be hydrogen, for example R^3 , then, when this substituent is taken as hydrogen, it is recognized that this is equivalent to said group being unsubstituted.

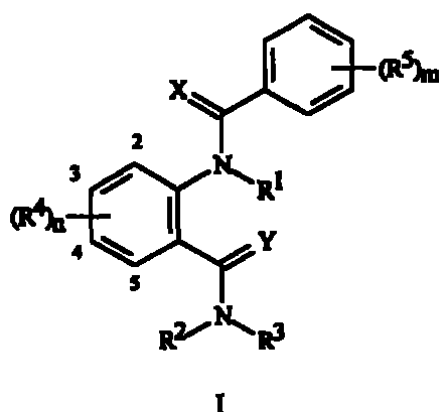
Compounds of this invention can exist as one or more stereoisomers. The various stereoisomers include enantiomers, diastereomers, atropisomers and geometric isomers. One skilled in the art will appreciate that one stereoisomer may be more active and/or may exhibit beneficial effects when enriched relative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

The present invention comprises compounds selected from Formula 1, *N*-oxides and agriculturally suitable salts thereof. One skilled in the art will appreciate that not all nitrogen containing heterocycles can form *N*-oxides since the nitrogen requires an available lone pair

for oxidation to the oxide; one skilled in the art will recognize those nitrogen containing heterocycles which can form *N*-oxides. One skilled in the art will also recognize that tertiary amines can form *N*-oxides. Synthetic methods for the preparation of *N*-oxides of heterocycles and tertiary amines are very well known by one skilled in the art including the oxidation of heterocycles and tertiary amines with peroxy acids such as peracetic and *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 18-19, 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 139-151, 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.

Of note are certain compounds of Formula I



wherein

- 25 X and Y are O;
 m is 1 to 5;
 n is 1 to 4;

R¹ is H; or C₁-C₆ alkyl, C₂-C₆ alkenyl, C₂-C₆ alkynyl or C₃-C₆ cycloalkyl each optionally substituted with one or more substituents selected from the group consisting of halogen, CN, NO₂, hydroxy, C₁-C₄ alkoxy, C₁-C₄ alkylthio, C₁-C₄ alkylsulfinyl, C₁-C₄ alkylsulfonyl, C₂-C₄ alkoxy carbonyl, C₁-C₄ alkylamino, C₂-C₈ dialkylamino and C₃-C₆ cycloalkylamino; or

R¹ is C₂-C₆ alkylcarbonyl, C₂-C₆ alkoxy carbonyl, C₂-C₆ alkylaminocarbonyl or C₃-C₈ dialkylaminocarbonyl;

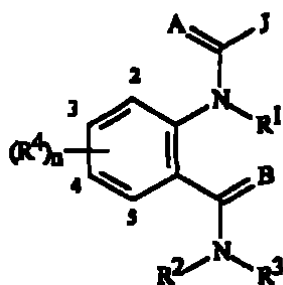
R² is H, C₁-C₆ alkyl, C₂-C₆ alkenyl, C₂-C₆ alkynyl, C₃-C₆ cycloalkyl, C₁-C₄ alkoxy, C₁-C₄ alkylamino, C₂-C₈ dialkylamino, C₃-C₆ cycloalkylamino, C₂-C₆ alkoxy carbonyl or C₂-C₆ alkylcarbonyl;

R³ is *i*-propyl or *t*-butyl; and

each R⁴ and R⁵ are independently H, C₁-C₆ alkyl, C₂-C₆ alkenyl, C₂-C₆ alkynyl, C₃-C₆ cycloalkyl, C₁-C₆ haloalkyl, C₂-C₆ haloalkenyl, C₂-C₆ haloalkynyl, C₃-C₆ halocycloalkyl, halogen, CN, CO₂H, CONH₂, NO₂, hydroxy, C₁-C₄ alkoxy, C₁-C₄ haloalkoxy, C₁-C₄ alkylthio, C₁-C₄ alkylsulfinyl, C₁-C₄ alkylsulfonyl, C₁-C₄ haloalkylthio, C₁-C₄ haloalkylsulfinyl, C₁-C₄ haloalkylsulfonyl, C₁-C₄ alkoxy carbonyl, C₁-C₄ alkylamino, C₂-C₈ dialkylamino, C₃-C₆ cycloalkylamino, C₂-C₆ alkylcarbonyl, C₂-C₆ alkoxy carbonyl, C₂-C₆ alkylaminocarbonyl, C₃-C₈ dialkylaminocarbonyl, C₃-C₆ trialkylsilyl; or

each R⁴ and R⁵ are independently phenyl optionally substituted with C₁-C₄ alkyl, C₂-C₄ alkenyl, C₂-C₄ alkynyl, C₃-C₆ cycloalkyl, C₁-C₄ haloalkyl, C₂-C₄ haloalkenyl, C₂-C₄ haloalkynyl, C₃-C₆ halocycloalkyl, halogen, CN, NO₂, C₁-C₄ alkoxy, C₁-C₄ haloalkoxy, C₁-C₄ alkylthio, C₁-C₄ alkylsulfinyl, C₁-C₄ alkylsulfonyl, C₁-C₄ alkoxy carbonyl, C₁-C₄ alkylamino, C₂-C₈ dialkylamino, C₃-C₆ cycloalkylamino, C₃-C₆ (alkyl)cycloalkylamino, C₂-C₄ alkylcarbonyl, C₂-C₆ alkoxy carbonyl, C₂-C₆ alkylaminocarbonyl, C₃-C₈ dialkylaminocarbonyl or C₃-C₆ trialkylsilyl.

Also of note are certain compounds of Formula II



II

wherein

A and B are independently O or S;

J is a phenyl group substituted with 1 to 2 R^5 and optionally substituted with 1 to 3 R^6 ,
 5 or a 5- or 6-membered heteroaromatic ring optionally substituted with 1 to 4 R^7 ;

n is 1 to 4;

R^1 is H; or C_1 - C_6 alkyl, C_2 - C_6 alkenyl, C_2 - C_6 alkynyl or C_3 - C_6 cycloalkyl each
 optionally substituted with one or more substituents selected from the group
 consisting of halogen, CN, NO_2 , hydroxy, C_1 - C_4 alkoxy, C_1 - C_4 alkylthio, C_1 - C_4
 10 alkylsulfinyl, C_1 - C_4 alkylsulfonyl, C_2 - C_4 alkoxycarbonyl, C_1 - C_4 alkylamino,
 C_2 - C_8 dialkylamino and C_3 - C_6 cycloalkylamino; or

R^1 is C_2 - C_6 alkylcarbonyl, C_2 - C_6 alkoxycarbonyl, C_2 - C_6 alkylaminocarbonyl or
 C_3 - C_8 dialkylaminocarbonyl;

R^2 is H, C_1 - C_6 alkyl, C_2 - C_6 alkenyl, C_2 - C_6 alkynyl, C_3 - C_6 cycloalkyl, C_1 - C_4 alkoxy,
 15 C_1 - C_4 alkylamino, C_2 - C_8 dialkylamino, C_3 - C_6 cycloalkylamino, C_2 - C_6
 alkoxycarbonyl or C_2 - C_6 alkylcarbonyl;

R^3 is H; or C_1 - C_6 alkyl, C_2 - C_6 alkenyl, C_2 - C_6 alkynyl or C_3 - C_6 cycloalkyl each
 optionally substituted with one or more substituents selected from the group
 consisting of halogen, CN, NO_2 , hydroxy, C_1 - C_4 alkoxy, C_1 - C_4 alkylthio, C_1 - C_4
 20 alkylsulfinyl and C_1 - C_4 alkylsulfonyl; or

R^2 and R^3 can be 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 of
 nitrogen, sulfur or oxygen, said ring may be optionally substituted with 1 to 4
 substituents selected from the group consisting of C_1 - C_2 alkyl, halogen, CN,
 25 NO_2 and C_1 - C_2 alkoxy;

each R^4 is independently H, C_1 - C_6 alkyl, C_2 - C_6 alkenyl, C_2 - C_6 alkynyl, C_3 - C_6
 cycloalkyl, C_1 - C_6 haloalkyl, C_2 - C_6 haloalkenyl, C_2 - C_6 haloalkynyl, C_3 - C_6
 halocycloalkyl, halogen, CN, CO_2H , $CONH_2$, NO_2 , hydroxy, C_1 - C_4 alkoxy,
 C_1 - C_4 haloalkoxy, C_1 - C_4 alkylthio, C_1 - C_4 alkylsulfinyl, C_1 - C_4 alkylsulfonyl,
 30 C_1 - C_4 haloalkylthio, C_1 - C_4 haloalkylsulfinyl, C_1 - C_4 haloalkylsulfonyl, C_1 - C_4

alkylamino, C₂-C₈ dialkylamino, C₃-C₆ cycloalkylamino, C₂-C₆ alkylcarbonyl, C₂-C₆ alkoxycarbonyl, C₂-C₆ alkylaminocarbonyl, C₃-C₈ dialkylaminocarbonyl, C₃-C₆ trialkylsilyl; or

each R⁴ is independently phenyl, benzyl or phenoxy, each optionally substituted with C₁-C₄ alkyl, C₂-C₄ alkenyl, C₂-C₄ alkynyl, C₃-C₆ cycloalkyl, C₁-C₄ haloalkyl, C₂-C₄ haloalkenyl, C₂-C₄ haloalkynyl, C₃-C₆ halocycloalkyl, halogen, CN, NO₂, C₁-C₄ alkoxy, C₁-C₄ haloalkoxy, C₁-C₄ alkylthio, C₁-C₄ alkylsulfinyl, C₁-C₄ alkylsulfonyl, C₁-C₄ alkylamino, C₂-C₈ dialkylamino, C₃-C₆ cycloalkylamino, C₃-C₆ (alkyl)cycloalkylamino, C₂-C₄ alkylcarbonyl, C₂-C₆ alkoxycarbonyl, C₂-C₆ alkylaminocarbonyl, C₃-C₈ dialkylaminocarbonyl or C₃-C₆ trialkylsilyl;

each R⁵ is independently C₁-C₆ haloalkyl, C₂-C₆ haloalkenyl, C₂-C₆ haloalkynyl, C₃-C₆ halocycloalkyl, C₁-C₄ haloalkoxy, C₁-C₄ alkylthio, C₁-C₄ alkylsulfinyl, C₁-C₄ alkylsulfonyl, C₁-C₄ haloalkylthio, C₁-C₄ haloalkylsulfinyl, C₁-C₄ haloalkylsulfonyl, CN, NO₂, C₁-C₄ alkylamino, C₂-C₈ dialkylamino, C₃-C₆ cycloalkylamino, C₂-C₆ alkylcarbonyl, C₂-C₆ alkoxycarbonyl, C₂-C₆ alkylaminocarbonyl, or C₃-C₈ dialkylaminocarbonyl; or

(R⁵)₂ when attached to adjacent carbon atoms can be taken together as -OCF₂O-, -CF₂CF₂O-, or -OCF₂CF₂O-;

each R⁶ is independently H, halogen, C₁-C₆ alkyl, C₂-C₆ alkenyl, C₂-C₆ alkynyl, C₃-C₆ cycloalkyl, C₁-C₄ alkoxy; or

each R⁶ is independently phenyl, benzyl or phenoxy, each optionally substituted with C₁-C₄ alkyl, C₂-C₄ alkenyl, C₂-C₄ alkynyl, C₃-C₆ cycloalkyl, C₁-C₄ haloalkyl, C₂-C₄ haloalkenyl, C₂-C₄ haloalkynyl, C₃-C₆ halocycloalkyl, halogen, CN, NO₂, C₁-C₄ alkoxy, C₁-C₄ haloalkoxy, C₁-C₄ alkylthio, C₁-C₄ alkylsulfinyl, C₁-C₄ alkylsulfonyl, C₁-C₄ alkylamino, C₂-C₈ dialkylamino, C₃-C₆ cycloalkylamino, C₃-C₆ (alkyl)cycloalkylamino, C₂-C₄ alkylcarbonyl, C₂-C₆ alkoxycarbonyl, C₂-C₆ alkylaminocarbonyl, C₃-C₈ dialkylaminocarbonyl or C₃-C₆ trialkylsilyl;

each R⁷ is independently H, C₁-C₆ alkyl, C₂-C₆ alkenyl, C₂-C₆ alkynyl, C₃-C₆ cycloalkyl, C₁-C₆ haloalkyl, C₂-C₆ haloalkenyl, C₂-C₆ haloalkynyl, C₃-C₆ halocycloalkyl, halogen, CN, CO₂H, CONH₂, NO₂, hydroxy, C₁-C₄ alkoxy, C₁-C₄ haloalkoxy, C₁-C₄ alkylthio, C₁-C₄ alkylsulfinyl, C₁-C₄ alkylsulfonyl, C₁-C₄ haloalkylthio, C₁-C₄ haloalkylsulfinyl, C₁-C₄ haloalkylsulfonyl, C₁-C₄ alkylamino, C₂-C₈ dialkylamino, C₃-C₆ cycloalkylamino, C₂-C₆ alkylcarbonyl, C₂-C₆ alkoxycarbonyl, C₂-C₆ alkylaminocarbonyl, C₃-C₈ dialkylaminocarbonyl, C₃-C₆ trialkylsilyl; or

each R^7 is independently phenyl, benzyl or phenoxy, each optionally substituted with C_1 - C_4 alkyl, C_2 - C_4 alkenyl, C_2 - C_4 alkynyl, C_3 - C_6 cycloalkyl, C_1 - C_4 haloalkyl, C_2 - C_4 haloalkenyl, C_2 - C_4 haloalkynyl, C_3 - C_6 halocycloalkyl, halogen, CN, NO_2 , C_1 - C_4 alkoxy, C_1 - C_4 haloalkoxy, C_1 - C_4 alkylthio, C_1 - C_4 alkylsulfinyl, C_1 - C_4 alkylsulfonyl, C_1 - C_4 alkoxycarbonyl, C_1 - C_4 alkylamino, C_2 - C_8 dialkylamino, C_3 - C_6 cycloalkylamino, C_3 - C_6 (alkyl)cycloalkylamino, C_2 - C_4 alkylcarbonyl, C_2 - C_6 alkoxycarbonyl, C_2 - C_6 alkylaminocarbonyl, C_3 - C_8 dialkylaminocarbonyl or C_3 - C_6 trialkylsilyl.

Preferred compounds for reasons of better activity and/or ease of synthesis are:

Preferred 1. Compounds of Formula 1 wherein J is a phenyl group substituted with 1 to 2 R^5 and optionally substituted with 1 to 3 R^6 .

Preferred 2. Compounds of Preferred 1 wherein

A and B are both O;

n is 1 to 2;

R^1 is H, C_1 - C_4 alkyl, C_2 - C_4 alkenyl, C_2 - C_4 alkynyl, C_3 - C_6 cycloalkyl, C_2 - C_6 alkylcarbonyl or C_2 - C_6 alkoxycarbonyl;

R^2 is H, C_1 - C_4 alkyl, C_2 - C_4 alkenyl, C_2 - C_4 alkynyl, C_3 - C_6 cycloalkyl, C_2 - C_6 alkylcarbonyl or C_2 - C_6 alkoxycarbonyl;

R^3 is C_2 - C_6 alkyl, C_2 - C_6 alkenyl, C_2 - C_6 alkynyl or C_3 - C_6 cycloalkyl each optionally substituted with one or more substituents selected from the group consisting of halogen, CN, C_1 - C_2 alkoxy, C_1 - C_2 alkylthio, C_1 - C_2 alkylsulfinyl and C_1 - C_2 alkylsulfonyl;

one of the R^4 groups is attached to the phenyl ring at the 2-position or 5-position, and said R^4 is C_1 - C_4 alkyl, C_1 - C_4 haloalkyl, halogen, CN, NO_2 , C_1 - C_4 alkoxy, C_1 - C_4 haloalkoxy, C_1 - C_4 alkylthio, C_1 - C_4 alkylsulfinyl, C_1 - C_4 alkylsulfonyl, C_1 - C_4 haloalkylthio, C_1 - C_4 haloalkylsulfinyl, C_1 - C_4 haloalkylsulfonyl or C_2 - C_4 alkoxycarbonyl;

each R^5 is independently C_1 - C_4 haloalkyl, CN, NO_2 , C_1 - C_4 haloalkoxy, C_1 - C_4 alkylthio, C_1 - C_4 alkylsulfinyl, C_1 - C_4 alkylsulfonyl, C_1 - C_4 haloalkylthio, C_1 - C_4 haloalkylsulfinyl, C_1 - C_4 haloalkylsulfonyl or C_2 - C_4 alkoxycarbonyl; or

$(R^5)_2$ when attached to adjacent carbon atoms can be taken together as -
OCF₂O-, -CF₂CF₂O- or -OCF₂CF₂O-; and

each R^6 is independently H, halogen, C_1 - C_4 alkyl, C_1 - C_2 alkoxy or C_2 - C_4 alkoxycarbonyl, or

each R^6 is independently a phenyl or a 5- or 6-membered heteroaromatic ring, each ring optionally substituted with C_1 - C_4 alkyl, C_2 - C_4 alkenyl, C_2 - C_4 alkynyl, C_3 - C_6 cycloalkyl, C_1 - C_4 haloalkyl, C_2 - C_4 haloalkenyl, C_2 - C_4

haloalkynyl, C₃-C₆ halocycloalkyl, halogen, CN, NO₂, C₁-C₄ alkoxy, C₁-C₄ haloalkoxy, C₁-C₄ alkylthio, C₁-C₄ alkylsulfinyl, C₁-C₄ alkylsulfonyl, C₁-C₄ alkylamino, C₂-C₈ dialkylamino, C₃-C₆ cycloalkylamino, C₃-C₆ (alkyl)cycloalkylamino, C₂-C₄ alkylcarbonyl, C₂-C₆ alkoxycarbonyl, C₂-C₆ alkylaminocarbonyl, C₃-C₈ dialkylaminocarbonyl or C₃-C₆ trialkylsilyl.

Preferred 3. Compounds of Preferred 2 wherein

R¹ and R² are H;

R³ is C₂-C₄ alkyl optionally substituted with halogen, CN, OCH₃, S(O)_pCH₃;

each R⁴ is independently CH₃, CF₃, OCF₃, OCHF₂, S(O)_pCF₃, S(O)_pCHF₂ or halogen;

each R⁵ is independently CF₃, OCF₃, OCHF₂, S(O)_pCF₃, S(O)_pCHF₂, OCH₂CF₃, OCF₂CHF₂, S(O)_pCH₂CF₃ or S(O)_pCF₂CHF₂;

each R⁶ is independently halogen or methyl; or phenyl, pyrazole, imidazole, triazole, pyridine or pyrimidine, each ring optionally substituted with C₁-C₄ alkyl, C₁-C₄ haloalkyl, halogen or CN; and

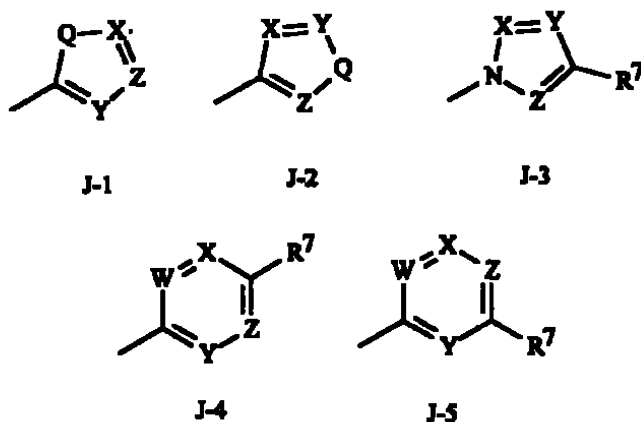
p is 0, 1 or 2.

Preferred 4. Compounds of Preferred 3 wherein R³ is *i*-propyl or *t*-butyl.

Preferred 5. Compounds of Formula 1 wherein J is a 5- or 6-membered heteroaromatic ring optionally substituted with 1 to 4 R⁷.

Preferred 6. Compounds of Preferred 5 wherein

J is a 5- or 6-membered heteroaromatic ring selected from the group consisting of J-1, J-2, J-3, J-4 and J-5, each J optionally substituted with 1 to 3 R⁷



Q is O, S or NR⁷; and

W, X, Y and Z are independently N or CR⁷, provided that in J-4 and J-5 at least one of W, X, Y or Z is N.

Preferred 7. Compounds of Preferred 5 or Preferred 6 wherein

A and B are O;

n is 1 to 2;

R¹ is H, C₁-C₄ alkyl, C₂-C₄ alkenyl, C₂-C₄ alkynyl, C₂-C₆ alkylcarbonyl or C₂-C₆ alkoxy carbonyl;

R² is H, C₁-C₄ alkyl, C₂-C₄ alkenyl, C₂-C₄ alkynyl, C₃-C₆ cycloalkyl, C₂-C₆ alkylcarbonyl or C₂-C₆ alkoxy carbonyl;

R³ is H; or C₂-C₆ alkyl, C₂-C₆ alkenyl, C₂-C₆ alkynyl or C₃-C₆ cycloalkyl each optionally substituted with one or more substituents selected from the group consisting of halogen, CN, C₁-C₂ alkoxy, C₁-C₂ alkylthio, C₁-C₂ alkylsulfinyl and C₁-C₂ alkylsulfonyl;

one of the R⁴ groups is attached to the phenyl ring at the 2-position, and said

R⁴ is C₁-C₄ alkyl, C₁-C₄ haloalkyl, halogen, CN, NO₂, C₁-C₄ alkoxy, C₁-C₄ haloalkoxy, C₁-C₄ alkylthio, C₁-C₄ alkylsulfinyl, C₁-C₄ alkylsulfonyl, C₁-C₄ haloalkylthio, C₁-C₄ haloalkylsulfinyl, C₁-C₄ haloalkylsulfonyl or C₂-C₄ alkoxy carbonyl; and

each R⁷ is independently H, C₁-C₄ alkyl, C₁-C₄ haloalkyl, halogen, CN, NO₂, C₁-C₄ haloalkoxy, C₁-C₄ alkylthio, C₁-C₄ alkylsulfinyl, C₁-C₄ alkylsulfonyl, C₁-C₄ haloalkylthio, C₁-C₄ haloalkylsulfinyl, C₁-C₄ haloalkylsulfonyl or C₂-C₄ alkoxy carbonyl; or a phenyl or a 5- or 6-membered heteroaromatic ring, each ring optionally substituted with C₁-C₄ alkyl, C₂-C₄ alkenyl, C₂-C₄ alkynyl, C₃-C₆ cycloalkyl, C₁-C₄ haloalkyl, C₂-C₄ haloalkenyl, C₂-C₄ haloalkynyl, C₃-C₆ halocycloalkyl, halogen, CN, NO₂, C₁-C₄ alkoxy, C₁-C₄ haloalkoxy, C₁-C₄ alkylthio, C₁-C₄ alkylsulfinyl, C₁-C₄ alkylsulfonyl, C₁-C₄ alkylamino, C₂-C₆ dialkylamino, C₃-C₆ cycloalkylamino, C₃-C₆ (alkyl)cycloalkylamino, C₂-C₄ alkylcarbonyl, C₂-C₆ alkoxy carbonyl, C₂-C₆ alkylaminocarbonyl, C₃-C₆ dialkylaminocarbonyl or C₃-C₆ trialkylsilyl.

Preferred 8. Compounds of Preferred 7 wherein

J is selected from the group consisting of pyridine, pyrimidine, pyrazole, imidazole, triazole, thiophene, thiazole and oxazole, each optionally substituted with 1 to 3 R⁷.

Preferred 9. Compounds of Preferred 8 wherein

J is selected from the group consisting of pyridine, pyrimidine, pyrazole, thiophene and thiazole, each optionally substituted with 1 to 3 R⁷;

R¹ and R² are H;

R³ is C₂-C₄ alkyl optionally substituted with halogen, CN, OCH₃, S(O)_pCH₃;

each R^4 is independently CH_3 , CF_3 , OCF_3 , $OCHF_2$, $S(O)_pCF_3$, $S(O)_pCHF_2$ or halogen;

each R^7 is independently halogen, CH_3 , CF_3 , $OCHF_2$, $S(O)_pCF_3$, $S(O)_pCHF_2$, OCH_2CF_3 , OCF_2CHF_2 , $S(O)_pCH_2CF_3$, $S(O)_pCF_2CHF_2$; or phenyl, pyrazole, imidazole, triazole, pyridine or pyrimidine, each ring optionally substituted with C_1 - C_4 alkyl, C_1 - C_4 haloalkyl, halogen or CN; and

p is 0, 1 or 2.

Preferred 10. Compounds of Preferred 9 wherein R^3 is *i*-propyl or *t*-butyl.

Most preferred is the compound of Formula 1 selected from the group consisting of:

3-methyl-*N*-(1-methylethyl)-2-[[4-(trifluoromethyl)benzoyl]amino]-benzamide,

2-methyl-*N*-[2-methyl-6-[[[(1-methylethyl)amino]carbonyl]phenyl]-4-(trifluoromethyl)benzamide,

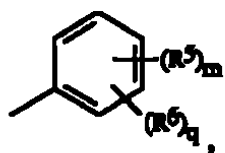
2-methyl-*N*-[2-methyl-6-[[[(1-methylethyl)amino]carbonyl]phenyl]-6-(trifluoromethyl)-3-pyridinecarboxamide,

1-ethyl-*N*-[2-methyl-6-[[[(1-methylethyl)amino]carbonyl]phenyl]-3-(trifluoromethyl)-1*H*-pyrazole-5-carboxamide.

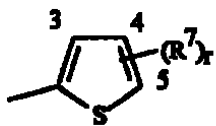
As noted above, each J is a phenyl group substituted with 1 to 2 R^5 and optionally substituted with 1 to 3 R^6 , or a 5- or 6-membered heteroaromatic ring optionally substituted with 1 to 4 R^7 . The term "optionally substituted" in connection with these J groups refers to groups which are unsubstituted or have at least one non-hydrogen substituent that does not extinguish the arthropodocidal activity possessed by the unsubstituted analog. Note also that J-1 through J-5 above denote 5- or 6-membered heteroaromatic rings. An example of phenyl substituted with 1 to 2 R^5 and optionally substituted with 1 to 3 R^6 is the ring illustrated as J-6 in Exhibit 1, wherein m is an integer from 1-2 and q is an integer from 1 to 3. Note that at least one R^3 must be present in J-6. Although R^6 groups are shown in the structure J-6, it is noted that they do not need to be present since they are optional substituents. Examples of 5- or 6-membered heteroaromatic ring optionally substituted with 1 to 4 R^7 include the rings J-7 through J-58 illustrated in Exhibit 1 wherein r is an integer from 1 to 4. Note that J-7 through J-26 are examples of J-1, J-27 through J-41 are examples of J-2, J-42 through J-44 are examples of J-3, J-46 through J-53 are examples of J-4 and J-54 through J-58 are examples of J-5. The nitrogen atoms that require substitution to fill their valence are substituted with R^7 . Note that some J groups can only be substituted with less than 4 R^7 groups (e.g. J-19, J-20, J-23 through J-26 and J-37 through J-40 can only be substituted with one R^7). Although R^7 groups are shown in the structures J-7 to J-58, it is noted that they do not need to be present since they are optional substituents. Note that when R^5 , R^6 and/or R^7 are H when attached to an atom, this is the same as if said atom is unsubstituted. Note that

when the attachment point between $(R^5)_m$, $(R^6)_q$ or $(R^7)_r$ and the J group is illustrated as floating, $(R^5)_m$, $(R^6)_q$ or $(R^7)_r$ can be attached to any available carbon atom of the J group.

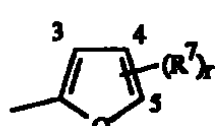
Exhibit 1



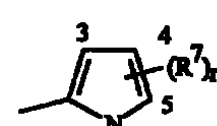
J-6



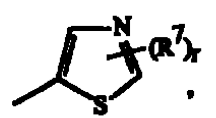
J-7



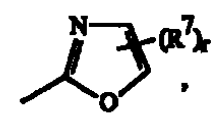
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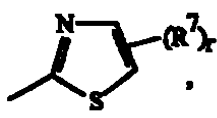
J-9



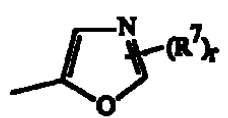
J-10



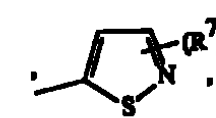
J-11



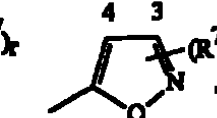
J-12



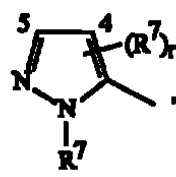
J-13



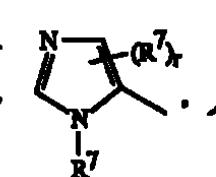
J-14



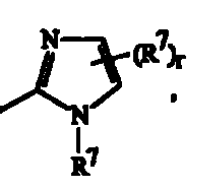
J-15



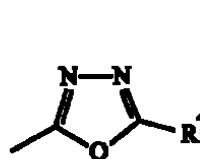
J-16



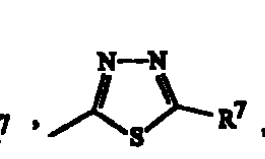
J-17



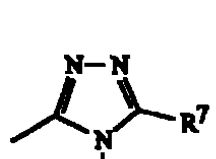
J-18



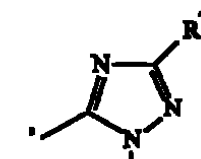
J-19



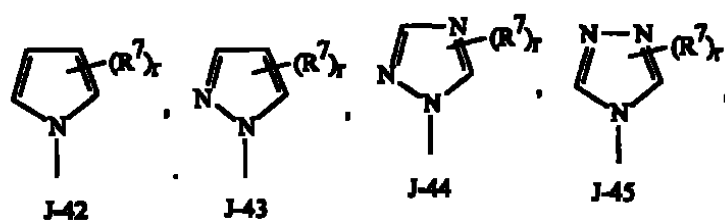
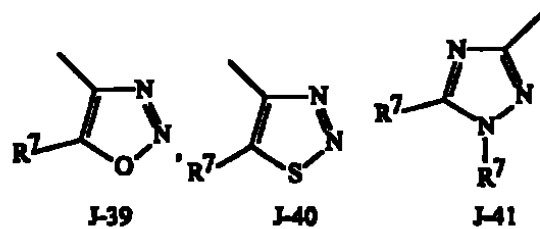
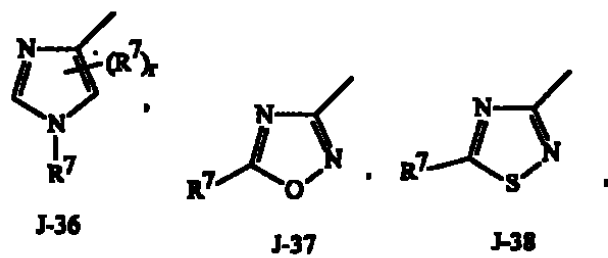
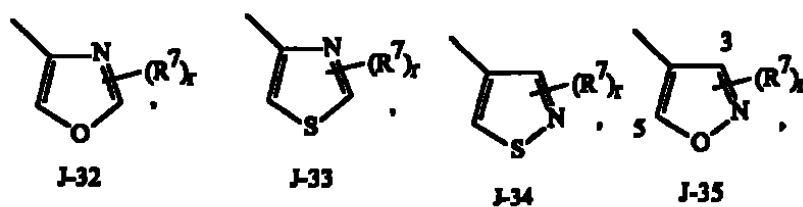
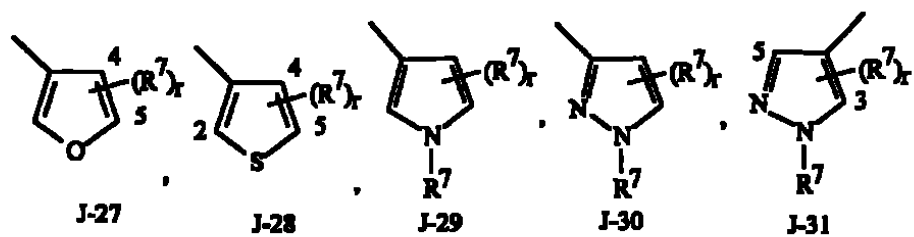
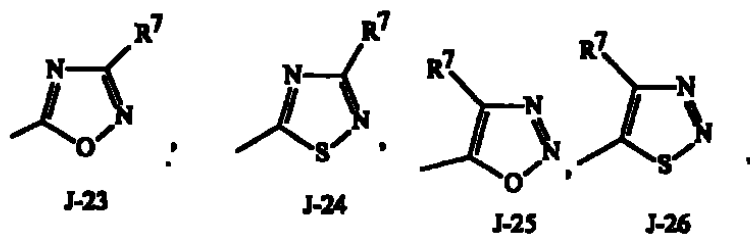
J-20

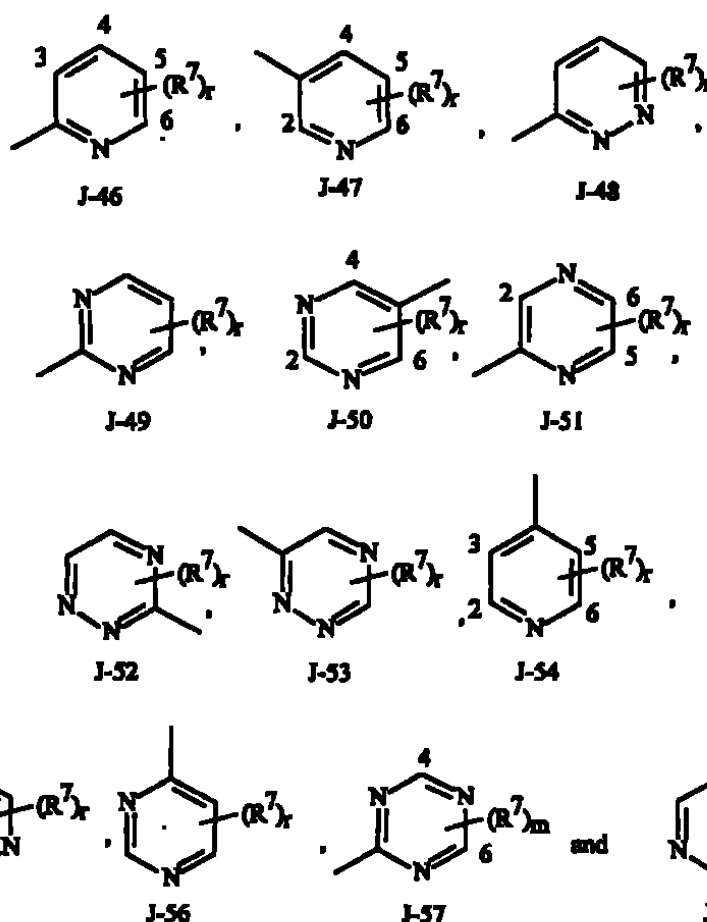


J-21



J-22

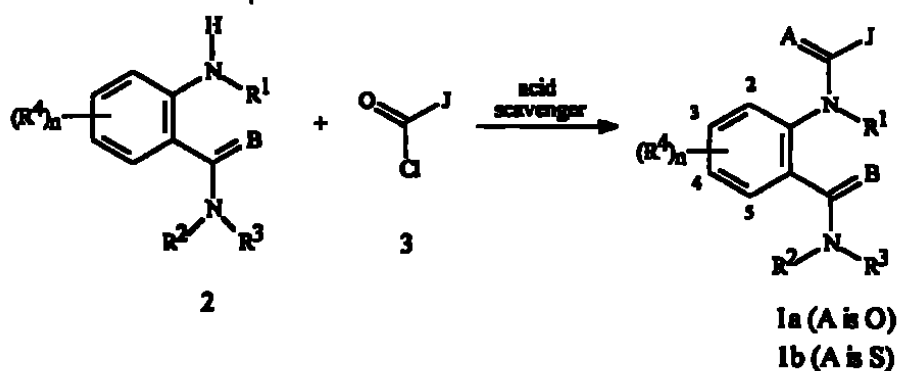




The compounds of Formula 1 can be prepared by one or more of the following methods and variations as described in Schemes 1-11. The definitions of A, B, J, R¹, R², R³, R⁴, R⁵, m and n in the compounds of Formulae 1-15 below are as defined above in the Summary of the Invention. Compounds of Formulae 1a-c, 2a-b, 5a-b are various subsets of the compounds of Formula 1, 2 and 5.

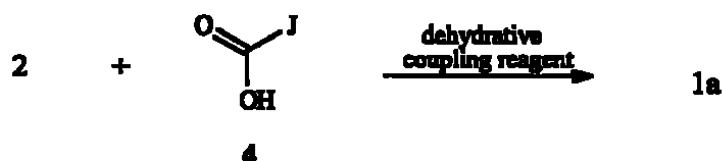
Compounds of Formula 1 can be prepared by procedures outlined in Schemes 1-11. A typical procedure is detailed in Scheme 1 and involves coupling of an anthranilic amide of Formula 2 with an acid chloride of Formula 3 in the presence of an acid scavenger to provide the compound of Formula 1a. Typical acid scavengers include amine bases such as triethylamine, diisopropylethylamine and pyridine; other scavengers include hydroxides such as sodium and potassium hydroxide and carbonates such as sodium carbonate and potassium carbonate. In certain instances it is useful to use polymer-supported acid scavengers such as polymer-bound diisopropylethylamine and polymer-bound dimethylaminopyridine. In a subsequent step, amides of Formula 1a can be converted to thioamides of Formula 1b using a variety of standard thio transfer reagents including phosphorus pentasulfide and Lawesson's reagent.

Scheme 1



An alternate procedure for the preparation of compounds of Formula 1a involves coupling of an anthranilic amide of Formula 2 with an acid of Formula 4 in the presence of a dehydrating agent such as dicyclohexylcarbodiimide (DCC). Polymer supported reagents are again useful here, such as polymer-bound cyclohexylcarbodiimide. Synthetic procedures of Schemes 1 and 2 are only representative examples of useful methods for the preparation of Formula 1 compounds as the synthetic literature is extensive for this type of reaction.

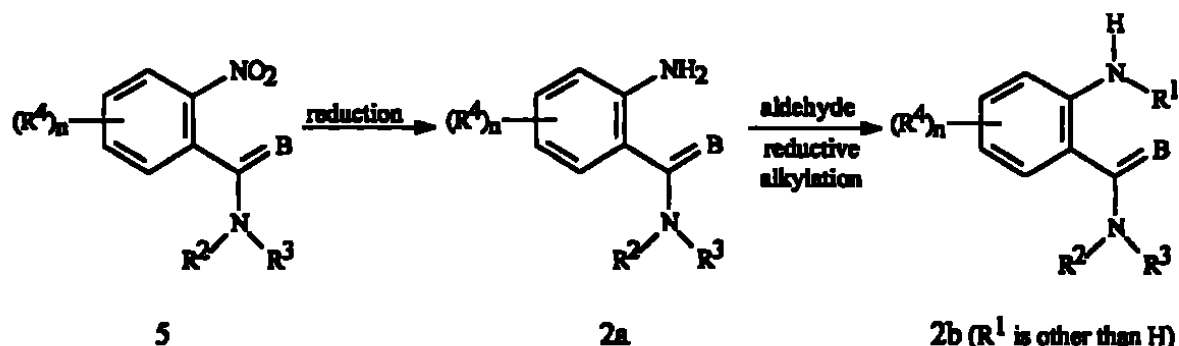
Scheme 2



One skilled in the art will also realize that acid chlorides of Formula 3 may be prepared from acids of Formula 4 by numerous well-known methods.

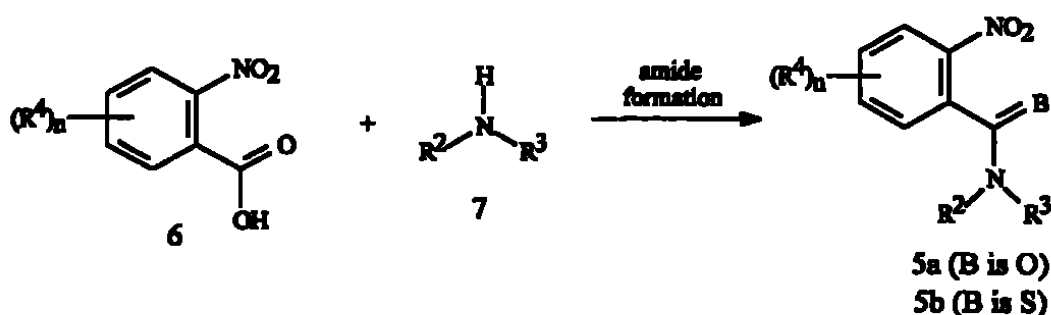
Anthranilic amides of Formula 2a are typically available from the corresponding 2-nitrobenzamides of Formula 5 via catalytic hydrogenation of the nitro group. Typical procedures involve reduction with hydrogen in the presence of a metal catalyst such as palladium on carbon or platinum oxide and in hydroxylic solvents such as ethanol and isopropanol. These procedures are well documented in the chemical literature. R^1 substituents such as alkyl, substituted alkyl and the like can generally be introduced at this stage through known procedures including either direct alkylation or through the generally preferred method of reductive alkylation of the amine. A commonly employed procedure is to combine the aniline 2a with an aldehyde in the presence of a reducing agent such as sodium cyanoborohydride to produce the Formula 2b compounds where R^1 is alkyl, alkenyl, alkynyl or substituted derivatives thereof.

Scheme 3

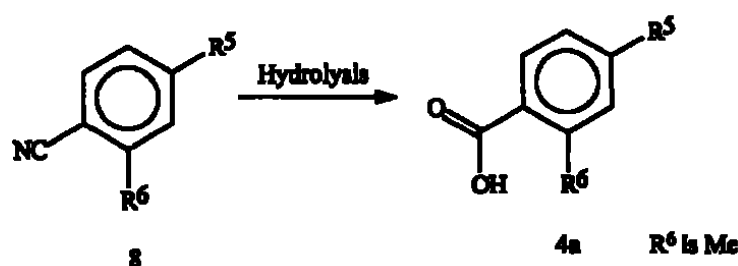


The intermediate amides of Formula 5a are readily prepared from commercially available 2-nitrobenzoic acids. Typical methods for amide formation can be applied here. These include direct dehydrative coupling of acids of Formula 6 with amines of Formula 7 using for example DCC, and conversion of the acids to an activated form such as the acid chlorides or anhydrides and subsequent coupling with amines to form amides of formula 5a. We have found ethylchloroformate to be an especially useful reagent for this type of reaction involving activation of the acid. The chemical literature is extensive on this type of reaction. Amides of Formula 5a are readily converted to thioamides of Formula 5b by using commercially available thio transfer reagents such as phosphorus pentasulfide and Lawesson's reagent.

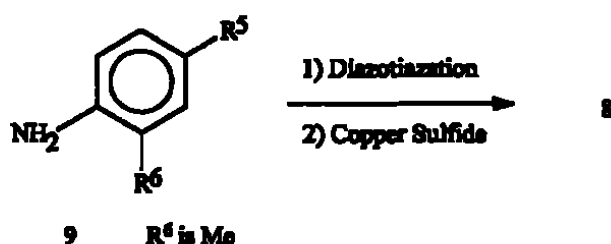
Scheme 4



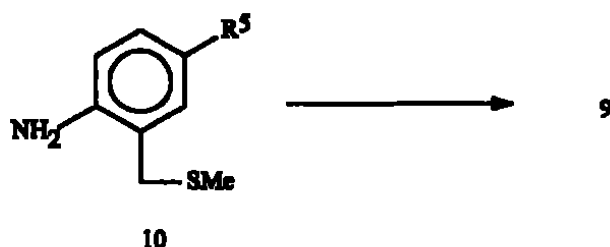
Benzoic acids of Formula 4a may be prepared from the benzonitriles of Formula 8 by hydrolysis. The conditions used may involve the use of a base such as an alkaline metal hydroxide or alkoxide (e.g. potassium or sodium hydroxide) in a solvent such as water, ethanol or ethylene glycol (e.g. J. Chem. Soc. 1948, 1025). Alternatively, the hydrolysis may be carried out using an acid such as sulphuric acid or phosphoric acid in a suitable solvent such as water (e.g. Org. Synth. 1955 Coll vol. 3, 557). The choice of the conditions is contingent on the stability of R^3 to the reaction conditions and elevated temperatures are usually employed to achieve this transformation.

Scheme 5

Nitriles of Formula 8 may be prepared from anilines of Formula 9 by the classical sequence involving diazotization and treatment of the intermediate diazonium salt with a copper cyanide salt (e.g. J. Amer. Chem. Soc. 1902, 24, 1035).

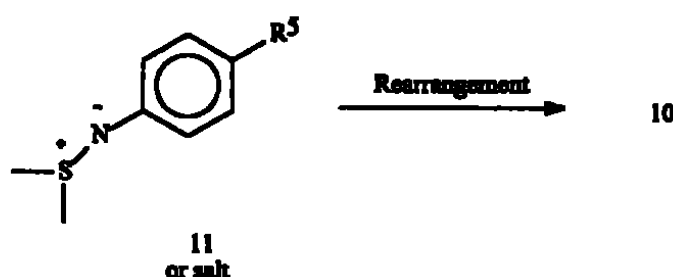
Scheme 6

Anilines of Formula 9 may be prepared from compounds of Formula 10. This transformation may be achieved by a well-known procedure that employs Raney Nickel (Org. Synth. Coll. Vol VI, 581). Alternatively, the same transformation may be effected by the use of a suitable catalyst such as palladium in the presence of hydrogen. The reaction is usually conducted at pressures of 100-1000 p.s.i. in a suitable organic solvent such as, but not limited to toluene. Elevated temperatures of 80-110°C are usually required to achieve the transformation. As one skilled in the art will realize, numerous chemical modifications of the thio ether moiety are possible, and may be employed when necessary to facilitate this transformation.

Scheme 7

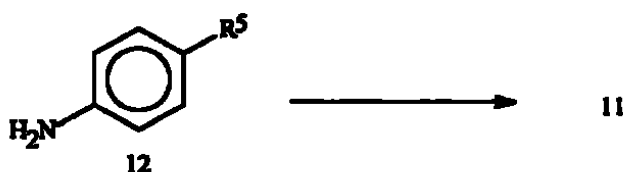
Compounds of Formula 10 may be prepared from iminosulphuranes of Formula 11. The transformation may be achieved in a protic solvent such as methanol or water, in a non-protic solvent such as dichloromethane or toluene in the presence of a suitable base such as triethylamine (e.g. Org. Synth. Coll. Vol. VI, 581) or sodium methoxide, or in a combination of a protic solvent, a protic solvent and a base. The temperature at which the reaction is conducted is usually in the range 40-110°C. As one skilled in the art will realize, suitable salts of compounds of Formula 11 such as, but not limited to a hydrochloride, a sulphate or a bisulphate may also be employed, provided that the appropriate amount of base is first used to generate the free base 11. This may be done as a separate step or as an integral part of the step involving the transformation of compounds of Formula 11 to compounds of Formula 10.

Scheme 8



Compounds of Formula 11 may be prepared from anilines of Formula 12 by reaction with dimethyl sulphide and a suitable chlorinating agent such as, but not limited to *N*-chlorosuccinimide (e.g. Org. Synth. Coll. Vol. VI, 581), chlorine or *N*-chlorobenzotriazole. Alternatively, anilines of Formula 12 may be treated with dimethyl sulphoxide which has been "activated" by treatment with an agent such as acetic anhydride, trifluoroacetic anhydride, trifluoromethanesulphonic anhydride, cyclohexylcarbodiimide, sulphur trioxide, or phosphorus pentoxide. The reaction is conducted in a suitable organic solvent such as dichloromethane or dimethyl sulphoxide. The reaction is conducted at a temperature of -70°C to 25°C and is dependent on the solvent and reagent used.

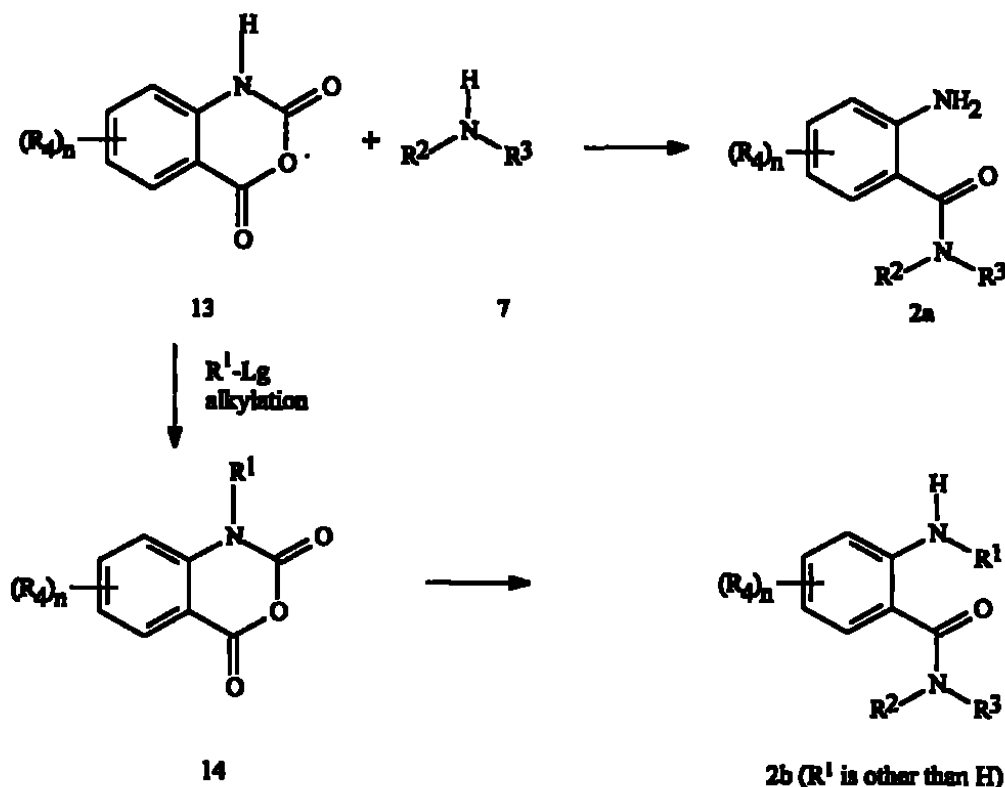
Scheme 9



Intermediate anthranilic amides of Formula 2a and 2b may also be prepared from isatoic anhydrides of Formula 13 and 14 (Scheme 10). Typical procedures involve

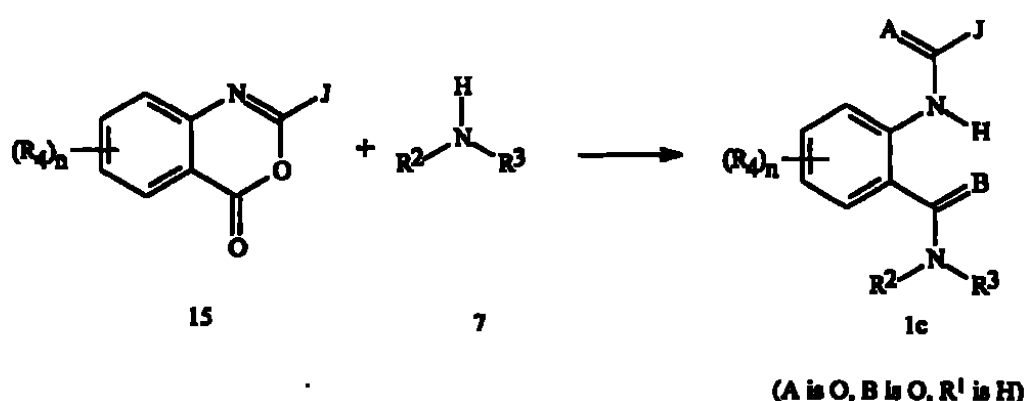
- combination of equimolar amounts of the amine 7 with the isatoic anhydride in polar aprotic solvents such as pyridine and dimethylformamide at temperatures ranging from room temperature to 100°C. R¹ substituents such as alkyl and substituted alkyl may be introduced by the base catalyzed alkylation of isatoic anhydride 13 with known alkylating reagents R¹-Lg (wherein Lg is a leaving group such as halogen, alkyl or aryl suphonates or OSO₂OR¹) to provide the alkyl substituted intermediates 14.

Scheme 10



- An alternate procedure for the preparation of specific compounds of Formula 1 (where A is O, B is O and R¹ is H) involves reaction of an amine 7 with a benzoxazinone of Formula 15. Typical procedures involve combination of the amine with the benzoxazinone in solvents such as tetrahydrofuran or pyridine at temperatures ranging from room temperature to the reflux temperature of the solvent. Benzoxazinones are well documented in the chemical literature and are available via known methods that involve the coupling of either an anthranilic acid or an isatoic anhydride with an acid chloride.

Scheme 11



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. Percentages are by weight except for chromatographic solvent mixtures or where otherwise indicated. Parts and percentages for chromatographic solvent mixtures are by volume unless otherwise indicated. ^1H NMR spectra are reported in ppm downfield from tetramethylsilane; s is singlet, d is doublet, t is triplet, q is quartet, m is multiplet, dd is doublet of doublets, dt is doublet of triplets, br s is broad singlet.

EXAMPLE 1

Step A: Preparation of 3-methyl-N-(1-methylethyl)-2-nitrobenzamide

A solution of 3-methyl-2-nitrobenzoic acid (2.00 g, 11.0 mmol) and triethylamine (1.22 g, 12.1 mmol) in 25 mL of methylene chloride was cooled to 10°C. Ethyl chloroformate was carefully added and a solid precipitate formed. After stirring for 30 minutes isopropylamine (0.94 g, 16.0 mmol) was added and a homogeneous solution resulted. The reaction was stirred for an additional hour, poured into water and extracted with ethyl acetate. The organic extracts were washed with water, dried over magnesium sulfate and evaporated under reduced pressure to afford 1.96 g of the desired intermediate as a white solid melting at 126-128 °C.

¹H NMR (CDCl₃) δ 1.24 (d, 6H), 2.38 (s, 3H), 4.22 (m, 1H), 5.80 (br s, 1H), 7.4 (m, 3H).

Step B: Preparation of 2-amino-3-methyl-N-(1-methylethyl)benzamide

The 2-nitrobenzamide of Step A (1.70 g, 7.6 mmol) was hydrogenated over 5% Pd/C in 40 mL of ethanol at 50 psi. When the uptake of hydrogen ceased the reaction was filtered through celite and the celite was washed with ether. The filtrate was evaporated under reduced pressure to afford 1.41 g of the title compound as a solid melting at 149-151 °C.

¹H NMR (CDCl₃) δ 1.24 (dd, 6H), 2.16 (s, 3H), 4.25 (m, 1H), 5.54 (br s, 2H), 5.85 (br s, 1H), 6.59 (t, 1H), 7.13 (d, 1H), 7.17 (d, 1H).

Step C: Preparation of 3-methyl-N-(1-methylethyl)-2-[[4-(trifluoromethoxy)benzoyl]amino]benzamide

4-(trifluoromethoxy)benzoyl chloride (0.29 g, 1.3 mmol) was added dropwise to a mixture of the aniline from Step B (0.25 g, 1.3 mmol) and triethylamine (0.13 g, 1.3 mmol) in 5 mL of methylene chloride at room temperature. After stirring for one hour the reaction was poured into water and extracted with ethyl acetate. The combined extracts were dried over magnesium sulfate and evaporated under reduced pressure. The resulting solids were washed with hexane/ether and filtered to afford 0.41 g of the title compound, a compound of the present invention, as a solid melting at 207-209°C.

¹H NMR (CDCl₃) δ 1.19 (d, 6H), 2.33 (s, 3H), 4.15 (m, 1H), 5.97 (br d, 1H), 7.2-7.4 (m, 6H), 8.04 (d, 1H), 10.11 (br s, 1H).

EXAMPLE 2

Step A: Preparation of 1-Ethyl-3-trifluoromethylpyrazol-5-yl Carboxylic acid

To a mixture of 3-trifluoromethylpyrazole (g, 37 mmol) and powdered potassium carbonate (10 g, 72 mmol) stirring in 30 mL of *N,N*-dimethylformamide, iodoethane (8 g, 51 mmol) was added dropwise. After a mild exotherm, the reaction was stirred overnight at room temperature. The reaction mixture was partitioned between 100 mL of diethyl ether and 100 mL of water. The ether layer was separated, washed with water (3X) and brine, and dried over magnesium sulfate. Evaporation of solvent *in vacuo* gave 4 g of oil.

To 3.8 g of this oil stirring in 40 mL of tetrahydrofuran under nitrogen in a dry ice/acetone bath, 17 mL of a 2.5 M solution of *n*-butyl lithium in tetrahydrofuran (43 mmol) and the solution stirred for 20 minutes at -78°C. An excess of gaseous carbon dioxide was bubbled into the stirred solution at a moderate rate for 10 minutes. After addition of carbon dioxide, the reaction was allowed to slowly reach room temperature and stirred overnight. The reaction mixture was partitioned between diethyl ether (100 mL) and 0.5 N aqueous sodium hydroxide (100 mL). The basic layer was separated and acidified with concentrated hydrochloric acid to a pH of 2-3. The aqueous mixture was extracted with ethyl acetate (100 mL) and the organic extract washed with water and brine and dried over magnesium sulfate. The oily residus, which remained after evaporating the solvent *in vacuo*, was triturated to a solid from a small amount of *n*-butyl chloride. After filtering and drying, a slightly impure, sample of 1-ethyl-3-trifluoromethyl-pyrazol-5-yl carboxylic acid (1.4 g) was obtained as a broad-melting solid.

¹H NMR (CDCl₃): 9.85 (br s, 1H), 7.23 (s, 1H), 4.68 (q, 2H), 1.51 (t, 3H) ppm.

Step B: Preparation of 2-[1-Ethyl-3-trifluoromethylpyrazol-5-yl carbamoyl]-3-methyl-*N*-(1-methylethyl)benzamide

To a solution of 1-ethyl-3-trifluoromethyl-pyrazol-5-yl carboxylic acid (0.5 g, 2.4 mmol) stirring in 20 mL of methylene chloride, oxalyl chloride (1.2 mL, 14 mmol) was added. Upon addition of 2 drops of *N,N*-dimethylformamide, foaming and bubbling occurred. The reaction mixture was heated at reflux for 1 hr as a yellow solution. After cooling, the solvent was removed *in vacuo* and the resulting residue dissolved in 20 mL of tetrahydrofuran. To the stirred solution, 2-amino-3-methyl-*N*-(1-methylethyl)benzamide (0.7 g, 3.6 mmol) was added followed by the dropwise addition of *N,N*-diisopropylethylamine (3 mL, 17 mmol). After stirring at room temperature overnight, the reaction mixture was partitioned between ethyl acetate (100 mL) and 1N aqueous hydrochloric acid (75 mL). The separated organic layer was washed with water and brine and dried over magnesium sulfate. Evaporating *in vacuo* gave a white solid residue, which on purification by flash column chromatography on silica gel (2:1 hexanes/ethyl acetate) afforded 0.5 g of the title compound, a compound of the present invention, melting at 223-226°C.

¹H NMR (DMSO-*d*₆): 10.15 (s, 1H), 8.05 (d, 1H), 7.45 (s, 1H), 7.43-7.25 (m, 3H), 4.58 (q, 2H), 3.97 (m, 1H), 2.45 (s, 3H), 1.36 (t, 3H), 1.06 (d, 6H) ppm.

EXAMPLE 3

Step A: Preparation of *S,S*-dimethyl-*N*-[4-(trifluoromethyl)phenyl]sulfilimine

A solution of *N*-chlorosuccinimide (12.43 g, 93.1 mmol) in ~170 mL of dichloromethane was added to a mixture of 4-(trifluoromethyl) aniline (15 g, 93.1 mmol) and dimethyl sulphide (6.35 g, 102 mmol) in 230 mL of dichloromethane at -5-0°C. After

the addition was complete, the mixture was stirred at 0-5°C for 1 h, and *N*-chlorosuccinimide (0.02 g, 4.64 mmol) was added. After a further 30 minutes, the mixture was washed with 500 mL of 1N sodium hydroxide.

5 The organic phase was dried and evaporated to give the product as a solid 19-72 g melting at 101-103°C (after crystallization from ethyl acetate/hexanes).

IR (Nujol) 1603, 1562, 1532, 1502, 1428, 1402, 1335, 1300, 1270, 1185, 1150, 1103, 1067, 1000, 972, 940, 906, 837, 817 cm^{-1} .

^1H NMR (CDCl_3) δ 7.35 (d, $J=8.8$ Hz, 2 H), 6.84 (d, $J=8.8$ Hz, 2 H), 2.67 (s, 3 H).

Step B: 2-[(methylthio)methyl]-4-(trifluoromethyl)benzenamine

10 Sodium methoxide in methanol (1.95 g, 9.02 mmol, 25%) was added to *S,S*-dimethyl-*N*-[4-(trifluoromethyl)phenyl]sulfilimine from Step A (2 g, 9.04 mmol) in 15 mL of toluene. The mixture was warmed to ~80°C for ~1 h. The mixture was allowed to cool to 25°C and was poured into 100 mL of water. The mixture was extracted with 2x100 mL of ethyl acetate and the combined extracts were dried and evaporated to give the product 1.8 g as a solid melting at 65.5-67.5°C (after crystallization from hexanes).

15 IR (nujol) 3419, 3333, 1629, 1584, 1512, 1440, 1334, 1302, 1235, 1193, 1139, 1098, 1078, 979, 904, 832 cm^{-1} .

^1H NMR (CDCl_3) δ 7.35 (dd, $J=1.5$ Hz x 8.2 Hz, 1H) 6.72 (d, $J=8.4$ Hz) 4.39 (br.s, 2 H), 3.69 (s, 2 H), 1.99 (s, 3 H).

20 **Step C: Preparation of 2-methyl-4-(trifluoromethyl)benzenamine**

Activated Raney nickel (500 g wet paste, ~50 μ) was added portionwise to a solution of 2-[(methylthio)methyl]-4-(trifluoromethyl)benzenamine (55.3 g, 0.25 mole) in 1 L of ethanol over 30 minutes at 25-30° C. The heterogeneous mixture was stirred vigorously for 30 minutes after the addition. The stirring was stopped, and the solids were allowed to settle over one hour. The liquid was decanted from the solids and poured through filter paper. The filtrate was evaporated under reduced pressure, and the residue was taken up in dichloromethane. The organic phase was separated from a small volume of water, dried over magnesium sulfate and evaporated under reduced pressure to afford 37.6g of the title compound as an amber oil.

30 ^1H NMR (CDCl_3) δ 7.28 (m, 2H), 6.68 (d, 1H), 3.87 (br s, 2H), 2.19 (s, 3H).

Step D: Preparation of 2-methyl-4-(trifluoromethyl)benzonitrile

Concentrated hydrochloric acid (16 mL) was added dropwise at a moderate rate to a heterogeneous mixture of 2-methyl-4-(trifluoromethyl)benzenamine (14 g, 80 mmol) and 120 mL of water while stirring vigorously. A thick suspension resulted which was stirred for 20 minutes, diluted with 280 mL of water and cooled to 5° C. A solution of sodium nitrite (5.5 g, 80 mmol) and 25 mL of water was added slowly to the reaction suspension. After stirring for 30 minutes at 5° C a solution resulted which was stirred cold for 30 more minutes and then neutralized with potassium carbonate. This diazonium salt solution was then added

portionwise via cannula to a stirred, 95 ° C mixture of potassium cyanide (22 g, 0.34 mole), copper sulfate pentahydrate (20 g, 80 mmol) and 140 mL of water. After the addition the mixture was stirred for 30 minutes at 95° C and then allowed to cool to room temperature. Ether was added and the heterogeneous mixture was filtered through celite. The solids were washed with ether, and the filtrate was partitioned. The aqueous phase was extracted with ether, and the combined organic extracts were dried over magnesium sulfate and concentrated under reduced pressure to afford 13.1 g of the title compound as a brown oil.

¹H NMR (CDCl₃) δ 7.74 (d, 1H), 7.60 (s, 1H), 7.55 (d, 1H), 2.64 (s, 3H).

Step E: Preparation of 2-methyl-4-(trifluoromethyl) benzoic acid

Potassium hydroxide (15.7 g, 0.28 mole) and 15 mL of water were added as a solution to a stirred, heterogeneous mixture of 2-methyl-4-(trifluoromethyl)benzonitrile (13 g, 70 mmol) and 135 mL of ethylene glycol. The reaction mixture was heated at 120-130° C for 20 hours and allowed to cool to room temperature. The dark solution was poured into 800 mL of water and filtered through celite. The filtrate was washed with ether and then the aqueous was acidified with concentrated hydrochloric acid. This aqueous phase was extracted three times with ethyl acetate, the organic extracts were combined, dried over magnesium sulfate and evaporated under reduced pressure to afford the title compound as a tan solid.

¹H NMR (CDCl₃) δ 7.98 (d, 1H), 7.70 (s, 1H), 7.65 (d, 1H), 2.60 (s, 3H).

Step F: Preparation of 2-methyl-4-(trifluoromethoxy)benzoyl chloride

Thionyl chloride (0.42 g, 3.5 mmol) was added to a solution of the benzoic acid from Step E (0.50 g, 2.4 mmol) in 10 mL of toluene at room temperature. The reaction was refluxed for three hours then cooled to room temperature. The solvent was evaporated under reduced pressure and excess thionyl chloride was removed by azeotrope with toluene. The benzoyl chloride obtained was used directly in Step G.

Step G: Preparation of 2-methyl-N-[2-methyl-6-[(1-methylethyl)amino]-carbonyl]phenyl-4-(trifluoromethyl)benzamide

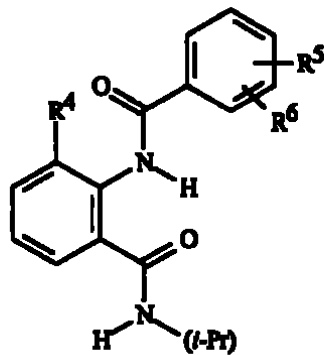
The benzoyl chloride of Step F (0.29 g, 1.3 mmol) was added to a mixture of the aniline from Example 1, Step B (0.36 g, 1.9 mmol) and diisopropylethylamine (0.26 g, 2.0 mmol) in 10 mL of chloroform at room temperature. The reaction was allowed to stir overnight. The solid precipitate was filtered and dried to afford 0.38 g of the title compound, a compound of the present invention, as a solid melting at 247-248 °C.

¹H NMR (CDCl₃) α 1.24 (d, 6H), 2.41 (s, 3H), 2.58 (s, 3H), 4.20 (m, 1H), 5.94 (br d, 1H), 7.2-7.3 (m, 2H), 7.40 (d, 1H), 7.52 (s, 1H), 7.53 (d, 1H), 7.70 (d, 1H), 9.36 (br s, 1H).

By the procedures described herein together with methods known in the art, the following compounds of Tables 1 to 8 can be prepared. The following abbreviations are used in the Tables: *t* is tertiary, *s* is secondary, *n* is normal, *i* is iso, *c* is cyclo, Me is methyl, Et is ethyl, Pr is propyl, *i*-Pr is isopropyl, *t*-Bu is tert butyl, Ph is phenyl, OMe is methoxy,

OEt is ethoxy, SMe is methylthio, SEt is ethylthio, CN is cyano, NO₂ is nitro, TMS is trimethylsilyl, S(O)Me is methylsulfinyl, and S(O)₂Me is methylsulfonyl.

Table 1



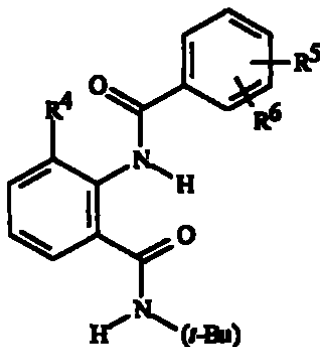
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Me	2-OCF ₃	Me	3-OCF ₃	Me	4-OCF ₃
Me	2-OCF ₂ H	Me	3-OCF ₂ H	Me	4-OCF ₂ H
Me	2-OCF ₂ CF ₂ H	Me	3-OCF ₂ CF ₂ H	Me	4-OCF ₂ CF ₂ H
Me	2-OCH ₂ CF ₃	Me	3-OCH ₂ CF ₃	Me	4-OCH ₂ CF ₃
Me	2-SCF ₃	Me	3-SCF ₃	Me	4-SCF ₃
Me	2-SOCF ₃	Me	3-SOCF ₃	Me	4-SOCF ₃
Me	2-SO ₂ CF ₃	Me	3-SO ₂ CF ₃	Me	4-SO ₂ CF ₃
Me	2-SCF ₂ H	Me	3-SCF ₂ H	Me	4-SCF ₂ H
Me	2-SOCF ₂ H	Me	3-SOCF ₂ H	Me	4-SOCF ₂ H
Me	2-SO ₂ CF ₂ H	Me	3-SO ₂ CF ₂ H	Me	4-SO ₂ CF ₂ H
Cl	2-CF ₃	Cl	3-CF ₃	Cl	4-CF ₃
Cl	2-OCF ₃	Cl	3-OCF ₃	Cl	4-OCF ₃
Cl	2-OCF ₂ H	Cl	3-OCF ₂ H	Cl	4-OCF ₂ H
Cl	2-OCF ₂ CF ₂ H	Cl	3-OCF ₂ CF ₂ H	Cl	4-OCF ₂ CF ₂ H
Cl	2-OCH ₂ CF ₃	Cl	3-OCH ₂ CF ₃	Cl	4-OCH ₂ CF ₃
Cl	2-SCF ₃	Cl	3-SCF ₃	Cl	4-SCF ₃
Cl	2-SOCF ₃	Cl	3-SOCF ₃	Cl	4-SOCF ₃
Cl	2-SO ₂ CF ₃	Cl	3-SO ₂ CF ₃	Cl	4-SO ₂ CF ₃
Cl	2-SCF ₂ H	Cl	3-SCF ₂ H	Cl	4-SCF ₂ H
Cl	2-SOCF ₂ H	Cl	3-SOCF ₂ H	Cl	4-SOCF ₂ H
Cl	2-SO ₂ CF ₂ H	Cl	3-SO ₂ CF ₂ H	Cl	4-SO ₂ CF ₂ H
F	2-CF ₃	F	3-CF ₃	F	4-CF ₃
F	2-OCF ₃	F	3-OCF ₃	F	4-OCF ₃

F	2-OCF ₂ H	F	3-OCF ₂ H	F	4-OCF ₂ H
F	2-OCF ₂ CF ₂ H	F	3-OCF ₂ CF ₂ H	F	4-OCF ₂ CF ₂ H
F	2-OCH ₂ CF ₃	F	3-OCH ₂ CF ₃	F	4-OCH ₂ CF ₃
F	2-SCF ₃	F	3-SCF ₃	F	4-SCF ₃
F	2-SOCF ₃	F	3-SOCF ₃	F	4-SOCF ₃
F	2-SO ₂ CF ₃	F	3-SO ₂ CF ₃	F	4-SO ₂ CF ₃
F	2-SCF ₂ H	F	3-SCF ₂ H	F	4-SCF ₂ H
F	2-SOCF ₂ H	F	3-SOCF ₂ H	F	4-SOCF ₂ H
F	2-SO ₂ CF ₂ H	F	3-SO ₂ CF ₂ H	F	4-SO ₂ CF ₂ H
Br	2-CF ₃	Br	3-CF ₃	Br	4-CF ₃
Br	2-OCF ₃	Br	3-OCF ₃	Br	4-OCF ₃
Br	2-OCF ₂ H	Br	3-OCF ₂ H	Br	4-OCF ₂ H
Br	2-OCF ₂ CF ₂ H	Br	3-OCF ₂ CF ₂ H	Br	4-OCF ₂ CF ₂ H
Br	2-OCH ₂ CF ₃	Br	3-OCH ₂ CF ₃	Br	4-OCH ₂ CF ₃
Br	2-SCF ₃	Br	3-SCF ₃	Br	4-SCF ₃
Br	2-SOCF ₃	Br	3-SOCF ₃	Br	4-SOCF ₃
Br	2-SO ₂ CF ₃	Br	3-SO ₂ CF ₃	Br	4-SO ₂ CF ₃
Br	2-SCF ₂ H	Br	3-SCF ₂ H	Br	4-SCF ₂ H
Br	2-SOCF ₂ H	Br	3-SOCF ₂ H	Br	4-SOCF ₂ H
Br	2-SO ₂ CF ₂ H	Br	3-SO ₂ CF ₂ H	Br	4-SO ₂ CF ₂ H
I	2-CF ₃	I	3-CF ₃	I	4-CF ₃
I	2-OCF ₃	I	3-OCF ₃	I	4-OCF ₃
I	2-OCF ₂ H	I	3-OCF ₂ H	I	4-OCF ₂ H
I	2-OCF ₂ CF ₂ H	I	3-OCF ₂ CF ₂ H	I	4-OCF ₂ CF ₂ H
I	2-OCH ₂ CF ₃	I	3-OCH ₂ CF ₃	I	4-OCH ₂ CF ₃
I	2-SCF ₃	I	3-SCF ₃	I	4-SCF ₃
I	2-SOCF ₃	I	3-SOCF ₃	I	4-SOCF ₃
I	2-SO ₂ CF ₃	I	3-SO ₂ CF ₃	I	4-SO ₂ CF ₃
I	2-SCF ₂ H	I	3-SCF ₂ H	I	4-SCF ₂ H
I	2-SOCF ₂ H	I	3-SOCF ₂ H	I	4-SOCF ₂ H
I	2-SO ₂ CF ₂ H	I	3-SO ₂ CF ₂ H	I	4-SO ₂ CF ₂ H
OMe	2-CF ₃	OMe	3-CF ₃	OMe	4-CF ₃
OMe	2-OCF ₃	OMe	3-OCF ₃	OMe	4-OCF ₃
OMe	2-OCF ₂ H	OMe	3-OCF ₂ H	OMe	4-OCF ₂ H
OMe	2-OCF ₂ CF ₂ H	OMe	3-OCF ₂ CF ₂ H	OMe	4-OCF ₂ CF ₂ H
OMe	2-OCH ₂ CF ₃	OMe	3-OCH ₂ CF ₃	OMe	4-OCH ₂ CF ₃
OMe	2-SCF ₃	OMe	3-SCF ₃	OMe	4-SCF ₃

OMe	2-SOCF ₃	OMe	3-SOCF ₃	OMe	4-SOCF ₃
OMe	2-SO ₂ CF ₃	OMe	3-SO ₂ CF ₃	OMe	4-SO ₂ CF ₃
OMe	2-SCF ₂ H	OMe	3-SCF ₂ H	OMe	4-SCF ₂ H
OMe	2-SOCF ₂ H	OMe	3-SOCF ₂ H	OMe	4-SOCF ₂ H
OMe	2-SO ₂ CF ₂ H	OMe	3-SO ₂ CF ₂ H	OMe	4-SO ₂ CF ₂ H
CF ₃	2-CF ₃	CF ₃	3-CF ₃	CF ₃	4-CF ₃
CF ₃	2-OCF ₃	CF ₃	3-OCF ₃	CF ₃	4-OCF ₃
CF ₃	2-OCF ₂ H	CF ₃	3-OCF ₂ H	CF ₃	4-OCF ₂ H
CF ₃	2-OCF ₂ CF ₂ H	CF ₃	3-OCF ₂ CF ₂ H	CF ₃	4-OCF ₂ CF ₂ H
CF ₃	2-OCH ₂ CF ₃	CF ₃	3-OCH ₂ CF ₃	CF ₃	4-OCH ₂ CF ₃
CF ₃	2-SCF ₃	CF ₃	3-SCF ₃	CF ₃	4-SCF ₃
CF ₃	2-SOCF ₃	CF ₃	3-SOCF ₃	CF ₃	4-SOCF ₃
CF ₃	2-SO ₂ CF ₃	CF ₃	3-SO ₂ CF ₃	CF ₃	4-SO ₂ CF ₃
CF ₃	2-SCF ₂ H	CF ₃	3-SCF ₂ H	CF ₃	4-SCF ₂ H
CF ₃	2-SOCF ₂ H	CF ₃	3-SOCF ₂ H	CF ₃	4-SOCF ₂ H
CF ₃	2-SO ₂ CF ₂ H	CF ₃	3-SO ₂ CF ₂ H	CF ₃	4-SO ₂ CF ₂ H
OCF ₂ H	2-CF ₃	OCF ₂ H	3-CF ₃	OCF ₂ H	4-CF ₃
OCF ₂ H	2-OCF ₃	OCF ₂ H	3-OCF ₃	OCF ₂ H	4-OCF ₃
OCF ₂ H	2-OCF ₂ H	OCF ₂ H	3-OCF ₂ H	OCF ₂ H	4-OCF ₂ H
OCF ₂ H	2-OCF ₂ CF ₂ H	OCF ₂ H	3-OCF ₂ CF ₂ H	OCF ₂ H	4-OCF ₂ CF ₂ H
OCF ₂ H	2-OCH ₂ CF ₃	OCF ₂ H	3-OCH ₂ CF ₃	OCF ₂ H	4-OCH ₂ CF ₃
OCF ₂ H	2-SCF ₃	OCF ₂ H	3-SCF ₃	OCF ₂ H	4-SCF ₃
OCF ₂ H	2-SOCF ₃	OCF ₂ H	3-SOCF ₃	OCF ₂ H	4-SOCF ₃
OCF ₂ H	2-SO ₂ CF ₃	OCF ₂ H	3-SO ₂ CF ₃	OCF ₂ H	4-SO ₂ CF ₃
OCF ₂ H	2-SCF ₂ H	OCF ₂ H	3-SCF ₂ H	OCF ₂ H	4-SCF ₂ H
OCF ₂ H	2-SOCF ₂ H	OCF ₂ H	3-SOCF ₂ H	OCF ₂ H	4-SOCF ₂ H
OCF ₂ H	2-SO ₂ CF ₂ H	OCF ₂ H	3-SO ₂ CF ₂ H	OCF ₂ H	4-SO ₂ CF ₂ H
Me	2-Me-4-CF ₃	F	2-Me-4-CF ₃	Cl	2-Me-4-CF ₃
Me	2-Me-4-OCF ₃	F	2-Me-4-OCF ₃	Cl	2-Me-4-OCF ₃
Me	2-Me-4-OCF ₂ H	F	2-Me-4-OCF ₂ H	Cl	2-Me-4-OCF ₂ H
Me	2-Me-4-OCH ₂ CF ₃	F	2-Me-4-OCH ₂ CF ₃	Cl	2-Me-4-OCH ₂ CF ₃
Me	2-Me-4-SCF ₃	F	2-Me-4-SCF ₃	Cl	2-Me-4-SCF ₃
Me	2-Me-4-SOCF ₃	F	2-Me-4-SOCF ₃	Cl	2-Me-4-SOCF ₃
Me	2-Me-4-SO ₂ CF ₃	F	2-Me-4-SO ₂ CF ₃	Cl	2-Me-4-SO ₂ CF ₃
Me	2-Me-4-SCF ₂ H	F	2-Me-4-SCF ₂ H	Cl	2-Me-4-SCF ₂ H
Me	2-Me-4-SOCF ₂ H	F	2-Me-4-SOCF ₂ H	Cl	2-Me-4-SOCF ₂ H
Me	2-Me-4-SO ₂ CF ₂ H	F	2-Me-4-SO ₂ CF ₂ H	Cl	2-Me-4-SO ₂ CF ₂ H

Br	2-Me-4-CF ₃	I	2-Me-4-CF ₃	OMe	2-Me-4-CF ₃
Br	2-Me-4-OCF ₃	I	2-Me-4-OCF ₃	OMe	2-Me-4-OCF ₃
Br	2-Me-4-OCF ₂ H	I	2-Me-4-OCF ₂ H	OMe	2-Me-4-OCF ₂ H
Br	2-Me-4-OCH ₂ CF ₃	I	2-Me-4-OCH ₂ CF ₃	OMe	2-Me-4-OCH ₂ CF ₃
Br	2-Me-4-SCF ₃	I	2-Me-4-SCF ₃	OMe	2-Me-4-SCF ₃
Br	2-Me-4-SOCF ₃	I	2-Me-4-SOCF ₃	OMe	2-Me-4-SOCF ₃
Br	2-Me-4-SO ₂ CF ₃	I	2-Me-4-SO ₂ CF ₃	OMe	2-Me-4-SO ₂ CF ₃
Br	2-Me-4-SCF ₂ H	I	2-Me-4-SCF ₂ H	OMe	2-Me-4-SCF ₂ H
Br	2-Me-4-SOCF ₂ H	I	2-Me-4-SOCF ₂ H	OMe	2-Me-4-SOCF ₂ H
Br	2-Me-4-SO ₂ CF ₂ H	I	2-Me-4-SO ₂ CF ₂ H	OMe	2-Me-4-SO ₂ CF ₂ H
CF ₃	2-Me-4-CF ₃	NO ₂	2-Me-4-CF ₃	SMe	2-Me-4-CF ₃
CF ₃	2-Me-4-OCF ₃	NO ₂	2-Me-4-OCF ₃	SMe	2-Me-4-OCF ₃
CF ₃	2-Me-4-OCF ₂ H	NO ₂	2-Me-4-OCF ₂ H	SMe	2-Me-4-OCF ₂ H
CF ₃	2-Me-4-OCH ₂ CF ₃	NO ₂	2-Me-4-OCH ₂ CF ₃	SMe	2-Me-4-OCH ₂ CF ₃
CF ₃	2-Me-4-SCF ₃	NO ₂	2-Me-4-SCF ₃	SMe	2-Me-4-SCF ₃
CF ₃	2-Me-4-SOCF ₃	NO ₂	2-Me-4-SOCF ₃	SMe	2-Me-4-SOCF ₃
CF ₃	2-Me-4-SO ₂ CF ₃	NO ₂	2-Me-4-SO ₂ CF ₃	SMe	2-Me-4-SO ₂ CF ₃
CF ₃	2-Me-4-SCF ₂ H	NO ₂	2-Me-4-SCF ₂ H	SMe	2-Me-4-SCF ₂ H
CF ₃	2-Me-4-SOCF ₂ H	NO ₂	2-Me-4-SOCF ₂ H	SMe	2-Me-4-SOCF ₂ H
CF ₃	2-Me-4-SO ₂ CF ₂ H	NO ₂	2-Me-4-SO ₂ CF ₂ H	SMe	2-Me-4-SO ₂ CF ₂ H

Table 2



R ⁴	R ⁵ and/or R ⁶	R ⁴	R ⁵ and/or R ⁶	R ⁴	R ⁵ and/or R ⁶
Me	2-CF ₃	Me	3-CF ₃	Me	4-CF ₃
Me	2-OCF ₃	Me	3-OCF ₃	Me	4-OCF ₃
Me	2-OCF ₂ H	Me	3-OCF ₂ H	Me	4-OCF ₂ H
Me	2-OCF ₂ CF ₂ H	Me	3-OCF ₂ CF ₂ H	Me	4-OCF ₂ CF ₂ H
Me	2-OCH ₂ CF ₃	Me	3-OCH ₂ CF ₃	Me	4-OCH ₂ CF ₃

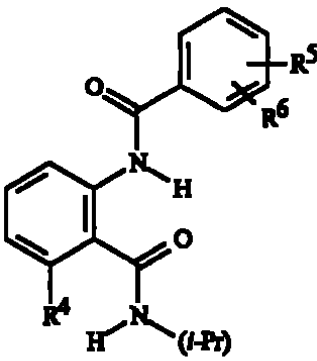
Me	2-SCF ₃	Me	3-SCF ₃	Me	4-SCF ₃
Me	2-SOCF ₃	Me	3-SOCF ₃	Me	4-SOCF ₃
Me	2-SO ₂ CF ₃	Me	3-SO ₂ CF ₃	Me	4-SO ₂ CF ₃
Me	2-SCF ₂ H	Me	3-SCF ₂ H	Me	4-SCF ₂ H
Me	2-SOCF ₂ H	Me	3-SOCF ₂ H	Me	4-SOCF ₂ H
Me	2-SO ₂ CF ₂ H	Me	3-SO ₂ CF ₂ H	Me	4-SO ₂ CF ₂ H
Cl	2-CF ₃	Cl	3-CF ₃	Cl	4-CF ₃
Cl	2-OCF ₃	Cl	3-OCF ₃	Cl	4-OCF ₃
Cl	2-OCF ₂ H	Cl	3-OCF ₂ H	Cl	4-OCF ₂ H
Cl	2-OCF ₂ CF ₂ H	Cl	3-OCF ₂ CF ₂ H	Cl	4-OCF ₂ CF ₂ H
Cl	2-OCH ₂ CF ₃	Cl	3-OCH ₂ CF ₃	Cl	4-OCH ₂ CF ₃
Cl	2-SCF ₃	Cl	3-SCF ₃	Cl	4-SCF ₃
Cl	2-SOCF ₃	Cl	3-SOCF ₃	Cl	4-SOCF ₃
Cl	2-SO ₂ CF ₃	Cl	3-SO ₂ CF ₃	Cl	4-SO ₂ CF ₃
Cl	2-SCF ₂ H	Cl	3-SCF ₂ H	Cl	4-SCF ₂ H
Cl	2-SOCF ₂ H	Cl	3-SOCF ₂ H	Cl	4-SOCF ₂ H
Cl	2-SO ₂ CF ₂ H	Cl	3-SO ₂ CF ₂ H	Cl	4-SO ₂ CF ₂ H
F	2-CF ₃	F	3-CF ₃	F	4-CF ₃
F	2-OCF ₃	F	3-OCF ₃	F	4-OCF ₃
F	2-OCF ₂ H	F	3-OCF ₂ H	F	4-OCF ₂ H
F	2-OCF ₂ CF ₂ H	F	3-OCF ₂ CF ₂ H	F	4-OCF ₂ CF ₂ H
F	2-OCH ₂ CF ₃	F	3-OCH ₂ CF ₃	F	4-OCH ₂ CF ₃
F	2-SCF ₃	F	3-SCF ₃	F	4-SCF ₃
F	2-SOCF ₃	F	3-SOCF ₃	F	4-SOCF ₃
F	2-SO ₂ CF ₃	F	3-SO ₂ CF ₃	F	4-SO ₂ CF ₃
F	2-SCF ₂ H	F	3-SCF ₂ H	F	4-SCF ₂ H
F	2-SOCF ₂ H	F	3-SOCF ₂ H	F	4-SOCF ₂ H
F	2-SO ₂ CF ₂ H	F	3-SO ₂ CF ₂ H	F	4-SO ₂ CF ₂ H
Br	2-CF ₃	Br	3-CF ₃	Br	4-CF ₃
Br	2-OCF ₃	Br	3-OCF ₃	Br	4-OCF ₃
Br	2-OCF ₂ H	Br	3-OCF ₂ H	Br	4-OCF ₂ H
Br	2-OCF ₂ CF ₂ H	Br	3-OCF ₂ CF ₂ H	Br	4-OCF ₂ CF ₂ H
Br	2-OCH ₂ CF ₃	Br	3-OCH ₂ CF ₃	Br	4-OCH ₂ CF ₃
Br	2-SCF ₃	Br	3-SCF ₃	Br	4-SCF ₃
Br	2-SOCF ₃	Br	3-SOCF ₃	Br	4-SOCF ₃
Br	2-SO ₂ CF ₃	Br	3-SO ₂ CF ₃	Br	4-SO ₂ CF ₃
Br	2-SCF ₂ H	Br	3-SCF ₂ H	Br	4-SCF ₂ H

Br	2-SOCF ₂ H	Br	3-SOCF ₂ H	Br	4-SOCF ₂ H
Br	2-SO ₂ CF ₂ H	Br	3-SO ₂ CF ₂ H	Br	4-SO ₂ CF ₂ H
I	2-CF ₃	I	3-CF ₃	I	4-CF ₃
I	2-OCF ₃	I	3-OCF ₃	I	4-OCF ₃
I	2-OCF ₂ H	I	3-OCF ₂ H	I	4-OCF ₂ H
I	2-OCF ₂ CF ₂ H	I	3-OCF ₂ CF ₂ H	I	4-OCF ₂ CF ₂ H
I	2-OCH ₂ CF ₃	I	3-OCH ₂ CF ₃	I	4-OCH ₂ CF ₃
I	2-SCF ₃	I	3-SCF ₃	I	4-SCF ₃
I	2-SOCF ₃	I	3-SOCF ₃	I	4-SOCF ₃
I	2-SO ₂ CF ₃	I	3-SO ₂ CF ₃	I	4-SO ₂ CF ₃
I	2-SCF ₂ H	I	3-SCF ₂ H	I	4-SCF ₂ H
I	2-SOCF ₂ H	I	3-SOCF ₂ H	I	4-SOCF ₂ H
I	2-SO ₂ CF ₂ H	I	3-SO ₂ CF ₂ H	I	4-SO ₂ CF ₂ H
OMe	2-CF ₃	OMe	3-CF ₃	OMe	4-CF ₃
OMe	2-OCF ₃	OMe	3-OCF ₃	OMe	4-OCF ₃
OMe	2-OCF ₂ H	OMe	3-OCF ₂ H	OMe	4-OCF ₂ H
OMe	2-OCF ₂ CF ₂ H	OMe	3-OCF ₂ CF ₂ H	OMe	4-OCF ₂ CF ₂ H
OMe	2-OCH ₂ CF ₃	OMe	3-OCH ₂ CF ₃	OMe	4-OCH ₂ CF ₃
OMe	2-SCF ₃	OMe	3-SCF ₃	OMe	4-SCF ₃
OMe	2-SOCF ₃	OMe	3-SOCF ₃	OMe	4-SOCF ₃
OMe	2-SO ₂ CF ₃	OMe	3-SO ₂ CF ₃	OMe	4-SO ₂ CF ₃
OMe	2-SCF ₂ H	OMe	3-SCF ₂ H	OMe	4-SCF ₂ H
OMe	2-SOCF ₂ H	OMe	3-SOCF ₂ H	OMe	4-SOCF ₂ H
OMe	2-SO ₂ CF ₂ H	OMe	3-SO ₂ CF ₂ H	OMe	4-SO ₂ CF ₂ H
CF ₃	2-CF ₃	CF ₃	3-CF ₃	CF ₃	4-CF ₃
CF ₃	2-OCF ₃	CF ₃	3-OCF ₃	CF ₃	4-OCF ₃
CF ₃	2-OCF ₂ H	CF ₃	3-OCF ₂ H	CF ₃	4-OCF ₂ H
CF ₃	2-OCF ₂ CF ₂ H	CF ₃	3-OCF ₂ CF ₂ H	CF ₃	4-OCF ₂ CF ₂ H
CF ₃	2-OCH ₂ CF ₃	CF ₃	3-OCH ₂ CF ₃	CF ₃	4-OCH ₂ CF ₃
CF ₃	2-SCF ₃	CF ₃	3-SCF ₃	CF ₃	4-SCF ₃
CF ₃	2-SOCF ₃	CF ₃	3-SOCF ₃	CF ₃	4-SOCF ₃
CF ₃	2-SO ₂ CF ₃	CF ₃	3-SO ₂ CF ₃	CF ₃	4-SO ₂ CF ₃
CF ₃	2-SCF ₂ H	CF ₃	3-SCF ₂ H	CF ₃	4-SCF ₂ H
CF ₃	2-SOCF ₂ H	CF ₃	3-SOCF ₂ H	CF ₃	4-SOCF ₂ H
CF ₃	2-SO ₂ CF ₂ H	CF ₃	3-SO ₂ CF ₂ H	CF ₃	4-SO ₂ CF ₂ H
OCF ₂ H	2-CF ₃	OCF ₂ H	3-CF ₃	OCF ₂ H	4-CF ₃
OCF ₂ H	2-OCF ₃	OCF ₂ H	3-OCF ₃	OCF ₂ H	4-OCF ₃

OCF ₂ H	2-OCF ₂ H	OCF ₂ H	3-OCF ₂ H	OCF ₂ H	4-OCF ₂ H
OCF ₂ H	2-OCF ₂ CF ₂ H	OCF ₂ H	3-OCF ₂ CF ₂ H	OCF ₂ H	4-OCF ₂ CF ₂ H
OCF ₂ H	2-OCH ₂ CF ₃	OCF ₂ H	3-OCH ₂ CF ₃	OCF ₂ H	4-OCH ₂ CF ₃
OCF ₂ H	2-SCF ₃	OCF ₂ H	3-SCF ₃	OCF ₂ H	4-SCF ₃
OCF ₂ H	2-SOCF ₃	OCF ₂ H	3-SOCF ₃	OCF ₂ H	4-SOCF ₃
OCF ₂ H	2-SO ₂ CF ₃	OCF ₂ H	3-SO ₂ CF ₃	OCF ₂ H	4-SO ₂ CF ₃
OCF ₂ H	2-SCF ₂ H	OCF ₂ H	3-SCF ₂ H	OCF ₂ H	4-SCF ₂ H
OCF ₂ H	2-SOCF ₂ H	OCF ₂ H	3-SOCF ₂ H	OCF ₂ H	4-SOCF ₂ H
OCF ₂ H	2-SO ₂ CF ₂ H	OCF ₂ H	3-SO ₂ CF ₂ H	OCF ₂ H	4-SO ₂ CF ₂ H
Me	2-Me-4-CF ₃	F	2-Me-4-CF ₃	Cl	2-Me-4-CF ₃
Me	2-Me-4-OCF ₃	F	2-Me-4-OCF ₃	Cl	2-Me-4-OCF ₃
Me	2-Me-4-OCF ₂ H	F	2-Me-4-OCF ₂ H	Cl	2-Me-4-OCF ₂ H
Me	2-Me-4-OCH ₂ CF ₃	F	2-Me-4-OCH ₂ CF ₃	Cl	2-Me-4-OCH ₂ CF ₃
Me	2-Me-4-SCF ₃	F	2-Me-4-SCF ₃	Cl	2-Me-4-SCF ₃
Me	2-Me-4-SOCF ₃	F	2-Me-4-SOCF ₃	Cl	2-Me-4-SOCF ₃
Me	2-Me-4-SO ₂ CF ₃	F	2-Me-4-SO ₂ CF ₃	Cl	2-Me-4-SO ₂ CF ₃
Me	2-Me-4-SCF ₂ H	F	2-Me-4-SCF ₂ H	Cl	2-Me-4-SCF ₂ H
Me	2-Me-4-SOCF ₂ H	F	2-Me-4-SOCF ₂ H	Cl	2-Me-4-SOCF ₂ H
Me	2-Me-4-SO ₂ CF ₂ H	F	2-Me-4-SO ₂ CF ₂ H	Cl	2-Me-4-SO ₂ CF ₂ H
Br	2-Me-4-CF ₃	I	2-Me-4-CF ₃	OMe	2-Me-4-CF ₃
Br	2-Me-4-OCF ₃	I	2-Me-4-OCF ₃	OMe	2-Me-4-OCF ₃
Br	2-Me-4-OCF ₂ H	I	2-Me-4-OCF ₂ H	OMe	2-Me-4-OCF ₂ H
Br	2-Me-4-OCH ₂ CF ₃	I	2-Me-4-OCH ₂ CF ₃	OMe	2-Me-4-OCH ₂ CF ₃
Br	2-Me-4-SCF ₃	I	2-Me-4-SCF ₃	OMe	2-Me-4-SCF ₃
Br	2-Me-4-SOCF ₃	I	2-Me-4-SOCF ₃	OMe	2-Me-4-SOCF ₃
Br	2-Me-4-SO ₂ CF ₃	I	2-Me-4-SO ₂ CF ₃	OMe	2-Me-4-SO ₂ CF ₃
Br	2-Me-4-SCF ₂ H	I	2-Me-4-SCF ₂ H	OMe	2-Me-4-SCF ₂ H
Br	2-Me-4-SOCF ₂ H	I	2-Me-4-SOCF ₂ H	OMe	2-Me-4-SOCF ₂ H
Br	2-Me-4-SO ₂ CF ₂ H	I	2-Me-4-SO ₂ CF ₂ H	OMe	2-Me-4-SO ₂ CF ₂ H
CF ₃	2-Me-4-CF ₃	NO ₂	2-Me-4-CF ₃	SMe	2-Me-4-CF ₃
CF ₃	2-Me-4-OCF ₃	NO ₂	2-Me-4-OCF ₃	SMe	2-Me-4-OCF ₃
CF ₃	2-Me-4-OCF ₂ H	NO ₂	2-Me-4-OCF ₂ H	SMe	2-Me-4-OCF ₂ H
CF ₃	2-Me-4-OCH ₂ CF ₃	NO ₂	2-Me-4-OCH ₂ CF ₃	SMe	2-Me-4-OCH ₂ CF ₃
CF ₃	2-Me-4-SCF ₃	NO ₂	2-Me-4-SCF ₃	SMe	2-Me-4-SCF ₃
CF ₃	2-Me-4-SOCF ₃	NO ₂	2-Me-4-SOCF ₃	SMe	2-Me-4-SOCF ₃
CF ₃	2-Me-4-SO ₂ CF ₃	NO ₂	2-Me-4-SO ₂ CF ₃	SMe	2-Me-4-SO ₂ CF ₃
CF ₃	2-Me-4-SCF ₂ H	NO ₂	2-Me-4-SCF ₂ H	SMe	2-Me-4-SCF ₂ H

CF ₃	2-Me-4-SOCF ₂ H	NO ₂	2-Me-4-SOCF ₂ H	SMe	2-Me-4-SOCF ₂ H
CF ₃	2-Me-4-SO ₂ CF ₂ H	NO ₂	2-Me-4-SO ₂ CF ₂ H	SMe	2-Me-4-SO ₂ CF ₂ H

Table 3



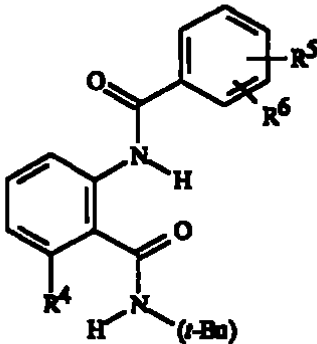
R ⁴	R ⁵ and/or R ⁶	R ⁴	R ⁵ and/or R ⁶	R ⁴	R ⁵ and/or R ⁶
Me	2-CF ₃	Me	3-CF ₃	Me	4-CF ₃
Me	2-OCF ₃	Me	3-OCF ₃	Me	4-OCF ₃
Me	2-OCF ₂ H	Me	3-OCF ₂ H	Me	4-OCF ₂ H
Me	2-OCF ₂ CF ₂ H	Me	3-OCF ₂ CF ₂ H	Me	4-OCF ₂ CF ₂ H
Me	2-OCH ₂ CF ₃	Me	3-OCH ₂ CF ₃	Me	4-OCH ₂ CF ₃
Me	2-SCF ₃	Me	3-SCF ₃	Me	4-SCF ₃
Me	2-SOCF ₃	Me	3-SOCF ₃	Me	4-SOCF ₃
Me	2-SO ₂ CF ₃	Me	3-SO ₂ CF ₃	Me	4-SO ₂ CF ₃
Me	2-SCF ₂ H	Me	3-SCF ₂ H	Me	4-SCF ₂ H
Me	2-SOCF ₂ H	Me	3-SOCF ₂ H	Me	4-SOCF ₂ H
Me	2-SO ₂ CF ₂ H	Me	3-SO ₂ CF ₂ H	Me	4-SO ₂ CF ₂ H
Cl	2-CF ₃	Cl	3-CF ₃	Cl	4-CF ₃
Cl	2-OCF ₃	Cl	3-OCF ₃	Cl	4-OCF ₃
Cl	2-OCF ₂ H	Cl	3-OCF ₂ H	Cl	4-OCF ₂ H
Cl	2-OCF ₂ CF ₂ H	Cl	3-OCF ₂ CF ₂ H	Cl	4-OCF ₂ CF ₂ H
Cl	2-OCH ₂ CF ₃	Cl	3-OCH ₂ CF ₃	Cl	4-OCH ₂ CF ₃
Cl	2-SCF ₃	Cl	3-SCF ₃	Cl	4-SCF ₃
Cl	2-SOCF ₃	Cl	3-SOCF ₃	Cl	4-SOCF ₃
Cl	2-SO ₂ CF ₃	Cl	3-SO ₂ CF ₃	Cl	4-SO ₂ CF ₃
Cl	2-SCF ₂ H	Cl	3-SCF ₂ H	Cl	4-SCF ₂ H
Cl	2-SOCF ₂ H	Cl	3-SOCF ₂ H	Cl	4-SOCF ₂ H
Cl	2-SO ₂ CF ₂ H	Cl	3-SO ₂ CF ₂ H	Cl	4-SO ₂ CF ₂ H
F	2-CF ₃	F	3-CF ₃	F	4-CF ₃

F	2-OCF ₃	F	3-OCF ₃	F	4-OCF ₃
F	2-OCF ₂ H	F	3-OCF ₂ H	F	4-OCF ₂ H
F	2-OCF ₂ CF ₂ H	F	3-OCF ₂ CF ₂ H	F	4-OCF ₂ CF ₂ H
F	2-OCH ₂ CF ₃	F	3-OCH ₂ CF ₃	F	4-OCH ₂ CF ₃
F	2-SCF ₃	F	3-SCF ₃	F	4-SCF ₃
F	2-SOCF ₃	F	3-SOCF ₃	F	4-SOCF ₃
F	2-SO ₂ CF ₃	F	3-SO ₂ CF ₃	F	4-SO ₂ CF ₃
F	2-SCF ₂ H	F	3-SCF ₂ H	F	4-SCF ₂ H
F	2-SOCF ₂ H	F	3-SOCF ₂ H	F	4-SOCF ₂ H
F	2-SO ₂ CF ₂ H	F	3-SO ₂ CF ₂ H	F	4-SO ₂ CF ₂ H
Br	2-CF ₃	Br	3-CF ₃	Br	4-CF ₃
Br	2-OCF ₃	Br	3-OCF ₃	Br	4-OCF ₃
Br	2-OCF ₂ H	Br	3-OCF ₂ H	Br	4-OCF ₂ H
Br	2-OCF ₂ CF ₂ H	Br	3-OCF ₂ CF ₂ H	Br	4-OCF ₂ CF ₂ H
Br	2-OCH ₂ CF ₃	Br	3-OCH ₂ CF ₃	Br	4-OCH ₂ CF ₃
Br	2-SCF ₃	Br	3-SCF ₃	Br	4-SCF ₃
Br	2-SOCF ₃	Br	3-SOCF ₃	Br	4-SOCF ₃
Br	2-SO ₂ CF ₃	Br	3-SO ₂ CF ₃	Br	4-SO ₂ CF ₃
Br	2-SCF ₂ H	Br	3-SCF ₂ H	Br	4-SCF ₂ H
Br	2-SOCF ₂ H	Br	3-SOCF ₂ H	Br	4-SOCF ₂ H
Br	2-SO ₂ CF ₂ H	Br	3-SO ₂ CF ₂ H	Br	4-SO ₂ CF ₂ H
I	2-CF ₃	I	3-CF ₃	I	4-CF ₃
I	2-OCF ₃	I	3-OCF ₃	I	4-OCF ₃
I	2-OCF ₂ H	I	3-OCF ₂ H	I	4-OCF ₂ H
I	2-OCF ₂ CF ₂ H	I	3-OCF ₂ CF ₂ H	I	4-OCF ₂ CF ₂ H
I	2-OCH ₂ CF ₃	I	3-OCH ₂ CF ₃	I	4-OCH ₂ CF ₃
I	2-SCF ₃	I	3-SCF ₃	I	4-SCF ₃
I	2-SOCF ₃	I	3-SOCF ₃	I	4-SOCF ₃
I	2-SO ₂ CF ₃	I	3-SO ₂ CF ₃	I	4-SO ₂ CF ₃
I	2-SCF ₂ H	I	3-SCF ₂ H	I	4-SCF ₂ H
I	2-SOCF ₂ H	I	3-SOCF ₂ H	I	4-SOCF ₂ H
I	2-SO ₂ CF ₂ H	I	3-SO ₂ CF ₂ H	I	4-SO ₂ CF ₂ H
OMe	2-CF ₃	OMe	3-CF ₃	OMe	4-CF ₃
OMe	2-OCF ₃	OMe	3-OCF ₃	OMe	4-OCF ₃
OMe	2-OCF ₂ H	OMe	3-OCF ₂ H	OMe	4-OCF ₂ H
OMe	2-OCF ₂ CF ₂ H	OMe	3-OCF ₂ CF ₂ H	OMe	4-OCF ₂ CF ₂ H
OMe	2-OCH ₂ CF ₃	OMe	3-OCH ₂ CF ₃	OMe	4-OCH ₂ CF ₃

OMe	2-SCF ₃	OMe	3-SCF ₃	OMe	4-SCF ₃
OMe	2-SOCF ₃	OMe	3-SOCF ₃	OMe	4-SOCF ₃
OMe	2-SO ₂ CF ₃	OMe	3-SO ₂ CF ₃	OMe	4-SO ₂ CF ₃
OMe	2-SCF ₂ H	OMe	3-SCF ₂ H	OMe	4-SCF ₂ H
OMe	2-SOCF ₂ H	OMe	3-SOCF ₂ H	OMe	4-SOCF ₂ H
OMe	2-SO ₂ CF ₂ H	OMe	3-SO ₂ CF ₂ H	OMe	4-SO ₂ CF ₂ H
CF ₃	2-CF ₃	CF ₃	3-CF ₃	CF ₃	4-CF ₃
CF ₃	2-OCF ₃	CF ₃	3-OCF ₃	CF ₃	4-OCF ₃
CF ₃	2-OCF ₂ H	CF ₃	3-OCF ₂ H	CF ₃	4-OCF ₂ H
CF ₃	2-OCF ₂ CF ₂ H	CF ₃	3-OCF ₂ CF ₂ H	CF ₃	4-OCF ₂ CF ₂ H
CF ₃	2-OCH ₂ CF ₃	CF ₃	3-OCH ₂ CF ₃	CF ₃	4-OCH ₂ CF ₃
CF ₃	2-SCF ₃	CF ₃	3-SCF ₃	CF ₃	4-SCF ₃
CF ₃	2-SOCF ₃	CF ₃	3-SOCF ₃	CF ₃	4-SOCF ₃
CF ₃	2-SO ₂ CF ₃	CF ₃	3-SO ₂ CF ₃	CF ₃	4-SO ₂ CF ₃
CF ₃	2-SCF ₂ H	CF ₃	3-SCF ₂ H	CF ₃	4-SCF ₂ H
CF ₃	2-SOCF ₂ H	CF ₃	3-SOCF ₂ H	CF ₃	4-SOCF ₂ H
CF ₃	2-SO ₂ CF ₂ H	CF ₃	3-SO ₂ CF ₂ H	CF ₃	4-SO ₂ CF ₂ H
OCF ₂ H	2-CF ₃	OCF ₂ H	3-CF ₃	OCF ₂ H	4-CF ₃
OCF ₂ H	2-OCF ₃	OCF ₂ H	3-OCF ₃	OCF ₂ H	4-OCF ₃
OCF ₂ H	2-OCF ₂ H	OCF ₂ H	3-OCF ₂ H	OCF ₂ H	4-OCF ₂ H
OCF ₂ H	2-OCF ₂ CF ₂ H	OCF ₂ H	3-OCF ₂ CF ₂ H	OCF ₂ H	4-OCF ₂ CF ₂ H
OCF ₂ H	2-OCH ₂ CF ₃	OCF ₂ H	3-OCH ₂ CF ₃	OCF ₂ H	4-OCH ₂ CF ₃
OCF ₂ H	2-SCF ₃	OCF ₂ H	3-SCF ₃	OCF ₂ H	4-SCF ₃
OCF ₂ H	2-SOCF ₃	OCF ₂ H	3-SOCF ₃	OCF ₂ H	4-SOCF ₃
OCF ₂ H	2-SO ₂ CF ₃	OCF ₂ H	3-SO ₂ CF ₃	OCF ₂ H	4-SO ₂ CF ₃
OCF ₂ H	2-SCF ₂ H	OCF ₂ H	3-SCF ₂ H	OCF ₂ H	4-SCF ₂ H
OCF ₂ H	2-SOCF ₂ H	OCF ₂ H	3-SOCF ₂ H	OCF ₂ H	4-SOCF ₂ H
OCF ₂ H	2-SO ₂ CF ₂ H	OCF ₂ H	3-SO ₂ CF ₂ H	OCF ₂ H	4-SO ₂ CF ₂ H
Me	2-Me-4-CF ₃	F	2-Me-4-CF ₃	Cl	2-Me-4-CF ₃
Me	2-Me-4-OCF ₃	F	2-Me-4-OCF ₃	Cl	2-Me-4-OCF ₃
Me	2-Me-4-OCF ₂ H	F	2-Me-4-OCF ₂ H	Cl	2-Me-4-OCF ₂ H
Me	2-Me-4-OCH ₂ CF ₃	F	2-Me-4-OCH ₂ CF ₃	Cl	2-Me-4-OCH ₂ CF ₃
Me	2-Me-4-SCF ₃	F	2-Me-4-SCF ₃	Cl	2-Me-4-SCF ₃
Me	2-Me-4-SOCF ₃	F	2-Me-4-SOCF ₃	Cl	2-Me-4-SOCF ₃
Me	2-Me-4-SO ₂ CF ₃	F	2-Me-4-SO ₂ CF ₃	Cl	2-Me-4-SO ₂ CF ₃
Me	2-Me-4-SCF ₂ H	F	2-Me-4-SCF ₂ H	Cl	2-Me-4-SCF ₂ H
Me	2-Me-4-SOCF ₂ H	F	2-Me-4-SOCF ₂ H	Cl	2-Me-4-SOCF ₂ H

Me	2-Me-4-SO ₂ CF ₂ H	F	2-Me-4-SO ₂ CF ₂ H	Cl	2-Me-4-SO ₂ CF ₂ H
Br	2-Me-4-CF ₃	I	2-Me-4-CF ₃	OMe	2-Me-4-CF ₃
Br	2-Me-4-OCF ₃	I	2-Me-4-OCF ₃	OMe	2-Me-4-OCF ₃
Br	2-Me-4-OCF ₂ H	I	2-Me-4-OCF ₂ H	OMe	2-Me-4-OCF ₂ H
Br	2-Me-4-OCH ₂ CF ₃	I	2-Me-4-OCH ₂ CF ₃	OMe	2-Me-4-OCH ₂ CF ₃
Br	2-Me-4-SCF ₃	I	2-Me-4-SCF ₃	OMe	2-Me-4-SCF ₃
Br	2-Me-4-SOCF ₃	I	2-Me-4-SOCF ₃	OMe	2-Me-4-SOCF ₃
Br	2-Me-4-SO ₂ CF ₃	I	2-Me-4-SO ₂ CF ₃	OMe	2-Me-4-SO ₂ CF ₃
Br	2-Me-4-SCF ₂ H	I	2-Me-4-SCF ₂ H	OMe	2-Me-4-SCF ₂ H
Br	2-Me-4-SOCF ₂ H	I	2-Me-4-SOCF ₂ H	OMe	2-Me-4-SOCF ₂ H
Br	2-Me-4-SO ₂ CF ₂ H	I	2-Me-4-SO ₂ CF ₂ H	OMe	2-Me-4-SO ₂ CF ₂ H
CF ₃	2-Me-4-CF ₃	NO ₂	2-Me-4-CF ₃	SMe	2-Me-4-CF ₃
CF ₃	2-Me-4-OCF ₃	NO ₂	2-Me-4-OCF ₃	SMe	2-Me-4-OCF ₃
CF ₃	2-Me-4-OCF ₂ H	NO ₂	2-Me-4-OCF ₂ H	SMe	2-Me-4-OCF ₂ H
CF ₃	2-Me-4-OCH ₂ CF ₃	NO ₂	2-Me-4-OCH ₂ CF ₃	SMe	2-Me-4-OCH ₂ CF ₃
CF ₃	2-Me-4-SCF ₃	NO ₂	2-Me-4-SCF ₃	SMe	2-Me-4-SCF ₃
CF ₃	2-Me-4-SOCF ₃	NO ₂	2-Me-4-SOCF ₃	SMe	2-Me-4-SOCF ₃
CF ₃	2-Me-4-SO ₂ CF ₃	NO ₂	2-Me-4-SO ₂ CF ₃	SMe	2-Me-4-SO ₂ CF ₃
CF ₃	2-Me-4-SCF ₂ H	NO ₂	2-Me-4-SCF ₂ H	SMe	2-Me-4-SCF ₂ H
CF ₃	2-Me-4-SOCF ₂ H	NO ₂	2-Me-4-SOCF ₂ H	SMe	2-Me-4-SOCF ₂ H
CF ₃	2-Me-4-SO ₂ CF ₂ H	NO ₂	2-Me-4-SO ₂ CF ₂ H	SMe	2-Me-4-SO ₂ CF ₂ H

Table 4



R ⁴	R ⁵ and/or R ⁶	R ⁴	R ⁵ and/or R ⁶	R ⁴	R ⁵ and/or R ⁶
Me	2-CF ₃	Me	3-CF ₃	Me	4-CF ₃
Me	2-OCF ₃	Me	3-OCF ₃	Me	4-OCF ₃
Me	2-OCF ₂ H	Me	3-OCF ₂ H	Me	4-OCF ₂ H
Me	2-OCF ₂ CF ₂ H	Me	3-OCF ₂ CF ₂ H	Me	4-OCF ₂ CF ₂ H

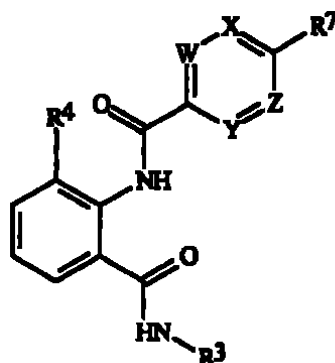
Me	2-OCH ₂ CF ₃	Me	3-OCH ₂ CF ₃	Me	4-OCH ₂ CF ₃
Me	2-SCF ₃	Me	3-SCF ₃	Me	4-SCF ₃
Me	2-SOCF ₃	Me	3-SOCF ₃	Me	4-SOCF ₃
Me	2-SO ₂ CF ₃	Me	3-SO ₂ CF ₃	Me	4-SO ₂ CF ₃
Me	2-SCF ₂ H	Me	3-SCF ₂ H	Me	4-SCF ₂ H
Me	2-SOCF ₂ H	Me	3-SOCF ₂ H	Me	4-SOCF ₂ H
Me	2-SO ₂ CF ₂ H	Me	3-SO ₂ CF ₂ H	Me	4-SO ₂ CF ₂ H
Cl	2-CF ₃	Cl	3-CF ₃	Cl	4-CF ₃
Cl	2-OCF ₃	Cl	3-OCF ₃	Cl	4-OCF ₃
Cl	2-OCF ₂ H	Cl	3-OCF ₂ H	Cl	4-OCF ₂ H
Cl	2-OCF ₂ CF ₂ H	Cl	3-OCF ₂ CF ₂ H	Cl	4-OCF ₂ CF ₂ H
Cl	2-OCH ₂ CF ₃	Cl	3-OCH ₂ CF ₃	Cl	4-OCH ₂ CF ₃
Cl	2-SCF ₃	Cl	3-SCF ₃	Cl	4-SCF ₃
Cl	2-SOCF ₃	Cl	3-SOCF ₃	Cl	4-SOCF ₃
Cl	2-SO ₂ CF ₃	Cl	3-SO ₂ CF ₃	Cl	4-SO ₂ CF ₃
Cl	2-SCF ₂ H	Cl	3-SCF ₂ H	Cl	4-SCF ₂ H
Cl	2-SOCF ₂ H	Cl	3-SOCF ₂ H	Cl	4-SOCF ₂ H
Cl	2-SO ₂ CF ₂ H	Cl	3-SO ₂ CF ₂ H	Cl	4-SO ₂ CF ₂ H
F	2-CF ₃	F	3-CF ₃	F	4-CF ₃
F	2-OCF ₃	F	3-OCF ₃	F	4-OCF ₃
F	2-OCF ₂ H	F	3-OCF ₂ H	F	4-OCF ₂ H
F	2-OCF ₂ CF ₂ H	F	3-OCF ₂ CF ₂ H	F	4-OCF ₂ CF ₂ H
F	2-OCH ₂ CF ₃	F	3-OCH ₂ CF ₃	F	4-OCH ₂ CF ₃
F	2-SCF ₃	F	3-SCF ₃	F	4-SCF ₃
F	2-SOCF ₃	F	3-SOCF ₃	F	4-SOCF ₃
F	2-SO ₂ CF ₃	F	3-SO ₂ CF ₃	F	4-SO ₂ CF ₃
F	2-SCF ₂ H	F	3-SCF ₂ H	F	4-SCF ₂ H
F	2-SOCF ₂ H	F	3-SOCF ₂ H	F	4-SOCF ₂ H
F	2-SO ₂ CF ₂ H	F	3-SO ₂ CF ₂ H	F	4-SO ₂ CF ₂ H
Br	2-CF ₃	Br	3-CF ₃	Br	4-CF ₃
Br	2-OCF ₃	Br	3-OCF ₃	Br	4-OCF ₃
Br	2-OCF ₂ H	Br	3-OCF ₂ H	Br	4-OCF ₂ H
Br	2-OCF ₂ CF ₂ H	Br	3-OCF ₂ CF ₂ H	Br	4-OCF ₂ CF ₂ H
Br	2-OCH ₂ CF ₃	Br	3-OCH ₂ CF ₃	Br	4-OCH ₂ CF ₃
Br	2-SCF ₃	Br	3-SCF ₃	Br	4-SCF ₃
Br	2-SOCF ₃	Br	3-SOCF ₃	Br	4-SOCF ₃
Br	2-SO ₂ CF ₃	Br	3-SO ₂ CF ₃	Br	4-SO ₂ CF ₃

Br	2-SCF ₂ H	Br	3-SCF ₂ H	Br	4-SCF ₂ H
Br	2-SOCF ₂ H	Br	3-SOCF ₂ H	Br	4-SOCF ₂ H
Br	2-SO ₂ CF ₂ H	Br	3-SO ₂ CF ₂ H	Br	4-SO ₂ CF ₂ H
I	2-CF ₃	I	3-CF ₃	I	4-CF ₃
I	2-OCF ₃	I	3-OCF ₃	I	4-OCF ₃
I	2-OCF ₂ H	I	3-OCF ₂ H	I	4-OCF ₂ H
I	2-OCF ₂ CF ₂ H	I	3-OCF ₂ CF ₂ H	I	4-OCF ₂ CF ₂ H
I	2-OCH ₂ CF ₃	I	3-OCH ₂ CF ₃	I	4-OCH ₂ CF ₃
I	2-SCF ₃	I	3-SCF ₃	I	4-SCF ₃
I	2-SOCF ₃	I	3-SOCF ₃	I	4-SOCF ₃
I	2-SO ₂ CF ₃	I	3-SO ₂ CF ₃	I	4-SO ₂ CF ₃
I	2-SCF ₂ H	I	3-SCF ₂ H	I	4-SCF ₂ H
I	2-SOCF ₂ H	I	3-SOCF ₂ H	I	4-SOCF ₂ H
I	2-SO ₂ CF ₂ H	I	3-SO ₂ CF ₂ H	I	4-SO ₂ CF ₂ H
OMe	2-CF ₃	OMe	3-CF ₃	OMe	4-CF ₃
OMe	2-OCF ₃	OMe	3-OCF ₃	OMe	4-OCF ₃
OMe	2-OCF ₂ H	OMe	3-OCF ₂ H	OMe	4-OCF ₂ H
OMe	2-OCF ₂ CF ₂ H	OMe	3-OCF ₂ CF ₂ H	OMe	4-OCF ₂ CF ₂ H
OMe	2-OCH ₂ CF ₃	OMe	3-OCH ₂ CF ₃	OMe	4-OCH ₂ CF ₃
OMe	2-SCF ₃	OMe	3-SCF ₃	OMe	4-SCF ₃
OMe	2-SOCF ₃	OMe	3-SOCF ₃	OMe	4-SOCF ₃
OMe	2-SO ₂ CF ₃	OMe	3-SO ₂ CF ₃	OMe	4-SO ₂ CF ₃
OMe	2-SCF ₂ H	OMe	3-SCF ₂ H	OMe	4-SCF ₂ H
OMe	2-SOCF ₂ H	OMe	3-SOCF ₂ H	OMe	4-SOCF ₂ H
OMe	2-SO ₂ CF ₂ H	OMe	3-SO ₂ CF ₂ H	OMe	4-SO ₂ CF ₂ H
CF ₃	2-CF ₃	CF ₃	3-CF ₃	CF ₃	4-CF ₃
CF ₃	2-OCF ₃	CF ₃	3-OCF ₃	CF ₃	4-OCF ₃
CF ₃	2-OCF ₂ H	CF ₃	3-OCF ₂ H	CF ₃	4-OCF ₂ H
CF ₃	2-OCF ₂ CF ₂ H	CF ₃	3-OCF ₂ CF ₂ H	CF ₃	4-OCF ₂ CF ₂ H
CF ₃	2-OCH ₂ CF ₃	CF ₃	3-OCH ₂ CF ₃	CF ₃	4-OCH ₂ CF ₃
CF ₃	2-SCF ₃	CF ₃	3-SCF ₃	CF ₃	4-SCF ₃
CF ₃	2-SOCF ₃	CF ₃	3-SOCF ₃	CF ₃	4-SOCF ₃
CF ₃	2-SO ₂ CF ₃	CF ₃	3-SO ₂ CF ₃	CF ₃	4-SO ₂ CF ₃
CF ₃	2-SCF ₂ H	CF ₃	3-SCF ₂ H	CF ₃	4-SCF ₂ H
CF ₃	2-SOCF ₂ H	CF ₃	3-SOCF ₂ H	CF ₃	4-SOCF ₂ H
CF ₃	2-SO ₂ CF ₂ H	CF ₃	3-SO ₂ CF ₂ H	CF ₃	4-SO ₂ CF ₂ H
OCF ₂ H	2-CF ₃	OCF ₂ H	3-CF ₃	OCF ₂ H	4-CF ₃

OCF ₂ H	2-OCF ₃	OCF ₂ H	3-OCF ₃	OCF ₂ H	4-OCF ₃
OCF ₂ H	2-OCF ₂ H	OCF ₂ H	3-OCF ₂ H	OCF ₂ H	4-OCF ₂ H
OCF ₂ H	2-OCF ₂ CF ₂ H	OCF ₂ H	3-OCF ₂ CF ₂ H	OCF ₂ H	4-OCF ₂ CF ₂ H
OCF ₂ H	2-OCH ₂ CF ₃	OCF ₂ H	3-OCH ₂ CF ₃	OCF ₂ H	4-OCH ₂ CF ₃
OCF ₂ H	2-SCF ₃	OCF ₂ H	3-SCF ₃	OCF ₂ H	4-SCF ₃
OCF ₂ H	2-SOCF ₃	OCF ₂ H	3-SOCF ₃	OCF ₂ H	4-SOCF ₃
OCF ₂ H	2-SO ₂ CF ₃	OCF ₂ H	3-SO ₂ CF ₃	OCF ₂ H	4-SO ₂ CF ₃
OCF ₂ H	2-SCF ₂ H	OCF ₂ H	3-SCF ₂ H	OCF ₂ H	4-SCF ₂ H
OCF ₂ H	2-SOCF ₂ H	OCF ₂ H	3-SOCF ₂ H	OCF ₂ H	4-SOCF ₂ H
OCF ₂ H	2-SO ₂ CF ₂ H	OCF ₂ H	3-SO ₂ CF ₂ H	OCF ₂ H	4-SO ₂ CF ₂ H
Me	2-Me-4-CF ₃	F	2-Me-4-CF ₃	Cl	2-Me-4-CF ₃
Me	2-Me-4-OCF ₃	F	2-Me-4-OCF ₃	Cl	2-Me-4-OCF ₃
Me	2-Me-4-OCF ₂ H	F	2-Me-4-OCF ₂ H	Cl	2-Me-4-OCF ₂ H
Me	2-Me-4-OCH ₂ CF ₃	F	2-Me-4-OCH ₂ CF ₃	Cl	2-Me-4-OCH ₂ CF ₃
Me	2-Me-4-SCF ₃	F	2-Me-4-SCF ₃	Cl	2-Me-4-SCF ₃
Me	2-Me-4-SOCF ₃	F	2-Me-4-SOCF ₃	Cl	2-Me-4-SOCF ₃
Me	2-Me-4-SO ₂ CF ₃	F	2-Me-4-SO ₂ CF ₃	Cl	2-Me-4-SO ₂ CF ₃
Me	2-Me-4-SCF ₂ H	F	2-Me-4-SCF ₂ H	Cl	2-Me-4-SCF ₂ H
Me	2-Me-4-SOCF ₂ H	F	2-Me-4-SOCF ₂ H	Cl	2-Me-4-SOCF ₂ H
Me	2-Me-4-SO ₂ CF ₂ H	F	2-Me-4-SO ₂ CF ₂ H	Cl	2-Me-4-SO ₂ CF ₂ H
Br	2-Me-4-CF ₃	I	2-Me-4-CF ₃	OMe	2-Me-4-CF ₃
Br	2-Me-4-OCF ₃	I	2-Me-4-OCF ₃	OMe	2-Me-4-OCF ₃
Br	2-Me-4-OCF ₂ H	I	2-Me-4-OCF ₂ H	OMe	2-Me-4-OCF ₂ H
Br	2-Me-4-OCH ₂ CF ₃	I	2-Me-4-OCH ₂ CF ₃	OMe	2-Me-4-OCH ₂ CF ₃
Br	2-Me-4-SCF ₃	I	2-Me-4-SCF ₃	OMe	2-Me-4-SCF ₃
Br	2-Me-4-SOCF ₃	I	2-Me-4-SOCF ₃	OMe	2-Me-4-SOCF ₃
Br	2-Me-4-SO ₂ CF ₃	I	2-Me-4-SO ₂ CF ₃	OMe	2-Me-4-SO ₂ CF ₃
Br	2-Me-4-SCF ₂ H	I	2-Me-4-SCF ₂ H	OMe	2-Me-4-SCF ₂ H
Br	2-Me-4-SOCF ₂ H	I	2-Me-4-SOCF ₂ H	OMe	2-Me-4-SOCF ₂ H
Br	2-Me-4-SO ₂ CF ₂ H	I	2-Me-4-SO ₂ CF ₂ H	OMe	2-Me-4-SO ₂ CF ₂ H
CF ₃	2-Me-4-CF ₃	NO ₂	2-Me-4-CF ₃	SMe	2-Me-4-CF ₃
CF ₃	2-Me-4-OCF ₃	NO ₂	2-Me-4-OCF ₃	SMe	2-Me-4-OCF ₃
CF ₃	2-Me-4-OCF ₂ H	NO ₂	2-Me-4-OCF ₂ H	SMe	2-Me-4-OCF ₂ H
CF ₃	2-Me-4-OCH ₂ CF ₃	NO ₂	2-Me-4-OCH ₂ CF ₃	SMe	2-Me-4-OCH ₂ CF ₃
CF ₃	2-Me-4-SCF ₃	NO ₂	2-Me-4-SCF ₃	SMe	2-Me-4-SCF ₃
CF ₃	2-Me-4-SOCF ₃	NO ₂	2-Me-4-SOCF ₃	SMe	2-Me-4-SOCF ₃
CF ₃	2-Me-4-SO ₂ CF ₃	NO ₂	2-Me-4-SO ₂ CF ₃	SMe	2-Me-4-SO ₂ CF ₃

CF ₃	2-Me-4-SCF ₂ H	NO ₂	2-Me-4-SCF ₂ H	SMe	2-Me-4-SCF ₂ H
CF ₃	2-Me-4-SOCF ₂ H	NO ₂	2-Me-4-SOCF ₂ H	SMe	2-Me-4-SOCF ₂ H
CF ₃	2-Me-4-SO ₂ CF ₂ H	NO ₂	2-Me-4-SO ₂ CF ₂ H	SMe	2-Me-4-SO ₂ CF ₂ H

Table 5



R ³	R ⁴	R ⁷	W	X	Y	Z
i-Pr	Me	CF ₃	CMe	N	CH	CH
i-Pr	Cl	CF ₃	CMe	N	CH	CH
i-Pr	Br	CF ₃	CMe	N	CH	CH
i-Pr	I	CF ₃	CMe	N	CH	CH
i-Pr	F	CF ₃	CMe	N	CH	CH
i-Pr	H	CF ₃	CMe	N	CH	CH
i-Pr	Et	CF ₃	CMe	N	CH	CH
i-Pr	Me	CF ₃	CMe	CH	N	CH
i-Pr	Cl	CF ₃	CMe	CH	N	CH
i-Pr	Br	CF ₃	CMe	CH	N	CH
i-Pr	I	CF ₃	CMe	CH	N	CH
i-Pr	F	CF ₃	CMe	CH	N	CH
i-Pr	H	CF ₃	CMe	CH	N	CH
i-Pr	Et	CF ₃	CMe	CH	N	CH
i-Pr	Me	CF ₃	CMe	CH	CH	N
i-Pr	Cl	CF ₃	CMe	CH	CH	N
i-Pr	Br	CF ₃	CMe	CH	CH	N
i-Pr	I	CF ₃	CMe	CH	CH	N
i-Pr	F	CF ₃	CMe	CH	CH	N
i-Pr	H	CF ₃	CMe	CH	CH	N
i-Pr	Et	CF ₃	CMe	CH	CH	N
i-Pr	Me	CF ₃	CMe	N	CH	N

i-Pr	Cl	CF ₃	CMe	N	CH	N
i-Pr	Br	CF ₃	CMe	N	CH	N
i-Pr	I	CF ₃	CMe	N	CH	N
i-Pr	F	CF ₃	CMe	N	CH	N
i-Pr	H	CF ₃	CMe	N	CH	N
i-Pr	Et	CF ₃	CMe	N	CH	N
i-Bu	Me	CF ₃	CMe	N	CH	CH
i-Bu	Cl	CF ₃	CMe	N	CH	CH
i-Bu	Br	CF ₃	CMe	N	CH	CH
i-Bu	I	CF ₃	CMe	N	CH	CH
i-Bu	F	CF ₃	CMe	N	CH	CH
i-Bu	H	CF ₃	CMe	N	CH	CH
i-Bu	Et	CF ₃	CMe	N	CH	CH
i-Bu	Me	CF ₃	CMe	CH	N	CH
i-Bu	Cl	CF ₃	CMe	CH	N	CH
i-Bu	Br	CF ₃	CMe	CH	N	CH
i-Bu	I	CF ₃	CMe	CH	N	CH
i-Bu	F	CF ₃	CMe	CH	N	CH
i-Bu	H	CF ₃	CMe	CH	N	CH
i-Bu	Et	CF ₃	CMe	CH	N	CH
i-Bu	Me	CF ₃	CMe	CH	CH	N
i-Bu	Cl	CF ₃	CMe	CH	CH	N
i-Bu	Br	CF ₃	CMe	CH	CH	N
i-Bu	I	CF ₃	CMe	CH	CH	N
i-Bu	F	CF ₃	CMe	CH	CH	N
i-Bu	H	CF ₃	CMe	CH	CH	N
i-Bu	Et	CF ₃	CMe	CH	CH	N
i-Pr	Me	OCF ₃	CMe	N	CH	CH
i-Pr	Cl	OCF ₃	CMe	N	CH	CH
i-Pr	Br	OCF ₃	CMe	N	CH	CH
i-Pr	I	OCF ₃	CMe	N	CH	CH
i-Pr	F	OCF ₃	CMe	N	CH	CH
i-Pr	H	OCF ₃	CMe	N	CH	CH
i-Pr	Et	OCF ₃	CMe	N	CH	CH
i-Pr	Me	CF ₃	CH	N	CH	CH
i-Pr	Cl	CF ₃	CH	N	CH	CH
i-Pr	Br	CF ₃	CH	N	CH	CH

<i>i</i> -Pr	I	CF ₃	CH	N	CH	CH
<i>i</i> -Pr	F	CF ₃	CH	N	CH	CH
<i>i</i> -Pr	H	CF ₃	CH	N	CH	CH
<i>i</i> -Pr	Et	CF ₃	CH	N	CH	CH
<i>i</i> -Pr	Me	Cl	CMe	CH	CH	N
<i>i</i> -Pr	Cl	Cl	CMe	CH	CH	N
<i>i</i> -Pr	Br	Cl	CMe	CH	CH	N
<i>i</i> -Pr	I	Cl	CMe	CH	CH	N
<i>i</i> -Pr	F	Cl	CMe	CH	CH	N
<i>i</i> -Pr	H	Cl	CMe	CH	CH	N
<i>i</i> -Pr	Et	Cl	CMe	CH	CH	N

Table 6



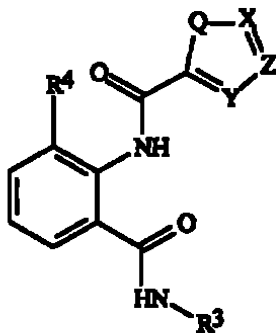
R ³	R ⁴	R ⁷	X	Y	Z
<i>i</i> -Pr	Me	CF ₃	CMe	N	CH
<i>i</i> -Pr	Cl	CF ₃	CMe	N	CH
<i>i</i> -Pr	Br	CF ₃	CMe	N	CH
<i>i</i> -Pr	I	CF ₃	CMe	N	CH
<i>i</i> -Pr	F	CF ₃	CMe	N	CH
<i>i</i> -Pr	H	CF ₃	CMe	N	CH
<i>i</i> -Pr	Et	CF ₃	CMe	N	CH
<i>i</i> -Pr	Me	CF ₃	CMe	CH	N
<i>i</i> -Pr	Cl	CF ₃	CMe	CH	N
<i>i</i> -Pr	Br	CF ₃	CMe	CH	N
<i>i</i> -Pr	I	CF ₃	CMe	CH	N
<i>i</i> -Pr	F	CF ₃	CMe	CH	N
<i>i</i> -Pr	H	CF ₃	CMe	CH	N
<i>i</i> -Pr	Et	CF ₃	CMe	CH	N

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<i>i</i> -Pr	Me	CF ₃	CMe	N	N
<i>i</i> -Pr	Cl	CF ₃	CMe	N	N
<i>i</i> -Pr	Br	CF ₃	CMe	N	N
<i>i</i> -Pr	I	CF ₃	CMe	N	N
<i>i</i> -Pr	F	CF ₃	CMe	N	N
<i>i</i> -Pr	H	CF ₃	CMe	N	N
<i>i</i> -Pr	Et	CF ₃	CMe	N	N
<i>i</i> -Pr	Me	CF ₃	CEt	CH	N
<i>i</i> -Pr	Cl	CF ₃	CEt	CH	N
<i>i</i> -Pr	Br	CF ₃	CEt	CH	N
<i>i</i> -Pr	I	CF ₃	CEt	CH	N
<i>i</i> -Pr	F	CF ₃	CEt	CH	N
<i>i</i> -Pr	H	CF ₃	CEt	CH	N
<i>i</i> -Pr	Et	CF ₃	CEt	CH	N
<i>i</i> -Bu	Me	CF ₃	CMe	N	CH
<i>i</i> -Bu	Cl	CF ₃	CMe	N	CH
<i>i</i> -Bu	Br	CF ₃	CMe	N	CH
<i>i</i> -Bu	I	CF ₃	CMe	N	CH
<i>i</i> -Bu	F	CF ₃	CMe	N	CH
<i>i</i> -Bu	H	CF ₃	CMe	N	CH
<i>i</i> -Bu	Et	CF ₃	CMe	N	CH
<i>i</i> -Bu	Me	CF ₃	CMe	CH	N
<i>i</i> -Bu	Cl	CF ₃	CMe	CH	N
<i>i</i> -Bu	Br	CF ₃	CMe	CH	N
<i>i</i> -Bu	I	CF ₃	CMe	CH	N
<i>i</i> -Bu	F	CF ₃	CMe	CH	N
<i>i</i> -Bu	H	CF ₃	CMe	CH	N
<i>i</i> -Bu	Et	CF ₃	CMe	CH	N
<i>i</i> -Bu	Me	CF ₃	CMe	N	N
<i>i</i> -Bu	Cl	CF ₃	CMe	N	N
<i>i</i> -Bu	Br	CF ₃	CMe	N	N
<i>i</i> -Bu	I	CF ₃	CMe	N	N
<i>i</i> -Bu	F	CF ₃	CMe	N	N
<i>i</i> -Bu	H	CF ₃	CMe	N	N
<i>i</i> -Bu	Et	CF ₃	CMe	N	N
<i>i</i> -Pr	Me	OCF ₃	CMe	CH	N
<i>i</i> -Pr	Cl	OCF ₃	CMe	CH	N

<i>i</i> -Pr	Br	OCF ₃	CMe	CH	N
<i>i</i> -Pr	I	OCF ₃	CMe	CH	N
<i>i</i> -Pr	F	OCF ₃	CMe	CH	N
<i>i</i> -Pr	H	OCF ₃	CMe	CH	N
<i>i</i> -Pr	Et	OCF ₃	CMe	CH	N
<i>i</i> -Pr	Me	CF ₃	CH	CH	N
<i>i</i> -Pr	Cl	CF ₃	CH	CH	N
<i>i</i> -Pr	Br	CF ₃	CH	CH	N
<i>i</i> -Pr	I	CF ₃	CH	CH	N
<i>i</i> -Pr	F	CF ₃	CH	CH	N
<i>i</i> -Pr	H	CF ₃	CH	CH	N
<i>i</i> -Pr	Et	CF ₃	CH	CH	N
<i>i</i> -Pr	Me	Cl	CMe	CH	N
<i>i</i> -Pr	Cl	Cl	CMe	CH	N
<i>i</i> -Pr	Br	Cl	CMe	CH	N
<i>i</i> -Pr	I	Cl	CMe	CH	N
<i>i</i> -Pr	F	Cl	CMe	CH	N
<i>i</i> -Pr	H	Cl	CMe	CH	N
<i>i</i> -Pr	Et	Cl	CMe	CH	N

Table 7



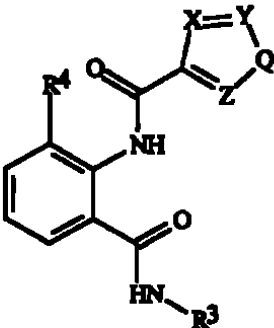
R ³	R ⁴	Q	X	Y	Z
<i>i</i> -Pr	Me	S	CCF ₃	CH	CH
<i>i</i> -Pr	Cl	S	CCF ₃	CH	CH
<i>i</i> -Pr	Br	S	CCF ₃	CH	CH
<i>i</i> -Pr	I	S	CCF ₃	CH	CH
<i>i</i> -Pr	F	S	CCF ₃	CH	CH
<i>i</i> -Pr	H	S	CCF ₃	CH	CH

i-Pr	Et	S	CCF ₃	CH	CH
i-Pr	Me	S	CCF ₃	CMe	CH
i-Pr	Cl	S	CCF ₃	CMe	CH
i-Pr	Br	S	CCF ₃	CMe	CH
i-Pr	I	S	CCF ₃	CMe	CH
i-Pr	F	S	CCF ₃	CMe	CH
i-Pr	H	S	CCF ₃	CMe	CH
i-Pr	Et	S	CCF ₃	CMe	CH
i-Bu	Me	S	CCF ₃	CMe	CH
i-Bu	Cl	S	CCF ₃	CMe	CH
i-Bu	Br	S	CCF ₃	CMe	CH
i-Bu	I	S	CCF ₃	CMe	CH
i-Bu	F	S	CCF ₃	CMe	CH
i-Bu	H	S	CCF ₃	CMe	CH
i-Bu	Et	S	CCF ₃	CMe	CH
i-Pr	Me	S	CCF ₃	CMe	N
i-Pr	Cl	S	CCF ₃	CMe	N
i-Pr	Br	S	CCF ₃	CMe	N
i-Pr	I	S	CCF ₃	CMe	N
i-Pr	F	S	CCF ₃	CMe	N
i-Pr	H	S	CCF ₃	CMe	N
i-Pr	Et	S	CCF ₃	CMe	N
i-Pr	Me	S	COCH ₂ CF ₃	CMe	N
i-Pr	Cl	S	COCH ₂ CF ₃	CMe	N
i-Pr	Br	S	COCH ₂ CF ₃	CMe	N
i-Pr	I	S	COCH ₂ CF ₃	CMe	N
i-Pr	F	S	COCH ₂ CF ₃	CMe	N
i-Pr	H	S	COCH ₂ CF ₃	CMe	N
i-Pr	Et	S	COCH ₂ CF ₃	CMe	N
i-Pr	Me	S	COCHF ₂	CMe	N
i-Pr	Cl	S	COCHF ₂	CMe	N
i-Pr	Br	S	COCHF ₂	CMe	N
i-Pr	I	S	COCHF ₂	CMe	N
i-Pr	F	S	COCHF ₂	CMe	N
i-Pr	H	S	COCHF ₂	CMe	N
i-Pr	Et	S	COCHF ₂	CMe	N
i-Pr	Me	O	CCF ₃	CMe	N

<i>i</i> -Pr	Cl	O	CCF ₃	CMe	N
<i>i</i> -Pr	Br	O	CCF ₃	CMe	N
<i>i</i> -Pr	I	O	CCF ₃	CMe	N
<i>i</i> -Pr	F	O	CCF ₃	CMe	N
<i>i</i> -Pr	H	O	CCF ₃	CMe	N
<i>i</i> -Pr	Et	O	CCF ₃	CMe	N
<i>i</i> -Pr	Me	NMe	N	CH	CCF ₃
<i>i</i> -Pr	Cl	NMe	N	CH	CCF ₃
<i>i</i> -Pr	Br	NMe	N	CH	CCF ₃
<i>i</i> -Pr	I	NMe	N	CH	CCF ₃
<i>i</i> -Pr	F	NMe	N	CH	CCF ₃
<i>i</i> -Pr	H	NMe	N	CH	CCF ₃
<i>i</i> -Pr	Et	NMe	N	CH	CCF ₃
<i>i</i> -Pr	Me	NEt	N	CH	CCF ₃
<i>i</i> -Pr	Cl	NEt	N	CH	CCF ₃
<i>i</i> -Pr	Br	NEt	N	CH	CCF ₃
<i>i</i> -Pr	I	NEt	N	CH	CCF ₃
<i>i</i> -Pr	F	NEt	N	CH	CCF ₃
<i>i</i> -Pr	H	NEt	N	CH	CCF ₃
<i>i</i> -Pr	Et	NEt	N	CH	CCF ₃
<i>i</i> -Pr	Me	NMe	N	CH	CC ₂ F ₅
<i>i</i> -Pr	Cl	NMe	N	CH	CC ₂ F ₅
<i>i</i> -Pr	Br	NMe	N	CH	CC ₂ F ₅
<i>i</i> -Pr	I	NMe	N	CH	CC ₂ F ₅
<i>i</i> -Pr	F	NMe	N	CH	CC ₂ F ₅
<i>i</i> -Pr	H	NMe	N	CH	CC ₂ F ₅
<i>i</i> -Pr	Et	NMe	N	CH	CC ₂ F ₅
<i>i</i> -Bu	Me	NMe	N	CH	CCF ₃
<i>i</i> -Bu	Cl	NMe	N	CH	CCF ₃
<i>i</i> -Bu	Br	NMe	N	CH	CCF ₃
<i>i</i> -Bu	I	NMe	N	CH	CCF ₃
<i>i</i> -Bu	F	NMe	N	CH	CCF ₃
<i>i</i> -Bu	H	NMe	N	CH	CCF ₃
<i>i</i> -Bu	Et	NMe	N	CH	CCF ₃
<i>i</i> -Pr	Me	NMe	CH	N	CCF ₃
<i>i</i> -Pr	Cl	NMe	CH	N	CCF ₃
<i>i</i> -Pr	Br	NMe	CH	N	CCF ₃

<i>i</i> -Pr	I	NMe	CH	N	CCF ₃
<i>i</i> -Pr	F	NMe	CH	N	CCF ₃
<i>i</i> -Pr	H	NMe	CH	N	CCF ₃
<i>i</i> -Pr	Et	NMe	CH	N	CCF ₃
<i>i</i> -Pr	Me	NMe	N	N	CCF ₃
<i>i</i> -Pr	Cl	NMe	N	N	CCF ₃
<i>i</i> -Pr	Br	NMe	N	N	CCF ₃
<i>i</i> -Pr	I	NMe	N	N	CCF ₃
<i>i</i> -Pr	F	NMe	N	N	CCF ₃
<i>i</i> -Pr	H	NMe	N	N	CCF ₃
<i>i</i> -Pr	Et	NMe	N	N	CCF ₃

Table 8



R ³	R ⁴	Q	X	Y	Z
<i>i</i> -Pr	Me	NCHF ₂	CMe	N	CH
<i>i</i> -Pr	Cl	NCHF ₂	CMe	N	CH
<i>i</i> -Pr	Br	NCHF ₂	CMe	N	CH
<i>i</i> -Pr	I	NCHF ₂	CMe	N	CH
<i>i</i> -Pr	F	NCHF ₂	CMe	N	CH
<i>i</i> -Pr	H	NCHF ₂	CMe	N	CH
<i>i</i> -Pr	Et	NCHF ₂	CMe	N	CH
<i>i</i> -Pr	Me	NCHF ₂	CH	N	CMe
<i>i</i> -Pr	Cl	NCHF ₂	CH	N	CMe
<i>i</i> -Pr	Br	NCHF ₂	CH	N	CMe
<i>i</i> -Pr	I	NCHF ₂	CH	N	CMe
<i>i</i> -Pr	F	NCHF ₂	CH	N	CMe
<i>i</i> -Pr	H	NCHF ₂	CH	N	CMe
<i>i</i> -Pr	Et	NCHF ₂	CH	N	CMe

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i-Pr	Me	NCF ₂ CHF ₂	CMe	N	CH
i-Pr	Cl	NCF ₂ CHF ₂	CMe	N	CH
i-Pr	Br	NCF ₂ CHF ₂	CMe	N	CH
i-Pr	I	NCF ₂ CHF ₂	CMe	N	CH
i-Pr	F	NCF ₂ CHF ₂	CMe	N	CH
i-Pr	H	NCF ₂ CHF ₂	CMe	N	CH
i-Pr	Et	NCF ₂ CHF ₂	CMe	N	CH
i-Pr	Me	NCF ₂ CHF ₂	CH	N	CMe
i-Pr	Cl	NCF ₂ CHF ₂	CH	N	CMe
i-Pr	Br	NCF ₂ CHF ₂	CH	N	CMe
i-Pr	I	NCF ₂ CHF ₂	CH	N	CMe
i-Pr	F	NCF ₂ CHF ₂	CH	N	CMe
i-Pr	H	NCF ₂ CHF ₂	CH	N	CMe
i-Pr	Et	NCF ₂ CHF ₂	CH	N	CMe
i-Pr	Me	NCH ₂ CF ₃	CMe	N	CH
i-Pr	Cl	NCH ₂ CF ₃	CMe	N	CH
i-Pr	Br	NCH ₂ CF ₃	CMe	N	CH
i-Pr	I	NCH ₂ CF ₃	CMe	N	CH
i-Pr	F	NCH ₂ CF ₃	CMe	N	CH
i-Pr	H	NCH ₂ CF ₃	CMe	N	CH
i-Pr	Et	NCH ₂ CF ₃	CMe	N	CH
i-Pr	Me	NCH ₂ CF ₃	CH	N	CMe
i-Pr	Cl	NCH ₂ CF ₃	CH	N	CMe
i-Pr	Br	NCH ₂ CF ₃	CH	N	CMe
i-Pr	I	NCH ₂ CF ₃	CH	N	CMe
i-Pr	F	NCH ₂ CF ₃	CH	N	CMe
i-Pr	H	NCH ₂ CF ₃	CH	N	CMe
i-Pr	Et	NCH ₂ CF ₃	CH	N	CMe
i-Pr	Me	NCF ₂ CHF ₂	N	CH	CMe
i-Pr	Cl	NCF ₂ CHF ₂	N	CH	CMe
i-Pr	Br	NCF ₂ CHF ₂	N	CH	CMe
i-Pr	I	NCF ₂ CHF ₂	N	CH	CMe
i-Pr	F	NCF ₂ CHF ₂	N	CH	CMe
i-Pr	H	NCF ₂ CHF ₂	N	CH	CMe
i-Pr	Et	NCF ₂ CHF ₂	N	CH	CMe

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Formulation/Utility

Compounds of this invention will generally be used as a formulation or composition with an agriculturally 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, and the like which can be water-dispersible ("wetttable") 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 that add up to 100 percent by weight.

	Weight Percent		
	Active Ingredient	Diluent	Surfactant
Water-Dispersible and Water-soluble Granules, Tablets and Powders.	5-90	0-94	1-15
Suspensions, Emulsions, Solutions (including Emulsifiable Concentrates)	5-50	40-95	0-15
Dusts	1-25	70-99	0-5
Granules and Pellets	0.01-99	5-99.99	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, and

5 polyoxyethylene/polyoxypropylene block copolymers. 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, paraffins,

10 alkylbenzenes, alkylnaphthalenes, 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, and alcohols such as methanol, cyclohexanol, decanol and tetrahydrofurfuryl alcohol.

Solutions, including emulsifiable concentrates, can be prepared by simply mixing the

15 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*

20 *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.

25 3,299,566.

For further information regarding the art of formulation, see 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;

30 Klingman, *Weed Control as a Science*, John Wiley and Sons, Inc., New York, 1961, pp 81-96; and Hance et al., *Weed Control Handbook*, 8th Ed., Blackwell Scientific Publications, Oxford, 1989.

In the following Examples, all percentages are by weight and all formulations are prepared in conventional ways. Compound numbers refer to compounds in Index Tables A.

Example A**Wettable Powder**

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

Example B**Granule**

10	Compound 1	10.0%
	attapulgate granules (low volatile matter, 0.71/0.30 mm; U.S.S. No. 25-50 sieves)	90.0%.

Example C**Extruded Pellet**

15	Compound 1	25.0%
	anhydrous sodium sulfate	10.0%
	crude calcium ligninsulfonate	5.0%
	sodium alkyl naphthalenesulfonate	1.0%
	calcium/magnesium bentonite	59.0%.

Example D**Emulsifiable Concentrate**

	Compound 1	20.0%
	blend of oil soluble sulfonates and polyoxyethylene ethers	10.0%
25	isophorone	70.0%.

The compounds of this invention exhibit activity against a wide spectrum of foliar-feeding, fruit-feeding, stem or root feeding, seed-feeding, aquatic and soil-inhabiting arthropods (term "arthropods" includes insects, mites and nematodes) which are pests of growing and stored agronomic crops, forestry, greenhouse crops, ornamentals, nursery crops, stored food and fiber products, livestock, household, and public and animal health. Those skilled in the art will appreciate that not all compounds are equally effective against all growth stages of all pests. Nevertheless, all of the compounds of this invention display activity against pests that include: eggs, larvae and adults of the Order Lepidoptera; eggs, foliar-feeding, fruit-feeding, root-feeding, seed-feeding larvae and adults of the Order Coleoptera; eggs, immatures and adults of the Orders Hemiptera and Homoptera; eggs, larvae, nymphs and adults of the Order Acari; eggs, immatures and adults of the Orders Thysanoptera, Orthoptera and Dermaptera; eggs, immatures and adults of the Order Diptera;

and eggs, juveniles and adults of the Phylum Nematoda. The compounds of this invention are also active against pests of the Orders Hymenoptera, Isoptera, Siphonaptera, Blattaria, Thysanura and Psocoptera; pests belonging to the Class Arachnida and Phylum

- 5 (*Diabrotica undecimpunctata howardi*), aster leafhopper (*Mascrostes fascifrons*), boll weevil (*Anthonomus grandis*), two-spotted spider mite (*Tetranychus urticae*), fall armyworm (*Spodoptera frugiperda*), black bean aphid (*Aphis fabae*), green peach aphid (*Myzus persica*), cotton aphid (*Aphis gossypii*), Russian wheat aphid (*Diuraphis noxia*), English grain aphid (*Sitobion avenae*), tobacco budworm (*Heliothis virescens*), rice water weevil
- 10 (*Lissorhoptrus oryzophilus*), rice leaf beetle (*Oulema oryzae*), whitebacked planthopper (*Sogatella furcifera*), green leafhopper (*Nephotettix cincticeps*), brown planthopper (*Nilaparvata lugens*), small brown planthopper (*Laodelphax striatellus*), rice stem borer (*Chilo suppressalis*), rice leafroller (*Cnaphalocrocis medinalis*), black rice stink bug (*Scotinophara lurida*), rice stink bug (*Oebalus pugnax*), rice bug (*Leptocoris chinensis*),
- 15 slender rice bug (*Cletus punctiger*), and southern green stink bug (*Nezara viridula*). The compounds are active on mites, demonstrating ovicidal, larvicidal and chemosterilant activity against such families as Tetranychidae including *Tetranychus urticae*, *Tetranychus cinnabarinus*, *Tetranychus mcDanieli*, *Tetranychus pacificus*, *Tetranychus turkestanii*, *Byrbia rubrioculus*, *Panonychus ulmi*, *Panonychus citri*, *Eotetranychus carpinii borealis*,
- 20 *Eotetranychus*, *hicoriae*, *Eotetranychus sexmaculatus*, *Eotetranychus yunensis*, *Eotetranychus banksi* and *Oligonychus pratensis*; Tenuipalpidae including *Brevipalpus lewisi*, *Brevipalpus phoenicis*, *Brevipalpus californicus* and *Brevipalpus obovatus*; Eriophyidae including *Phyllocoptruta oleivora*, *Eriophyes sheldoni*, *Aculus cornutus*, *Epirimerus pyri* and *Eriophyes mangiferae*. See WO 90/10623 and WO 92/00673 for more
- 25 detailed pest descriptions.

- Compounds of this invention can also be mixed with one or more other insecticides, fungicides, nematocides, bactericides, acaricides, growth regulators, chemosterilants, semiochemicals, repellents, attractants, pheromones, feeding stimulants or other biologically
- 30 active compounds to form a multi-component pesticide giving an even broader spectrum of agricultural protection. Examples of such agricultural protectants with which compounds of this invention can be formulated are: insecticides such as abamectin, acephate, azinphos-methyl, bifenthrin, buprofezin, carbofuran, chlorfenapyr, chlorpyrifos, chlorpyrifos-methyl, cyfluthrin, beta-cyfluthrin, cyhalothrin, lambda-cyhalothrin, deltamethrin, diafenthiuron, diazinon, diflubenzuron, dimethoate, esfenvalerate, fenoxycarb,
- 35 fenpropathrin, fenvalerate, fipronil, flucythrinate, tau-fluvalinate, fonophos, imidacloprid, isofenphos, malathion, metaldehyde, methamidophos, methidathion, methomyl, methoprene, methoxychlor, methyl 7-chloro-2,5-dihydro-2-[[N-(methoxycarbonyl)-N-[4-(trifluoromethoxy)phenyl]amino]carbonyl]indeno[1,2-e][1,3,4]oxadiazine-4a(3H)-

carboxylate, monocrotophos, oxamyl, parathion, parathion-methyl, permethrin, phorate, phosalone, phosmet, phosphamidon, pirimicarb, profenofos, rotenone, sulprofos, tebufenozide, tefluthrin, terbufos, tetrachlorvinphos, thiacloprid, thiodicarb, tralomethrin, trichlorfon and triflumuron; fungicides such as acibenzolar, azoxystrobin, benomyl, blasticidin-S, Bordeaux mixture (Tribasic copper sulfate), bromuconazole, carpropamid (KTU 3616), captafol, captan, carbendazim, chloroneb, chlorothalonil, copper oxychloride, copper salts, cymoxanil, cyproconazole, cyprodinil (CGA 219417), (S)-3,5-dichloro-N-(3-chloro-1-ethyl-1-methyl-2-oxopropyl)-4-methylbenzamide (RH 7281), diclocymet (S-2900), diclomezine, dicloran, difenoconazole, (S)-3,5-dihydro-5-methyl-2-(methylthio)-5-phenyl-3-(phenylamino)-4H-imidazol-4-one (RP 407213), dimethomorph, diniconazole, diniconazole-M, dodine, edifenphos, epoxiconazole (BAS 480F), famoxadone, fenamidone, fenarimol, fenbuconazole, fencaramid (SZX0722), fenpiclonil, fenpropidin, fenpropimorph, fentin acetate, fentin hydroxide, fluazinam, fludioxonil, flumetover (RPA 403397), fluquinconazole, flusilazole, flutolanil, flutriafol, folpet, fosetyl-aluminum, furalaxyl, furametapyr (S-82658), hexaconazole, ipconazole, iprobenfos, iprodione, isoprothiolane, kasugamycin, kresoxim-methyl, mancozeb, maneb, mefenoxam, mepronil, metalaxyl, metconazole, metominostrobin/fenominostrobin (SSF-126), myclobutanil, neo-asozin (ferric methanearsonate), oxadixyl, penconazole, pencycuron, probenazole, prochloraz, propamocarb, propiconazole, pyrifenox, pyraclostrobin, pyrimethanil, pyroquilon, quinoxifen, spiromamine, sulfur, tebuconazole, tetraconazole, thiabendazole, thifluzamide, thiophanate-methyl, thiram, triadimefon, triadimenol, tricyclazole, trifloxystrobin, triticonazole, validamycin and vinclozolin; nematocides such as aldoxycarb and fenamiphos; bactericides such as streptomycin; acaricides such as amitraz, chinomethionat, chlorobenzilate, cyhexatin, dicofol, dinoclor, etoxazole, fenazaquin, fenbutatin oxide, fenpropathrin, fenpyroximate, hexythiazox, propargite, pyridaben and tebufenpyrad; and biological agents such as *Bacillus thuringiensis*, *Bacillus thuringiensis* delta endotoxin, baculovirus, and entomopathogenic bacteria, virus and fungi.

In certain instances, combinations with other arthropodicides having a similar spectrum of control but a different mode of action will be particularly advantageous for resistance management.

Arthropod pests are controlled and protection of agronomic, horticultural and specialty crops, animal and human health is achieved by applying one or more of the compounds of this invention, in an 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 further comprises a method for the control of foliar and soil inhabiting arthropods and nematode pests and protection of agronomic and/or nonagronomic crops, comprising applying one or more of the compounds of the invention, or compositions containing at least one such compound, in an 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. A preferred method of application is by spraying. Alternatively, granular formulations of these compounds can be applied to the plant foliage or the soil. Other methods of application

5 include direct and residual sprays, aerial sprays, seed coats, microencapsulations, systemic uptake, baits, cartags, boluses, foggers, fumigants, aerosols, dusts and many others. The compounds can be incorporated into baits that are consumed by the arthropods or in devices such as traps and the like.

The compounds of this invention can be applied in their pure state, but most often

10 application will be of a formulation comprising one or more compounds with suitable carriers, diluents, and surfactants and possibly in combination with a food depending on the contemplated end use. A preferred method of application involves spraying a water dispersion or refined oil solution of the compounds. Combinations with spray oils, spray oil concentrations, spreader stickers, adjuvants, other solvents, and synergists such as piperonyl

15 butoxide often enhance compound efficacy.

The rate of application required for effective control will depend on such factors as the species of arthropod 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

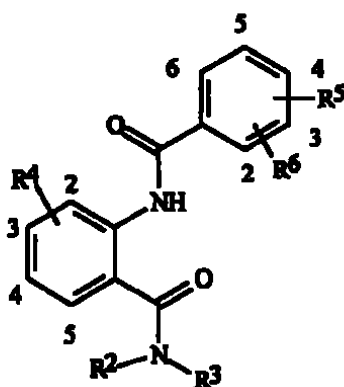
20 2 kg of active ingredient per hectare are sufficient to control pests in agronomic ecosystems, but as little as 0.001 kg/hectare may be sufficient or as much as 8 kg hectare may be required. For nonagronomic applications, effective use rates will range from about 1.0 to 50 mg/square meter but as little as 0.1 mg/square meter may be sufficient or as much as 150 mg/square meter may be required.

The following TEST demonstrates the control efficacy of compounds of this invention on specific pests. "Control efficacy" represents inhibition of arthropod 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 for compound descriptions. The following abbreviations are used in the Index Tables which

25 follow: *t* is tertiary, *n* is normal, *i* is iso, Me is methyl, Et is ethyl, Pr is propyl, *i*-Pr is isopropyl, Bu is butyl, Pent is pentyl, OMe is methoxy, OEt is ethoxy, SMe is methylthio, SEt is ethylthio, CN is cyano, and NO₂ is nitro. The abbreviation "Ex." stands for "Example" and is followed by a number indicating in which example the compound is prepared.

30

INDEX TABLE A



Compound	R ¹	R ²	R ³	R ⁴	R ⁵ and/or R ⁶	m.p. °C
1 (Ex 1)	H	i-Pr	H	2-Me	4-OCF ₃	207-209
2	H	i-Pr	H	5-Cl	2-CF ₃	195-196
3	H	i-Pr	H	5-Cl	2-Me-4-CF ₃	182-184
4	H	i-Pr	H	2-Me	4-CF ₃	238-240
5	H	i-Pr	H	2-Me	4-CO ₂ Me	216-217
6	H	i-Pr	H	2-Me	3-NO ₂	230-233
7	H	i-Pr	H	2-Me	3-CF ₃ -4-F	223-225
8	H	i-Pr	H	2-Me	3-CN	237-239
9	H	i-Pr	H	2-Me	2-OCF ₃	191-193
10	H	t-Bu	H	2-Me	4-OCF ₃	163-167
11	H	t-Bu	H	2-Me	4-CO ₂ Me	164-169
12	H	i-Pr	H	2-Cl	4-CO ₂ Me	224-225
13	H	t-Bu	H	2-Me	2-OCF ₃	203-204
14	H	t-Bu	H	2-Me	3-NO ₂	193-195
15	H	t-Bu	H	2-Me	3-CF ₃ -4-F	198-199
16	H	i-Pr	H	2-OMe	4-OCF ₃	178-181
17	H	i-Pr	H	2-Me	2-OCF ₃	170-172
18	H	i-Pr	H	2-OMe	3-CF ₃ -4-F	209-211
19	H	i-Pr	H	2-Cl	4-OCF ₃	215-216
20	H	i-Pr	Me	2-Me	2-OCF ₃	153-155
21	H	i-Pr	H	5-Me	4-OCF ₃	173-175
22	H	i-Pr	H	5-Me	2-OCF ₃	180-185
23	H	i-Pr	H	5-Me	4-CO ₂ Me	182-184
24	H	i-Pr	Me	2-Me	4-OCF ₃	Glass
25	H	i-Pr	Me	2-Me	4-CO ₂ Me	67-73

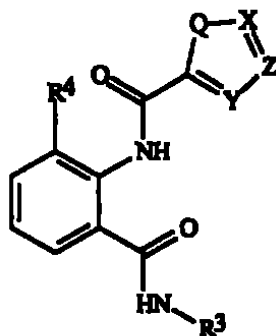
26	H	(1,2-di-Me)-Pr	H	2-Me	4-OCF ₃	189-191
27	H	(3-MeO)-i-Pr	H	2-Me	4-OCF ₃	147-148
28	H	(2-OMe)-Et	H	2-Me	4-OCF ₃	153-155
29	H	2-Pent	H	2-Me	4-OCF ₃	165-168
30	H	s-Bu	H	2-Me	4-OCF ₃	181-183
31	H	propargyl	H	2-Me	4-OCF ₃	190-192
32	H	n-Pr	H	2-Me	4-OCF ₃	189-191
33	H	Allyl	H	2-Me	4-OCF ₃	185-187
34	H	(2-Me ₂ N)-Et	H	2-Me	4-OCF ₃	168-170
35	H	propargyl	H	2-Me	4-OCF ₃	202-204
36	H	i-Bu	H	2-Me	4-OCF ₃	182-183
37	H	i-Pr	H	2,4-di-Me	4-OCF ₃	205-208
38	H	i-Pr	H	2,4-di-Me	4-CF ₃	> 230
39	H	i-Pr	H	2,4-di-Me	2-OCF ₃	231-232
40	H	i-Pr	H	2,4-di-Me	4-CO ₂ Me	219-221
41	H	i-Pr	H	2,4-di-Me	3-CF ₃ -4-F	222-224
42	H	t-Bu	H	2-OMe	4-CF ₃	210-214
43	H	t-Bu	H	2-OMe	4-OCF ₃	170-173
44	H	i-Pr	Me	2-Me	3-NO ₂	Oil
45	H	i-Pr	H	2-Cl	4-OCF ₃	187-194
46	H	t-Bu	H	2-Cl	4-OCF ₃	205-207
47	H	allyl	H	2-Cl	4-OCF ₃	188-189
48	H	s-Bu	H	2-Cl	4-OCF ₃	192-193
49	H	-CH ₂ CH ₂ CH ₂ CH ₂ -		2-Me	4-OCF ₃	138-142
50	H	CH ₂ CF ₃	H	2-Me	4-OCF ₃	> 230
51	H	c-Bu	H	2-Me	4-OCF ₃	218-220
52 (Ex 2)	H	i-Pr	H	2-Me	2-Me-4-CF ₃	247-248
53	H	i-Pr	H	5-Me	2-Me-4-CF ₃	186-188
54	H	i-Pr	H	H	4-OCF ₃	185-187
55	H	i-Pr	H	H	3-NO ₂	199-200
56	H	i-Pr	H	H	2-OCF ₃	118-122
57	Me	i-Pr	H	H	4-OCF ₃	117-118
58	Me	i-Pr	H	H	3-NO ₂	134-136
59	Me	i-Pr	H	H	2-OCF ₃	128-130
60	H	i-Pr	H	H	3-CF ₃	176-177
61	H	i-Pr	H	H	2-Me-4-CF ₃	100-106
62	H	Me	H	2-Me	4-OCF ₃	204-206

63	H	Et	H	2-Me	4-OCF ₃	198-200
64	H	i-Pr	H	2-Me	2-Cl	211-212
65	H	i-Pr	H	2-Me	3-CF ₃	198-200
66	H	i-Pr	H	2-Me	4-CN	> 230
67	H	i-Pr	H	2-Me	2-NO ₂	> 230
68	H	i-Pr	H	2-Me	3,5-di-CF ₃	> 230
69	H	i-Pr	H	2-Me	4-NO ₂	227-230
70	H	i-Pr	H	2-Me	2-CF ₃	227-230
71	H	i-Pr	H	H	2-Me-4-OCF ₃	118-124
72	H	i-Pr	H	H	4-CF ₃	196-198
73	H	i-Pr	H	2-Me	2-Me-4-SCF ₂ H	212-213
74	H	t-Bu	H	2-Me	2-Me-4-SCF ₂ H	193-195
75	H	i-Pr	H	2-Me	2-Me-4-OCF ₃	221-222
76	H	t-Bu	H	2-Me	4-CF ₃	217-219
77	H	t-Bu	H	2-Me	3-CF ₃	197-198
78	H	t-Bu	H	2-Me	3,5-di-CF ₃	206-207
79	H	t-Bu	H	2-Me	4-CN	> 230
80	H	t-Bu	H	2-Me	4-NO ₂	> 230
81	Me	i-Pr	H	2-Me	2-CF ₃	oil
82	Me	i-Pr	H	2-Me	4-OCF ₃	151-157
83	Me	i-Pr	H	H	2-Me-4-OCF ₃	103-107
84	Me	t-Bu	H	2-Me	2-Me-4-CF ₃	233-234
85	H	t-Bu	H	2-Me	2-Me-4-OCF ₃	207-209
86	H	t-Bu	H	2-Me	2,5-di-CF ₃	199-201
87	H	i-Pr	H	2-CF ₃	4-OCF ₃	183-185
88	H	i-Pr	H	2-CF ₃	4-CF ₃	211-212
89	H	t-Bu	H	2-CF ₃	4-CF ₃	191-192
90	H	R-(-)-s-Bu	H	2-Me	4-OCF ₃	170-172
91	H	S-(+)-s-Bu	H	2-Me	4-OCF ₃	177-179
92	Me	i-Pr	H	H	4-CF ₃	oil
93	Me	i-Pr	H	2-OCF ₂ H	4-OCF ₃	162-164
94	H	t-Bu	H	2-CF ₃	4-OCF ₃	145-148
95	H	i-Pr	Me	2-CF ₃	4-CF ₃	151-154
96	H	i-Pr	Me	2-CF ₃	4-OCF ₃	140-144
97	H	i-Pr	H	2-OCF ₂ H	4-CF ₃	224-227
98	H	i-Pr	H	2,4-di-Me	2-Me-4-CF ₃	> 230
99	H	i-Pr	H	2-Cl	2-Me-4-CF ₃	> 230

100	H	(3-MeO)-i-Pr	H	2-Cl	2-Me-4-CF ₃	194-197
101	H	s-Bu	H	2-Cl	2-Me-4-CF ₃	212-214
102	H	c-Pr	H	2-Me	4-OCF ₃	208-210
103	H	(3-MeO)-i-Pr	H	2,4-di-Me	4-OCF ₃	166-168
104	H	(3-MeO)-i-Pr	H	2,4-di-Me	4-CF ₃	192-194
105	H	i-Pr	H	4-Me	4-CF ₃	212-213
106	H	i-Pr	H	4-Me	4-OCF ₃	204-205
107	H	i-Pr	H	2-Br-4-Me	4-OCF ₃	> 230
108	H	t-Bu	H	2-Br-4-Me	4-OCF ₃	118-120
109	H	i-Pr	H	2-NO ₂	4-CF ₃	222-224
110	H	t-Bu	H	2-NO ₂	4-CF ₃	199-200
111	H	i-Pr	H	2-NO ₂	4-OCF ₃	204-205
112	H	t-Bu	H	2-NO ₂	4-OCF ₃	181-182
113	H	i-Pr	H	2-Me	2-Me-4-S(O) ₂ CF ₂ H	218-221
114	H	i-Pr	H	2-Me	2-Me-4-S(O)CF ₂ H	203-206
115	H	(3-MeO)-i-Pr	H	2-Me	4-CF ₃	158-161
116	H	i-Pr	H	4-Br	4-CF ₃	232-234
117	H	t-Bu	H	4-Br	4-CF ₃	204-206
118	H	(3-MeO)-i-Pr	H	4-Br	4-CF ₃	157-158
119	H	i-Pr	H	4-Br	4-OCF ₃	221-222
120	H	t-Bu	H	4-Br	4-OCF ₃	173-175
121	H	(3-MeO)-i-Pr	H	4-Br	4-OCF ₃	153-155
122	H	(3-MeO)-i-Pr	H	3-Cl	4-OCF ₃	137-140
123	H	i-Pr	H	4-F	4-CF ₃	205-206
124	H	t-Bu	H	2-Cl	2-Me-4-CF ₃	237-240
125	H	2-Pent	H	2-Me	4-CF ₃	194-196
126	H	s-Bu	H	2-Me	4-CF ₃	207-210
127	H	Et	H	2-Me	4-CF ₃	> 240
128	H	Me	H	2-Me	4-CF ₃	236-237
129	H	i-Pr	H	4-F	4-OCF ₃	208-209
130	H	(3-MeO)-i-Pr	H	4-F	4-OCF ₃	151-152
131	H	(3-MeO)-i-Pr	H	2-Me	4-CF ₃	188-190
132	CH ₂ CO ₂ Me	i-Pr	H	H	4-CF ₃	oil
133	CH ₂ CO ₂ Me	i-Pr	H	H	4-OCF ₃	oil
134	Me	Et	H	2-Me	4-CF ₃	oil
135	Me	Et	H	2-Me	4-OCF ₃	oil
136	Me	Et	H	2-Me	2-Me-4-SCF ₂ H	132-136

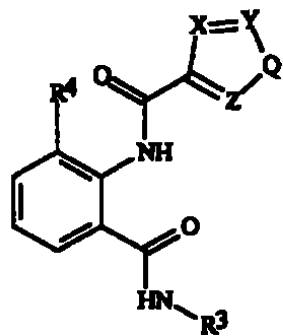
137	H	(3-MeO)-i-Pr	H	2-Me-4-Br	4-CF ₃	197-199
138	H	(3-MeO)-i-Pr	H	2-Me-4-Br	4-OCF ₃	188-190
139	H	i-Pr	H	3-Cl	4-CF ₃	201-202
140	H	t-Bu	H	3-Cl	4-CF ₃	159-161
141	H	i-Pr	H	3-Cl	4-OCF ₃	190-192
142	H	t-Bu	H	3-Cl	4-OCF ₃	150-152
143	H	i-Pr	H	2-Br-4-Me	4-CF ₃	>230
144	H	t-Bu	H	2-Br-4-Me	4-CF ₃	213-215

INDEX TABLE B

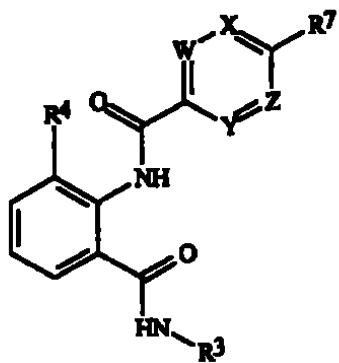


Compound	R ³	R ⁴	Q	X	Y	Z	m.p. °C
145	i-Pr	Me	NMe	N	CH	CCF ₃	>200
146	i-Pr	Cl	NMe	N	CH	CCF ₃	232-235
147 (Ex 2)	i-Pr	Me	NEt	N	CH	CCF ₃	223-226
148	i-Pr	Me	S	COCH ₂ CF ₃	CMe	N	145-147
149	i-Pr	Me	S	COPh-4-OCF	CMe	N	185-187
150	i-Pr	Me	S	CPh-4-OCF ₃	CMe	N	233-234
151	i-Pr	Me	N(2-ClPh)	N	CH	CCF ₃	208-208.5
152	i-Pr	Cl	N(2-ClPh)	N	CH	CCF ₃	234-235
153	i-Pr	Me	N(2-FPh)	N	CH	CCF ₃	217-218
154	i-Pr	Cl	N(2-FPh)	N	CH	CCF ₃	220-221
155	i-Pr	Me	N(2-CF ₃ Ph)	N	CH	CCF ₃	215-215.2
156	i-Pr	Cl	N(2-CF ₃ Ph)	N	CH	CCF ₃	230.2-230.5
157	i-Pr	Me	N(2-BrPh)	N	CH	CCF ₃	207-208
158	i-Pr	Cl	N(2-BrPh)	N	CH	CCF ₃	239-239.5
159	i-Pr	Me	N(2-MePh)	N	CH	CCF ₃	211-212
160	i-Pr	Cl	N(2-MePh)	N	CH	CCF ₃	232.5-233.5
161	i-Pr	Br	N(2-ClPh)	N	CH	CCF ₃	247-248

162	<i>t</i> -Bu	Me	N(2-ClPh)	N	CH	CCF ₃	216-216.5
163	<i>i</i> -Pr	Me	N(2,6-diClPh)	N	CH	CCF ₃	267-268
164	<i>i</i> -Pr	Cl	N(2,6-diClPh)	N	CH	CCF ₃	286-287
165	<i>i</i> -Pr	Me	N(2,4-diFPh)	N	CH	CCF ₃	245-245.5
166	<i>i</i> -Pr	Cl	N(2,4-diFPh)	N	CH	CCF ₃	252.5-253.5
167	<i>i</i> -Pr	Me	N(2-EtOPh)	N	CH	CCF ₃	207.5-208.5
168	<i>i</i> -Pr	Cl	N(2-EtOPh)	N	CH	CCF ₃	221-221.5
169	<i>i</i> -Pr	Cl	N(2-pyridyl)	N	CH	CCF ₃	239-240
170	<i>i</i> -Pr	Me	N(2-pyrimidinyl)	N	CH	CCF ₃	205-208
171	<i>i</i> -Pr	Me	N(2-(3-Me-pyridyl))	N	CH	CCF ₃	183-187
172	<i>i</i> -Pr	Cl	N(2-(3-Me-pyridyl))	N	CH	CCF ₃	224-225
173	<i>i</i> -Pr	Me	N(2-(3-Cl-pyridyl))	N	CH	CCF ₃	179-181
174	<i>i</i> -Pr	Cl	N(2-(3-Cl-pyridyl))	N	CH	CCF ₃	230-233



Compound	R ³	R ⁴	Q	X	Y	Z	m.p. °C
175	<i>i</i> -Pr	Me	NCH ₂ CF ₃	CMe	N	CH	254-255
176	<i>i</i> -Pr	Me	NCH ₂ CF ₃	CH	N	CMe	>200



Compound	R ³	R ⁴	R ⁵	W	X	Y	Z	m.p. °C
177	<i>i</i> -Pr	Me	CF ₃	OMe	N	CH	CH	247-248
178	<i>i</i> -Pr	Me	OCH ₂ CF ₃	CH	N	CH	CH	182-191
179	<i>i</i> -Pr	Me	H	N	CBr	CH	CH	177-180

BIOLOGICAL EXAMPLES OF THE INVENTION

TEST

Application: Compounds are formulated in a 10% acetone, 90% water and 300 ppm X-77 solution, unless otherwise indicated. The formulated compounds are applied with a SUJ2 atomizer nozzle with 1/8 JJ custom body (Spraying Systems) positioned 1/2" above the top of each test unit. There are 6 of these nozzles that make up the spray boom and this is fixed in a belt sprayer. A rack (or carrier) of 6 different insect test units is placed on the conveyor belt and stops so that each unit is centered under a nozzle. Once the rack is centered, 1 mL of liquid is sprayed into each test unit; the rack then continues down the belt to the end of the sprayer to be off-loaded. All experimental compounds in this screen are sprayed at 250 ppm and replicated three times.

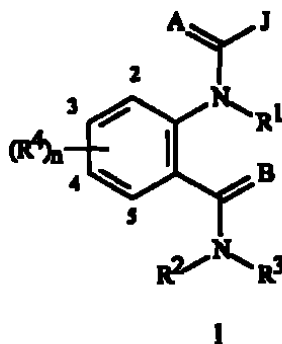
Diamondback Moth (DBM) - *Plutella Xylostella*: The test unit consists of a small self contained unit with a 12-14 day old radish plant inside. These are pre-infested (using a core sampler) with 10-15 neonate larvae on a piece of insect diet. Once 1 mL of formulated compound has been sprayed into each test unit, the test units are allowed to dry for 1 hour before a black, screened cap is placed on the top of the cylinder. They are held for 6 days in a growth chamber at 25C and 70% relative humidity.

Plant feeding damage was visually assessed on a scale of 0-10 where 0 is no feeding, 1 is 10% or less feeding, 2 is 20% or less feeding, 3 is 30% or less feeding through a maximum score of 10 where 10 is 100% of foliage consumed. Of the compounds tested the following provided excellent levels of plant protection (ratings of 0-1, 10% or less feeding damage).

CLAIMS

What is claimed is:

1. A compound of Formula 1, *N*-oxides or agriculturally suitable salts thereof



5 wherein

A and B are independently O or S;

J is a phenyl group substituted with 1 to 2 R^5 and optionally substituted with 1 to 3 R^6 ,
or a 5- or 6-membered heteroaromatic ring optionally substituted with 1 to 4 R^7 ;
n is 1 to 4;

10 R^1 is H; or C_1 - C_6 alkyl, C_2 - C_6 alkenyl, C_2 - C_6 alkynyl or C_3 - C_6 cycloalkyl each
optionally substituted with one or more substituents selected from the group
consisting of halogen, CN, NO_2 , hydroxy, C_1 - C_4 alkoxy, C_1 - C_4 alkylthio, C_1 - C_4
alkylsulfinyl, C_1 - C_4 alkylsulfonyl, C_2 - C_4 alkoxycarbonyl, C_1 - C_4 alkylamino,
 C_2 - C_8 dialkylamino and C_3 - C_6 cycloalkylamino; or

15 R^1 is C_2 - C_6 alkylcarbonyl, C_2 - C_6 alkoxycarbonyl, C_2 - C_6 alkylaminocarbonyl or
 C_3 - C_8 dialkylaminocarbonyl;

R^2 is H, C_1 - C_6 alkyl, C_2 - C_6 alkenyl, C_2 - C_6 alkynyl, C_3 - C_6 cycloalkyl, C_1 - C_4 alkoxy,
 C_1 - C_4 alkylamino, C_2 - C_8 dialkylamino, C_3 - C_6 cycloalkylamino, C_2 - C_6
alkoxycarbonyl or C_2 - C_6 alkylcarbonyl;

20 R^3 is H; C_1 - C_6 alkyl, C_2 - C_6 alkenyl, C_2 - C_6 alkynyl, C_3 - C_6 cycloalkyl, each optionally
substituted with one or more substituents selected from the group consisting of
halogen, CN, NO_2 , hydroxy, C_1 - C_4 alkoxy, C_1 - C_4 alkylthio, C_1 - C_4 alkylsulfinyl
and C_1 - C_4 alkylsulfonyl; C_1 - C_4 alkoxy; C_1 - C_4 alkylamino; C_2 - C_8 dialkylamino;
 C_3 - C_6 cycloalkylamino; C_2 - C_6 alkoxycarbonyl or C_2 - C_6 alkylcarbonyl; or

25 R^2 and R^3 can be 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 of
nitrogen, sulfur or oxygen, said ring may be optionally substituted with 1 to 4
substituents selected from the group consisting of C_1 - C_2 alkyl, halogen, CN,
 NO_2 and C_1 - C_2 alkoxy;

each R^4 is independently H, C_1 - C_6 alkyl, C_2 - C_6 alkenyl, C_2 - C_6 alkynyl, C_3 - C_6 cycloalkyl, C_1 - C_6 haloalkyl, C_2 - C_6 haloalkenyl, C_2 - C_6 haloalkynyl, C_3 - C_6 halocycloalkyl, halogen, CN, CO_2H , $CONH_2$, NO_2 , hydroxy, C_1 - C_4 alkoxy, C_1 - C_4 haloalkoxy, C_1 - C_4 alkylthio, C_1 - C_4 alkylsulfinyl, C_1 - C_4 alkylsulfonyl, C_1 - C_4 haloalkylthio, C_1 - C_4 haloalkylsulfinyl, C_1 - C_4 haloalkylsulfonyl, C_1 - C_4 alkylamino, C_2 - C_8 dialkylamino, C_3 - C_6 cycloalkylamino, C_2 - C_6 alkylcarbonyl, C_2 - C_6 alkoxycarbonyl, C_2 - C_6 alkylaminocarbonyl, C_3 - C_8 dialkylaminocarbonyl, C_3 - C_6 trialkylsilyl; or

each R^4 is independently phenyl, benzyl or phenoxy, each optionally substituted with C_1 - C_4 alkyl, C_2 - C_4 alkenyl, C_2 - C_4 alkynyl, C_3 - C_6 cycloalkyl, C_1 - C_4 haloalkyl, C_2 - C_4 haloalkenyl, C_2 - C_4 haloalkynyl, C_3 - C_6 halocycloalkyl, halogen, CN, NO_2 , C_1 - C_4 alkoxy, C_1 - C_4 haloalkoxy, C_1 - C_4 alkylthio, C_1 - C_4 alkylsulfinyl, C_1 - C_4 alkylsulfonyl, C_1 - C_4 alkylamino, C_2 - C_8 dialkylamino, C_3 - C_6 cycloalkylamino, C_3 - C_6 (alkyl)cycloalkylamino, C_2 - C_4 alkylcarbonyl, C_2 - C_6 alkoxycarbonyl, C_2 - C_6 alkylaminocarbonyl, C_3 - C_8 dialkylaminocarbonyl or C_3 - C_6 trialkylsilyl;

each R^5 is independently C_1 - C_6 alkyl, C_2 - C_6 alkenyl, C_2 - C_6 alkynyl, C_3 - C_6 cycloalkyl, C_1 - C_6 haloalkyl, C_2 - C_6 haloalkenyl, C_2 - C_6 haloalkynyl, C_3 - C_6 halocycloalkyl, halogen, CN, CO_2H , $CONH_2$, NO_2 , hydroxy, C_1 - C_4 alkoxy, C_1 - C_4 haloalkoxy, C_1 - C_4 alkylthio, C_1 - C_4 alkylsulfinyl, C_1 - C_4 alkylsulfonyl, C_1 - C_4 haloalkylthio, C_1 - C_4 haloalkylsulfinyl, C_1 - C_4 haloalkylsulfonyl, C_1 - C_4 alkylamino, C_2 - C_8 dialkylamino, C_3 - C_6 cycloalkylamino, C_2 - C_6 alkylcarbonyl, C_2 - C_6 alkoxycarbonyl, C_2 - C_6 alkylaminocarbonyl, C_3 - C_8 dialkylaminocarbonyl, C_3 - C_6 trialkylsilyl; or

$(R^5)_2$ when attached to adjacent carbon atoms can be taken together as $-OCF_2O-$, $-CF_2CF_2O-$, or $-OCF_2CF_2O-$;

each R^6 is independently H, halogen, C_1 - C_6 alkyl, C_2 - C_6 alkenyl, C_2 - C_6 alkynyl, C_3 - C_6 cycloalkyl, C_1 - C_4 alkoxy; or

each R^6 is independently a phenyl, benzyl, phenoxy or a 5- or 6-membered heteroaromatic ring, each ring optionally substituted with C_1 - C_4 alkyl, C_2 - C_4 alkenyl, C_2 - C_4 alkynyl, C_3 - C_6 cycloalkyl, C_1 - C_4 haloalkyl, C_2 - C_4 haloalkenyl, C_2 - C_4 haloalkynyl, C_3 - C_6 halocycloalkyl, halogen, CN, NO_2 , C_1 - C_4 alkoxy, C_1 - C_4 haloalkoxy, C_1 - C_4 alkylthio, C_1 - C_4 alkylsulfinyl, C_1 - C_4 alkylsulfonyl, C_1 - C_4 alkylamino, C_2 - C_8 dialkylamino, C_3 - C_6 cycloalkylamino, C_3 - C_6 (alkyl)cycloalkylamino, C_2 - C_4 alkylcarbonyl, C_2 - C_6 alkoxycarbonyl, C_2 - C_6 alkylaminocarbonyl, C_3 - C_8 dialkylaminocarbonyl or C_3 - C_6 trialkylsilyl;

each R^7 is independently H, C_1 - C_6 alkyl, C_2 - C_6 alkenyl, C_2 - C_6 alkynyl, C_3 - C_6 cycloalkyl, C_1 - C_6 haloalkyl, C_2 - C_6 haloalkenyl, C_2 - C_6 haloalkynyl, C_3 - C_6

halocycloalkyl, halogen, CN, CO₂H, CONH₂, NO₂, hydroxy, C₁-C₄ alkoxy, C₁-C₄ haloalkoxy, C₁-C₄ alkylthio, C₁-C₄ alkylsulfinyl, C₁-C₄ alkylsulfonyl, C₁-C₄ haloalkylthio, C₁-C₄ haloalkylsulfinyl, C₁-C₄ haloalkylsulfonyl, C₁-C₄ alkylamino, C₂-C₆ dialkylamino, C₃-C₆ cycloalkylamino, C₂-C₆ alkylcarbonyl, C₂-C₆ alkoxy carbonyl, C₂-C₆ alkylaminocarbonyl, C₃-C₆ dialkylaminocarbonyl, C₃-C₆ trialkylsilyl; or

each R⁷ is independently a phenyl, benzyl, benzoyl, phenoxy or a 5- or 6-membered heteroaromatic ring, each ring optionally substituted with C₁-C₄ alkyl, C₂-C₄ alkenyl, C₂-C₄ alkynyl, C₃-C₆ cycloalkyl, C₁-C₄ haloalkyl, C₂-C₄ haloalkenyl, C₂-C₄ haloalkynyl, C₃-C₆ halocycloalkyl, halogen, CN, NO₂, C₁-C₄ alkoxy, C₁-C₄ haloalkoxy, C₁-C₄ alkylthio, C₁-C₄ alkylsulfinyl, C₁-C₄ alkylsulfonyl, C₁-C₄ alkylamino, C₂-C₆ dialkylamino, C₃-C₆ cycloalkylamino, C₃-C₆ (alkyl)cycloalkylamino, C₂-C₄ alkylcarbonyl, C₂-C₆ alkoxy carbonyl, C₂-C₆ alkylaminocarbonyl, C₃-C₆ dialkylaminocarbonyl or C₃-C₆ trialkylsilyl; provided that when A and B are both O, R² is H or C₁-C₃ alkyl, R³ is H or C₁-C₃ alkyl and R⁴ is H, halogen, C₁-C₆ alkyl; phenyl, hydroxy or C₁-C₆ alkoxy, then one R⁵ is other than halogen, C₁-C₆ alkyl, hydroxy or C₁-C₆ alkoxy.

2. The compound of Claim 1 wherein J is a phenyl group substituted with 1 to 2 R⁵ and optionally substituted with 1 to 3 R⁶.

3. The compound of Claim 2 wherein

A and B are both O;

n is 1 to 2;

R¹ is H, C₁-C₄ alkyl, C₂-C₄ alkenyl, C₂-C₄ alkynyl, C₃-C₆ cycloalkyl, C₂-C₆ alkylcarbonyl or C₂-C₆ alkoxy carbonyl;

R² is H, C₁-C₄ alkyl, C₂-C₄ alkenyl, C₂-C₄ alkynyl, C₃-C₆ cycloalkyl, C₂-C₆ alkylcarbonyl or C₂-C₆ alkoxy carbonyl;

R³ is C₂-C₆ alkyl, C₂-C₆ alkenyl, C₂-C₆ alkynyl or C₃-C₆ cycloalkyl each optionally substituted with one or more substituents selected from the group consisting of halogen, CN, C₁-C₂ alkoxy, C₁-C₂ alkylthio, C₁-C₂ alkylsulfinyl and C₁-C₂ alkylsulfonyl;

one of the R⁴ groups is attached to the phenyl ring at the 2-position or 5-position, and said R⁴ is C₁-C₄ alkyl, C₁-C₄ haloalkyl, halogen, CN, NO₂, C₁-C₄ alkoxy, C₁-C₄ haloalkoxy, C₁-C₄ alkylthio, C₁-C₄ alkylsulfinyl, C₁-C₄ alkylsulfonyl, C₁-C₄ haloalkylthio, C₁-C₄ haloalkylsulfinyl, C₁-C₄ haloalkylsulfonyl or C₂-C₄ alkoxy carbonyl;

each R⁵ is independently C₁-C₄ haloalkyl, CN, NO₂, C₁-C₄ haloalkoxy, C₁-C₄ alkylthio, C₁-C₄ alkylsulfinyl, C₁-C₄ alkylsulfonyl, C₁-C₄

haloalkylthio, C₁-C₄ haloalkylsulfinyl, C₁-C₄ haloalkylsulfonyl or C₂-C₄ alkoxyacetyl; or

(R⁵)₂ when attached to adjacent carbon atoms can be taken together as -

OCF₂O-, -CF₂CF₂O- or -OCF₂CF₂O-; and

each R⁶ is independently H, halogen, C₁-C₄ alkyl, C₁-C₂ alkoxy or C₂-C₄ alkoxyacetyl, or

each R⁶ is independently a phenyl or a 5- or 6-membered heteroaromatic ring,

each ring optionally substituted with C₁-C₄ alkyl, C₂-C₄ alkenyl, C₂-C₄

alkynyl, C₃-C₆ cycloalkyl, C₁-C₄ haloalkyl, C₂-C₄ haloalkenyl, C₂-C₄

haloalkynyl, C₃-C₆ halocycloalkyl, halogen, CN, NO₂, C₁-C₄ alkoxy,

C₁-C₄ haloalkoxy, C₁-C₄ alkylthio, C₁-C₄ alkylsulfinyl, C₁-C₄

alkylsulfonyl, C₁-C₄ alkylamino, C₂-C₈ dialkylamino, C₃-C₆

cycloalkylamino, C₃-C₆ (alkyl)cycloalkylamino, C₂-C₄ alkylcarbonyl,

C₂-C₆ alkoxyacetyl, C₂-C₆ alkylaminocarbonyl, C₃-C₈

dialkylaminocarbonyl or C₃-C₆ trialkylsilyl.

3. The compound of Claim 2 wherein

R¹ and R² are H;

R³ is C₂-C₄ alkyl optionally substituted with halogen, CN, OCH₃, S(O)_pCH₃;

each R⁴ is independently CH₃, CF₃, OCF₃, OCHF₂, S(O)_pCF₃, S(O)_pCHF₂

or halogen;

each R⁵ is independently CF₃, OCF₃, OCHF₂, S(O)_pCF₃, S(O)_pCHF₂,

OCH₂CF₃, OCF₂CHF₂, S(O)_pCH₂CF₃ or S(O)_pCF₂CHF₂;

each R⁶ is independently halogen or methyl; or phenyl, pyrazole, imidazole,

triazole, pyridine or pyrimidine, each ring optionally substituted with

C₁-C₄ alkyl, C₁-C₄ haloalkyl, halogen or CN; and

p is 0, 1 or 2.

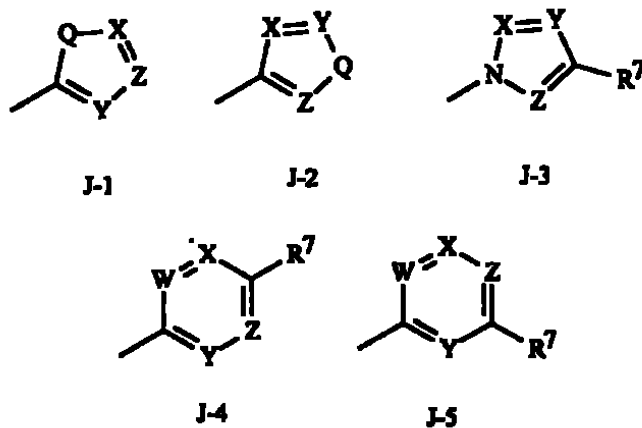
4. The compound of Claim 3 wherein R³ is *i*-propyl or *i*-butyl.

5. The compound of Claim 1 wherein J is a 5- or 6-membered heteroaromatic ring optionally substituted with 1 to 4 R⁷.

6. The compound of Claim 5 wherein

J is a 5- or 6-membered heteroaromatic ring selected from the group

consisting of J-1, J-2, J-3, J-4 and J-5, each J optionally substituted with 1 to 3 R⁷



Q is O, S or NR⁷; and

W, X, Y and Z are independently N or CR⁷, provided that in J-4 and J-5 at least one of W, X, Y or Z is N.

8. The compound of Claim 6 or Claim 7 wherein

A and B are O;

n is 1 to 2;

R¹ is H, C₁-C₄ alkyl, C₂-C₄ alkenyl, C₂-C₄ alkynyl, C₂-C₆ alkylcarbonyl or C₂-C₆ alkoxy carbonyl;

R² is H, C₁-C₄ alkyl, C₂-C₄ alkenyl, C₂-C₄ alkynyl, C₃-C₆ cycloalkyl, C₂-C₆ alkylcarbonyl or C₂-C₆ alkoxy carbonyl;

R³ is H; or C₂-C₆ alkyl, C₂-C₆ alkenyl, C₂-C₆ alkynyl or C₃-C₆ cycloalkyl each optionally substituted with one or more substituents selected from the group consisting of halogen, CN, C₁-C₂ alkoxy, C₁-C₂ alkylthio, C₁-C₂ alkylsulfinyl and C₁-C₂ alkylsulfonyl;

one of the R⁴ groups is attached to the phenyl ring at the 2-position, and said R⁴ is C₁-C₄ alkyl, C₁-C₄ haloalkyl, halogen, CN, NO₂, C₁-C₄ alkoxy, C₁-C₄ haloalkoxy, C₁-C₄ alkylthio, C₁-C₄ alkylsulfinyl, C₁-C₄ alkylsulfonyl, C₁-C₄ haloalkylthio, C₁-C₄ haloalkylsulfinyl, C₁-C₄ haloalkylsulfonyl or C₂-C₄ alkoxy carbonyl; and

each R⁷ is independently H, C₁-C₄ alkyl, C₁-C₄ haloalkyl, halogen, CN, NO₂, C₁-C₄ haloalkoxy, C₁-C₄ alkylthio, C₁-C₄ alkylsulfinyl, C₁-C₄ alkylsulfonyl, C₁-C₄ haloalkylthio, C₁-C₄ haloalkylsulfinyl, C₁-C₄ haloalkylsulfonyl or C₂-C₄ alkoxy carbonyl; or a phenyl or a 5- or 6-membered heteroaromatic ring, each ring optionally substituted with C₁-C₄ alkyl, C₂-C₄ alkenyl, C₂-C₄ alkynyl, C₃-C₆ cycloalkyl, C₁-C₄ haloalkyl, C₂-C₄ haloalkenyl, C₂-C₄ haloalkynyl, C₃-C₆ halocycloalkyl, halogen, CN, NO₂, C₁-C₄ alkoxy, C₁-C₄ haloalkoxy, C₁-C₄ alkylthio, C₁-C₄ alkylsulfinyl, C₁-C₄ alkylsulfonyl, C₁-C₄ alkylamino, C₂-C₆

dialkylamino, C₃-C₆ cycloalkylamino, C₃-C₆ (alkyl)cycloalkylamino, C₂-C₄ alkylcarbonyl, C₂-C₆ alkoxy carbonyl, C₂-C₆ alkylaminocarbonyl, C₃-C₈ dialkylaminocarbonyl or C₃-C₆ trialkylsilyl.

9. The compound of Claim 8 wherein

J is selected from the group consisting of pyridine, pyrimidine, pyrazole, imidazole, triazole, thiophene, thiazole and oxazole, each optionally substituted with 1 to 3 R⁷.

10. The compound of Claim 9 wherein

J is selected from the group consisting of pyridine, pyrimidine, pyrazole, thiophene and thiazole, each optionally substituted with 1 to 3 R⁷;

R¹ and R² are H;

R³ is C₂-C₄ alkyl optionally substituted with halogen, CN, OCH₃, S(O)_pCH₃; each R⁴ is independently CH₃, CF₃, OCF₃, OCHF₂, S(O)_pCF₃, S(O)_pCHF₂ or halogen;

each R⁷ is independently halogen, CH₃, CF₃, OCHF₂, S(O)_pCF₃, S(O)_pCHF₂, OCH₂CF₃, OCF₂CHF₂, S(O)_pCH₂CF₃, S(O)_pCF₂CHF₂; or phenyl, pyrazole, imidazole, triazole, pyridine or pyrimidine, each ring optionally substituted with C₁-C₄ alkyl, C₁-C₄ haloalkyl, halogen or CN; and

p is 0, 1 or 2.

11. The compound of Claim 1 wherein R³ is *t*-propyl or *t*-butyl.

12. The compound of Claim 1 selected from the group consisting of:

3-methyl-*N*-(1-methylethyl)-2-[[4-(trifluoromethyl)benzoyl]amino]-benzamide,

2-methyl-*N*-[2-methyl-6-[[[(1-methylethyl)amino]carbonyl]phenyl]-4-(trifluoromethyl)benzamide,

2-methyl-*N*-[2-methyl-6-[[[(1-methylethyl)amino]carbonyl]phenyl]-6-(trifluoromethyl)-3-pyridinecarboxamide,

1-ethyl-*N*-[2-methyl-6-[[[(1-methylethyl)amino]carbonyl]phenyl]-3-(trifluoromethyl)-1*H*-pyrazole-5-carboxamide.

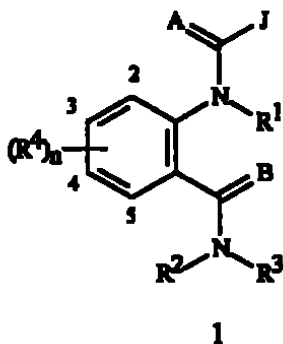
13. An arthropodocidal composition comprising an arthropodocidally effective amount of a compound according to any one of Claims 1 to 12 and at least one additional component selected from the group consisting of surfactants, solid diluents and liquid diluents.

TITLE

INSECTICIDAL ANTHRANILAMIDES

ABSTRACT OF THE DISCLOSURE

This invention provides compounds of Formula 1, their *N*-oxides and agriculturally
 5 suitable salts



wherein

A, B, J, R¹, R², R³ and R⁴ and n are as defined in the disclosure.

Also disclosed are methods for controlling arthropods comprising contacting the
 10 arthropods or their environment with an arthropodically effective amount of a compound
 of Formula 1 and compositions containing the compounds of Formula 1.

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THE UNITED STATES OF AMERICA

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UNITED STATES DEPARTMENT OF COMMERCE

United States Patent and Trademark Office

August 23, 2002

THIS IS TO CERTIFY THAT ANNEXED HERETO IS A TRUE COPY FROM THE RECORDS OF THE UNITED STATES PATENT AND TRADEMARK OFFICE OF THOSE PAPERS OF THE BELOW IDENTIFIED PATENT APPLICATION THAT MET THE REQUIREMENTS TO BE GRANTED A FILING DATE UNDER 35 USC 111.

APPLICATION NUMBER: 60/262,015

FILING DATE: *January 17, 2001*



**By Authority of the
COMMISSIONER OF PATENTS AND TRADEMARKS**

**P. SWAIN
Certifying Officer**

01-18-01

A/PROO 21
309

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PTO/BDP16 (08-03)

Approved for use through 10/31/2002, OAS 0851-0032

U.S. Patent and Trademark Office: U.S. DEPARTMENT OF COMMERCE

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PROVISIONAL APPLICATION FOR PATENT COVER SHEET

This is a request for filing a PROVISIONAL APPLICATION FOR PATENT under 37 CFR 1.83 (c).

INVENTOR(S)			
Given Name (first and middle (if any))	Family Name or Surname	Residence (City and either State or Foreign Country)	
GEORGE PHILIP BRIAN JAMES	LAHM MEYERS	WILMINGTON, DELAWARE OXFORD, PENNSYLVANIA	
☒ Additional inventors are being named on the 1 separately numbered sheets attached hereto			
TITLE OF THE INVENTION (250 characters max)			
INSECTICIDAL ANTHRANILAMIDES			
Direct all correspondence to: CORRESPONDENCE ADDRESS			
☒ Customer Number OR		23885 Type Customer Number Here	
☐ Firm or Individual Name			
Address			
Address			
City		State	ZIP
Country			
Telephone		Fax	
ENCLOSED APPLICATION PARTS (check all that apply)			
☒ Specification Number of Pages 66		☐ CD(s), Number	
☐ Drawing(s) Number of Sheets		☐ Other (specify)	
☒ Application Data Sheet. See 37 CFR 1.76		☒ Express Mail No.: EK838608248US	
METHOD OF PAYMENT OF FILING FEES FOR THIS PROVISIONAL APPLICATION FOR PATENT (check one)			
☐ Applicant claims small entity status. See 37 CFR 1.27.		FILING FEE AMOUNT (\$)	
☐ A check or money order is enclosed to cover the filing fees		04-1628 150.00	
☒ The Commissioner is hereby authorized to charge filing fee or credit any overpayment to Deposit Account Number:		04-1628 150.00	
☐ Payment by credit card. Form PTO-2038 is attached.			
The invention was made by an agency of the United States Government or under a contract with an agency of the United States Government.			
☒ No.			
☐ Yes, the name of the U.S. Government agency and the Government contract number are: _____			

Respectfully submitted,

SIGNATURE

Linda D. Birch

Date

01/17/01

TYPED or PRINTED NAME

LINDA D. BIRCH

REGISTRATION NO.

38,719

(If appropriate)

TELEPHONE

(302)892-4949

Docket Number

BA8283 US
PRV3**USE ONLY FOR FILING A PROVISIONAL APPLICATION FOR PATENT**

This collection of information is required by 37 CFR 1.81. The information is used by the public to file (and by the PTO to process) a provisional application. Confidentiality is governed by 36 U.S.C. 132 and 37 CFR 1.14. This collection is estimated to take 6 hours to complete, including gathering, preparing, and submitting the complete provisional application to the PTO. Time will vary depending upon the individual case. Any comments on the amount of time you require to complete this form and/or suggestions for reducing this burden, should be sent to the Chief Information Officer, U.S. Patent and Trademark Office, U.S. Department of Commerce, Washington.

PROVISIONAL APPLICATION COVER SHEET
Additional Page

622
710

PTO/BB/18 (08-09)
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Docket Number	BA9283 US PRV3	Type a plus sign (+) inside this box →	+
INVENTOR(S)/APPLICANT(S)			
Given Name (first and middle (if any))	Family or Surname	Residence (City and either State or Foreign Country)	
THOMAS PAUL	SELBY	WILMINGTON, DELAWARE	

Number 1 of 1

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60662015-011701

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16777 U.S. PTO

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FEE TRANSMITTAL for FY 2001

Patent fees are subject to annual revision.

TOTAL AMOUNT OF PAYMENT (\$)
180

Complete if known

Application Number	UNKNOWN
Filing Date	JANUARY 17, 2001
First Named Inventor	GEORGE PHILIP LAHM
Examiner Name	UNKNOWN
Group / Art Unit	UNKNOWN
Attorney Docket No.	BA2883 US PRV3

METHOD OF PAYMENT (check one)

1. ☒ The Commissioner is hereby authorized to charge indicated fee and credit any over payments to:

Deposit
Account
Number

04-1928

Deposit
Account
Name

E. I. du Pont de Nemours and Company

☒ Charge Any Additional Fee Required
Under 37 CFR 1.18 and 1.17

☐ Applicant claims small entity status.
See 37 CFR 1.27

2. ☐ Payment Enclosed:

☐ Check ☐ Credit card ☐ Money Order ☐ Other

FEE CALCULATION

1. BASIC FILING FEE

Large Fee Code	Small Entity Fee Code	Small Entity Fee Code	Fee Description	Fee Paid
101	710	201	Utility filing fee	
106	380	206	Design filing fee	
107	480	207	Plant filing fee	
108	710	208	Release filing fee	
114	180	214	Provisional filing fee	180

SUBTOTAL (1)

00 180

2. EXTRA CLAIM FEES

Total Claims	Extra Claims	Fee from below	Fee Paid
Independent Claims	0	0	0
Multiple Dependent	0	0	0

Large Fee Code	Small Entity Fee Code	Small Entity Fee Code	Fee Description	Fee Paid
103	18	203	Claims in excess of 20	
102	80	202	Independent claims in excess of 3	
104	270	204	Multiple dependent claims, if not paid	
109	80	209	** Release independent claims over original patent	
110	18	210	** Release claims in excess of 20 and over original patent	

SUBTOTAL (2)

(0) 0

**or number previously paid, if greater. For Release, see above

2. ADDITIONAL FEES

Large Entity Fee Code	Small Entity Fee Code	Small Entity Fee Code	Fee Description	Fee Paid
105	130	205	Surcharge - late filing fee or oath	
127	80	227	Surcharge - late provisional filing fee or cover sheet	
138	130	138	Non-English specification	
147	2,330	147	For filing a request for reexamination	
112	880*	112	Requesting publication of BIR prior to Examiner action	
113	1,840*	113	Requesting publication of BIR after Examiner action	
118	110	218	Extension for reply within first month	
119	380	219	Extension for reply within second month	
117	880	217	Extension for reply within third month	
118	1,380	218	Extension for reply within fourth month	
128	1,880	228	Extension for reply within fifth month	
116	310	216	Notice of Appeal	
120	310	220	Filing a brief in support of an appeal	
121	270	221	Request for oral hearing	
135	1,510	135	Petition to institute a public use proceeding	
140	110	240	Petition to revise - unintentional	
141	1,240	241	Petition to revise - unintentional	
142	1,240	242	Utility issue fee (or release)	
143	440	243	Design issue fee	
144	600	244	Plant issue fee	
122	130	122	Petition to the Commissioner	
123	130	123	Petition related to provisional applications	
128	180	128	Submission of Information Disclosure Sheet	
681	40	681	Recording each patent assignment per property (three number of properties)	
148	710	248	Filing a submission after final rejection (37 CFR § 1.129(a))	
149	710	249	For each additional invention to be examined (37 CFR § 1.129(b))	
179 (NCE)	710	279	Request for Continued Examination	
188	900	188	Request for expedited examination of a design application	

Other fee (specify) _____

*Reduced by Basic Filing Fee Paid

SUBTOTAL (2)

(0) 0

SUBMITTED BY

Complete if applicable

Name (Print/Type)	LINDA D. BIRCH	Registration No. Attorney/Agent	38,718	Telephone	(202) 692-4848
Signature	Linda D. Birch	Date	JANUARY 17, 2001		

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APPLICATION INFORMATION

Title Line One:: INSECTICIDAL ANTHRANILAMIDES
Total Drawing Sheets:: 9
Formal Drawings?: No
Application Type:: Provisional
Docket Number:: BA9263USPRV3
Secrecy Order in Parent Appl.?: No

REPRESENTATIVE INFORMATION

Representative Customer Number:: 23906
Registration Number One:: 38719

Source:: PrintEFS Version 1.0.1

20060115-011701

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D.C., 20231. DO NOT SEND FEES OR COMPLETED FORMS TO THIS ADDRESS SEND TO: Best Personnel Application, Assistant Commissioner for Patents, Washington, D.C. 20231

625

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10710-512309

TITLE

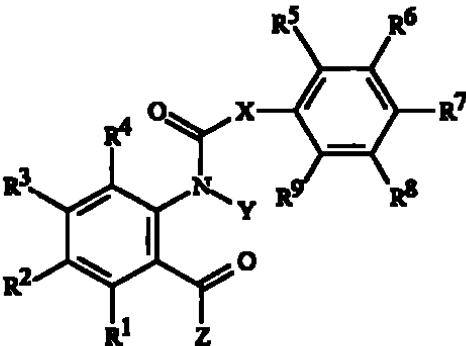
INSECTICIDAL ANTHRANILAMIDES

BACKGROUND OF THE INVENTION

This invention relates to certain anthranilamides, their *N*-oxides, agriculturally suitable salts and compositions, and methods of their use as arthropodicides in both agronomic and nonagronomic environments.

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

NL 9202078 discloses *N*-acyl anthranilic acid derivatives of Formula i as insecticides



i

wherein, *inter alia*,

X is a direct bond;

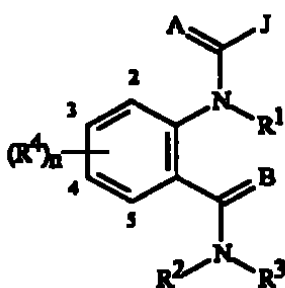
Y is H or C₁-C₆ alkyl;

Z is NH₂, NH(C₁-C₃ alkyl) or N(C₁-C₃ alkyl)₂; and

R¹ through R⁹ are independently H, halogen, C₁-C₆ alkyl, phenyl, hydroxy, C₁-C₆ alkoxy or C₁-C₇ acyloxy.

SUMMARY OF THE INVENTION

This invention pertains to compounds of Formula 1, their *N*-oxides and agriculturally suitable salts



1

wherein

A and B are independently O or S;

J is a phenyl group substituted with 1 to 2 R⁵ and optionally substituted with 1 to 3 R⁶,
or a 5- or 6-membered heteroaromatic ring optionally substituted with 1 to 4 R⁷;

n is 1 to 4;

R¹ is H; or C₁-C₆ alkyl, C₂-C₆ alkenyl, C₂-C₆ alkynyl or C₃-C₆ cycloalkyl each
optionally substituted with one or more substituents selected from the group
consisting of halogen, CN, NO₂, hydroxy, C₁-C₄ alkoxy, C₁-C₄ alkylthio, C₁-C₄
alkylsulfinyl, C₁-C₄ alkylsulfonyl, C₂-C₄ alkoxycarbonyl, C₁-C₄ alkylamino,
C₂-C₈ dialkylamino and C₃-C₆ cycloalkylamino; or

R¹ is C₂-C₆ alkylcarbonyl, C₂-C₆ alkoxycarbonyl, C₂-C₆ alkylaminocarbonyl or
C₃-C₈ dialkylaminocarbonyl;

R² is H, C₁-C₆ alkyl, C₂-C₆ alkenyl, C₂-C₆ alkynyl, C₃-C₆ cycloalkyl, C₁-C₄ alkoxy,
C₁-C₄ alkylamino, C₂-C₈ dialkylamino, C₃-C₆ cycloalkylamino, C₂-C₆
alkoxycarbonyl or C₂-C₆ alkylcarbonyl;

R³ is H; C₁-C₆ alkyl, C₂-C₆ alkenyl, C₂-C₆ alkynyl, C₃-C₆ cycloalkyl, each optionally
substituted with one or more substituents selected from the group consisting of
halogen, CN, NO₂, hydroxy, C₁-C₄ alkoxy, C₁-C₄ alkylthio, C₁-C₄ alkylsulfinyl
and C₁-C₄ alkylsulfonyl; C₁-C₄ alkoxy; C₁-C₄ alkylamino; C₂-C₈ dialkylamino;
C₃-C₆ cycloalkylamino; C₂-C₆ alkoxycarbonyl or C₂-C₆ alkylcarbonyl; or

R² and R³ can be 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 of
nitrogen, sulfur or oxygen, said ring may be optionally substituted with 1 to 4
substituents selected from the group consisting of C₁-C₂ alkyl, halogen, CN,
NO₂ and C₁-C₂ alkoxy;

each R⁴ is independently H, C₁-C₆ alkyl, C₂-C₆ alkenyl, C₂-C₆ alkynyl, C₃-C₆
cycloalkyl, C₁-C₆ haloalkyl, C₂-C₆ haloalkenyl, C₂-C₆ haloalkynyl, C₃-C₆
halocycloalkyl, halogen, CN, CO₂H, CONH₂, NO₂, hydroxy, C₁-C₄ alkoxy,
C₁-C₄ haloalkoxy, C₁-C₄ alkylthio, C₁-C₄ alkylsulfinyl, C₁-C₄ alkylsulfonyl,

C₁-C₄ haloalkylthio, C₁-C₄ haloalkylsulfinyl, C₁-C₄ haloalkylsulfonyl, C₁-C₄ alkylamino, C₂-C₈ dialkylamino, C₃-C₆ cycloalkylamino, C₂-C₆ alkylcarbonyl, C₂-C₆ alkoxycarbonyl, C₂-C₆ alkylaminocarbonyl, C₃-C₈ dialkylaminocarbonyl, C₃-C₆ trialkylsilyl; or

each R⁴ is independently phenyl, benzyl or phenoxy, each optionally substituted with C₁-C₄ alkyl, C₂-C₄ alkenyl, C₂-C₄ alkynyl, C₃-C₆ cycloalkyl, C₁-C₄ haloalkyl, C₂-C₄ haloalkenyl, C₂-C₄ haloalkynyl, C₃-C₆ halocycloalkyl, halogen, CN, NO₂, C₁-C₄ alkoxy, C₁-C₄ haloalkoxy, C₁-C₄ alkylthio, C₁-C₄ alkylsulfinyl, C₁-C₄ alkylsulfonyl, C₁-C₄ alkylamino, C₂-C₈ dialkylamino, C₃-C₆ cycloalkylamino, C₃-C₆ (alkyl)cycloalkylamino, C₂-C₄ alkylcarbonyl, C₂-C₆ alkoxycarbonyl, C₂-C₆ alkylaminocarbonyl, C₃-C₈ dialkylaminocarbonyl or C₃-C₆ trialkylsilyl;

each R⁵ is independently C₁-C₆ alkyl, C₂-C₆ alkenyl, C₂-C₆ alkynyl, C₃-C₆ cycloalkyl, C₁-C₆ haloalkyl, C₂-C₆ haloalkenyl, C₂-C₆ haloalkynyl, C₃-C₆ halocycloalkyl, halogen, CN, CO₂H, CONH₂, NO₂, hydroxy, C₁-C₄ alkoxy, C₁-C₄ haloalkoxy, C₁-C₄ alkylthio, C₁-C₄ alkylsulfinyl, C₁-C₄ alkylsulfonyl, C₁-C₄ haloalkylthio, C₁-C₄ haloalkylsulfinyl, C₁-C₄ haloalkylsulfonyl, C₁-C₄ alkylamino, C₂-C₈ dialkylamino, C₃-C₆ cycloalkylamino, C₂-C₆ alkylcarbonyl, C₂-C₆ alkoxycarbonyl, C₂-C₆ alkylaminocarbonyl, C₃-C₈ dialkylaminocarbonyl, C₃-C₆ trialkylsilyl; or

(R⁵)₂ when attached to adjacent carbon atoms can be taken together as -OCF₂O-, -CF₂CF₂O-, or -OCF₂CF₂O-;

each R⁶ is independently H, halogen, C₁-C₆ alkyl, C₂-C₆ alkenyl, C₂-C₆ alkynyl, C₃-C₆ cycloalkyl, C₁-C₄ alkoxy; or

each R⁶ is independently a phenyl, benzyl, phenoxy or a 5- or 6-membered heteroaromatic ring, each ring optionally substituted with C₁-C₄ alkyl, C₂-C₄ alkenyl, C₂-C₄ alkynyl, C₃-C₆ cycloalkyl, C₁-C₄ haloalkyl, C₂-C₄ haloalkenyl, C₂-C₄ haloalkynyl, C₃-C₆ halocycloalkyl, halogen, CN, NO₂, C₁-C₄ alkoxy, C₁-C₄ haloalkoxy, C₁-C₄ alkylthio, C₁-C₄ alkylsulfinyl, C₁-C₄ alkylsulfonyl, C₁-C₄ alkylamino, C₂-C₈ dialkylamino, C₃-C₆ cycloalkylamino, C₃-C₆ (alkyl)cycloalkylamino, C₂-C₄ alkylcarbonyl, C₂-C₆ alkoxycarbonyl, C₂-C₆ alkylaminocarbonyl, C₃-C₈ dialkylaminocarbonyl or C₃-C₆ trialkylsilyl;

each R⁷ is independently H, C₁-C₆ alkyl, C₂-C₆ alkenyl, C₂-C₆ alkynyl, C₃-C₆ cycloalkyl, C₁-C₆ haloalkyl, C₂-C₆ haloalkenyl, C₂-C₆ haloalkynyl, C₃-C₆ halocycloalkyl, halogen, CN, CO₂H, CONH₂, NO₂, hydroxy, C₁-C₄ alkoxy, C₁-C₄ haloalkoxy, C₁-C₄ alkylthio, C₁-C₄ alkylsulfinyl, C₁-C₄ alkylsulfonyl, C₁-C₄ haloalkylthio, C₁-C₄ haloalkylsulfinyl, C₁-C₄ haloalkylsulfonyl, C₁-C₄ alkylamino, C₂-C₈ dialkylamino, C₃-C₆ cycloalkylamino, C₂-C₆ alkylcarbonyl,

C₂-C₆ alkoxy carbonyl, C₂-C₆ alkylaminocarbonyl, C₃-C₈ dialkylaminocarbonyl, C₃-C₆ trialkylsilyl; or

each R⁷ is independently a phenyl, benzyl, benzoyl, phenoxy or a 5- or 6-membered heteroaromatic ring, each ring optionally substituted with C₁-C₄ alkyl, C₂-C₄ alkenyl, C₂-C₄ alkynyl, C₃-C₆ cycloalkyl, C₁-C₄ haloalkyl, C₂-C₄ haloalkenyl, C₂-C₄ haloalkynyl, C₃-C₆ halocycloalkyl, halogen, CN, NO₂, C₁-C₄ alkoxy, C₁-C₄ haloalkoxy, C₁-C₄ alkylthio, C₁-C₄ alkylsulfanyl, C₁-C₄ alkylsulfonyl, C₁-C₄ alkylamino, C₂-C₈ dialkylamino, C₃-C₆ cycloalkylamino, C₃-C₆ (alkyl)cycloalkylamino, C₂-C₄ alkylcarbonyl, C₂-C₆ alkoxy carbonyl, C₂-C₆ alkylaminocarbonyl, C₃-C₈ dialkylaminocarbonyl or C₃-C₆ trialkylsilyl; provided that when A and B are both O, R² is H or C₁-C₃ alkyl, R³ is H or C₁-C₃ alkyl and R⁴ is H, halogen, C₁-C₆ alkyl, phenyl, hydroxy or C₁-C₆ alkoxy, then one R⁵ is other than halogen, C₁-C₆ alkyl, hydroxy or C₁-C₆ alkoxy.

This invention is also directed to a method for controlling arthropods comprising contacting the arthropods or their environment with an arthropodically effective amount of a compound of Formula 1.

This invention also pertains to arthropodicidal compositions comprising an arthropodically effective amount of a compound of Formula 1 and at least one additional component selected from the group consisting of surfactants, solid diluents and liquid diluents.

DETAILS OF THE INVENTION

In the above recitations, the term "alkyl", used either alone or in compound words such as "alkylthio" or "haloalkyl" includes straight-chain or branched alkyl, such as, methyl, ethyl, *n*-propyl, *i*-propyl, or the different butyl, pentyl or hexyl isomers. The term "1-2 alkyl" indicates that one or two of the available positions for that substituent may be alkyl. "Alkenyl" includes straight-chain or branched alkenes such as 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 1-propynyl, 2-propynyl and the different butynyl, pentynyl and hexynyl isomers. "Alkynyl" can also include moieties comprised of multiple triple bonds such as 2,5-hexadiynyl. "Alkoxy" includes, for example, methoxy, ethoxy, *n*-propyloxy, isopropyloxy and the different butoxy, pentoxy and hexyloxy isomers. "Alkoxyalkyl" denotes alkoxy substitution on alkyl. Examples of "alkoxyalkyl" include CH₃OCH₂, CH₃OCH₂CH₂, CH₃CH₂OCH₂, CH₃CH₂CH₂OCH₂ and CH₃CH₂OCH₂CH₂. "Alkylthio" includes branched or straight-chain alkylthio moieties such as methylthio, ethylthio, and the different propylthio, butylthio, pentylthio and hexylthio isomers. "Cycloalkyl" includes, for example, cyclopropyl, cyclobutyl, cyclopentyl and cyclohexyl.

The term "heteroaromatic ring" denotes fully aromatic rings in which at least one ring atom is not carbon and comprises 1 to 4 heteroatoms independently selected from the group consisting of nitrogen, oxygen and sulfur, provided that each heteroaromatic ring contains no more than 4 nitrogens, no more than 2 oxygens and no more than 2 sulfurs (where aromatic indicates that the Hückel rule is satisfied). The heteroaromatic ring can be attached through any available carbon or nitrogen by replacement of hydrogen on said carbon or nitrogen.

The term "halogen", either alone or in compound words such as "haloalkyl", includes fluorine, chlorine, bromine or iodine. Further, when used in compound words such as "haloalkyl", said alkyl may be partially or fully substituted with halogen atoms which may be the same or different. Examples of "haloalkyl" include F_3C , $ClCH_2$, CF_3CH_2 and CF_3CCl_2 . The terms "haloalkenyl", "haloalkynyl", "haloalkoxy", and the like, are defined analogously to the term "haloalkyl". Examples of "haloalkenyl" include $(Cl)_2C=CHCH_2$ and $CF_3CH_2CH=CHCH_2$. Examples of "haloalkynyl" include $HC\equiv CCHCl$, $CF_3C\equiv C$, $CCl_3C\equiv C$ and $FCH_2C\equiv CCH_2$. Examples of "haloalkoxy" include CF_3O , CCl_3CH_2O , $HCF_2CH_2CH_2O$ and CF_3CH_2O .

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 6. For example, C_1-C_3 alkylsulfonyl designates methylsulfonyl through propylsulfonyl; 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 $CH_3CH_2OCH_2CH_2$. In the above recitations, when a compound of Formula 1 contains a heterocyclic ring, all substituents are attached to this ring through any available carbon or nitrogen by replacement of a hydrogen on said carbon or nitrogen.

When a group contains a substituent which can be hydrogen, for example R^3 , then, when this substituent is taken as hydrogen, it is recognized that this is equivalent to said group being unsubstituted.

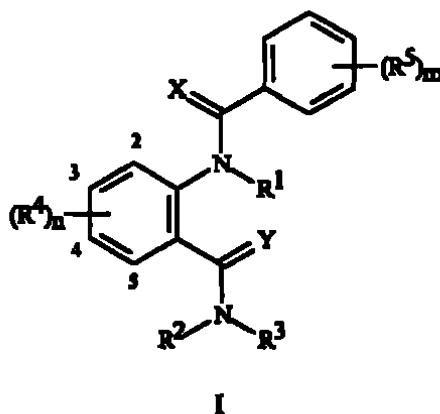
Compounds of this invention can exist as one or more stereoisomers. The various stereoisomers include enantiomers, diastereomers, atropisomers and geometric isomers. One skilled in the art will appreciate that one stereoisomer may be more active and/or may exhibit beneficial effects when enriched relative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

The present invention comprises compounds selected from Formula 1, *N*-oxides and agriculturally suitable salts thereof. One skilled in the art will appreciate that not all nitrogen containing heterocycles can form *N*-oxides since the nitrogen requires an available lone pair

for oxidation to the oxide; one skilled in the art will recognize those nitrogen containing heterocycles which can form *N*-oxides. One skilled in the art will also recognize that tertiary amines can form *N*-oxides. Synthetic methods for the preparation of *N*-oxides of heterocycles and tertiary amines are very well known by one skilled in the art including the oxidation of heterocycles and tertiary amines with peroxy acids such as peracetic and *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 18-19, 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 139-151, 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.

Of note are certain compounds of Formula I



wherein

- X and Y are O;
- m is 1 to 5;
- n is 1 to 4;

R^1 is H; or C_1 - C_6 alkyl, C_2 - C_6 alkenyl, C_2 - C_6 alkynyl or C_3 - C_6 cycloalkyl each optionally substituted with one or more substituents selected from the group consisting of halogen, CN, NO_2 , hydroxy, C_1 - C_4 alkoxy, C_1 - C_4 alkylthio, C_1 - C_4 alkylsulfinyl, C_1 - C_4 alkylsulfonyl, C_2 - C_4 alkoxycarbonyl, C_1 - C_4 alkylamino, C_2 - C_8 dialkylamino and C_3 - C_6 cycloalkylamino; or

R^1 is C_2 - C_6 alkylcarbonyl, C_2 - C_6 alkoxycarbonyl, C_2 - C_6 alkylaminocarbonyl or C_3 - C_8 dialkylaminocarbonyl;

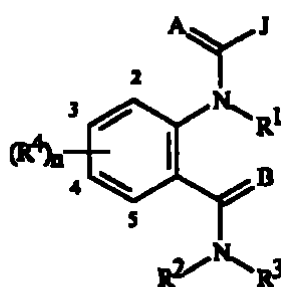
R^2 is H, C_1 - C_6 alkyl, C_2 - C_6 alkenyl, C_2 - C_6 alkynyl, C_3 - C_6 cycloalkyl, C_1 - C_4 alkoxy, C_1 - C_4 alkylamino, C_2 - C_8 dialkylamino, C_3 - C_6 cycloalkylamino, C_2 - C_6 alkoxycarbonyl or C_2 - C_6 alkylcarbonyl;

R^3 is *i*-propyl or *t*-butyl; and

each R^4 and R^5 are independently H, C_1 - C_6 alkyl, C_2 - C_6 alkenyl, C_2 - C_6 alkynyl, C_3 - C_6 cycloalkyl, C_1 - C_6 haloalkyl, C_2 - C_6 haloalkenyl, C_2 - C_6 haloalkynyl, C_3 - C_6 halocycloalkyl, halogen, CN, CO_2H , $CONH_2$, NO_2 , hydroxy, C_1 - C_4 alkoxy, C_1 - C_4 haloalkoxy, C_1 - C_4 alkylthio, C_1 - C_4 alkylsulfinyl, C_1 - C_4 alkylsulfonyl, C_1 - C_4 haloalkylthio, C_1 - C_4 haloalkylsulfinyl, C_1 - C_4 haloalkylsulfonyl, C_1 - C_4 alkoxycarbonyl, C_1 - C_4 alkylamino, C_2 - C_8 dialkylamino, C_3 - C_6 cycloalkylamino, C_2 - C_6 alkylcarbonyl, C_2 - C_6 alkoxycarbonyl, C_2 - C_6 alkylaminocarbonyl, C_3 - C_8 dialkylaminocarbonyl, C_3 - C_6 trialkylsilyl; or

each R^4 and R^5 are independently phenyl optionally substituted with C_1 - C_4 alkyl, C_2 - C_4 alkenyl, C_2 - C_4 alkynyl, C_3 - C_6 cycloalkyl, C_1 - C_4 haloalkyl, C_2 - C_4 haloalkenyl, C_2 - C_4 haloalkynyl, C_3 - C_6 halocycloalkyl, halogen, CN, NO_2 , C_1 - C_4 alkoxy, C_1 - C_4 haloalkoxy, C_1 - C_4 alkylthio, C_1 - C_4 alkylsulfinyl, C_1 - C_4 alkylsulfonyl, C_1 - C_4 alkoxycarbonyl, C_1 - C_4 alkylamino, C_2 - C_8 dialkylamino, C_3 - C_6 cycloalkylamino, C_3 - C_6 (alkyl)cycloalkylamino, C_2 - C_4 alkylcarbonyl, C_2 - C_6 alkoxycarbonyl, C_2 - C_6 alkylaminocarbonyl, C_3 - C_8 dialkylaminocarbonyl or C_3 - C_6 trialkylsilyl.

Also of note are certain compounds of Formula II



II

wherein

A and B are independently O or S;

J is a phenyl group substituted with 1 to 2 R⁵ and optionally substituted with 1 to 3 R⁶,
or a 5- or 6-membered heteroaromatic ring optionally substituted with 1 to 4 R⁷;

n is 1 to 4;

R¹ is H; or C₁-C₆ alkyl, C₂-C₆ alkenyl, C₂-C₆ alkynyl or C₃-C₆ cycloalkyl each
optionally substituted with one or more substituents selected from the group
consisting of halogen, CN, NO₂, hydroxy, C₁-C₄ alkoxy, C₁-C₄ alkylthio, C₁-C₄
alkylsulfinyl, C₁-C₄ alkylsulfonyl, C₂-C₄ alkoxycarbonyl, C₁-C₄ alkylamino,
C₂-C₈ dialkylamino and C₃-C₆ cycloalkylamino; or

R¹ is C₂-C₆ alkylcarbonyl, C₂-C₆ alkoxycarbonyl, C₂-C₆ alkylaminocarbonyl or
C₃-C₈ dialkylaminocarbonyl;

R² is H, C₁-C₆ alkyl, C₂-C₆ alkenyl, C₂-C₆ alkynyl, C₃-C₆ cycloalkyl, C₁-C₄ alkoxy,
C₁-C₄ alkylamino, C₂-C₈ dialkylamino, C₃-C₆ cycloalkylamino, C₂-C₆
alkoxycarbonyl or C₂-C₆ alkylcarbonyl;

R³ is H; or C₁-C₆ alkyl, C₂-C₆ alkenyl, C₂-C₆ alkynyl or C₃-C₆ cycloalkyl each
optionally substituted with one or more substituents selected from the group
consisting of halogen, CN, NO₂, hydroxy, C₁-C₄ alkoxy, C₁-C₄ alkylthio, C₁-C₄
alkylsulfinyl and C₁-C₄ alkylsulfonyl; or

R² and R³ can be 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 of
nitrogen, sulfur or oxygen, said ring may be optionally substituted with 1 to 4
substituents selected from the group consisting of C₁-C₂ alkyl, halogen, CN,
NO₂ and C₁-C₂ alkoxy;

each R⁴ is independently H, C₁-C₆ alkyl, C₂-C₆ alkenyl, C₂-C₆ alkynyl, C₃-C₆
cycloalkyl, C₁-C₆ haloalkyl, C₂-C₆ haloalkenyl, C₂-C₆ haloalkynyl, C₃-C₆
halocycloalkyl, halogen, CN, CO₂H, CONH₂, NO₂, hydroxy, C₁-C₄ alkoxy,
C₁-C₄ haloalkoxy, C₁-C₄ alkylthio, C₁-C₄ alkylsulfinyl, C₁-C₄ alkylsulfonyl,
C₁-C₄ haloalkylthio, C₁-C₄ haloalkylsulfinyl, C₁-C₄ haloalkylsulfonyl, C₁-C₄
alkylamino, C₂-C₈ dialkylamino, C₃-C₆ cycloalkylamino, C₂-C₆ alkylcarbonyl,
C₂-C₆ alkoxycarbonyl, C₂-C₆ alkylaminocarbonyl, C₃-C₈ dialkylaminocarbonyl,
C₃-C₆ trialkylsilyl; or

each R⁴ is independently phenyl, benzyl or phenoxy, each optionally substituted with
C₁-C₄ alkyl, C₂-C₄ alkenyl, C₂-C₄ alkynyl, C₃-C₆ cycloalkyl, C₁-C₄ haloalkyl,
C₂-C₄ haloalkenyl, C₂-C₄ haloalkynyl, C₃-C₆ halocycloalkyl, halogen, CN,
NO₂, C₁-C₄ alkoxy, C₁-C₄ haloalkoxy, C₁-C₄ alkylthio, C₁-C₄ alkylsulfinyl,
C₁-C₄ alkylsulfonyl, C₁-C₄ alkylamino, C₂-C₈ dialkylamino, C₃-C₆
cycloalkylamino, C₃-C₆ (alkyl)cycloalkylamino, C₂-C₄ alkylcarbonyl, C₂-C₆

alkoxycarbonyl, C₂-C₆ alkylaminocarbonyl, C₃-C₈ dialkylaminocarbonyl or C₃-C₆ trialkylsilyl;

each R⁵ is independently C₁-C₆ haloalkyl, C₂-C₆ haloalkenyl, C₂-C₆ haloalkynyl, C₃-C₆ halocycloalkyl, C₁-C₄ haloalkoxy, C₁-C₄ alkylthio, C₁-C₄ alkylsulfinyl, C₁-C₄ alkylsulfonyl, C₁-C₄ haloalkylthio, C₁-C₄ haloalkylsulfinyl, C₁-C₄ haloalkylsulfonyl, CN, NO₂, C₁-C₄ alkylamino, C₂-C₈ dialkylamino, C₃-C₆ cycloalkylamino, C₂-C₆ alkylcarbonyl, C₂-C₆ alkoxycarbonyl, C₂-C₆ alkylaminocarbonyl, or C₃-C₈ dialkylaminocarbonyl; or

(R⁵)₂ when attached to adjacent carbon atoms can be taken together as -OCF₂O-, -CF₂CF₂O-, or -OCF₂CF₂O-;

each R⁶ is independently H, halogen, C₁-C₆ alkyl, C₂-C₆ alkenyl, C₂-C₆ alkynyl, C₃-C₆ cycloalkyl, C₁-C₄ alkoxy, or

each R⁶ is independently phenyl, benzyl or phenoxy, each optionally substituted with C₁-C₄ alkyl, C₂-C₄ alkenyl, C₂-C₄ alkynyl, C₃-C₆ cycloalkyl, C₁-C₄ haloalkyl, C₂-C₄ haloalkenyl, C₂-C₄ haloalkynyl, C₃-C₆ halocycloalkyl, halogen, CN, NO₂, C₁-C₄ alkoxy, C₁-C₄ haloalkoxy, C₁-C₄ alkylthio, C₁-C₄ alkylsulfinyl, C₁-C₄ alkylsulfonyl, C₁-C₄ alkylamino, C₂-C₈ dialkylamino, C₃-C₆ cycloalkylamino, C₃-C₆ (alkyl)cycloalkylamino, C₂-C₄ alkylcarbonyl, C₂-C₆ alkoxycarbonyl, C₂-C₆ alkylaminocarbonyl, C₃-C₈ dialkylaminocarbonyl or C₃-C₆ trialkylsilyl;

each R⁷ is independently H, C₁-C₆ alkyl, C₂-C₆ alkenyl, C₂-C₆ alkynyl, C₃-C₆ cycloalkyl, C₁-C₆ haloalkyl, C₂-C₆ haloalkenyl, C₂-C₆ haloalkynyl, C₃-C₆ halocycloalkyl, halogen, CN, CO₂H, CONH₂, NO₂, hydroxy, C₁-C₄ alkoxy, C₁-C₄ haloalkoxy, C₁-C₄ alkylthio, C₁-C₄ alkylsulfinyl, C₁-C₄ alkylsulfonyl, C₁-C₄ haloalkylthio, C₁-C₄ haloalkylsulfinyl, C₁-C₄ haloalkylsulfonyl, C₁-C₄ alkylamino, C₂-C₈ dialkylamino, C₃-C₆ cycloalkylamino, C₂-C₆ alkylcarbonyl, C₂-C₆ alkoxycarbonyl, C₂-C₆ alkylaminocarbonyl, C₃-C₈ dialkylaminocarbonyl, C₃-C₆ trialkylsilyl; or

each R⁷ is independently phenyl, benzyl or phenoxy, each optionally substituted with C₁-C₄ alkyl, C₂-C₄ alkenyl, C₂-C₄ alkynyl, C₃-C₆ cycloalkyl, C₁-C₄ haloalkyl, C₂-C₄ haloalkenyl, C₂-C₄ haloalkynyl, C₃-C₆ halocycloalkyl, halogen, CN, NO₂, C₁-C₄ alkoxy, C₁-C₄ haloalkoxy, C₁-C₄ alkylthio, C₁-C₄ alkylsulfinyl, C₁-C₄ alkylsulfonyl, C₁-C₄ alkoxycarbonyl, C₁-C₄ alkylamino, C₂-C₈ dialkylamino, C₃-C₆ cycloalkylamino, C₃-C₆ (alkyl)cycloalkylamino, C₂-C₄ alkylcarbonyl, C₂-C₆ alkoxycarbonyl, C₂-C₆ alkylaminocarbonyl, C₃-C₈ dialkylaminocarbonyl or C₃-C₆ trialkylsilyl.

Preferred compounds for reasons of better activity and/or ease of synthesis are:

Preferred 1. Compounds of Formula 1 wherein J is a phenyl group substituted with 1 to 2 R⁵ and optionally substituted with 1 to 3 R⁶.

Preferred 2. Compounds of Preferred 1 wherein

A and B are both O;

n is 1 to 2;

R¹ is H, C₁-C₄ alkyl, C₂-C₄ alkenyl, C₂-C₄ alkynyl, C₃-C₆ cycloalkyl, C₂-C₆ alkylcarbonyl or C₂-C₆ alkoxy carbonyl;

R² is H, C₁-C₄ alkyl, C₂-C₄ alkenyl, C₂-C₄ alkynyl, C₃-C₆ cycloalkyl, C₂-C₆ alkylcarbonyl or C₂-C₆ alkoxy carbonyl;

R³ is C₂-C₆ alkyl, C₂-C₆ alkenyl, C₂-C₆ alkynyl or C₃-C₆ cycloalkyl each optionally substituted with one or more substituents selected from the group consisting of halogen, CN, C₁-C₂ alkoxy, C₁-C₂ alkylthio, C₁-C₂ alkylsulfinyl and C₁-C₂ alkylsulfonyl;

one of the R⁴ groups is attached to the phenyl ring at the 2-position or 5-position, and said R⁴ is C₁-C₄ alkyl, C₁-C₄ haloalkyl, halogen, CN, NO₂, C₁-C₄ alkoxy, C₁-C₄ haloalkoxy, C₁-C₄ alkylthio, C₁-C₄ alkylsulfinyl, C₁-C₄ alkylsulfonyl, C₁-C₄ haloalkylthio, C₁-C₄ haloalkylsulfinyl, C₁-C₄ haloalkylsulfonyl or C₂-C₄ alkoxy carbonyl;

each R⁵ is independently C₁-C₄ haloalkyl, CN, NO₂, C₁-C₄ haloalkoxy, C₁-C₄ alkylthio, C₁-C₄ alkylsulfinyl, C₁-C₄ alkylsulfonyl, C₁-C₄ haloalkylthio, C₁-C₄ haloalkylsulfinyl, C₁-C₄ haloalkylsulfonyl or C₂-C₄ alkoxy carbonyl; or

(R⁵)₂ when attached to adjacent carbon atoms can be taken together as -OCF₂O-, -CF₂CF₂O- or -OCF₂CF₂O-; and

each R⁶ is independently H, halogen, C₁-C₄ alkyl, C₁-C₂ alkoxy or C₂-C₄ alkoxy carbonyl, or

each R⁶ is independently a phenyl or a 5- or 6-membered heteroaromatic ring, each ring optionally substituted with C₁-C₄ alkyl, C₂-C₄ alkenyl, C₂-C₄ alkynyl, C₃-C₆ cycloalkyl, C₁-C₄ haloalkyl, C₂-C₄ haloalkenyl, C₂-C₄ haloalkynyl, C₃-C₆ halocycloalkyl, halogen, CN, NO₂, C₁-C₄ alkoxy, C₁-C₄ haloalkoxy, C₁-C₄ alkylthio, C₁-C₄ alkylsulfinyl, C₁-C₄ alkylsulfonyl, C₁-C₄ alkylamino, C₂-C₈ dialkylamino, C₃-C₆ cycloalkylamino, C₃-C₆ (alkyl)cycloalkylamino, C₂-C₄ alkylcarbonyl, C₂-C₆ alkoxy carbonyl, C₂-C₆ alkylaminocarbonyl, C₃-C₈ dialkylaminocarbonyl or C₃-C₆ trialkylsilyl.

Preferred 3. Compounds of Preferred 2 wherein

R¹ and R² are H;

R³ is C₂-C₄ alkyl optionally substituted with halogen, CN, OCH₃, S(O)_pCH₃;

each R^4 is independently CH_3 , CF_3 , OCF_3 , $OCHF_2$, $S(O)_pCF_3$, $S(O)_pCHF_2$ or halogen;

each R^5 is independently CF_3 , OCF_3 , $OCHF_2$, $S(O)_pCF_3$, $S(O)_pCHF_2$, OCH_2CF_3 , OCF_2CHF_2 , $S(O)_pCH_2CF_3$ or $S(O)_pCF_2CHF_2$;

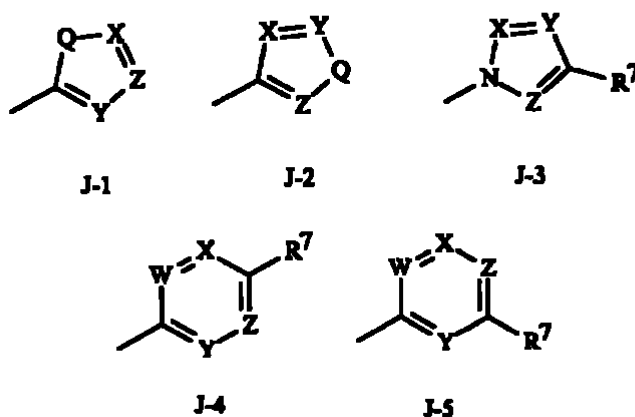
5 each R^6 is independently halogen or methyl; or phenyl, pyrazole, imidazole, triazole, pyridine or pyrimidine, each ring optionally substituted with C_1 - C_4 alkyl, C_1 - C_4 haloalkyl, halogen or CN; and p is 0, 1 or 2.

Preferred 4. Compounds of Preferred 3 wherein R^3 is *i*-propyl or *t*-butyl.

10 Preferred 5. Compounds of Formula 1 wherein J is a 5- or 6-membered heteroaromatic ring optionally substituted with 1 to 4 R^7 .

Preferred 6. Compounds of Preferred 5 wherein

J is a 5- or 6-membered heteroaromatic ring selected from the group consisting of J-1, J-2, J-3, J-4 and J-5, each J optionally substituted with 1 to 3 R^7



Q is O, S or NR^7 ; and

W , X , Y and Z are independently N or CR^7 , provided that in J-4 and J-5 at least one of W , X , Y or Z is N.

20 Preferred 7. Compounds of Preferred 5 or Preferred 6 wherein

A and B are O;

n is 1 to 2;

R^1 is H, C_1 - C_4 alkyl, C_2 - C_4 alkenyl, C_2 - C_4 alkynyl, C_2 - C_6 alkylcarbonyl or C_2 - C_6 alkoxy carbonyl;

25 R^2 is H, C_1 - C_4 alkyl, C_2 - C_4 alkenyl, C_2 - C_4 alkynyl, C_3 - C_6 cycloalkyl, C_2 - C_6 alkylcarbonyl or C_2 - C_6 alkoxy carbonyl;

R^3 is H; or C_2 - C_6 alkyl, C_2 - C_6 alkenyl, C_2 - C_6 alkynyl or C_3 - C_6 cycloalkyl each optionally substituted with one or more substituents selected from

the group consisting of halogen, CN, C₁-C₂ alkoxy, C₁-C₂ alkylthio, C₁-C₂ alkylsulfinyl and C₁-C₂ alkylsulfonyl;

one of the R⁴ groups is attached to the phenyl ring at the 2-position, and said

R⁴ is C₁-C₄ alkyl, C₁-C₄ haloalkyl, halogen, CN, NO₂, C₁-C₄ alkoxy, C₁-C₄ haloalkoxy, C₁-C₄ alkylthio, C₁-C₄ alkylsulfinyl, C₁-C₄ alkylsulfonyl, C₁-C₄ haloalkylthio, C₁-C₄ haloalkylsulfinyl, C₁-C₄ haloalkylsulfonyl or C₂-C₄ alkoxycarbonyl; and

each R⁷ is independently H, C₁-C₄ alkyl, C₁-C₄ haloalkyl, halogen, CN, NO₂, C₁-C₄ haloalkoxy, C₁-C₄ alkylthio, C₁-C₄ alkylsulfinyl, C₁-C₄ alkylsulfonyl, C₁-C₄ haloalkylthio, C₁-C₄ haloalkylsulfinyl, C₁-C₄ haloalkylsulfonyl or C₂-C₄ alkoxycarbonyl; or a phenyl or a 5- or 6-membered heteroaromatic ring, each ring optionally substituted with C₁-C₄ alkyl, C₂-C₄ alkenyl, C₂-C₄ alkynyl, C₃-C₆ cycloalkyl, C₁-C₄ haloalkyl, C₂-C₄ haloalkenyl, C₂-C₄ haloalkynyl, C₃-C₆ halocycloalkyl, halogen, CN, NO₂, C₁-C₄ alkoxy, C₁-C₄ haloalkoxy, C₁-C₄ alkylthio, C₁-C₄ alkylsulfinyl, C₁-C₄ alkylsulfonyl, C₁-C₄ alkylamino, C₂-C₆ dialkylamino, C₃-C₆ cycloalkylamino, C₃-C₆ (alkyl)cycloalkylamino, C₂-C₆ alkylcarbonyl, C₂-C₆ alkoxycarbonyl, C₂-C₆ alkylaminocarbonyl, C₃-C₆ dialkylaminocarbonyl or C₃-C₆ trialkylsilyl.

Preferred 8. Compounds of Preferred 7 wherein

J is selected from the group consisting of pyridine, pyrimidine, pyrazole, imidazole, triazole, thiophene, thiazole and oxazole, each optionally substituted with 1 to 3 R⁷.

Preferred 9. Compounds of Preferred 8 wherein

J is selected from the group consisting of pyridine, pyrimidine, pyrazole, thiophene and thiazole, each optionally substituted with 1 to 3 R⁷;

R¹ and R² are H;

R³ is C₂-C₄ alkyl optionally substituted with halogen, CN, OCH₃, S(O)_pCH₃;

each R⁴ is independently CH₃, CF₃, OCF₃, OCHF₂, S(O)_pCF₃, S(O)_pCHF₂

or halogen;

each R⁷ is independently halogen, CH₃, CF₃, OCHF₂, S(O)_pCF₃, S(O)_pCHF₂, OCH₂CF₃, OCF₂CHF₂, S(O)_pCH₂CF₃, S(O)_pCF₂CHF₂; or phenyl,

pyrazole, imidazole, triazole, pyridine or pyrimidine, each ring optionally substituted with C₁-C₄ alkyl, C₁-C₄ haloalkyl, halogen or

CN; and

p is 0, 1 or 2.

Preferred 10. Compounds of Preferred 9 wherein R³ is *i*-propyl or *t*-butyl.

Most preferred is the compound of Formula 1 selected from the group consisting of:

3-methyl-N-(1-methylethyl)-2-[[4-(trifluoromethyl)benzoyl]amino]-
benzamide,

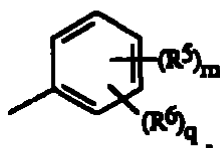
2-methyl-N-[2-methyl-6-[[[(1-methylethyl)amino]carbonyl]phenyl]-4-
(trifluoromethyl)benzamide,

5 2-methyl-N-[2-methyl-6-[[[(1-methylethyl)amino]carbonyl]phenyl]-6-
(trifluoromethyl)-3-pyridinecarboxamide,

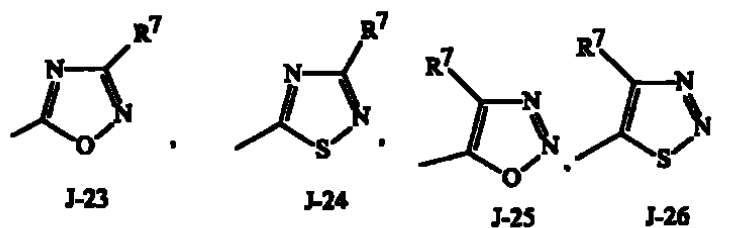
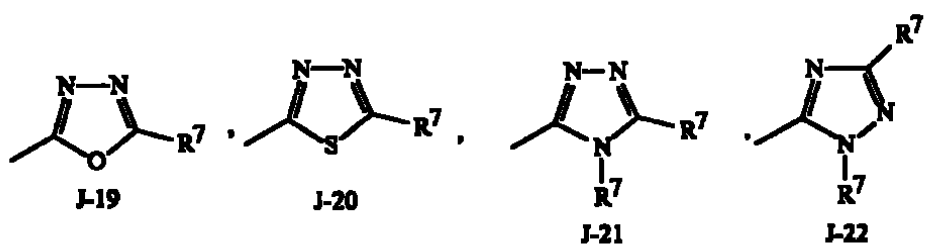
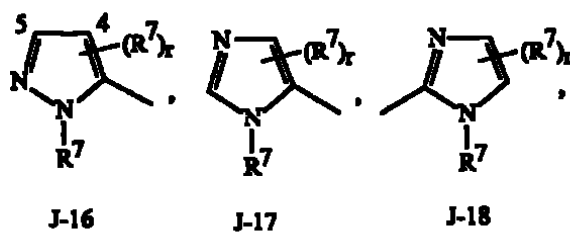
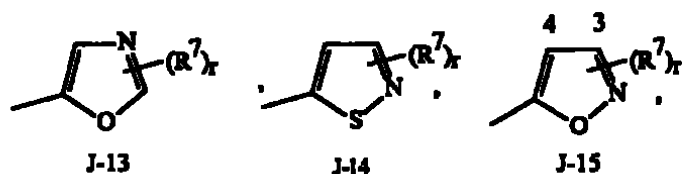
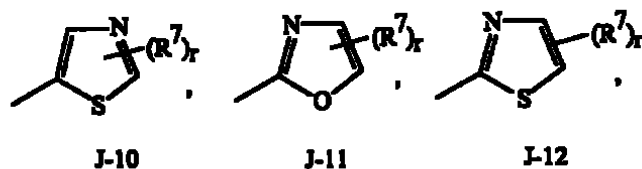
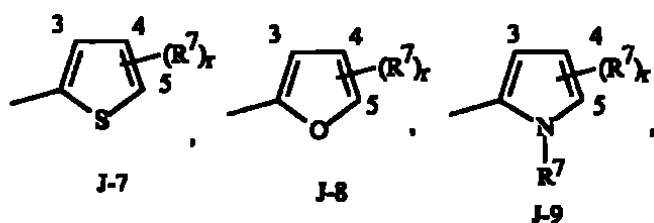
1-ethyl-N-[2-methyl-6-[[[(1-methylethyl)amino]carbonyl]phenyl]-3-
(trifluoromethyl)-1H-pyrazole-5-carboxamide.

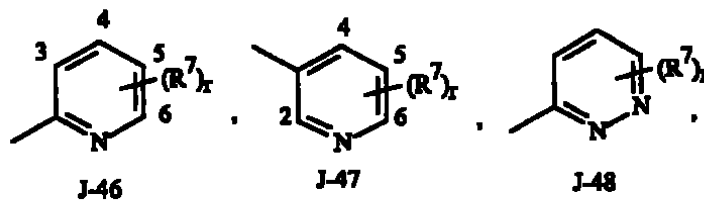
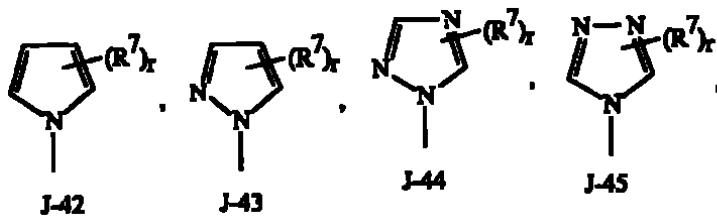
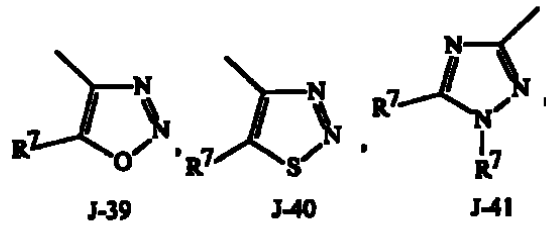
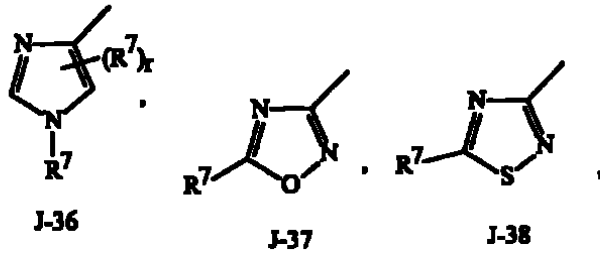
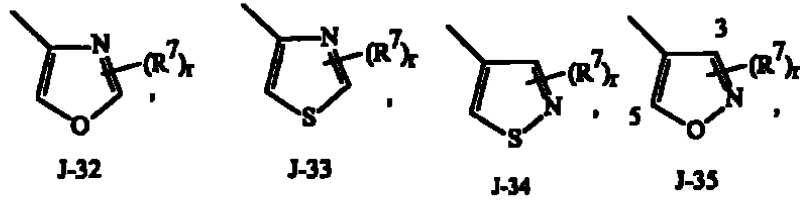
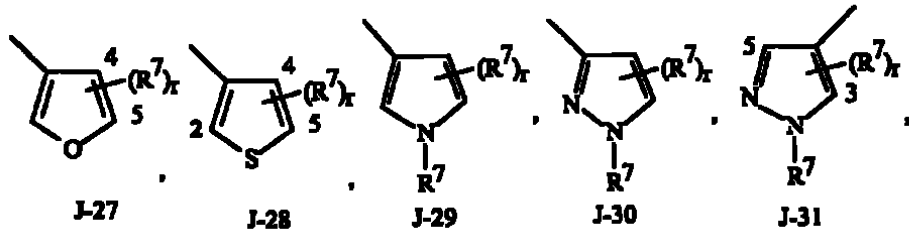
As noted above, each J is a phenyl group substituted with 1 to 2 R⁵ and optionally
10 substituted with 1 to 3 R⁶, or a 5- or 6-membered heteroaromatic ring optionally substituted
with 1 to 4 R⁷. The term "optionally substituted" in connection with these J groups refers to
groups which are unsubstituted or have at least one non-hydrogen substituent that does not
extinguish the arthropodicidal activity possessed by the unsubstituted analog. Note also that
J-1 through J-5 above denote 5- or 6-membered heteroaromatic rings. An example of phenyl
15 substituted with 1 to 2 R⁵ and optionally substituted with 1 to 3 R⁶ is the ring illustrated as
J-6 in Exhibit 1, wherein m is an integer from 1-2 and q is an integer from 1 to 3. Note that
at least one R⁵ must be present in J-6. Although R⁶ groups are shown in the structure J-6, it
is noted that they do not need to be present since they are optional substituents. Examples of
5- or 6-membered heteroaromatic ring optionally substituted with 1 to 4 R⁷ include the rings
20 J-7 through J-58 illustrated in Exhibit 1 wherein r is an integer from 1 to 4. Note that J-7
through J-26 are examples of J-1, J-27 through J-41 are examples of J-2, J-42 through J-44
are examples of J-3, J-46 through J-53 are examples of J-4 and J-54 through J-58 are
examples of J-5. The nitrogen atoms that require substitution to fill their valence are
substituted with R⁷. Note that some J groups can only be substituted with less than 4 R⁷
25 groups (e.g. J-19, J-20, J-23 through J-26 and J-37 through J-40 can only be substituted with
one R⁷). Although R⁷ groups are shown in the structures J-7 to J-58, it is noted that they do
not need to be present since they are optional substituents. Note that when R⁵, R⁶ and/or R⁷
are H when attached to an atom, this is the same as if said atom is unsubstituted. Note that
when the attachment point between (R⁵)_m, (R⁶)_q or (R⁷)_r and the J group is illustrated as
30 floating, (R⁵)_m, (R⁶)_q or (R⁷)_r can be attached to any available carbon atom of the J group.

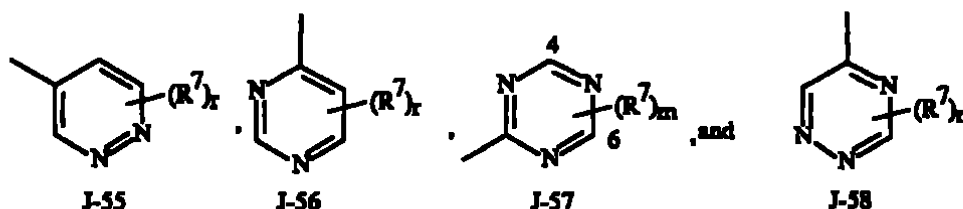
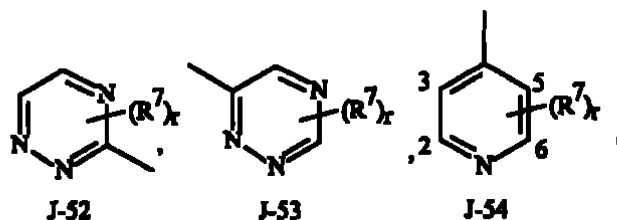
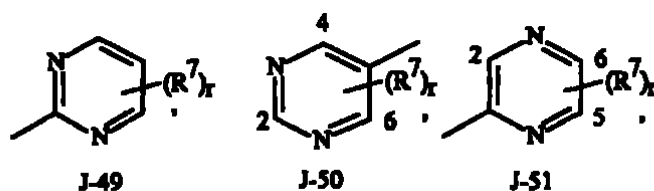
Exhibit 1



J-6



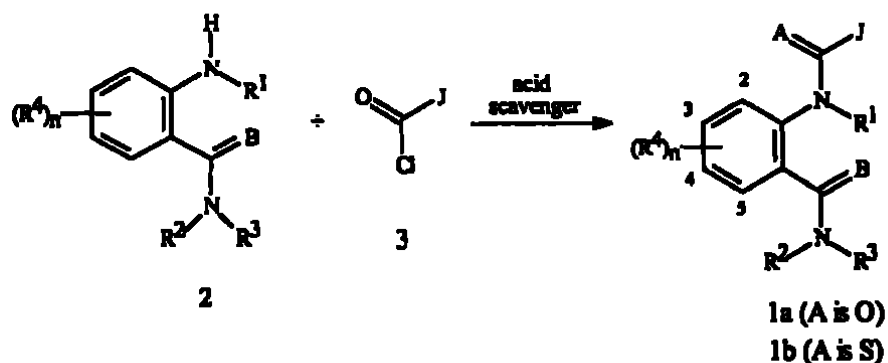




The compounds of Formula 1 can be prepared by one or more of the following methods and variations as described in Schemes 1-11. The definitions of A, B, J, R¹, R², R³, R⁴, R⁵, m and n in the compounds of Formulae 1-15 below are as defined above in the Summary of the Invention. Compounds of Formulae 1a-c, 2a-b, 5a-b are various subsets of the compounds of Formula 1, 2 and 5.

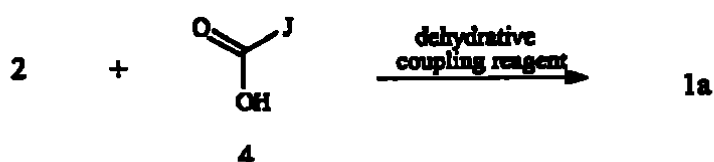
Compounds of Formula 1 can be prepared by procedures outlined in Schemes 1-11. A typical procedure is detailed in Scheme 1 and involves coupling of an anthranilic amide of Formula 2 with an acid chloride of Formula 3 in the presence of an acid scavenger to provide the compound of Formula 1a. Typical acid scavengers include amine bases such as triethylamine, diisopropylethylamine and pyridine; other scavengers include hydroxides such as sodium and potassium hydroxide and carbonates such as sodium carbonate and potassium carbonate. In certain instances it is useful to use polymer-supported acid scavengers such as polymer-bound diisopropylethylamine and polymer-bound dimethylaminopyridine. In a subsequent step, amides of Formula 1a can be converted to thioamides of Formula 1b using a variety of standard thio transfer reagents including phosphorus pentasulfide and Lawesson's reagent.

Scheme 1



An alternate procedure for the preparation of compounds of Formula 1a involves coupling of an anthranilic amide of Formula 2 with an acid of Formula 4 in the presence of a dehydrating agent such as dicyclohexylcarbodiimide (DCC). Polymer supported reagents are again useful here, such as polymer-bound cyclohexylcarbodiimide. Synthetic procedures of Schemes 1 and 2 are only representative examples of useful methods for the preparation of Formula 1 compounds as the synthetic literature is extensive for this type of reaction.

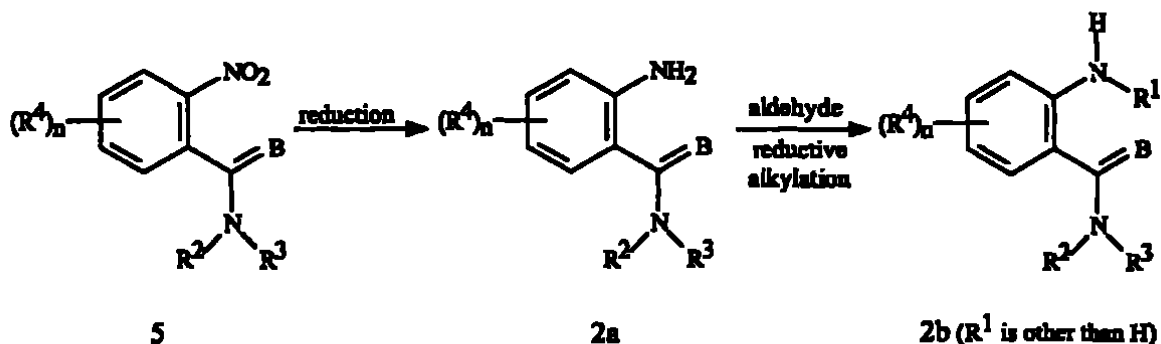
Scheme 2



One skilled in the art will also realize that acid chlorides of Formula 3 may be prepared from acids of Formula 4 by numerous well-known methods.

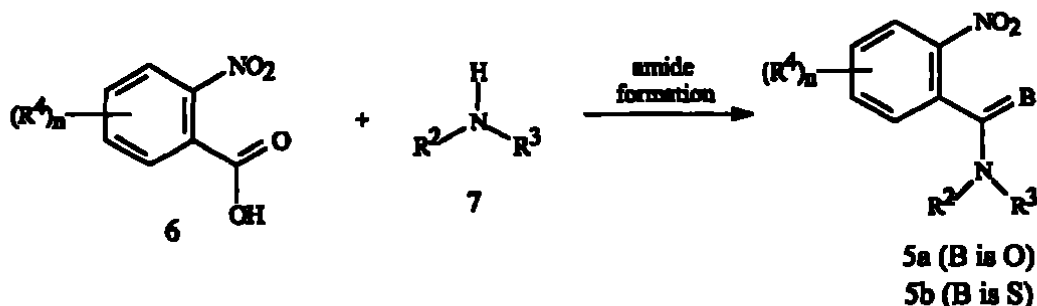
Anthranilic amides of Formula 2a are typically available from the corresponding 2-nitrobenzamides of Formula 5 via catalytic hydrogenation of the nitro group. Typical procedures involve reduction with hydrogen in the presence of a metal catalyst such as palladium on carbon or platinum oxide and in hydroxylic solvents such as ethanol and isopropanol. These procedures are well documented in the chemical literature. R^1 substituents such as alkyl, substituted alkyl and the like can generally be introduced at this stage through known procedures including either direct alkylation or through the generally preferred method of reductive alkylation of the amine. A commonly employed procedure is to combine the aniline 2a with an aldehyde in the presence of a reducing agent such as sodium cyanoborohydride to produce the Formula 2b compounds where R^1 is alkyl, alkenyl, alkynyl or substituted derivatives thereof.

Scheme 3



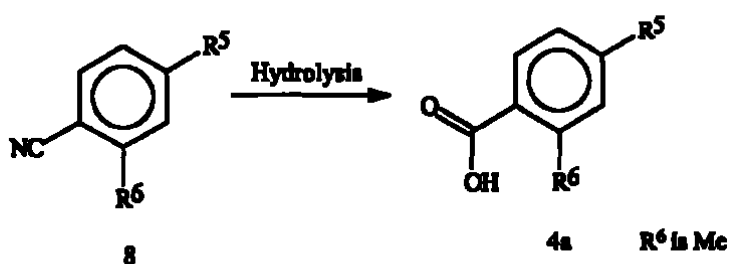
The intermediate amides of Formula 5a are readily prepared from commercially available 2-nitrobenzoic acids. Typical methods for amide formation can be applied here. These include direct dehydrative coupling of acids of Formula 6 with amines of Formula 7 using for example DCC, and conversion of the acids to an activated form such as the acid chlorides or anhydrides and subsequent coupling with amines to form amides of formula 5a. We have found ethylchloroformate to be an especially useful reagent for this type of reaction involving activation of the acid. The chemical literature is extensive on this type of reaction. Amides of Formula 5a are readily converted to thioamides of Formula 5b by using commercially available thio transfer reagents such as phosphorus pentasulfide and Lawesson's reagent.

Scheme 4



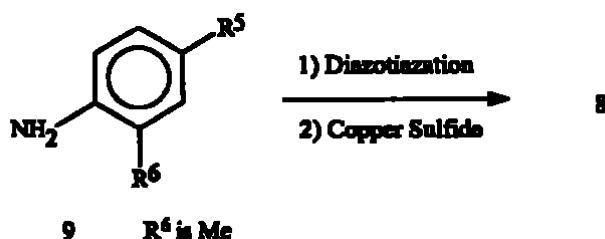
Benzoic acids of Formula 4a may be prepared from the benzonitriles of Formula 8 by hydrolysis. The conditions used may involve the use of a base such as an alkaline metal hydroxide or alkoxide (e.g. potassium or sodium hydroxide) in a solvent such as water, ethanol or ethylene glycol (e.g. J. Chem. Soc. 1948, 1025). Alternatively, the hydrolysis may be carried out using an acid such as sulphuric acid or phosphoric acid in a suitable solvent such as water (e.g. Org. Synth. 1955 Coll vol. 3, 557). The choice of the conditions is contingent on the stability of R⁵ to the reaction conditions and elevated temperatures are usually employed to achieve this transformation.

Scheme 5



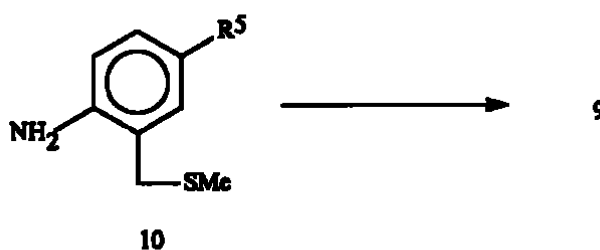
Nitriles of Formula 8 may be prepared from anilines of Formula 9 by the classical sequence involving diazotization and treatment of the intermediate diazonium salt with a copper cyanide salt (e.g. J. Amer. Chem. Soc. 1902, 24, 1035).

Scheme 6



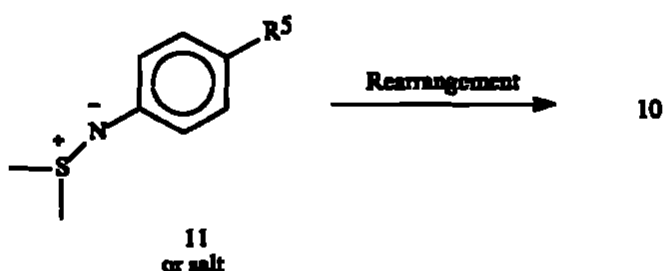
Anilines of Formula 9 may be prepared from compounds of Formula 10. This transformation may be achieved by a well-known procedure that employs Raney Nickel (Org. Synth. Coll. Vol VI, 581). Alternatively, the same transformation may be effected by the use of a suitable catalyst such as palladium in the presence of hydrogen. The reaction is usually conducted at pressures of 100-1000 p.s.i. in a suitable organic solvent such as, but not limited to toluene. Elevated temperatures of 80-110°C are usually required to achieve the transformation. As one skilled in the art will realize, numerous chemical modifications of the thio ether moiety are possible, and may be employed when necessary to facilitate this transformation.

Scheme 7



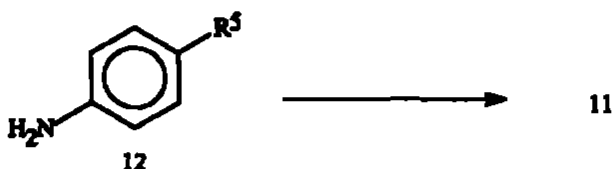
Compounds of Formula 10 may be prepared from iminosulphuranes of Formula 11. The transformation may be achieved in a protic solvent such as methanol or water, in a non-protic solvent such as dichloromethane or toluene in the presence of a suitable base such as triethylamine (e.g. Org. Synth. Coll. Vol. VI, 581) or sodium methoxide, or in a combination of a protic solvent, a protic solvent and a base. The temperature at which the reaction is conducted is usually in the range 40-110°C. As one skilled in the art will realize, suitable salts of compounds of Formula 11 such as, but not limited to a hydrochloride, a sulphate or a bisulphate may also be employed, provided that the appropriate amount of base is first used to generate the free base 11. This may be done as a separate step or as an integral part of the step involving the transformation of compounds of Formula 11 to compounds of Formula 10.

Scheme 8



Compounds of Formula 11 may be prepared from anilines of Formula 12 by reaction with dimethyl sulphide and a suitable chlorinating agent such as, but not limited to *N*-chlorosuccinimide (e.g. Org. Synth. Coll. Vol. VI, 581), chlorine or *N*-chlorobenzotriazole. Alternatively, anilines of Formula 12 may be treated with dimethyl sulphoxide which has been "activated" by treatment with an agent such as acetic anhydride, trifluoroacetic anhydride, trifluoromethanesulphonic anhydride, cyclohexylcarbodiimide, sulphur trioxide, or phosphorus pentoxide. The reaction is conducted in a suitable organic solvent such as dichloromethane or dimethyl sulphoxide. The reaction is conducted at a temperature of -70°C to 25°C and is dependent on the solvent and reagent used.

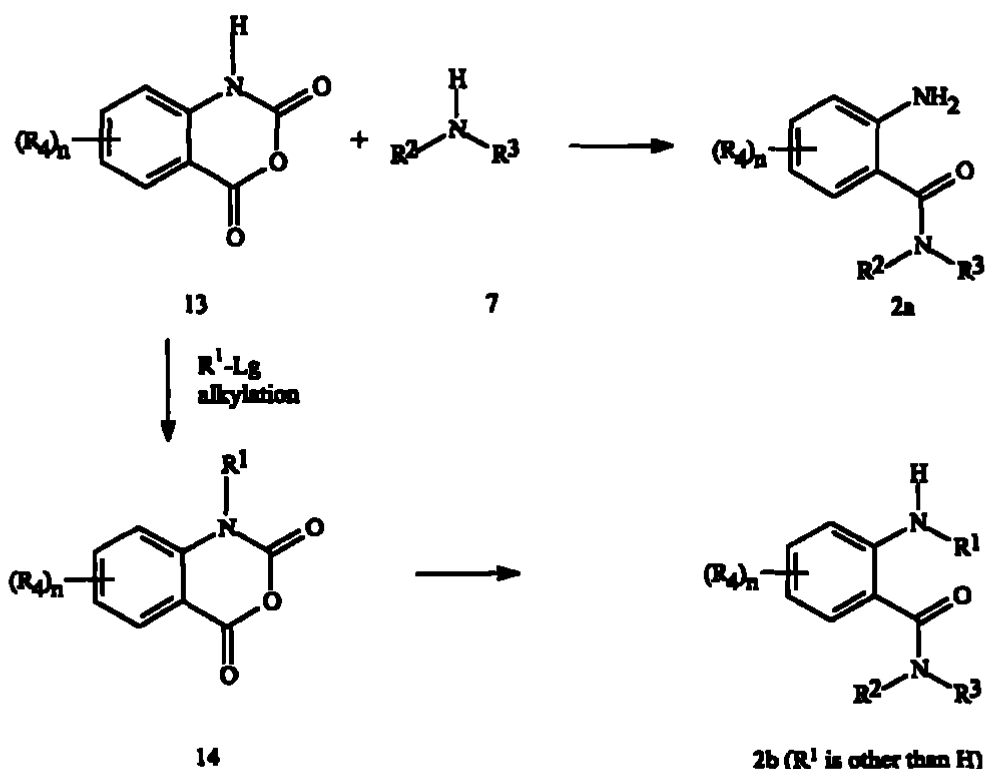
Scheme 9



Intermediate anthranilic amides of Formula 2a and 2b may also be prepared from isatoic anhydrides of Formula 13 and 14 (Scheme 10). Typical procedures involve

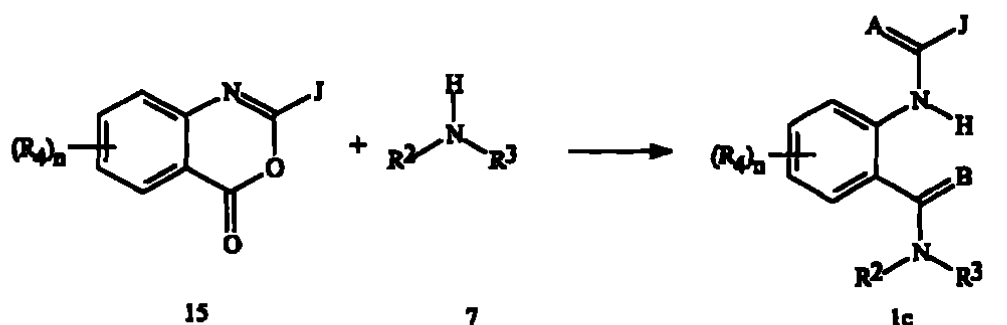
combination of equimolar amounts of the amine 7 with the isatoic anhydride in polar aprotic solvents such as pyridine and dimethylformamide at temperatures ranging from room temperature to 100° C. R¹ substituents such as alkyl and substituted alkyl may be introduced by the base catalyzed alkylation of isatoic anhydride 13 with known alkylating reagents R¹-Lg (wherein Lg is a leaving group such as halogen, alkyl or aryl suphonates or OSO₂OR¹) to provide the alkyl substituted intermediates 14.

Scheme 10



An alternate procedure for the preparation of specific compounds of Formula 1 (where A is O, B is O and R¹ is H) involves reaction of an amine 7 with a benzoxazinone of Formula 15. Typical procedures involve combination of the amine with the benzoxazinone in solvents such as tetrahydrofuran or pyridine at temperatures ranging from room temperature to the reflux temperature of the solvent. Benzoxazinones are well documented in the chemical literature and are available via known methods that involve the coupling of either an anthranilic acid or an isatoic anhydride with an acid chloride.

Scheme 11

(A is O, B is O, R^1 is H)

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. Percentages are by weight except for chromatographic solvent mixtures or where otherwise indicated. Parts and percentages for chromatographic solvent mixtures are by volume unless otherwise indicated. ^1H NMR spectra are reported in ppm downfield from tetramethylsilane; s is singlet, d is doublet, t is triplet, q is quartet, m is multiplet, dd is doublet of doublets, dt is doublet of triplets, br s is broad singlet.

EXAMPLE 1**Step A: Preparation of 3-methyl-N-(1-methylethyl)-2-nitrobenzamide**

A solution of 3-methyl-2-nitrobenzoic acid (2.00 g, 11.0 mmol) and triethylamine (1.22 g, 12.1 mmol) in 25 mL of methylene chloride was cooled to 10°C. Ethyl chloroformate was carefully added and a solid precipitate formed. After stirring for 30 minutes isopropylamine (0.94 g, 16.0 mmol) was added and a homogeneous solution resulted. The reaction was stirred for an additional hour, poured into water and extracted with ethyl acetate. The organic extracts were washed with water, dried over magnesium sulfate and evaporated under reduced pressure to afford 1.96 g of the desired intermediate as a white solid melting at 126-128 °C.

¹H NMR (CDCl₃) δ 1.24 (d, 6H), 2.38 (s, 3H), 4.22 (m, 1H), 5.80 (br s, 1H), 7.4 (m, 3H).

Step B: Preparation of 2-amino-3-methyl-N-(1-methylethyl)benzamide

The 2-nitrobenzamide of Step A (1.70 g, 7.6 mmol) was hydrogenated over 5% Pd/C in 40 mL of ethanol at 50 psi. When the uptake of hydrogen ceased the reaction was filtered through celite and the celite was washed with ether. The filtrate was evaporated under reduced pressure to afford 1.41 g of the title compound as a solid melting at 149-151 °C.

¹H NMR (CDCl₃) δ 1.24 (dd, 6H), 2.16 (s, 3H), 4.25 (m, 1H), 5.54 (br s, 2H), 5.85 (br s, 1H), 6.59 (t, 1H), 7.13 (d, 1H), 7.17 (d, 1H).

Step C: Preparation of 3-methyl-N-(1-methylethyl)-2-[[4-(trifluoromethoxy)benzoyl]amino]benzamide

4-(trifluoromethoxy)benzoyl chloride (0.29 g, 1.3 mmol) was added dropwise to a mixture of the aniline from Step B (0.25 g, 1.3 mmol) and triethylamine (0.13 g, 1.3 mmol) in 5 mL of methylene chloride at room temperature. After stirring for one hour the reaction was poured into water and extracted with ethyl acetate. The combined extracts were dried over magnesium sulfate and evaporated under reduced pressure. The resulting solids were washed with hexane/ether and filtered to afford 0.41 g of the title compound, a compound of the present invention, as a solid melting at 207-209°C.

¹H NMR (CDCl₃) δ 1.19 (d, 6H), 2.33 (s, 3H), 4.15 (m, 1H), 5.97 (br d, 1H), 7.2-7.4 (m, 6H), 8.04 (d, 1H), 10.11 (br s, 1H).

EXAMPLE 2**Step A: Preparation of 1-Ethyl-3-trifluoromethylpyrazol-5-yl Carboxylic acid**

To a mixture of 3-trifluoromethylpyrazole (g, 37 mmol) and powdered potassium carbonate (10 g, 72 mmol) stirring in 30 mL of *N,N*-dimethylformamide, iodoethane (8 g, 51 mmol) was added dropwise. After a mild exotherm, the reaction was stirred overnight at room temperature. The reaction mixture was partitioned between 100 mL of diethyl ether and 100 mL of water. The ether layer was separated, washed with water (3X) and brine, and dried over magnesium sulfate. Evaporation of solvent *in vacuo* gave 4 g of oil.

To 3.8 g of this oil stirring in 40 mL of tetrahydrofuran under nitrogen in a dry ice/acetone bath, 17 mL of a 2.5 M solution of *n*-butyl lithium in tetrahydrofuran (43 mmol) and the solution stirred for 20 minutes at -78°C. An excess of gaseous carbon dioxide was bubbled into the stirred solution at a moderate rate for 10 minutes. After addition of carbon dioxide, the reaction was allowed to slowly reach room temperature and stirred overnight. The reaction mixture was partitioned between diethyl ether (100 mL) and 0.5 N aqueous sodium hydroxide (100 mL). The basic layer was separated and acidified with concentrated hydrochloric acid to a pH of 2-3. The aqueous mixture was extracted with ethyl acetate (100 mL) and the organic extract washed with water and brine and dried over magnesium sulfate. The oily residue, which remained after evaporating the solvent *in vacuo*, was triturated to a solid from a small amount of *n*-butyl chloride. After filtering and drying, a slightly impure, sample of 1-ethyl-3-trifluoromethyl-pyrazol-5-yl carboxylic acid (1.4 g) was obtained as a broad-melting solid.

¹H NMR (CDCl₃): 9.85 (br s, 1H), 7.23 (s, 1H), 4.68 (q, 2H), 1.51 (t, 3H) ppm.

Step B: Preparation of 2-[1-Ethyl-3-trifluoromethylpyrazol-5-yl carbamoyl]-3-methyl-N-(1-methylethyl)benzamide

To a solution of 1-ethyl-3-trifluoromethyl-pyrazol-5-yl carboxylic acid (0.5 g, 2.4 mmol) stirring in 20 mL of methylene chloride, oxalyl chloride (1.2 mL, 14 mmol) was added. Upon addition of 2 drops of *N,N*-dimethylformamide, foaming and bubbling occurred. The reaction mixture was heated at reflux for 1 hr as a yellow solution. After cooling, the solvent was removed *in vacuo* and the resulting residue dissolved in 20 mL of tetrahydrofuran. To the stirred solution, 2-amino-3-methyl-N-(1-methylethyl)benzamide (0.7 g, 3.6 mmol) was added followed by the dropwise addition of *N,N*-diisopropylethylamine (3 mL, 17 mmol). After stirring at room temperature overnight, the reaction mixture was partitioned between ethyl acetate (100 mL) and 1N aqueous hydrochloric acid (75 mL). The separated organic layer was washed with water and brine and dried over magnesium sulfate. Evaporating *in vacuo* gave a white solid residue, which on purification by flash column chromatography on silica gel (2:1 hexanes/ethyl acetate) afforded 0.5 g of the title compound, a compound of the present invention, melting at 223-226°C.

¹H NMR (DMSO-D₆): 10.15 (s, 1H), 8.05 (d, 1H), 7.45 (s, 1H), 7.43-7.25 (m, 3H), 4.58 (q, 2H), 3.97 (m, 1H), 2.45 (s, 3H), 1.36 (t, 3H), 1.06 (d, 6H) ppm.

EXAMPLE 3

Step A: Preparation of S,S-dimethyl-N-[4-(trifluoromethyl)phenyl]sulfilimine

A solution of *N*-chlorosuccinimide (12.43 g, 93.1 mmol) in ~170 mL of dichloromethane was added to a mixture of 4-(trifluoromethyl) aniline (15 g, 93.1 mmol) and dimethyl sulphide (6.35 g, 102 mmol) in 230 mL of dichloromethane at -5-0°C. After

the addition was complete, the mixture was stirred at 0-5°C for 1 h, and *N*-chlorosuccinimide (0.02 g, 4.64 mmol) was added. After a further 30 minutes, the mixture was washed with 500 mL of 1N sodium hydroxide.

5 The organic phase was dried and evaporated to give the product as a solid 19-72 g melting at 101-103°C (after crystallization from ethyl acetate/hexanes).

IR (Nujol) 1603, 1562, 1532, 1502, 1428, 1402, 1335, 1300, 1270, 1185, 1150, 1103, 1067, 1000, 972, 940, 906, 837, 817 cm⁻¹.

¹H NMR (CDCl₃) δ 7.35 (d, J=8.8 Hz, 2 H), 6.84 (d, J=8.8 Hz, 2 H), 2.67 (s, 3 H).

Step B: 2-[(methylthio)methyl]-4-(trifluoromethyl)benzenamine

10 Sodium methoxide in methanol (1.95 g, 9.02 mmol, 25%) was added to S,S-dimethyl-*N*-[4-(trifluoromethyl)phenyl]sulfilimine from Step A (2 g, 9.04 mmol) in 15 mL of toluene. The mixture was warmed to ~80°C for ~1 h. The mixture was allowed to cool to 25°C and was poured into 100 mL of water. The mixture was extracted with 2x100 mL of ethyl acetate and the combined extracts were dried and evaporated to give the product 1.8 g as a solid melting at 65.5-67.5°C (after crystallization from hexanes).

15 IR (nujol) 3419, 3333, 1629, 1584, 1512, 1440, 1334, 1302, 1235, 1193, 1139, 1098, 1078, 979, 904, 832 cm⁻¹.

¹H NMR (CDCl₃) δ 7.35 (dd, J=1.5 Hz x 8.2 Hz, 1H) 6.72 (d, J=8.4 Hz) 4.39 (br s, 2 H, 3.69 (s, 2 H), 1.99 (s, 3 H).

20 **Step C: Preparation of 2-methyl-4-(trifluoromethyl)benzenamine**

Activated Raney nickel (500 g wet paste, ~50μ) was added portionwise to a solution of 2-[(methylthio)methyl]-4-(trifluoromethyl)benzenamine (55.3 g, 0.25 mole) in 1 L of ethanol over 30 minutes at 25-30° C. The heterogeneous mixture was stirred vigorously for 30 minutes after the addition. The stirring was stopped, and the solids were allowed to settle over one hour. The liquid was decanted from the solids and poured through filter paper. The filtrate was evaporated under reduced pressure, and the residue was taken up in dichloromethane. The organic phase was separated from a small volume of water, dried over magnesium sulfate and evaporated under reduced pressure to afford 37.6g of the title compound as an amber oil.

30 ¹H NMR (CDCl₃) δ 7.28 (m, 2H), 6.68 (d, 1H), 3.87 (br s, 2H), 2.19 (s, 3H).

Step D: Preparation of 2-methyl-4-(trifluoromethyl)benzonitrile

Concentrated hydrochloric acid (16 mL) was added dropwise at a moderate rate to a heterogeneous mixture of 2-methyl-4-(trifluoromethyl)benzenamine (14 g, 80 mmol) and 120 mL of water while stirring vigorously. A thick suspension resulted which was stirred for 20 minutes, diluted with 280 mL of water and cooled to 5° C. A solution of sodium nitrite (5.5 g, 80 mmol) and 25 mL of water was added slowly to the reaction suspension. After stirring for 30 minutes at 5° C a solution resulted which was stirred cold for 30 more minutes and then neutralized with potassium carbonate. This diazonium salt solution was then added

portionwise via cannula to a stirred, 95 °C mixture of potassium cyanide (22 g, 0.34 mole), copper sulfate pentahydrate (20 g, 80 mmol) and 140 mL of water. After the addition the mixture was stirred for 30 minutes at 95 °C and then allowed to cool to room temperature. Ether was added and the heterogeneous mixture was filtered through celite. The solids were washed with ether, and the filtrate was partitioned. The aqueous phase was extracted with ether, and the combined organic extracts were dried over magnesium sulfate and concentrated under reduced pressure to afford 13.1 g of the title compound as a brown oil.

¹H NMR (CDCl₃) δ 7.74 (d, 1H), 7.60 (s, 1H), 7.55 (d, 1H), 2.64 (s, 3H).

Step E: Preparation of 2-methyl-4-(trifluoromethyl)benzoic acid

Potassium hydroxide (15.7 g, 0.28 mole) and 15 mL of water were added as a solution to a stirred, heterogeneous mixture of 2-methyl-4-(trifluoromethyl)benzonitrile (13 g, 70 mmol) and 135 mL of ethylene glycol. The reaction mixture was heated at 120-130 °C for 20 hours and allowed to cool to room temperature. The dark solution was poured into 800 mL of water and filtered through celite. The filtrate was washed with ether and then the aqueous was acidified with concentrated hydrochloric acid. This aqueous phase was extracted three times with ethyl acetate, the organic extracts were combined, dried over magnesium sulfate and evaporated under reduced pressure to afford the title compound as a tan solid.

¹H NMR (CDCl₃) δ 7.98 (d, 1H), 7.70 (s, 1H), 7.65 (d, 1H), 2.60 (s, 3H).

Step F: Preparation of 2-methyl-4-(trifluoromethoxy)benzoyl chloride

Thionyl chloride (0.42 g, 3.5 mmol) was added to a solution of the benzoic acid from Step E (0.50 g, 2.4 mmol) in 10 mL of toluene at room temperature. The reaction was refluxed for three hours then cooled to room temperature. The solvent was evaporated under reduced pressure and excess thionyl chloride was removed by azeotrope with toluene. The benzoyl chloride obtained was used directly in Step G.

Step G: Preparation of 2-methyl-N-[2-methyl-6-[(1-methylethyl)amino]-carbonyl]phenyl-4-(trifluoromethyl)benzamide

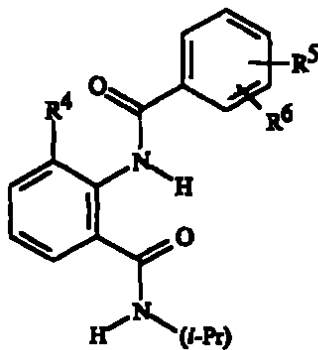
The benzoyl chloride of Step F (0.29 g, 1.3 mmol) was added to a mixture of the aniline from Example 1, Step B (0.36 g, 1.9 mmol) and diisopropylethylamine (0.26 g, 2.0 mmol) in 10 mL of chloroform at room temperature. The reaction was allowed to stir overnight. The solid precipitate was filtered and dried to afford 0.38 g of the title compound, a compound of the present invention, as a solid melting at 247-248 °C.

¹H NMR (CDCl₃) α 1.24 (d, 6H), 2.41 (s, 3H), 2.58 (s, 3H), 4.20 (m, 1H), 5.94 (br d, 1H), 7.2-7.3 (m, 2H), 7.40 (d, 1H), 7.52 (s, 1H), 7.53 (d, 1H), 7.70 (d, 1H), 9.36 (br s, 1H).

By the procedures described herein together with methods known in the art, the following compounds of Tables 1 to 8 can be prepared. The following abbreviations are used in the Tables: *t* is tertiary, *s* is secondary, *n* is normal, *i* is iso, *c* is cyclo, Me is methyl, Et is ethyl, Pr is propyl, *i*-Pr is isopropyl, *t*-Bu is tert butyl, Ph is phenyl, OMe is methoxy,

OEt is ethoxy, SMe is methylthio, SEt is ethylthio, CN is cyano, NO₂ is nitro,
TMS is trimethylsilyl, S(O)Me is methylsulfinyl, and S(O)₂Me is methylsulfonyl.

Table 1



R ⁴	R ⁵ and/or R ⁶	R ⁴	R ⁵ and/or R ⁶	R ⁴	R ⁵ and/or R ⁶
Me	2-CF ₃	Me	3-CF ₃	Me	4-CF ₃
Me	2-OCF ₃	Me	3-OCF ₃	Me	4-OCF ₃
Me	2-OCF ₂ H	Me	3-OCF ₂ H	Me	4-OCF ₂ H
Me	2-OCF ₂ CF ₂ H	Me	3-OCF ₂ CF ₂ H	Me	4-OCF ₂ CF ₂ H
Me	2-OCH ₂ CF ₃	Me	3-OCH ₂ CF ₃	Me	4-OCH ₂ CF ₃
Me	2-SCF ₃	Me	3-SCF ₃	Me	4-SCF ₃
Me	2-SOCF ₃	Me	3-SOCF ₃	Me	4-SOCF ₃
Me	2-SO ₂ CF ₃	Me	3-SO ₂ CF ₃	Me	4-SO ₂ CF ₃
Me	2-SCF ₂ H	Me	3-SCF ₂ H	Me	4-SCF ₂ H
Me	2-SOCF ₂ H	Me	3-SOCF ₂ H	Me	4-SOCF ₂ H
Me	2-SO ₂ CF ₂ H	Me	3-SO ₂ CF ₂ H	Me	4-SO ₂ CF ₂ H
Cl	2-CF ₃	Cl	3-CF ₃	Cl	4-CF ₃
Cl	2-OCF ₃	Cl	3-OCF ₃	Cl	4-OCF ₃
Cl	2-OCF ₂ H	Cl	3-OCF ₂ H	Cl	4-OCF ₂ H
Cl	2-OCF ₂ CF ₂ H	Cl	3-OCF ₂ CF ₂ H	Cl	4-OCF ₂ CF ₂ H
Cl	2-OCH ₂ CF ₃	Cl	3-OCH ₂ CF ₃	Cl	4-OCH ₂ CF ₃
Cl	2-SCF ₃	Cl	3-SCF ₃	Cl	4-SCF ₃
Cl	2-SOCF ₃	Cl	3-SOCF ₃	Cl	4-SOCF ₃
Cl	2-SO ₂ CF ₃	Cl	3-SO ₂ CF ₃	Cl	4-SO ₂ CF ₃
Cl	2-SCF ₂ H	Cl	3-SCF ₂ H	Cl	4-SCF ₂ H
Cl	2-SOCF ₂ H	Cl	3-SOCF ₂ H	Cl	4-SOCF ₂ H
Cl	2-SO ₂ CF ₂ H	Cl	3-SO ₂ CF ₂ H	Cl	4-SO ₂ CF ₂ H
F	2-CF ₃	F	3-CF ₃	F	4-CF ₃
F	2-OCF ₃	F	3-OCF ₃	F	4-OCF ₃

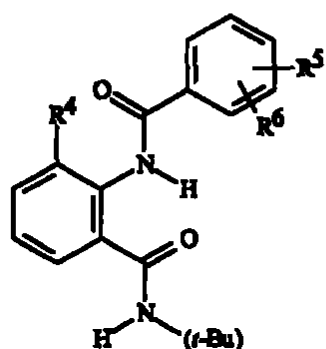
F	2-OCF ₂ H	F	3-OCF ₂ H	F	4-OCF ₂ H
F	2-OCF ₂ CF ₂ H	F	3-OCF ₂ CF ₂ H	F	4-OCF ₂ CF ₂ H
F	2-OCH ₂ CF ₃	F	3-OCH ₂ CF ₃	F	4-OCH ₂ CF ₃
F	2-SCF ₃	F	3-SCF ₃	F	4-SCF ₃
F	2-SOCF ₃	F	3-SOCF ₃	F	4-SOCF ₃
F	2-SO ₂ CF ₃	F	3-SO ₂ CF ₃	F	4-SO ₂ CF ₃
F	2-SCF ₂ H	F	3-SCF ₂ H	F	4-SCF ₂ H
F	2-SOCF ₂ H	F	3-SOCF ₂ H	F	4-SOCF ₂ H
F	2-SO ₂ CF ₂ H	F	3-SO ₂ CF ₂ H	F	4-SO ₂ CF ₂ H
Br	2-CF ₃	Br	3-CF ₃	Br	4-CF ₃
Br	2-OCF ₃	Br	3-OCF ₃	Br	4-OCF ₃
Br	2-OCF ₂ H	Br	3-OCF ₂ H	Br	4-OCF ₂ H
Br	2-OCF ₂ CF ₂ H	Br	3-OCF ₂ CF ₂ H	Br	4-OCF ₂ CF ₂ H
Br	2-OCH ₂ CF ₃	Br	3-OCH ₂ CF ₃	Br	4-OCH ₂ CF ₃
Br	2-SCF ₃	Br	3-SCF ₃	Br	4-SCF ₃
Br	2-SOCF ₃	Br	3-SOCF ₃	Br	4-SOCF ₃
Br	2-SO ₂ CF ₃	Br	3-SO ₂ CF ₃	Br	4-SO ₂ CF ₃
Br	2-SCF ₂ H	Br	3-SCF ₂ H	Br	4-SCF ₂ H
Br	2-SOCF ₂ H	Br	3-SOCF ₂ H	Br	4-SOCF ₂ H
Br	2-SO ₂ CF ₂ H	Br	3-SO ₂ CF ₂ H	Br	4-SO ₂ CF ₂ H
I	2-CF ₃	I	3-CF ₃	I	4-CF ₃
I	2-OCF ₃	I	3-OCF ₃	I	4-OCF ₃
I	2-OCF ₂ H	I	3-OCF ₂ H	I	4-OCF ₂ H
I	2-OCF ₂ CF ₂ H	I	3-OCF ₂ CF ₂ H	I	4-OCF ₂ CF ₂ H
I	2-OCH ₂ CF ₃	I	3-OCH ₂ CF ₃	I	4-OCH ₂ CF ₃
I	2-SCF ₃	I	3-SCF ₃	I	4-SCF ₃
I	2-SOCF ₃	I	3-SOCF ₃	I	4-SOCF ₃
I	2-SO ₂ CF ₃	I	3-SO ₂ CF ₃	I	4-SO ₂ CF ₃
I	2-SCF ₂ H	I	3-SCF ₂ H	I	4-SCF ₂ H
I	2-SOCF ₂ H	I	3-SOCF ₂ H	I	4-SOCF ₂ H
I	2-SO ₂ CF ₂ H	I	3-SO ₂ CF ₂ H	I	4-SO ₂ CF ₂ H
OMe	2-CF ₃	OMe	3-CF ₃	OMe	4-CF ₃
OMe	2-OCF ₃	OMe	3-OCF ₃	OMe	4-OCF ₃
OMe	2-OCF ₂ H	OMe	3-OCF ₂ H	OMe	4-OCF ₂ H
OMe	2-OCF ₂ CF ₂ H	OMe	3-OCF ₂ CF ₂ H	OMe	4-OCF ₂ CF ₂ H
OMe	2-OCH ₂ CF ₃	OMe	3-OCH ₂ CF ₃	OMe	4-OCH ₂ CF ₃
OMe	2-SCF ₃	OMe	3-SCF ₃	OMe	4-SCF ₃

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OMe	2-SOCF ₃	OMe	3-SOCF ₃	OMe	4-SOCF ₃
OMe	2-SO ₂ CF ₃	OMe	3-SO ₂ CF ₃	OMe	4-SO ₂ CF ₃
OMe	2-SCF ₂ H	OMe	3-SCF ₂ H	OMe	4-SCF ₂ H
OMe	2-SOCF ₂ H	OMe	3-SOCF ₂ H	OMe	4-SOCF ₂ H
OMe	2-SO ₂ CF ₂ H	OMe	3-SO ₂ CF ₂ H	OMe	4-SO ₂ CF ₂ H
CF ₃	2-CF ₃	CF ₃	3-CF ₃	CF ₃	4-CF ₃
CF ₃	2-OCF ₃	CF ₃	3-OCF ₃	CF ₃	4-OCF ₃
CF ₃	2-OCF ₂ H	CF ₃	3-OCF ₂ H	CF ₃	4-OCF ₂ H
CF ₃	2-OCF ₂ CF ₂ H	CF ₃	3-OCF ₂ CF ₂ H	CF ₃	4-OCF ₂ CF ₂ H
CF ₃	2-OCH ₂ CF ₃	CF ₃	3-OCH ₂ CF ₃	CF ₃	4-OCH ₂ CF ₃
CF ₃	2-SCF ₃	CF ₃	3-SCF ₃	CF ₃	4-SCF ₃
CF ₃	2-SOCF ₃	CF ₃	3-SOCF ₃	CF ₃	4-SOCF ₃
CF ₃	2-SO ₂ CF ₃	CF ₃	3-SO ₂ CF ₃	CF ₃	4-SO ₂ CF ₃
CF ₃	2-SCF ₂ H	CF ₃	3-SCF ₂ H	CF ₃	4-SCF ₂ H
CF ₃	2-SOCF ₂ H	CF ₃	3-SOCF ₂ H	CF ₃	4-SOCF ₂ H
CF ₃	2-SO ₂ CF ₂ H	CF ₃	3-SO ₂ CF ₂ H	CF ₃	4-SO ₂ CF ₂ H
OCF ₂ H	2-CF ₃	OCF ₂ H	3-CF ₃	OCF ₂ H	4-CF ₃
OCF ₂ H	2-OCF ₃	OCF ₂ H	3-OCF ₃	OCF ₂ H	4-OCF ₃
OCF ₂ H	2-OCF ₂ H	OCF ₂ H	3-OCF ₂ H	OCF ₂ H	4-OCF ₂ H
OCF ₂ H	2-OCF ₂ CF ₂ H	OCF ₂ H	3-OCF ₂ CF ₂ H	OCF ₂ H	4-OCF ₂ CF ₂ H
OCF ₂ H	2-OCH ₂ CF ₃	OCF ₂ H	3-OCH ₂ CF ₃	OCF ₂ H	4-OCH ₂ CF ₃
OCF ₂ H	2-SCF ₃	OCF ₂ H	3-SCF ₃	OCF ₂ H	4-SCF ₃
OCF ₂ H	2-SOCF ₃	OCF ₂ H	3-SOCF ₃	OCF ₂ H	4-SOCF ₃
OCF ₂ H	2-SO ₂ CF ₃	OCF ₂ H	3-SO ₂ CF ₃	OCF ₂ H	4-SO ₂ CF ₃
OCF ₂ H	2-SCF ₂ H	OCF ₂ H	3-SCF ₂ H	OCF ₂ H	4-SCF ₂ H
OCF ₂ H	2-SOCF ₂ H	OCF ₂ H	3-SOCF ₂ H	OCF ₂ H	4-SOCF ₂ H
OCF ₂ H	2-SO ₂ CF ₂ H	OCF ₂ H	3-SO ₂ CF ₂ H	OCF ₂ H	4-SO ₂ CF ₂ H
Me	2-Me-4-CF ₃	F	2-Me-4-CF ₃	Cl	2-Me-4-CF ₃
Me	2-Me-4-OCF ₃	F	2-Me-4-OCF ₃	Cl	2-Me-4-OCF ₃
Me	2-Me-4-OCF ₂ H	F	2-Me-4-OCF ₂ H	Cl	2-Me-4-OCF ₂ H
Me	2-Me-4-OCH ₂ CF ₃	F	2-Me-4-OCH ₂ CF ₃	Cl	2-Me-4-OCH ₂ CF ₃
Me	2-Me-4-SCF ₃	F	2-Me-4-SCF ₃	Cl	2-Me-4-SCF ₃
Me	2-Me-4-SOCF ₃	F	2-Me-4-SOCF ₃	Cl	2-Me-4-SOCF ₃
Me	2-Me-4-SO ₂ CF ₃	F	2-Me-4-SO ₂ CF ₃	Cl	2-Me-4-SO ₂ CF ₃
Me	2-Me-4-SCF ₂ H	F	2-Me-4-SCF ₂ H	Cl	2-Me-4-SCF ₂ H
Me	2-Me-4-SOCF ₂ H	F	2-Me-4-SOCF ₂ H	Cl	2-Me-4-SOCF ₂ H
Me	2-Me-4-SO ₂ CF ₂ H	F	2-Me-4-SO ₂ CF ₂ H	Cl	2-Me-4-SO ₂ CF ₂ H

Br	2-Me-4-CF ₃	I	2-Me-4-CF ₃	OMe	2-Me-4-CF ₃
Br	2-Me-4-OCF ₃	I	2-Me-4-OCF ₃	OMe	2-Me-4-OCF ₃
Br	2-Me-4-OCF ₂ H	I	2-Me-4-OCF ₂ H	OMe	2-Me-4-OCF ₂ H
Br	2-Me-4-OCH ₂ CF ₃	I	2-Me-4-OCH ₂ CF ₃	OMe	2-Me-4-OCH ₂ CF ₃
Br	2-Me-4-SCF ₃	I	2-Me-4-SCF ₃	OMe	2-Me-4-SCF ₃
Br	2-Me-4-SOCF ₃	I	2-Me-4-SOCF ₃	OMe	2-Me-4-SOCF ₃
Br	2-Me-4-SO ₂ CF ₃	I	2-Me-4-SO ₂ CF ₃	OMe	2-Me-4-SO ₂ CF ₃
Br	2-Me-4-SCF ₂ H	I	2-Me-4-SCF ₂ H	OMe	2-Me-4-SCF ₂ H
Br	2-Me-4-SOCF ₂ H	I	2-Me-4-SOCF ₂ H	OMe	2-Me-4-SOCF ₂ H
Br	2-Me-4-SO ₂ CF ₂ H	I	2-Me-4-SO ₂ CF ₂ H	OMe	2-Me-4-SO ₂ CF ₂ H
CF ₃	2-Me-4-CF ₃	NO ₂	2-Me-4-CF ₃	SMe	2-Me-4-CF ₃
CF ₃	2-Me-4-OCF ₃	NO ₂	2-Me-4-OCF ₃	SMe	2-Me-4-OCF ₃
CF ₃	2-Me-4-OCF ₂ H	NO ₂	2-Me-4-OCF ₂ H	SMe	2-Me-4-OCF ₂ H
CF ₃	2-Me-4-OCH ₂ CF ₃	NO ₂	2-Me-4-OCH ₂ CF ₃	SMe	2-Me-4-OCH ₂ CF ₃
CF ₃	2-Me-4-SCF ₃	NO ₂	2-Me-4-SCF ₃	SMe	2-Me-4-SCF ₃
CF ₃	2-Me-4-SOCF ₃	NO ₂	2-Me-4-SOCF ₃	SMe	2-Me-4-SOCF ₃
CF ₃	2-Me-4-SO ₂ CF ₃	NO ₂	2-Me-4-SO ₂ CF ₃	SMe	2-Me-4-SO ₂ CF ₃
CF ₃	2-Me-4-SCF ₂ H	NO ₂	2-Me-4-SCF ₂ H	SMe	2-Me-4-SCF ₂ H
CF ₃	2-Me-4-SOCF ₂ H	NO ₂	2-Me-4-SOCF ₂ H	SMe	2-Me-4-SOCF ₂ H
CF ₃	2-Me-4-SO ₂ CF ₂ H	NO ₂	2-Me-4-SO ₂ CF ₂ H	SMe	2-Me-4-SO ₂ CF ₂ H

Table 2



R ⁴	R ⁵ and/or R ⁶	R ⁴	R ⁵ and/or R ⁶	R ⁴	R ⁵ and/or R ⁶
Me	2-CF ₃	Me	3-CF ₃	Me	4-CF ₃
Me	2-OCF ₃	Me	3-OCF ₃	Me	4-OCF ₃
Me	2-OCF ₂ H	Me	3-OCF ₂ H	Me	4-OCF ₂ H
Me	2-OCF ₂ CF ₂ H	Me	3-OCF ₂ CF ₂ H	Me	4-OCF ₂ CF ₂ H
Me	2-OCH ₂ CF ₃	Me	3-OCH ₂ CF ₃	Me	4-OCH ₂ CF ₃

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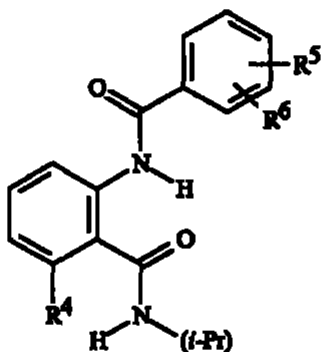
Me	2-SCF ₃	Me	3-SCF ₃	Me	4-SCF ₃
Me	2-SOCF ₃	Me	3-SOCF ₃	Me	4-SOCF ₃
Me	2-SO ₂ CF ₃	Me	3-SO ₂ CF ₃	Me	4-SO ₂ CF ₃
Me	2-SCF ₂ H	Me	3-SCF ₂ H	Me	4-SCF ₂ H
Me	2-SOCF ₂ H	Me	3-SOCF ₂ H	Me	4-SOCF ₂ H
Me	2-SO ₂ CF ₂ H	Me	3-SO ₂ CF ₂ H	Me	4-SO ₂ CF ₂ H
Cl	2-CF ₃	Cl	3-CF ₃	Cl	4-CF ₃
Cl	2-OCF ₃	Cl	3-OCF ₃	Cl	4-OCF ₃
Cl	2-OCF ₂ H	Cl	3-OCF ₂ H	Cl	4-OCF ₂ H
Cl	2-OCF ₂ CF ₂ H	Cl	3-OCF ₂ CF ₂ H	Cl	4-OCF ₂ CF ₂ H
Cl	2-OCH ₂ CF ₃	Cl	3-OCH ₂ CF ₃	Cl	4-OCH ₂ CF ₃
Cl	2-SCF ₃	Cl	3-SCF ₃	Cl	4-SCF ₃
Cl	2-SOCF ₃	Cl	3-SOCF ₃	Cl	4-SOCF ₃
Cl	2-SO ₂ CF ₃	Cl	3-SO ₂ CF ₃	Cl	4-SO ₂ CF ₃
Cl	2-SCF ₂ H	Cl	3-SCF ₂ H	Cl	4-SCF ₂ H
Cl	2-SOCF ₂ H	Cl	3-SOCF ₂ H	Cl	4-SOCF ₂ H
Cl	2-SO ₂ CF ₂ H	Cl	3-SO ₂ CF ₂ H	Cl	4-SO ₂ CF ₂ H
F	2-CF ₃	F	3-CF ₃	F	4-CF ₃
F	2-OCF ₃	F	3-OCF ₃	F	4-OCF ₃
F	2-OCF ₂ H	F	3-OCF ₂ H	F	4-OCF ₂ H
F	2-OCF ₂ CF ₂ H	F	3-OCF ₂ CF ₂ H	F	4-OCF ₂ CF ₂ H
F	2-OCH ₂ CF ₃	F	3-OCH ₂ CF ₃	F	4-OCH ₂ CF ₃
F	2-SCF ₃	F	3-SCF ₃	F	4-SCF ₃
F	2-SOCF ₃	F	3-SOCF ₃	F	4-SOCF ₃
F	2-SO ₂ CF ₃	F	3-SO ₂ CF ₃	F	4-SO ₂ CF ₃
F	2-SCF ₂ H	F	3-SCF ₂ H	F	4-SCF ₂ H
F	2-SOCF ₂ H	F	3-SOCF ₂ H	F	4-SOCF ₂ H
F	2-SO ₂ CF ₂ H	F	3-SO ₂ CF ₂ H	F	4-SO ₂ CF ₂ H
Br	2-CF ₃	Br	3-CF ₃	Br	4-CF ₃
Br	2-OCF ₃	Br	3-OCF ₃	Br	4-OCF ₃
Br	2-OCF ₂ H	Br	3-OCF ₂ H	Br	4-OCF ₂ H
Br	2-OCF ₂ CF ₂ H	Br	3-OCF ₂ CF ₂ H	Br	4-OCF ₂ CF ₂ H
Br	2-OCH ₂ CF ₃	Br	3-OCH ₂ CF ₃	Br	4-OCH ₂ CF ₃
Br	2-SCF ₃	Br	3-SCF ₃	Br	4-SCF ₃
Br	2-SOCF ₃	Br	3-SOCF ₃	Br	4-SOCF ₃
Br	2-SO ₂ CF ₃	Br	3-SO ₂ CF ₃	Br	4-SO ₂ CF ₃
Br	2-SCF ₂ H	Br	3-SCF ₂ H	Br	4-SCF ₂ H

Br	2-SOCF ₂ H	Br	3-SOCF ₂ H	Br	4-SOCF ₂ H
Br	2-SO ₂ CF ₂ H	Br	3-SO ₂ CF ₂ H	Br	4-SO ₂ CF ₂ H
I	2-CF ₃	I	3-CF ₃	I	4-CF ₃
I	2-OCF ₃	I	3-OCF ₃	I	4-OCF ₃
I	2-OCF ₂ H	I	3-OCF ₂ H	I	4-OCF ₂ H
I	2-OCF ₂ CF ₂ H	I	3-OCF ₂ CF ₂ H	I	4-OCF ₂ CF ₂ H
I	2-OCH ₂ CF ₃	I	3-OCH ₂ CF ₃	I	4-OCH ₂ CF ₃
I	2-SCF ₃	I	3-SCF ₃	I	4-SCF ₃
I	2-SOCF ₃	I	3-SOCF ₃	I	4-SOCF ₃
I	2-SO ₂ CF ₃	I	3-SO ₂ CF ₃	I	4-SO ₂ CF ₃
I	2-SCF ₂ H	I	3-SCF ₂ H	I	4-SCF ₂ H
I	2-SOCF ₂ H	I	3-SOCF ₂ H	I	4-SOCF ₂ H
I	2-SO ₂ CF ₂ H	I	3-SO ₂ CF ₂ H	I	4-SO ₂ CF ₂ H
OMe	2-CF ₃	OMe	3-CF ₃	OMe	4-CF ₃
OMe	2-OCF ₃	OMe	3-OCF ₃	OMe	4-OCF ₃
OMe	2-OCF ₂ H	OMe	3-OCF ₂ H	OMe	4-OCF ₂ H
OMe	2-OCF ₂ CF ₂ H	OMe	3-OCF ₂ CF ₂ H	OMe	4-OCF ₂ CF ₂ H
OMe	2-OCH ₂ CF ₃	OMe	3-OCH ₂ CF ₃	OMe	4-OCH ₂ CF ₃
OMe	2-SCF ₃	OMe	3-SCF ₃	OMe	4-SCF ₃
OMe	2-SOCF ₃	OMe	3-SOCF ₃	OMe	4-SOCF ₃
OMe	2-SO ₂ CF ₃	OMe	3-SO ₂ CF ₃	OMe	4-SO ₂ CF ₃
OMe	2-SCF ₂ H	OMe	3-SCF ₂ H	OMe	4-SCF ₂ H
OMe	2-SOCF ₂ H	OMe	3-SOCF ₂ H	OMe	4-SOCF ₂ H
OMe	2-SO ₂ CF ₂ H	OMe	3-SO ₂ CF ₂ H	OMe	4-SO ₂ CF ₂ H
CF ₃	2-CF ₃	CF ₃	3-CF ₃	CF ₃	4-CF ₃
CF ₃	2-OCF ₃	CF ₃	3-OCF ₃	CF ₃	4-OCF ₃
CF ₃	2-OCF ₂ H	CF ₃	3-OCF ₂ H	CF ₃	4-OCF ₂ H
CF ₃	2-OCF ₂ CF ₂ H	CF ₃	3-OCF ₂ CF ₂ H	CF ₃	4-OCF ₂ CF ₂ H
CF ₃	2-OCH ₂ CF ₃	CF ₃	3-OCH ₂ CF ₃	CF ₃	4-OCH ₂ CF ₃
CF ₃	2-SCF ₃	CF ₃	3-SCF ₃	CF ₃	4-SCF ₃
CF ₃	2-SOCF ₃	CF ₃	3-SOCF ₃	CF ₃	4-SOCF ₃
CF ₃	2-SO ₂ CF ₃	CF ₃	3-SO ₂ CF ₃	CF ₃	4-SO ₂ CF ₃
CF ₃	2-SCF ₂ H	CF ₃	3-SCF ₂ H	CF ₃	4-SCF ₂ H
CF ₃	2-SOCF ₂ H	CF ₃	3-SOCF ₂ H	CF ₃	4-SOCF ₂ H
CF ₃	2-SO ₂ CF ₂ H	CF ₃	3-SO ₂ CF ₂ H	CF ₃	4-SO ₂ CF ₂ H
OCF ₂ H	2-CF ₃	OCF ₂ H	3-CF ₃	OCF ₂ H	4-CF ₃
OCF ₂ H	2-OCF ₃	OCF ₂ H	3-OCF ₃	OCF ₂ H	4-OCF ₃

OCF ₂ H	2-OCF ₂ H	OCF ₂ H	3-OCF ₂ H	OCF ₂ H	4-OCF ₂ H
OCF ₂ H	2-OCF ₂ CF ₂ H	OCF ₂ H	3-OCF ₂ CF ₂ H	OCF ₂ H	4-OCF ₂ CF ₂ H
OCF ₂ H	2-OCH ₂ CF ₃	OCF ₂ H	3-OCH ₂ CF ₃	OCF ₂ H	4-OCH ₂ CF ₃
OCF ₂ H	2-SCF ₃	OCF ₂ H	3-SCF ₃	OCF ₂ H	4-SCF ₃
OCF ₂ H	2-SOCF ₃	OCF ₂ H	3-SOCF ₃	OCF ₂ H	4-SOCF ₃
OCF ₂ H	2-SO ₂ CF ₃	OCF ₂ H	3-SO ₂ CF ₃	OCF ₂ H	4-SO ₂ CF ₃
OCF ₂ H	2-SCF ₂ H	OCF ₂ H	3-SCF ₂ H	OCF ₂ H	4-SCF ₂ H
OCF ₂ H	2-SOCF ₂ H	OCF ₂ H	3-SOCF ₂ H	OCF ₂ H	4-SOCF ₂ H
OCF ₂ H	2-SO ₂ CF ₂ H	OCF ₂ H	3-SO ₂ CF ₂ H	OCF ₂ H	4-SO ₂ CF ₂ H
Me	2-Me-4-CF ₃	F	2-Me-4-CF ₃	Cl	2-Me-4-CF ₃
Me	2-Me-4-OCF ₃	F	2-Me-4-OCF ₃	Cl	2-Me-4-OCF ₃
Me	2-Me-4-OCF ₂ H	F	2-Me-4-OCF ₂ H	Cl	2-Me-4-OCF ₂ H
Me	2-Me-4-OCH ₂ CF ₃	F	2-Me-4-OCH ₂ CF ₃	Cl	2-Me-4-OCH ₂ CF ₃
Me	2-Me-4-SCF ₃	F	2-Me-4-SCF ₃	Cl	2-Me-4-SCF ₃
Me	2-Me-4-SOCF ₃	F	2-Me-4-SOCF ₃	Cl	2-Me-4-SOCF ₃
Me	2-Me-4-SO ₂ CF ₃	F	2-Me-4-SO ₂ CF ₃	Cl	2-Me-4-SO ₂ CF ₃
Me	2-Me-4-SCF ₂ H	F	2-Me-4-SCF ₂ H	Cl	2-Me-4-SCF ₂ H
Me	2-Me-4-SOCF ₂ H	F	2-Me-4-SOCF ₂ H	Cl	2-Me-4-SOCF ₂ H
Me	2-Me-4-SO ₂ CF ₂ H	F	2-Me-4-SO ₂ CF ₂ H	Cl	2-Me-4-SO ₂ CF ₂ H
Br	2-Me-4-CF ₃	I	2-Me-4-CF ₃	OMe	2-Me-4-CF ₃
Br	2-Me-4-OCF ₃	I	2-Me-4-OCF ₃	OMe	2-Me-4-OCF ₃
Br	2-Me-4-OCF ₂ H	I	2-Me-4-OCF ₂ H	OMe	2-Me-4-OCF ₂ H
Br	2-Me-4-OCH ₂ CF ₃	I	2-Me-4-OCH ₂ CF ₃	OMe	2-Me-4-OCH ₂ CF ₃
Br	2-Me-4-SCF ₃	I	2-Me-4-SCF ₃	OMe	2-Me-4-SCF ₃
Br	2-Me-4-SOCF ₃	I	2-Me-4-SOCF ₃	OMe	2-Me-4-SOCF ₃
Br	2-Me-4-SO ₂ CF ₃	I	2-Me-4-SO ₂ CF ₃	OMe	2-Me-4-SO ₂ CF ₃
Br	2-Me-4-SCF ₂ H	I	2-Me-4-SCF ₂ H	OMe	2-Me-4-SCF ₂ H
Br	2-Me-4-SOCF ₂ H	I	2-Me-4-SOCF ₂ H	OMe	2-Me-4-SOCF ₂ H
Br	2-Me-4-SO ₂ CF ₂ H	I	2-Me-4-SO ₂ CF ₂ H	OMe	2-Me-4-SO ₂ CF ₂ H
CF ₃	2-Me-4-CF ₃	NO ₂	2-Me-4-CF ₃	SMe	2-Me-4-CF ₃
CF ₃	2-Me-4-OCF ₃	NO ₂	2-Me-4-OCF ₃	SMe	2-Me-4-OCF ₃
CF ₃	2-Me-4-OCF ₂ H	NO ₂	2-Me-4-OCF ₂ H	SMe	2-Me-4-OCF ₂ H
CF ₃	2-Me-4-OCH ₂ CF ₃	NO ₂	2-Me-4-OCH ₂ CF ₃	SMe	2-Me-4-OCH ₂ CF ₃
CF ₃	2-Me-4-SCF ₃	NO ₂	2-Me-4-SCF ₃	SMe	2-Me-4-SCF ₃
CF ₃	2-Me-4-SOCF ₃	NO ₂	2-Me-4-SOCF ₃	SMe	2-Me-4-SOCF ₃
CF ₃	2-Me-4-SO ₂ CF ₃	NO ₂	2-Me-4-SO ₂ CF ₃	SMe	2-Me-4-SO ₂ CF ₃
CF ₃	2-Me-4-SCF ₂ H	NO ₂	2-Me-4-SCF ₂ H	SMe	2-Me-4-SCF ₂ H

CF ₃	2-Me-4-SOCF ₂ H	NO ₂	2-Me-4-SOCF ₂ H	SMc	2-Me-4-SOCF ₂ H
CF ₃	2-Me-4-SO ₂ CF ₂ H	NO ₂	2-Me-4-SO ₂ CF ₂ H	SMc	2-Me-4-SO ₂ CF ₂ H

Table 3



R ⁴	R ⁵ and/or R ⁶	R ⁴	R ⁵ and/or R ⁶	R ⁴	R ⁵ and/or R ⁶
Me	2-CF ₃	Me	3-CF ₃	Me	4-CF ₃
Me	2-OCF ₃	Me	3-OCF ₃	Me	4-OCF ₃
Me	2-OCF ₂ H	Me	3-OCF ₂ H	Me	4-OCF ₂ H
Me	2-OCF ₂ CF ₂ H	Me	3-OCF ₂ CF ₂ H	Me	4-OCF ₂ CF ₂ H
Me	2-OCH ₂ CF ₃	Me	3-OCH ₂ CF ₃	Me	4-OCH ₂ CF ₃
Me	2-SCF ₃	Me	3-SCF ₃	Me	4-SCF ₃
Me	2-SOCF ₃	Me	3-SOCF ₃	Me	4-SOCF ₃
Me	2-SO ₂ CF ₃	Me	3-SO ₂ CF ₃	Me	4-SO ₂ CF ₃
Me	2-SCF ₂ H	Me	3-SCF ₂ H	Me	4-SCF ₂ H
Me	2-SOCF ₂ H	Me	3-SOCF ₂ H	Me	4-SOCF ₂ H
Me	2-SO ₂ CF ₂ H	Me	3-SO ₂ CF ₂ H	Me	4-SO ₂ CF ₂ H
Cl	2-CF ₃	Cl	3-CF ₃	Cl	4-CF ₃
Cl	2-OCF ₃	Cl	3-OCF ₃	Cl	4-OCF ₃
Cl	2-OCF ₂ H	Cl	3-OCF ₂ H	Cl	4-OCF ₂ H
Cl	2-OCF ₂ CF ₂ H	Cl	3-OCF ₂ CF ₂ H	Cl	4-OCF ₂ CF ₂ H
Cl	2-OCH ₂ CF ₃	Cl	3-OCH ₂ CF ₃	Cl	4-OCH ₂ CF ₃
Cl	2-SCF ₃	Cl	3-SCF ₃	Cl	4-SCF ₃
Cl	2-SOCF ₃	Cl	3-SOCF ₃	Cl	4-SOCF ₃
Cl	2-SO ₂ CF ₃	Cl	3-SO ₂ CF ₃	Cl	4-SO ₂ CF ₃
Cl	2-SCF ₂ H	Cl	3-SCF ₂ H	Cl	4-SCF ₂ H
Cl	2-SOCF ₂ H	Cl	3-SOCF ₂ H	Cl	4-SOCF ₂ H
Cl	2-SO ₂ CF ₂ H	Cl	3-SO ₂ CF ₂ H	Cl	4-SO ₂ CF ₂ H
F	2-CF ₃	F	3-CF ₃	F	4-CF ₃
F	2-OCF ₃	F	3-OCF ₃	F	4-OCF ₃

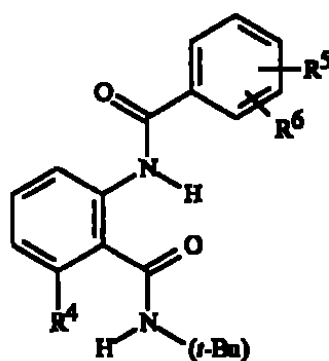
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F	2-OCF ₂ H	F	3-OCF ₂ H	F	4-OCF ₂ H
F	2-OCF ₂ CF ₂ H	F	3-OCF ₂ CF ₂ H	F	4-OCF ₂ CF ₂ H
F	2-OCH ₂ CF ₃	F	3-OCH ₂ CF ₃	F	4-OCH ₂ CF ₃
F	2-SCF ₃	F	3-SCF ₃	F	4-SCF ₃
F	2-SOCF ₃	F	3-SOCF ₃	F	4-SOCF ₃
F	2-SO ₂ CF ₃	F	3-SO ₂ CF ₃	F	4-SO ₂ CF ₃
F	2-SCF ₂ H	F	3-SCF ₂ H	F	4-SCF ₂ H
F	2-SOCF ₂ H	F	3-SOCF ₂ H	F	4-SOCF ₂ H
F	2-SO ₂ CF ₂ H	F	3-SO ₂ CF ₂ H	F	4-SO ₂ CF ₂ H
Br	2-CF ₃	Br	3-CF ₃	Br	4-CF ₃
Br	2-OCF ₃	Br	3-OCF ₃	Br	4-OCF ₃
Br	2-OCF ₂ H	Br	3-OCF ₂ H	Br	4-OCF ₂ H
Br	2-OCF ₂ CF ₂ H	Br	3-OCF ₂ CF ₂ H	Br	4-OCF ₂ CF ₂ H
Br	2-OCH ₂ CF ₃	Br	3-OCH ₂ CF ₃	Br	4-OCH ₂ CF ₃
Br	2-SCF ₃	Br	3-SCF ₃	Br	4-SCF ₃
Br	2-SOCF ₃	Br	3-SOCF ₃	Br	4-SOCF ₃
Br	2-SO ₂ CF ₃	Br	3-SO ₂ CF ₃	Br	4-SO ₂ CF ₃
Br	2-SCF ₂ H	Br	3-SCF ₂ H	Br	4-SCF ₂ H
Br	2-SOCF ₂ H	Br	3-SOCF ₂ H	Br	4-SOCF ₂ H
Br	2-SO ₂ CF ₂ H	Br	3-SO ₂ CF ₂ H	Br	4-SO ₂ CF ₂ H
I	2-CF ₃	I	3-CF ₃	I	4-CF ₃
I	2-OCF ₃	I	3-OCF ₃	I	4-OCF ₃
I	2-OCF ₂ H	I	3-OCF ₂ H	I	4-OCF ₂ H
I	2-OCF ₂ CF ₂ H	I	3-OCF ₂ CF ₂ H	I	4-OCF ₂ CF ₂ H
I	2-OCH ₂ CF ₃	I	3-OCH ₂ CF ₃	I	4-OCH ₂ CF ₃
I	2-SCF ₃	I	3-SCF ₃	I	4-SCF ₃
I	2-SOCF ₃	I	3-SOCF ₃	I	4-SOCF ₃
I	2-SO ₂ CF ₃	I	3-SO ₂ CF ₃	I	4-SO ₂ CF ₃
I	2-SCF ₂ H	I	3-SCF ₂ H	I	4-SCF ₂ H
I	2-SOCF ₂ H	I	3-SOCF ₂ H	I	4-SOCF ₂ H
I	2-SO ₂ CF ₂ H	I	3-SO ₂ CF ₂ H	I	4-SO ₂ CF ₂ H
OMe	2-CF ₃	OMe	3-CF ₃	OMe	4-CF ₃
OMe	2-OCF ₃	OMe	3-OCF ₃	OMe	4-OCF ₃
OMe	2-OCF ₂ H	OMe	3-OCF ₂ H	OMe	4-OCF ₂ H
OMe	2-OCF ₂ CF ₂ H	OMe	3-OCF ₂ CF ₂ H	OMe	4-OCF ₂ CF ₂ H
OMe	2-OCH ₂ CF ₃	OMe	3-OCH ₂ CF ₃	OMe	4-OCH ₂ CF ₃
OMe	2-SCF ₃	OMe	3-SCF ₃	OMe	4-SCF ₃

OMe	2-SOCF ₃	OMe	3-SOCF ₃	OMe	4-SOCF ₃
OMe	2-SO ₂ CF ₃	OMe	3-SO ₂ CF ₃	OMe	4-SO ₂ CF ₃
OMe	2-SCF ₂ H	OMe	3-SCF ₂ H	OMe	4-SCF ₂ H
OMe	2-SOCF ₂ H	OMe	3-SOCF ₂ H	OMe	4-SOCF ₂ H
OMe	2-SO ₂ CF ₂ H	OMe	3-SO ₂ CF ₂ H	OMe	4-SO ₂ CF ₂ H
CF ₃	2-CF ₃	CF ₃	3-CF ₃	CF ₃	4-CF ₃
CF ₃	2-OCF ₃	CF ₃	3-OCF ₃	CF ₃	4-OCF ₃
CF ₃	2-OCF ₂ H	CF ₃	3-OCF ₂ H	CF ₃	4-OCF ₂ H
CF ₃	2-OCF ₂ CF ₂ H	CF ₃	3-OCF ₂ CF ₂ H	CF ₃	4-OCF ₂ CF ₂ H
CF ₃	2-OCH ₂ CF ₃	CF ₃	3-OCH ₂ CF ₃	CF ₃	4-OCH ₂ CF ₃
CF ₃	2-SCF ₃	CF ₃	3-SCF ₃	CF ₃	4-SCF ₃
CF ₃	2-SOCF ₃	CF ₃	3-SOCF ₃	CF ₃	4-SOCF ₃
CF ₃	2-SO ₂ CF ₃	CF ₃	3-SO ₂ CF ₃	CF ₃	4-SO ₂ CF ₃
CF ₃	2-SCF ₂ H	CF ₃	3-SCF ₂ H	CF ₃	4-SCF ₂ H
CF ₃	2-SOCF ₂ H	CF ₃	3-SOCF ₂ H	CF ₃	4-SOCF ₂ H
CF ₃	2-SO ₂ CF ₂ H	CF ₃	3-SO ₂ CF ₂ H	CF ₃	4-SO ₂ CF ₂ H
OCF ₂ H	2-CF ₃	OCF ₂ H	3-CF ₃	OCF ₂ H	4-CF ₃
OCF ₂ H	2-OCF ₃	OCF ₂ H	3-OCF ₃	OCF ₂ H	4-OCF ₃
OCF ₂ H	2-OCF ₂ H	OCF ₂ H	3-OCF ₂ H	OCF ₂ H	4-OCF ₂ H
OCF ₂ H	2-OCF ₂ CF ₂ H	OCF ₂ H	3-OCF ₂ CF ₂ H	OCF ₂ H	4-OCF ₂ CF ₂ H
OCF ₂ H	2-OCH ₂ CF ₃	OCF ₂ H	3-OCH ₂ CF ₃	OCF ₂ H	4-OCH ₂ CF ₃
OCF ₂ H	2-SCF ₃	OCF ₂ H	3-SCF ₃	OCF ₂ H	4-SCF ₃
OCF ₂ H	2-SOCF ₃	OCF ₂ H	3-SOCF ₃	OCF ₂ H	4-SOCF ₃
OCF ₂ H	2-SO ₂ CF ₃	OCF ₂ H	3-SO ₂ CF ₃	OCF ₂ H	4-SO ₂ CF ₃
OCF ₂ H	2-SCF ₂ H	OCF ₂ H	3-SCF ₂ H	OCF ₂ H	4-SCF ₂ H
OCF ₂ H	2-SOCF ₂ H	OCF ₂ H	3-SOCF ₂ H	OCF ₂ H	4-SOCF ₂ H
OCF ₂ H	2-SO ₂ CF ₂ H	OCF ₂ H	3-SO ₂ CF ₂ H	OCF ₂ H	4-SO ₂ CF ₂ H
Me	2-Me-4-CF ₃	F	2-Me-4-CF ₃	Cl	2-Me-4-CF ₃
Me	2-Me-4-OCF ₃	F	2-Me-4-OCF ₃	Cl	2-Me-4-OCF ₃
Me	2-Me-4-OCF ₂ H	F	2-Me-4-OCF ₂ H	Cl	2-Me-4-OCF ₂ H
Me	2-Me-4-OCH ₂ CF ₃	F	2-Me-4-OCH ₂ CF ₃	Cl	2-Me-4-OCH ₂ CF ₃
Me	2-Me-4-SCF ₃	F	2-Me-4-SCF ₃	Cl	2-Me-4-SCF ₃
Me	2-Me-4-SOCF ₃	F	2-Me-4-SOCF ₃	Cl	2-Me-4-SOCF ₃
Me	2-Me-4-SO ₂ CF ₃	F	2-Me-4-SO ₂ CF ₃	Cl	2-Me-4-SO ₂ CF ₃
Me	2-Me-4-SCF ₂ H	F	2-Me-4-SCF ₂ H	Cl	2-Me-4-SCF ₂ H
Me	2-Me-4-SOCF ₂ H	F	2-Me-4-SOCF ₂ H	Cl	2-Me-4-SOCF ₂ H
Me	2-Me-4-SO ₂ CF ₂ H	F	2-Me-4-SO ₂ CF ₂ H	Cl	2-Me-4-SO ₂ CF ₂ H

Br	2-Me-4-CF ₃	I	2-Me-4-CF ₃	OMe	2-Me-4-CF ₃
Br	2-Me-4-OCF ₃	I	2-Me-4-OCF ₃	OMe	2-Me-4-OCF ₃
Br	2-Me-4-OCF ₂ H	I	2-Me-4-OCF ₂ H	OMe	2-Me-4-OCF ₂ H
Br	2-Me-4-OCH ₂ CF ₃	I	2-Me-4-OCH ₂ CF ₃	OMe	2-Me-4-OCH ₂ CF ₃
Br	2-Me-4-SCF ₃	I	2-Me-4-SCF ₃	OMe	2-Me-4-SCF ₃
Br	2-Me-4-SOCF ₃	I	2-Me-4-SOCF ₃	OMe	2-Me-4-SOCF ₃
Br	2-Me-4-SO ₂ CF ₃	I	2-Me-4-SO ₂ CF ₃	OMe	2-Me-4-SO ₂ CF ₃
Br	2-Me-4-SCF ₂ H	I	2-Me-4-SCF ₂ H	OMe	2-Me-4-SCF ₂ H
Br	2-Me-4-SOCF ₂ H	I	2-Me-4-SOCF ₂ H	OMe	2-Me-4-SOCF ₂ H
Br	2-Me-4-SO ₂ CF ₂ H	I	2-Me-4-SO ₂ CF ₂ H	OMe	2-Me-4-SO ₂ CF ₂ H
CF ₃	2-Me-4-CF ₃	NO ₂	2-Me-4-CF ₃	SMe	2-Me-4-CF ₃
CF ₃	2-Me-4-OCF ₃	NO ₂	2-Me-4-OCF ₃	SMe	2-Me-4-OCF ₃
CF ₃	2-Me-4-OCF ₂ H	NO ₂	2-Me-4-OCF ₂ H	SMe	2-Me-4-OCF ₂ H
CF ₃	2-Me-4-OCH ₂ CF ₃	NO ₂	2-Me-4-OCH ₂ CF ₃	SMe	2-Me-4-OCH ₂ CF ₃
CF ₃	2-Me-4-SCF ₃	NO ₂	2-Me-4-SCF ₃	SMe	2-Me-4-SCF ₃
CF ₃	2-Me-4-SOCF ₃	NO ₂	2-Me-4-SOCF ₃	SMe	2-Me-4-SOCF ₃
CF ₃	2-Me-4-SO ₂ CF ₃	NO ₂	2-Me-4-SO ₂ CF ₃	SMe	2-Me-4-SO ₂ CF ₃
CF ₃	2-Me-4-SCF ₂ H	NO ₂	2-Me-4-SCF ₂ H	SMe	2-Me-4-SCF ₂ H
CF ₃	2-Me-4-SOCF ₂ H	NO ₂	2-Me-4-SOCF ₂ H	SMe	2-Me-4-SOCF ₂ H
CF ₃	2-Me-4-SO ₂ CF ₂ H	NO ₂	2-Me-4-SO ₂ CF ₂ H	SMe	2-Me-4-SO ₂ CF ₂ H

Table 4



R ⁴	R ⁵ and/or R ⁶	R ⁴	R ⁵ and/or R ⁶	R ⁴	R ⁵ and/or R ⁶
Me	2-CF ₃	Me	3-CF ₃	Me	4-CF ₃
Me	2-OCF ₃	Me	3-OCF ₃	Me	4-OCF ₃
Me	2-OCF ₂ H	Me	3-OCF ₂ H	Me	4-OCF ₂ H
Me	2-OCF ₂ CF ₂ H	Me	3-OCF ₂ CF ₂ H	Me	4-OCF ₂ CF ₂ H
Me	2-OCH ₂ CF ₃	Me	3-OCH ₂ CF ₃	Me	4-OCH ₂ CF ₃

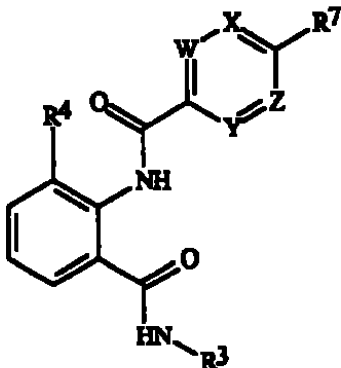
Me	2-SCF ₃	Me	3-SCF ₃	Me	4-SCF ₃
Me	2-SOCF ₃	Me	3-SOCF ₃	Me	4-SOCF ₃
Me	2-SO ₂ CF ₃	Me	3-SO ₂ CF ₃	Me	4-SO ₂ CF ₃
Me	2-SCF ₂ H	Me	3-SCF ₂ H	Me	4-SCF ₂ H
Me	2-SOCF ₂ H	Me	3-SOCF ₂ H	Me	4-SOCF ₂ H
Me	2-SO ₂ CF ₂ H	Me	3-SO ₂ CF ₂ H	Me	4-SO ₂ CF ₂ H
Cl	2-CF ₃	Cl	3-CF ₃	Cl	4-CF ₃
Cl	2-OCF ₃	Cl	3-OCF ₃	Cl	4-OCF ₃
Cl	2-OCF ₂ H	Cl	3-OCF ₂ H	Cl	4-OCF ₂ H
Cl	2-OCF ₂ CF ₂ H	Cl	3-OCF ₂ CF ₂ H	Cl	4-OCF ₂ CF ₂ H
Cl	2-OCH ₂ CF ₃	Cl	3-OCH ₂ CF ₃	Cl	4-OCH ₂ CF ₃
Cl	2-SCF ₃	Cl	3-SCF ₃	Cl	4-SCF ₃
Cl	2-SOCF ₃	Cl	3-SOCF ₃	Cl	4-SOCF ₃
Cl	2-SO ₂ CF ₃	Cl	3-SO ₂ CF ₃	Cl	4-SO ₂ CF ₃
Cl	2-SCF ₂ H	Cl	3-SCF ₂ H	Cl	4-SCF ₂ H
Cl	2-SOCF ₂ H	Cl	3-SOCF ₂ H	Cl	4-SOCF ₂ H
Cl	2-SO ₂ CF ₂ H	Cl	3-SO ₂ CF ₂ H	Cl	4-SO ₂ CF ₂ H
F	2-CF ₃	F	3-CF ₃	F	4-CF ₃
F	2-OCF ₃	F	3-OCF ₃	F	4-OCF ₃
F	2-OCF ₂ H	F	3-OCF ₂ H	F	4-OCF ₂ H
F	2-OCF ₂ CF ₂ H	F	3-OCF ₂ CF ₂ H	F	4-OCF ₂ CF ₂ H
F	2-OCH ₂ CF ₃	F	3-OCH ₂ CF ₃	F	4-OCH ₂ CF ₃
F	2-SCF ₃	F	3-SCF ₃	F	4-SCF ₃
F	2-SOCF ₃	F	3-SOCF ₃	F	4-SOCF ₃
F	2-SO ₂ CF ₃	F	3-SO ₂ CF ₃	F	4-SO ₂ CF ₃
F	2-SCF ₂ H	F	3-SCF ₂ H	F	4-SCF ₂ H
F	2-SOCF ₂ H	F	3-SOCF ₂ H	F	4-SOCF ₂ H
F	2-SO ₂ CF ₂ H	F	3-SO ₂ CF ₂ H	F	4-SO ₂ CF ₂ H
Br	2-CF ₃	Br	3-CF ₃	Br	4-CF ₃
Br	2-OCF ₃	Br	3-OCF ₃	Br	4-OCF ₃
Br	2-OCF ₂ H	Br	3-OCF ₂ H	Br	4-OCF ₂ H
Br	2-OCF ₂ CF ₂ H	Br	3-OCF ₂ CF ₂ H	Br	4-OCF ₂ CF ₂ H
Br	2-OCH ₂ CF ₃	Br	3-OCH ₂ CF ₃	Br	4-OCH ₂ CF ₃
Br	2-SCF ₃	Br	3-SCF ₃	Br	4-SCF ₃
Br	2-SOCF ₃	Br	3-SOCF ₃	Br	4-SOCF ₃
Br	2-SO ₂ CF ₃	Br	3-SO ₂ CF ₃	Br	4-SO ₂ CF ₃
Br	2-SCF ₂ H	Br	3-SCF ₂ H	Br	4-SCF ₂ H

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OCF ₂ H	2-OCF ₂ H	OCF ₂ H	3-OCF ₂ H	OCF ₂ H	4-OCF ₂ H
OCF ₂ H	2-OCF ₂ CF ₂ H	OCF ₂ H	3-OCF ₂ CF ₂ H	OCF ₂ H	4-OCF ₂ CF ₂ H
OCF ₂ H	2-OCH ₂ CF ₃	OCF ₂ H	3-OCH ₂ CF ₃	OCF ₂ H	4-OCH ₂ CF ₃
OCF ₂ H	2-SCF ₃	OCF ₂ H	3-SCF ₃	OCF ₂ H	4-SCF ₃
OCF ₂ H	2-SOCF ₃	OCF ₂ H	3-SOCF ₃	OCF ₂ H	4-SOCF ₃
OCF ₂ H	2-SO ₂ CF ₃	OCF ₂ H	3-SO ₂ CF ₃	OCF ₂ H	4-SO ₂ CF ₃
OCF ₂ H	2-SCF ₂ H	OCF ₂ H	3-SCF ₂ H	OCF ₂ H	4-SCF ₂ H
OCF ₂ H	2-SOCF ₂ H	OCF ₂ H	3-SOCF ₂ H	OCF ₂ H	4-SOCF ₂ H
OCF ₂ H	2-SO ₂ CF ₂ H	OCF ₂ H	3-SO ₂ CF ₂ H	OCF ₂ H	4-SO ₂ CF ₂ H
Me	2-Me-4-CF ₃	F	2-Me-4-CF ₃	Cl	2-Me-4-CF ₃
Me	2-Me-4-OCF ₃	F	2-Me-4-OCF ₃	Cl	2-Me-4-OCF ₃
Me	2-Me-4-OCF ₂ H	F	2-Me-4-OCF ₂ H	Cl	2-Me-4-OCF ₂ H
Me	2-Me-4-OCH ₂ CF ₃	F	2-Me-4-OCH ₂ CF ₃	Cl	2-Me-4-OCH ₂ CF ₃
Me	2-Me-4-SCF ₃	F	2-Me-4-SCF ₃	Cl	2-Me-4-SCF ₃
Me	2-Me-4-SOCF ₃	F	2-Me-4-SOCF ₃	Cl	2-Me-4-SOCF ₃
Me	2-Me-4-SO ₂ CF ₃	F	2-Me-4-SO ₂ CF ₃	Cl	2-Me-4-SO ₂ CF ₃
Me	2-Me-4-SCF ₂ H	F	2-Me-4-SCF ₂ H	Cl	2-Me-4-SCF ₂ H
Me	2-Me-4-SOCF ₂ H	F	2-Me-4-SOCF ₂ H	Cl	2-Me-4-SOCF ₂ H
Me	2-Me-4-SO ₂ CF ₂ H	F	2-Me-4-SO ₂ CF ₂ H	Cl	2-Me-4-SO ₂ CF ₂ H
Br	2-Me-4-CF ₃	I	2-Me-4-CF ₃	OMe	2-Me-4-CF ₃
Br	2-Me-4-OCF ₃	I	2-Me-4-OCF ₃	OMe	2-Me-4-OCF ₃
Br	2-Me-4-OCF ₂ H	I	2-Me-4-OCF ₂ H	OMe	2-Me-4-OCF ₂ H
Br	2-Me-4-OCH ₂ CF ₃	I	2-Me-4-OCH ₂ CF ₃	OMe	2-Me-4-OCH ₂ CF ₃
Br	2-Me-4-SCF ₃	I	2-Me-4-SCF ₃	OMe	2-Me-4-SCF ₃
Br	2-Me-4-SOCF ₃	I	2-Me-4-SOCF ₃	OMe	2-Me-4-SOCF ₃
Br	2-Me-4-SO ₂ CF ₃	I	2-Me-4-SO ₂ CF ₃	OMe	2-Me-4-SO ₂ CF ₃
Br	2-Me-4-SCF ₂ H	I	2-Me-4-SCF ₂ H	OMe	2-Me-4-SCF ₂ H
Br	2-Me-4-SOCF ₂ H	I	2-Me-4-SOCF ₂ H	OMe	2-Me-4-SOCF ₂ H
Br	2-Me-4-SO ₂ CF ₂ H	I	2-Me-4-SO ₂ CF ₂ H	OMe	2-Me-4-SO ₂ CF ₂ H
CF ₃	2-Me-4-CF ₃	NO ₂	2-Me-4-CF ₃	SMe	2-Me-4-CF ₃
CF ₃	2-Me-4-OCF ₃	NO ₂	2-Me-4-OCF ₃	SMe	2-Me-4-OCF ₃
CF ₃	2-Me-4-OCF ₂ H	NO ₂	2-Me-4-OCF ₂ H	SMe	2-Me-4-OCF ₂ H
CF ₃	2-Me-4-OCH ₂ CF ₃	NO ₂	2-Me-4-OCH ₂ CF ₃	SMe	2-Me-4-OCH ₂ CF ₃
CF ₃	2-Me-4-SCF ₃	NO ₂	2-Me-4-SCF ₃	SMe	2-Me-4-SCF ₃
CF ₃	2-Me-4-SOCF ₃	NO ₂	2-Me-4-SOCF ₃	SMe	2-Me-4-SOCF ₃
CF ₃	2-Me-4-SO ₂ CF ₃	NO ₂	2-Me-4-SO ₂ CF ₃	SMe	2-Me-4-SO ₂ CF ₃
CF ₃	2-Me-4-SCF ₂ H	NO ₂	2-Me-4-SCF ₂ H	SMe	2-Me-4-SCF ₂ H

CF ₃	2-Me-4-SOCF ₂ H	NO ₂	2-Me-4-SOCF ₂ H	SMe	2-Me-4-SOCF ₂ H
CF ₃	2-Me-4-SO ₂ CF ₂ H	NO ₂	2-Me-4-SO ₂ CF ₂ H	SMe	2-Me-4-SO ₂ CF ₂ H

Table 5

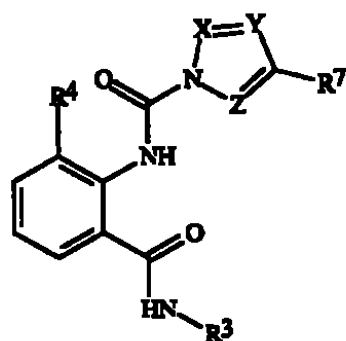


R ³	R ⁴	R ⁷	W	X	Y	Z
<i>i</i> -Pr	Me	CF ₃	CMe	N	CH	CH
<i>i</i> -Pr	Cl	CF ₃	CMe	N	CH	CH
<i>i</i> -Pr	Br	CF ₃	CMe	N	CH	CH
<i>i</i> -Pr	I	CF ₃	CMe	N	CH	CH
<i>i</i> -Pr	F	CF ₃	CMe	N	CH	CH
<i>i</i> -Pr	H	CF ₃	CMe	N	CH	CH
<i>i</i> -Pr	Et	CF ₃	CMe	N	CH	CH
<i>i</i> -Pr	Me	CF ₃	CMe	CH	N	CH
<i>i</i> -Pr	Cl	CF ₃	CMe	CH	N	CH
<i>i</i> -Pr	Br	CF ₃	CMe	CH	N	CH
<i>i</i> -Pr	I	CF ₃	CMe	CH	N	CH
<i>i</i> -Pr	F	CF ₃	CMe	CH	N	CH
<i>i</i> -Pr	H	CF ₃	CMe	CH	N	CH
<i>i</i> -Pr	Et	CF ₃	CMe	CH	N	CH
<i>i</i> -Pr	Me	CF ₃	CMe	CH	CH	N
<i>i</i> -Pr	Cl	CF ₃	CMe	CH	CH	N
<i>i</i> -Pr	Br	CF ₃	CMe	CH	CH	N
<i>i</i> -Pr	I	CF ₃	CMe	CH	CH	N
<i>i</i> -Pr	F	CF ₃	CMe	CH	CH	N
<i>i</i> -Pr	H	CF ₃	CMe	CH	CH	N
<i>i</i> -Pr	Et	CF ₃	CMe	CH	CH	N
<i>i</i> -Pr	Me	CF ₃	CMe	N	CH	N
<i>i</i> -Pr	Cl	CF ₃	CMe	N	CH	N

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<i>i</i> -Pr	F	CF ₃	CH	N	CH	CH
<i>i</i> -Pr	H	CF ₃	CH	N	CH	CH
<i>i</i> -Pr	Et	CF ₃	CH	N	CH	CH
<i>i</i> -Pr	Me	Cl	CMe	CH	CH	N
<i>i</i> -Pr	Cl	Cl	CMe	CH	CH	N
<i>i</i> -Pr	Br	Cl	CMe	CH	CH	N
<i>i</i> -Pr	I	Cl	CMe	CH	CH	N
<i>i</i> -Pr	F	Cl	CMe	CH	CH	N
<i>i</i> -Pr	H	Cl	CMe	CH	CH	N
<i>i</i> -Pr	Et	Cl	CMe	CH	CH	N

Table 6

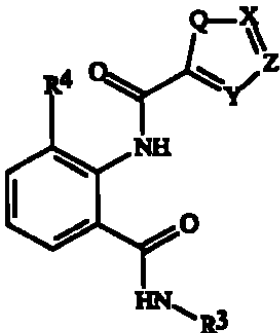


R ³	R ⁴	R ⁷	X	Y	Z
<i>i</i> -Pr	Me	CF ₃	CMe	N	CH
<i>i</i> -Pr	Cl	CF ₃	CMe	N	CH
<i>i</i> -Pr	Br	CF ₃	CMe	N	CH
<i>i</i> -Pr	I	CF ₃	CMe	N	CH
<i>i</i> -Pr	F	CF ₃	CMe	N	CH
<i>i</i> -Pr	H	CF ₃	CMe	N	CH
<i>i</i> -Pr	Et	CF ₃	CMe	N	CH
<i>i</i> -Pr	Me	CF ₃	CMe	CH	N
<i>i</i> -Pr	Cl	CF ₃	CMe	CH	N
<i>i</i> -Pr	Br	CF ₃	CMe	CH	N
<i>i</i> -Pr	I	CF ₃	CMe	CH	N
<i>i</i> -Pr	F	CF ₃	CMe	CH	N
<i>i</i> -Pr	H	CF ₃	CMe	CH	N
<i>i</i> -Pr	Et	CF ₃	CMe	CH	N
<i>i</i> -Pr	Me	CF ₃	CMe	N	N
<i>i</i> -Pr	Cl	CF ₃	CMe	N	N

<i>i</i> -Pr	Br	CF ₃	CMe	N	N
<i>i</i> -Pr	I	CF ₃	CMe	N	N
<i>i</i> -Pr	F	CF ₃	CMe	N	N
<i>i</i> -Pr	H	CF ₃	CMe	N	N
<i>i</i> -Pr	Et	CF ₃	CMe	N	N
<i>i</i> -Pr	Me	CF ₃	CEt	CH	N
<i>i</i> -Pr	Cl	CF ₃	CEt	CH	N
<i>i</i> -Pr	Br	CF ₃	CEt	CH	N
<i>i</i> -Pr	I	CF ₃	CEt	CH	N
<i>i</i> -Pr	F	CF ₃	CEt	CH	N
<i>i</i> -Pr	H	CF ₃	CEt	CH	N
<i>i</i> -Pr	Et	CF ₃	CEt	CH	N
<i>i</i> -Bu	Me	CF ₃	CMe	N	CH
<i>i</i> -Bu	Cl	CF ₃	CMe	N	CH
<i>i</i> -Bu	Br	CF ₃	CMe	N	CH
<i>i</i> -Bu	I	CF ₃	CMe	N	CH
<i>i</i> -Bu	F	CF ₃	CMe	N	CH
<i>i</i> -Bu	H	CF ₃	CMe	N	CH
<i>i</i> -Bu	Et	CF ₃	CMe	N	CH
<i>i</i> -Bu	Me	CF ₃	CMe	CH	N
<i>i</i> -Bu	Cl	CF ₃	CMe	CH	N
<i>i</i> -Bu	Br	CF ₃	CMe	CH	N
<i>i</i> -Bu	I	CF ₃	CMe	CH	N
<i>i</i> -Bu	F	CF ₃	CMe	CH	N
<i>i</i> -Bu	H	CF ₃	CMe	CH	N
<i>i</i> -Bu	Et	CF ₃	CMe	CH	N
<i>i</i> -Bu	Me	CF ₃	CMe	N	N
<i>i</i> -Bu	Cl	CF ₃	CMe	N	N
<i>i</i> -Bu	Br	CF ₃	CMe	N	N
<i>i</i> -Bu	I	CF ₃	CMe	N	N
<i>i</i> -Bu	F	CF ₃	CMe	N	N
<i>i</i> -Bu	H	CF ₃	CMe	N	N
<i>i</i> -Bu	Et	CF ₃	CMe	N	N
<i>i</i> -Pr	Me	OCF ₃	CMe	CH	N
<i>i</i> -Pr	Cl	OCF ₃	CMe	CH	N
<i>i</i> -Pr	Br	OCF ₃	CMe	CH	N
<i>i</i> -Pr	I	OCF ₃	CMe	CH	N

<i>i</i> -Pr	F	OCF ₃	CMe	CH	N
<i>i</i> -Pr	H	OCF ₃	CMe	CH	N
<i>i</i> -Pr	Et	OCF ₃	CMe	CH	N
<i>i</i> -Pr	Me	CF ₃	CH	CH	N
<i>i</i> -Pr	Cl	CF ₃	CH	CH	N
<i>i</i> -Pr	Br	CF ₃	CH	CH	N
<i>i</i> -Pr	I	CF ₃	CH	CH	N
<i>i</i> -Pr	F	CF ₃	CH	CH	N
<i>i</i> -Pr	H	CF ₃	CH	CH	N
<i>i</i> -Pr	Et	CF ₃	CH	CH	N
<i>i</i> -Pr	Me	Cl	CMe	CH	N
<i>i</i> -Pr	Cl	Cl	CMe	CH	N
<i>i</i> -Pr	Br	Cl	CMe	CH	N
<i>i</i> -Pr	I	Cl	CMe	CH	N
<i>i</i> -Pr	F	Cl	CMe	CH	N
<i>i</i> -Pr	H	Cl	CMe	CH	N
<i>i</i> -Pr	Et	Cl	CMe	CH	N

Table 7



R ³	R ⁴	Q	X	Y	Z
<i>i</i> -Pr	Me	S	OCF ₃	CH	CH
<i>i</i> -Pr	Cl	S	OCF ₃	CH	CH
<i>i</i> -Pr	Br	S	OCF ₃	CH	CH
<i>i</i> -Pr	I	S	OCF ₃	CH	CH
<i>i</i> -Pr	F	S	OCF ₃	CH	CH
<i>i</i> -Pr	H	S	OCF ₃	CH	CH
<i>i</i> -Pr	Et	S	OCF ₃	CH	CH
<i>i</i> -Pr	Me	S	OCF ₃	CMe	CH
<i>i</i> -Pr	Cl	S	OCF ₃	CMe	CH

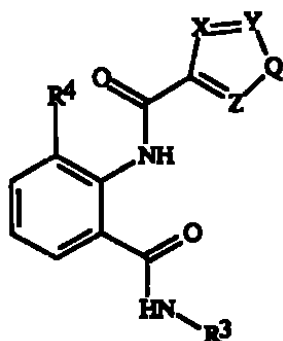
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<i>i</i> -Pr	Br	S	CCF ₃	CMe	CH
<i>i</i> -Pr	I	S	CCF ₃	CMe	CH
<i>i</i> -Pr	F	S	CCF ₃	CMe	CH
<i>i</i> -Pr	H	S	CCF ₃	CMe	CH
<i>i</i> -Pr	Et	S	CCF ₃	CMe	CH
<i>i</i> -Bu	Me	S	CCF ₃	CMe	CH
<i>i</i> -Bu	Cl	S	CCF ₃	CMe	CH
<i>i</i> -Bu	Br	S	CCF ₃	CMe	CH
<i>i</i> -Bu	I	S	CCF ₃	CMe	CH
<i>i</i> -Bu	F	S	CCF ₃	CMe	CH
<i>i</i> -Bu	H	S	CCF ₃	CMe	CH
<i>i</i> -Bu	Et	S	CCF ₃	CMe	CH
<i>i</i> -Pr	Me	S	CCF ₃	CMe	N
<i>i</i> -Pr	Cl	S	CCF ₃	CMe	N
<i>i</i> -Pr	Br	S	CCF ₃	CMe	N
<i>i</i> -Pr	I	S	CCF ₃	CMe	N
<i>i</i> -Pr	F	S	CCF ₃	CMe	N
<i>i</i> -Pr	H	S	CCF ₃	CMe	N
<i>i</i> -Pr	Et	S	CCF ₃	CMe	N
<i>i</i> -Pr	Me	S	COCH ₂ CF ₃	CMe	N
<i>i</i> -Pr	Cl	S	COCH ₂ CF ₃	CMe	N
<i>i</i> -Pr	Br	S	COCH ₂ CF ₃	CMe	N
<i>i</i> -Pr	I	S	COCH ₂ CF ₃	CMe	N
<i>i</i> -Pr	F	S	COCH ₂ CF ₃	CMe	N
<i>i</i> -Pr	H	S	COCH ₂ CF ₃	CMe	N
<i>i</i> -Pr	Et	S	COCH ₂ CF ₃	CMe	N
<i>i</i> -Pr	Me	S	COCHF ₂	CMe	N
<i>i</i> -Pr	Cl	S	COCHF ₂	CMe	N
<i>i</i> -Pr	Br	S	COCHF ₂	CMe	N
<i>i</i> -Pr	I	S	COCHF ₂	CMe	N
<i>i</i> -Pr	F	S	COCHF ₂	CMe	N
<i>i</i> -Pr	H	S	COCHF ₂	CMe	N
<i>i</i> -Pr	Et	S	COCHF ₂	CMe	N
<i>i</i> -Pr	Me	O	CCF ₃	CMe	N
<i>i</i> -Pr	Cl	O	CCF ₃	CMe	N
<i>i</i> -Pr	Br	O	CCF ₃	CMe	N
<i>i</i> -Pr	I	O	CCF ₃	CMe	N

<i>i</i> -Pr	F	O	CCF ₃	CMe	N
<i>i</i> -Pr	H	O	CCF ₃	CMe	N
<i>i</i> -Pr	Et	O	CCF ₃	CMe	N
<i>i</i> -Pr	Me	NMe	N	CH	CCF ₃
<i>i</i> -Pr	Cl	NMe	N	CH	CCF ₃
<i>i</i> -Pr	Br	NMe	N	CH	CCF ₃
<i>i</i> -Pr	I	NMe	N	CH	CCF ₃
<i>i</i> -Pr	F	NMe	N	CH	CCF ₃
<i>i</i> -Pr	H	NMe	N	CH	CCF ₃
<i>i</i> -Pr	Et	NMe	N	CH	CCF ₃
<i>i</i> -Pr	Me	NEt	N	CH	CCF ₃
<i>i</i> -Pr	Cl	NEt	N	CH	CCF ₃
<i>i</i> -Pr	Br	NEt	N	CH	CCF ₃
<i>i</i> -Pr	I	NEt	N	CH	CCF ₃
<i>i</i> -Pr	F	NEt	N	CH	CCF ₃
<i>i</i> -Pr	H	NEt	N	CH	CCF ₃
<i>i</i> -Pr	Et	NEt	N	CH	CCF ₃
<i>i</i> -Pr	Me	NMe	N	CH	CC ₂ F ₅
<i>i</i> -Pr	Cl	NMe	N	CH	CC ₂ F ₅
<i>i</i> -Pr	Br	NMe	N	CH	CC ₂ F ₅
<i>i</i> -Pr	I	NMe	N	CH	CC ₂ F ₅
<i>i</i> -Pr	F	NMe	N	CH	CC ₂ F ₅
<i>i</i> -Pr	H	NMe	N	CH	CC ₂ F ₅
<i>i</i> -Pr	Et	NMe	N	CH	CC ₂ F ₅
<i>i</i> -Bu	Me	NMe	N	CH	CCF ₃
<i>i</i> -Bu	Cl	NMe	N	CH	CCF ₃
<i>i</i> -Bu	Br	NMe	N	CH	CCF ₃
<i>i</i> -Bu	I	NMe	N	CH	CCF ₃
<i>i</i> -Bu	F	NMe	N	CH	CCF ₃
<i>i</i> -Bu	H	NMe	N	CH	CCF ₃
<i>i</i> -Bu	Et	NMe	N	CH	CCF ₃
<i>i</i> -Pr	Me	NMe	CH	N	CCF ₃
<i>i</i> -Pr	Cl	NMe	CH	N	CCF ₃
<i>i</i> -Pr	Br	NMe	CH	N	CCF ₃
<i>i</i> -Pr	I	NMe	CH	N	CCF ₃
<i>i</i> -Pr	F	NMe	CH	N	CCF ₃
<i>i</i> -Pr	H	NMe	CH	N	CCF ₃

<i>i</i> -Pr	Et	NMe	CH	N	CCF ₃
<i>i</i> -Pr	Me	NMe	N	N	CCF ₃
<i>i</i> -Pr	Cl	NMe	N	N	CCF ₃
<i>i</i> -Pr	Br	NMe	N	N	CCF ₃
<i>i</i> -Pr	I	NMe	N	N	CCF ₃
<i>i</i> -Pr	F	NMe	N	N	CCF ₃
<i>i</i> -Pr	H	NMe	N	N	CCF ₃
<i>i</i> -Pr	Et	NMe	N	N	CCF ₃

Table 8



R ³	R ⁴	Q	X	Y	Z
<i>i</i> -Pr	Me	NCHF ₂	CMe	N	CH
<i>i</i> -Pr	Cl	NCHF ₂	CMe	N	CH
<i>i</i> -Pr	Br	NCHF ₂	CMe	N	CH
<i>i</i> -Pr	I	NCHF ₂	CMe	N	CH
<i>i</i> -Pr	F	NCHF ₂	CMe	N	CH
<i>i</i> -Pr	H	NCHF ₂	CMe	N	CH
<i>i</i> -Pr	Et	NCHF ₂	CMe	N	CH
<i>i</i> -Pr	Me	NCHF ₂	CH	N	CMe
<i>i</i> -Pr	Cl	NCHF ₂	CH	N	CMe
<i>i</i> -Pr	Br	NCHF ₂	CH	N	CMe
<i>i</i> -Pr	I	NCHF ₂	CH	N	CMe
<i>i</i> -Pr	F	NCHF ₂	CH	N	CMe
<i>i</i> -Pr	H	NCHF ₂	CH	N	CMe
<i>i</i> -Pr	Et	NCHF ₂	CH	N	CMe
<i>i</i> -Pr	Me	NCF ₂ CHF ₂	CMe	N	CH
<i>i</i> -Pr	Cl	NCF ₂ CHF ₂	CMe	N	CH
<i>i</i> -Pr	Br	NCF ₂ CHF ₂	CMe	N	CH
<i>i</i> -Pr	I	NCF ₂ CHF ₂	CMe	N	CH

<i>i</i> -Pr	F	NCF ₂ CHF ₂	CMe	N	CH
<i>i</i> -Pr	H	NCF ₂ CHF ₂	CMe	N	CH
<i>i</i> -Pr	Et	NCF ₂ CHF ₂	CMe	N	CH
<i>i</i> -Pr	Me	NCF ₂ CHF ₂	CH	N	CMe
<i>i</i> -Pr	Cl	NCF ₂ CHF ₂	CH	N	CMe
<i>i</i> -Pr	Br	NCF ₂ CHF ₂	CH	N	CMe
<i>i</i> -Pr	I	NCF ₂ CHF ₂	CH	N	CMe
<i>i</i> -Pr	F	NCF ₂ CHF ₂	CH	N	CMe
<i>i</i> -Pr	H	NCF ₂ CHF ₂	CH	N	CMe
<i>i</i> -Pr	Et	NCF ₂ CHF ₂	CH	N	CMe
<i>i</i> -Pr	Me	NCH ₂ CF ₃	CMe	N	CH
<i>i</i> -Pr	Cl	NCH ₂ CF ₃	CMe	N	CH
<i>i</i> -Pr	Br	NCH ₂ CF ₃	CMe	N	CH
<i>i</i> -Pr	I	NCH ₂ CF ₃	CMe	N	CH
<i>i</i> -Pr	F	NCH ₂ CF ₃	CMe	N	CH
<i>i</i> -Pr	H	NCH ₂ CF ₃	CMe	N	CH
<i>i</i> -Pr	Et	NCH ₂ CF ₃	CMe	N	CH
<i>i</i> -Pr	Me	NCH ₂ CF ₃	CH	N	CMe
<i>i</i> -Pr	Cl	NCH ₂ CF ₃	CH	N	CMe
<i>i</i> -Pr	Br	NCH ₂ CF ₃	CH	N	CMe
<i>i</i> -Pr	I	NCH ₂ CF ₃	CH	N	CMe
<i>i</i> -Pr	F	NCH ₂ CF ₃	CH	N	CMe
<i>i</i> -Pr	H	NCH ₂ CF ₃	CH	N	CMe
<i>i</i> -Pr	Et	NCH ₂ CF ₃	CH	N	CMe
<i>i</i> -Pr	Me	NCF ₂ CHF ₂	N	CH	CMe
<i>i</i> -Pr	Cl	NCF ₂ CHF ₂	N	CH	CMe
<i>i</i> -Pr	Br	NCF ₂ CHF ₂	N	CH	CMe
<i>i</i> -Pr	I	NCF ₂ CHF ₂	N	CH	CMe
<i>i</i> -Pr	F	NCF ₂ CHF ₂	N	CH	CMe
<i>i</i> -Pr	H	NCF ₂ CHF ₂	N	CH	CMe
<i>i</i> -Pr	Et	NCF ₂ CHF ₂	N	CH	CMe

Formulation/Utility

Compounds of this invention will generally be used as a formulation or composition with an agriculturally 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, and the like which can be water-dispersible ("wetable") 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 that add up to 100 percent by weight.

	Weight Percent		
	Active Ingredient	Diluent	Surfactant
Water-Dispersible and Water-soluble Granules, Tablets and Powders.	5-90	0-94	1-15
Suspensions, Emulsions, Solutions (including Emulsifiable Concentrates)	5-50	40-95	0-15
Dusts	1-25	70-99	0-5
Granules and Pellets	0.01-99	5-99.99	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, and polyoxyethylene/polyoxypropylene block copolymers. 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, paraffins, alkylbenzenes, alkylnaphthalenes, 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, and alcohols such as methanol, cyclohexanol, decanol and tetrahydrofurfuryl alcohol.

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 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; and Hance et al., *Weed Control Handbook*, 8th Ed., Blackwell Scientific Publications, Oxford, 1989.

In the following Examples, all percentages are by weight and all formulations are prepared in conventional ways. Compound numbers refer to compounds in Index Tables A.

Example A

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 BGranule

	Compound 1	10.0%
5	attapulgit granules (low volatile matter, 0.71/0.30 mm; U.S.S. No. 25-50 sieves)	90.0%.

Example CExtruded Pellet

	Compound 1	25.0%
	anhydrous sodium sulfate	10.0%
10	crude calcium ligninsulfonate	5.0%
	sodium alkyl naphthalenesulfonate	1.0%
	calcium/magnesium bentonite	59.0%.

Example DEmulsifiable Concentrate

15	Compound 1	20.0%
	blend of oil soluble sulfonates and polyoxyethylene ethers	10.0%
	isophorone	70.0%.

The compounds of this invention exhibit activity against a wide spectrum of foliar-feeding, fruit-feeding, stem or root feeding, seed-feeding, aquatic and soil-inhabiting arthropods (term "arthropods" includes insects, mites and nematodes) which are pests of growing and stored agronomic crops, forestry, greenhouse crops, ornamentals, nursery crops, stored food and fiber products, livestock, household, and public and animal health. Those skilled in the art will appreciate that not all compounds are equally effective against all growth stages of all pests. Nevertheless, all of the compounds of this invention display activity against pests that include: eggs, larvae and adults of the Order Lepidoptera; eggs, foliar-feeding, fruit-feeding, root-feeding, seed-feeding larvae and adults of the Order Coleoptera; eggs, immatures and adults of the Orders Hemiptera and Homoptera; eggs, larvae, nymphs and adults of the Order Acari; eggs, immatures and adults of the Orders Thysanoptera, Orthoptera and Dermaptera; eggs, immatures and adults of the Order Diptera; and eggs, juveniles and adults of the Phylum Nematoda. The compounds of this invention are also active against pests of the Orders Hymenoptera, Isoptera, Siphonaptera, Blattaria, Thysanura and Psocoptera; pests belonging to the Class Arachnida and Phylum Platyhelminthes. Specifically, the compounds are active against southern corn rootworm (*Diabrotica undecimpunctata howardi*), aster leafhopper (*Mascrosteles fascifrons*), boll weevil (*Anthonomus grandis*), two-spotted spider mite (*Tetranychus urticae*), fall armyworm (*Spodoptera frugiperda*), black bean aphid (*Aphis fabae*), green peach aphid (*Myzus*

persica), cotton aphid (*Aphis gossypii*), Russian wheat aphid (*Diuraphis noxia*), English grain aphid (*Siobion avenae*), tobacco budworm (*Heliothis virescens*), rice water weevil (*Lissorhoptrus oryzophilus*), rice leaf beetle (*Oulema oryzae*), whitebacked planthopper (*Sogatella furcifera*), green leafhopper (*Nephotettix cincticeps*), brown planthopper (5 *Nilaparvata lugens*), small brown planthopper (*Laodelphax striatellus*), rice stem borer (*Chilo suppressalis*), rice leafroller (*Cnaphalocrocis medinalis*), black rice stink bug (*Scotinophara lurida*), rice stink bug (*Oebalus pugnax*), rice bug (*Leptocorisa chinensis*), slender rice bug (*Cletus punctiger*), and southern green stink bug (*Nezara viridula*). The compounds are active on mites, demonstrating ovicidal, larvicidal and chemosterilant activity against such families as Tetranychidae including *Tetranychus urticae*, *Tetranychus cinnabarinus*, *Tetranychus mcDanieli*, *Tetranychus pacificus*, *Tetranychus turkestanii*, *Byrobia rubrioculus*, *Panonychus ulmi*, *Panonychus citri*, *Eotetranychus carpini borealis*, *Eotetranychus*, *hicoriae*, *Eotetranychus sexmaculatus*, *Eotetranychus yumensis*, *Eotetranychus banksi* and *Oligonychus pratensis*; Tenuipalpidae including *Brevipalpus lewisi*, *Brevipalpus phoenicis*, *Brevipalpus californicus* and *Brevipalpus obovatus*; Eriophyidae including *Phyllocoptruta oleivora*, *Eriophyes sheldoni*, *Aculus cornutus*, *Epitrimerus pyri* and *Eriophyes mangiferae*. See WO 90/10623 and WO 92/00673 for more detailed pest descriptions.

Compounds of this invention can also be mixed with one or more other insecticides, fungicides, nematocides, bactericides, acaricides, growth regulators, chemosterilants, semiochemicals, repellents, attractants, pheromones, feeding stimulants or other biologically active compounds to form a multi-component pesticide giving an even broader spectrum of agricultural protection. Examples of such agricultural protectants with which compounds of this invention can be formulated are: insecticides such as abamectin, acephate, azinphos-methyl, bifenthrin, buprofezin, carbofuran, chlorfenapyr, chlorpyrifos, chlorpyrifos-methyl, cyfluthrin, beta-cyfluthrin, cyhalothrin, lambda-cyhalothrin, deltamethrin, diafenthiuron, diazinon, diflubenzuron, dimethoate, easfenvalerate, fenoxycarb, fenpropathrin, fenvalerate, fipronil, flucythrinate, tau-fluvalinate, fonophos, imidacloprid, isofenphos, malathion, metaldehyde, methamidophos, methidathion, methomyl, methoprene, methoxychlor, methyl 7-chloro-2,5-dihydro-2-[[*N*-(methoxycarbonyl)-*N*-(4-(trifluoromethoxy)phenyl)amino]carbonyl]indeno[1,2-*e*][1,3,4]oxadiazine-4a(3*H*)-carboxylate, monocrotophos, oxamyl, parathion, parathion-methyl, permethrin, phorate, phosalone, phosmet, phosphamidon, pirimicarb, profenofos, rotenone, sulprofos, tebufenozide, tefluthrin, terbufos, tetrachlorvinphos, thiacloprid, thiodicarb, tralomethrin, trichlorfon and triflumuron; fungicides such as acibenzolar, azoxystrobin, benomyl, blasticidin-S, Bordeaux mixture (Tribasic copper sulfate), bromuconazole, carpropamid (KTU 3616), captan, captan, carbendazim, chloroneb, chlorothalonil, copper oxychloride, copper salts, cymoxanil, cyproconazole, cyprodinil (CGA 219417), (*S*)-3,5-dichloro-*N*-(3-

chloro-1-ethyl-1-methyl-2-oxopropyl)-4-methylbenzamide (RH 7281), diclocymet (S-2900), diclomezine, dicloran, difenoconazole, (S)-3,5-dihydro-5-methyl-2-(methylthio)-5-phenyl-3-(phenylamino)-4H-imidazol-4-one (RP 407213), dimethomorph, diniconazole, diniconazole-M, dodine, edifenphos, epoxiconazole (BAS 480F), famoxadone, fenamidone, 5 fenarimol, fenbuconazole, fencaramid (SZX0722), fempiclonil, fenpropidin, fenpropimorph, fentin acetate, fentin hydroxide, fluazinam, fludioxonil, flumetover (RPA 403397), fluquinconazole, flusilazole, flutolanil, flutriafol, folpet, fosetyl-aluminum, furalaxyl, furametapyr (S-82658), hexaconazole, ipconazole, iprobenfos, iprodione, isoprothiolane, kasugamycin, kresoxim-methyl, mancozeb, maneb, mefenoxam, mepronil, metalaxyl, 10 metconazole, metominostrobin/fenominostrobin (SSF-126), myclobutanil, neo-asozin (ferric methanearsonate), oxadixyl, penconazole, penycuron, probenazole, prochloraz, propamocarb, propiconazole, pyrifenox, pyraclostrobin, pyrimethanil, pyroquilon, quinoxifen, spiroxamine, sulfur, tebuconazole, tetraconazole, thiabendazole, thifluzamide, thiophanate-methyl, thiram, triadimefon, triadimenol, tricyclazole, trifloxystrobin, 15 triticonazole, validamycin and vinclozolin; nematocides such as aldoxycarb and fenamiphos; bactericides such as streptomycin; acaricides such as amitraz, chinomethionat, chlorobenzilate, cyhexatin, dicofol, dienochlor, etoxazole, fenazaquin, fenbutatin oxide, fenpropathrin, fenpyroximate, hexythiazox, propargite, pyridaben and tebufenpyrad; and biological agents such as *Bacillus thuringiensis*, *Bacillus thuringiensis* delta endotoxin, 20 baculovirus, and entomopathogenic bacteria, virus and fungi.

In certain instances, combinations with other arthropodicides having a similar spectrum of control but a different mode of action will be particularly advantageous for resistance management.

Arthropod pests are controlled and protection of agronomic, horticultural and specialty 25 crops, animal and human health is achieved by applying one or more of the compounds of this invention, in an 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 further comprises a method for the control of foliar and soil inhabiting arthropods and nematode pests and protection of 30 agronomic and/or nonagronomic crops, comprising applying one or more of the compounds of the invention, or compositions containing at least one such compound, in an 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. A preferred method of application is by spraying. Alternatively, granular formulations of these 35 compounds can be applied to the plant foliage or the soil. Other methods of application include direct and residual sprays, aerial sprays, seed coats, microencapsulations, systemic uptake, baits, cartaga, boluses, foggers, fumigants, aerosols, dusts and many others. The

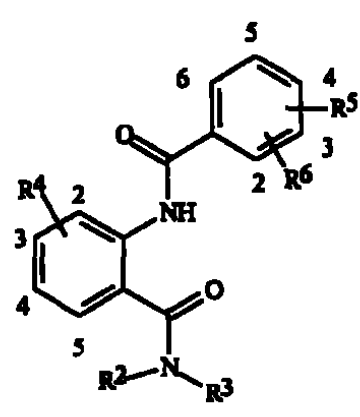
compounds can be incorporated into baits that are consumed by the arthropods or in devices such as traps and the like.

The compounds of this invention can be applied in their pure state, but most often application will be of a formulation comprising one or more compounds with suitable carriers, diluents, and surfactants and possibly in combination with a food depending on the contemplated end use. A preferred method of application involves spraying a water dispersion or refined oil solution of the compounds. Combinations with spray oils, spray oil concentrations, spreader stickers, adjuvants, other solvents, and synergists such as piperonyl butoxide often enhance compound efficacy.

The rate of application required for effective control will depend on such factors as the species of arthropod 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 ingredient per hectare are sufficient to control pests in agronomic ecosystems, but as little as 0.001 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.

The following TEST demonstrates the control efficacy of compounds of this invention on specific pests. "Control efficacy" represents inhibition of arthropod 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 for compound descriptions. The following abbreviations are used in the Index Tables which follow: *t* is tertiary, *n* is normal, *i* is iso, Me is methyl, Et is ethyl, Pr is propyl, *i*-Pr is isopropyl, Bu is butyl, Pent is pentyl, OMe is methoxy, OEt is ethoxy, SMe is methylthio, SEt is ethylthio, CN is cyano, and NO₂ is nitro. The abbreviation "Ex." stands for "Example" and is followed by a number indicating in which example the compound is prepared.

INDEX TABLE A



Compound	R ¹	R ²	R ³	R ⁴	R ⁵ and/or R ⁶	m.p. °C
1 (Ex 1)	H	i-Pr	H	2-Me	4-OCF ₃	207-209
2	H	i-Pr	H	5-Cl	2-CF ₃	195-196
3	H	i-Pr	H	5-Cl	2-Me-4-CF ₃	182-184
4	H	i-Pr	H	2-Me	4-CF ₃	238-240
5	H	i-Pr	H	2-Me	4-CO ₂ Me	216-217
6	H	i-Pr	H	2-Me	3-NO ₂	230-233
7	H	i-Pr	H	2-Me	3-CF ₃ -4-F	223-225
8	H	i-Pr	H	2-Me	3-CN	237-239
9	H	i-Pr	H	2-Me	2-OCF ₃	191-193
10	H	t-Bu	H	2-Me	4-OCF ₃	163-167
11	H	t-Bu	H	2-Me	4-CO ₂ Me	164-169
12	H	i-Pr	H	2-Cl	4-CO ₂ Me	224-225
13	H	t-Bu	H	2-Me	2-OCF ₃	203-204
14	H	t-Bu	H	2-Me	3-NO ₂	193-195
15	H	t-Bu	H	2-Me	3-CF ₃ -4-F	198-199
16	H	i-Pr	H	2-OMe	4-OCF ₃	178-181
17	H	i-Pr	H	2-Me	2-OCF ₃	170-172
18	H	i-Pr	H	2-OMe	3-CF ₃ -4-F	209-211
19	H	i-Pr	H	2-Cl	4-OCF ₃	215-216
20	H	i-Pr	Me	2-Me	2-OCF ₃	153-155
21	H	i-Pr	H	5-Me	4-OCF ₃	173-175
22	H	i-Pr	H	5-Me	2-OCF ₃	180-185
23	H	i-Pr	H	5-Me	4-CO ₂ Me	182-184
24	H	i-Pr	Me	2-Me	4-OCF ₃	Glass
25	H	i-Pr	Me	2-Me	4-CO ₂ Me	67-73
26	H	(1,2-di-Me)-Pr	H	2-Me	4-OCF ₃	189-191
27	H	(3-MeO)-i-Pr	H	2-Me	4-OCF ₃	147-148
28	H	(2-OMe)-Et	H	2-Me	4-OCF ₃	153-155
29	H	2-Pent	H	2-Me	4-OCF ₃	165-168
30	H	n-Bu	H	2-Me	4-OCF ₃	181-183
31	H	propargyl	H	2-Me	4-OCF ₃	190-192
32	H	n-Pr	H	2-Me	4-OCF ₃	189-191

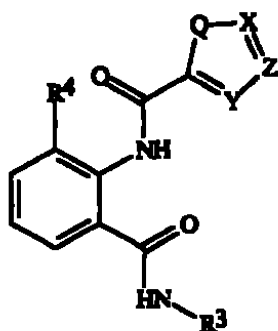
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33	H	Allyl	H	2-Me	4-OCF ₃	185-187
34	H	(2-Me ₂ N)-Et	H	2-Me	4-OCF ₃	168-170
35	H	propargyl	H	2-Me	4-OCF ₃	202-204
36	H	i-Bu	H	2-Me	4-OCF ₃	182-183
37	H	i-Pr	H	2,4-di-Me	4-OCF ₃	205-208
38	H	i-Pr	H	2,4-di-Me	4-CF ₃	> 230
39	H	i-Pr	H	2,4-di-Me	2-OCF ₃	231-232
40	H	i-Pr	H	2,4-di-Me	4-CO ₂ Me	219-221
41	H	i-Pr	H	2,4-di-Me	3-CF ₃ -4-F	222-224
42	H	t-Bu	H	2-OMe	4-CF ₃	210-214
43	H	t-Bu	H	2-OMe	4-OCF ₃	170-173
44	H	i-Pr	Me	2-Me	3-NO ₂	Oil
45	H	i-Pr	H	2-Cl	4-OCF ₃	187-194
46	H	t-Bu	H	2-Cl	4-OCF ₃	205-207
47	H	allyl	H	2-Cl	4-OCF ₃	188-189
48	H	n-Bu	H	2-Cl	4-OCF ₃	192-193
49	H	-CH ₂ CH ₂ CH ₂ CH ₂ -		2-Me	4-OCF ₃	138-142
50	H	CH ₂ CF ₃	H	2-Me	4-OCF ₃	> 230
51	H	n-Bu	H	2-Me	4-OCF ₃	218-220
52 (Ex 2)	H	i-Pr	H	2-Me	2-Me-4-CF ₃	247-248
53	H	i-Pr	H	5-Me	2-Me-4-CF ₃	186-188
54	H	i-Pr	H	H	4-OCF ₃	185-187
55	H	i-Pr	H	H	3-NO ₂	199-200
56	H	i-Pr	H	H	2-OCF ₃	118-122
57	Me	i-Pr	H	H	4-OCF ₃	117-118
58	Me	i-Pr	H	H	3-NO ₂	134-136
59	Me	i-Pr	H	H	2-OCF ₃	128-130
60	H	i-Pr	H	H	3-CF ₃	176-177
61	H	i-Pr	H	H	2-Me-4-CF ₃	100-106
62	H	Me	H	2-Me	4-OCF ₃	204-206
63	H	Et	H	2-Me	4-OCF ₃	198-200
64	H	i-Pr	H	2-Me	2-Cl	211-212
65	H	i-Pr	H	2-Me	3-CF ₃	198-200
66	H	i-Pr	H	2-Me	4-CN	> 230
67	H	i-Pr	H	2-Me	2-NO ₂	> 230
68	H	i-Pr	H	2-Me	3,5-di-CF ₃	> 230
69	H	i-Pr	H	2-Me	4-NO ₂	227-230
70	H	i-Pr	H	2-Me	2-CF ₃	227-230
71	H	i-Pr	H	H	2-Me-4-OCF ₃	118-124
72	H	i-Pr	H	H	4-CF ₃	196-198
73	H	i-Pr	H	2-Me	2-Me-4-SCF ₂ H	212-213
74	H	t-Bu	H	2-Me	2-Me-4-SCF ₂ H	193-195
75	H	i-Pr	H	2-Me	2-Me-4-OCF ₃	221-222
76	H	t-Bu	H	2-Me	4-CF ₃	217-219
77	H	t-Bu	H	2-Me	3-CF ₃	197-198
78	H	t-Bu	H	2-Me	3,5-di-CF ₃	206-207
79	H	t-Bu	H	2-Me	4-CN	> 230

80	H	t-Bu	H	2-Me	4-NO ₂	> 230
81	Me	i-Pr	H	2-Me	2-CF ₃	oil
82	Me	i-Pr	H	2-Me	4-OCF ₃	151-157
83	Me	i-Pr	H	H	2-Me-4-OCF ₃	103-107
84	Me	t-Bu	H	2-Me	2-Me-4-CF ₃	233-234
85	H	t-Bu	H	2-Me	2-Me-4-OCF ₃	207-209
86	H	t-Bu	H	2-Me	2,5-di-CF ₃	199-201
87	H	i-Pr	H	2-CF ₃	4-OCF ₃	183-185
88	H	i-Pr	H	2-CF ₃	4-CF ₃	211-212
89	H	t-Bu	H	2-CF ₃	4-CF ₃	191-192
90	H	R-(-)-s-Bu	H	2-Me	4-OCF ₃	170-172
91	H	S-(+)-s-Bu	H	2-Me	4-OCF ₃	177-179
92	Me	i-Pr	H	H	4-CF ₃	oil
93	Me	i-Pr	H	2-OCF ₂ H	4-OCF ₃	162-164
94	H	t-Bu	H	2-CF ₃	4-OCF ₃	145-148
95	H	i-Pr	Me	2-CF ₃	4-CF ₃	151-154
96	H	i-Pr	Me	2-CF ₃	4-OCF ₃	140-144
97	H	i-Pr	H	2-OCF ₂ H	4-CF ₃	224-227
98	H	i-Pr	H	2,4-di-Me	2-Me-4-CF ₃	> 230
99	H	i-Pr	H	2-Cl	2-Me-4-CF ₃	> 230
100	H	(3-MeO)-i-Pr	H	2-Cl	2-Me-4-CF ₃	194-197
101	H	s-Bu	H	2-Cl	2-Me-4-CF ₃	212-214
102	H	c-Pr	H	2-Me	4-OCF ₃	208-210
103	H	(3-MeO)-i-Pr	H	2,4-di-Me	4-OCF ₃	166-168
104	H	(3-MeO)-i-Pr	H	2,4-di-Me	4-CF ₃	192-194
105	H	i-Pr	H	4-Me	4-CF ₃	212-213
106	H	i-Pr	H	4-Me	4-OCF ₃	204-205
107	H	i-Pr	H	2-Br-4-Me	4-OCF ₃	> 230
108	H	t-Bu	H	2-Br-4-Me	4-OCF ₃	118-120
109	H	i-Pr	H	2-NO ₂	4-CF ₃	222-224
110	H	t-Bu	H	2-NO ₂	4-CF ₃	199-200
111	H	i-Pr	H	2-NO ₂	4-OCF ₃	204-205
112	H	t-Bu	H	2-NO ₂	4-OCF ₃	181-182
113	H	i-Pr	H	2-Me	2-Me-4-S(O) ₂ CF ₂ H	218-221
114	H	i-Pr	H	2-Me	2-Me-4-S(O)CF ₂ H	203-206
115	H	(3-MeO)-i-Pr	H	2-Me	4-CF ₃	158-161
116	H	i-Pr	H	4-Br	4-CF ₃	232-234
117	H	t-Bu	H	4-Br	4-CF ₃	204-206
118	H	(3-MeO)-i-Pr	H	4-Br	4-CF ₃	157-158
119	H	i-Pr	H	4-Br	4-OCF ₃	221-222
120	H	t-Bu	H	4-Br	4-OCF ₃	173-175
121	H	(3-MeO)-i-Pr	H	4-Br	4-OCF ₃	153-155
122	H	(3-MeO)-i-Pr	H	3-Cl	4-OCF ₃	137-140
123	H	i-Pr	H	4-F	4-CF ₃	205-206
124	H	t-Bu	H	2-Cl	2-Me-4-CF ₃	237-240
125	H	2-Pent	H	2-Me	4-CF ₃	194-196
126	H	s-Bu	H	2-Me	4-CF ₃	207-210

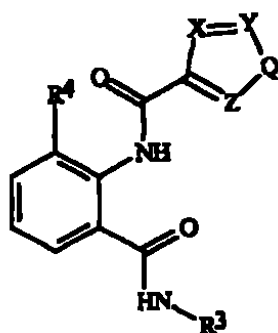
127	H	Et	H	2-Me	4-CF ₃	> 240
128	H	Me	H	2-Me	4-CF ₃	236-237
129	H	i-Pr	H	4-F	4-OCF ₃	208-209
130	H	(3-MeO)-i-Pr	H	4-F	4-OCF ₃	151-152
131	H	(3-MeO)-i-Pr	H	2-Me	4-CF ₃	188-190
132	CH ₂ CO ₂ Me	i-Pr	H	H	4-CF ₃	oil
133	CH ₂ CO ₂ Me	i-Pr	H	H	4-OCF ₃	oil
134	Me	Et	H	2-Me	4-CF ₃	oil
135	Me	Et	H	2-Me	4-OCF ₃	oil
136	Me	Et	H	2-Me	2-Me-4-SCF ₂ H	132-136
137	H	(3-MeO)-i-Pr	H	2-Me-4-Br	4-CF ₃	197-199
138	H	(3-MeO)-i-Pr	H	2-Me-4-Br	4-OCF ₃	188-190
139	H	i-Pr	H	3-Cl	4-CF ₃	201-202
140	H	t-Bu	H	3-Cl	4-CF ₃	159-161
141	H	i-Pr	H	3-Cl	4-OCF ₃	190-192
142	H	t-Bu	H	3-Cl	4-OCF ₃	150-152
143	H	iPr	H	2-Br-4-Me	4-CF ₃	>230
144	H	t-Bu	H	2-Br-4-Me	4-CF ₃	213-215

INDEX TABLE B

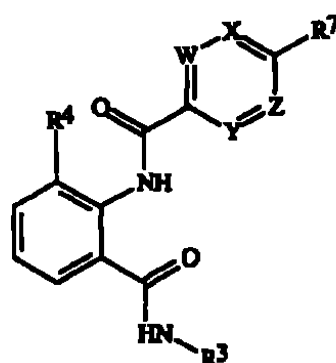


Compound	R ³	R ⁴	Q	X	Y	Z	m.p. °C
145	i-Pr	Me	NMe	N	CH	CCF ₃	>200
146	i-Pr	Cl	NMe	N	CH	CCF ₃	232-235
147 (Ex 2)	i-Pr	Me	NEt	N	CH	CCF ₃	223-226
148	i-Pr	Me	S	COCH ₂ CF ₃	CMe	N	145-147
149	i-Pr	Me	S	COPh-4-OCF ₃	CMe	N	185-187
150	i-Pr	Me	S	CPh-4-OCF ₃	CMe	N	233-234
151	i-Pr	Me	N(2-ClPh)	N	CH	CCF ₃	208-208.5
152	i-Pr	Cl	N(2-ClPh)	N	CH	CCF ₃	234-235
153	i-Pr	Me	N(2-FPh)	N	CH	CCF ₃	217-218
154	i-Pr	Cl	N(2-FPh)	N	CH	CCF ₃	220-221
155	i-Pr	Me	N(2-CF ₃ Ph)	N	CH	CCF ₃	215-215.2
156	i-Pr	Cl	N(2-CF ₃ Ph)	N	CH	CCF ₃	230.2-230.5
157	i-Pr	Me	N(2-BrPh)	N	CH	CCF ₃	207-208
158	i-Pr	Cl	N(2-BrPh)	N	CH	CCF ₃	239-239.5

159	<i>i</i> -Pr	Me	N(2-MePh)	N	CH	CCF ₃	211-212
160	<i>i</i> -Pr	Cl	N(2-MePh)	N	CH	CCF ₃	232.5-233.5
161	<i>i</i> -Pr	Br	N(2-ClPh)	N	CH	CCF ₃	247-248
162	<i>t</i> -Bu	Me	N(2-ClPh)	N	CH	CCF ₃	216-216.5
163	<i>i</i> -Pr	Me	N(2,6-diClPh)	N	CH	CCF ₃	267-268
164	<i>i</i> -Pr	Cl	N(2,6-diClPh)	N	CH	CCF ₃	286-287
165	<i>i</i> -Pr	Me	N(2,4-diFPh)	N	CH	CCF ₃	245-245.5
166	<i>i</i> -Pr	Cl	N(2,4-diFPh)	N	CH	CCF ₃	252.5-253.5
167	<i>i</i> -Pr	Me	N(2-EtOPh)	N	CH	CCF ₃	207.5-208.5
168	<i>i</i> -Pr	Cl	N(2-EtOPh)	N	CH	CCF ₃	221-221.5
169	<i>i</i> -Pr	Cl	N(2-pyridyl)	N	CH	CCF ₃	239-240
170	<i>i</i> -Pr	Me	N(2-pyrimidinyl)	N	CH	CCF ₃	205-208
171	<i>i</i> -Pr	Me	N(2-(3-Me-pyridyl))	N	CH	CCF ₃	183-187
172	<i>i</i> -Pr	Cl	N(2-(3-Me-pyridyl))	N	CH	CCF ₃	224-225
173	<i>i</i> -Pr	Me	N(2-(3-Cl-pyridyl))	N	CH	CCF ₃	179-181
174	<i>i</i> -Pr	Cl	N(2-(3-Cl-pyridyl))	N	CH	CCF ₃	230-233



Compound	R ³	R ⁴	Q	X	Y	Z	m.p. °C
175	<i>i</i> -Pr	Me	NCH ₂ CF ₃	CMc	N	CH	254-255
176	<i>i</i> -Pr	Me	NCH ₂ CF ₃	CH	N	CMc	>200



Compound	R ³	R ⁴	R ⁵	W	X	Y	Z	m.p. °C
177	<i>i</i> -Pr	Me	CF ₃	CMe	N	CH	CH	247-248
178	<i>i</i> -Pr	Me	OCH ₂ CF ₃	CH	N	CH	CH	188-191
179	<i>i</i> -Pr	Me	H	N	CBr	CH	CH	177-180

BIOLOGICAL EXAMPLES OF THE INVENTION

TEST

Application: Compounds are formulated in a 10% acetone, 90% water and 300 ppm X-77 solution, unless otherwise indicated. The formulated compounds are applied with a SUJ2 atomizer nozzle with 1/8 JJ custom body (Spraying Systems) positioned 1/4" above the top of each test unit. There are 6 of these nozzles that make up the spray boom and this is fixed in a belt sprayer. A rack (or carrier) of 6 different insect test units is placed on the conveyor belt and stops so that each unit is centered under a nozzle. Once the rack is centered, 1 mL of liquid is sprayed into each test unit; the rack then continues down the belt to the end of the sprayer to be off-loaded. All experimental compounds in this screen are sprayed at 250 ppm and replicated three times.

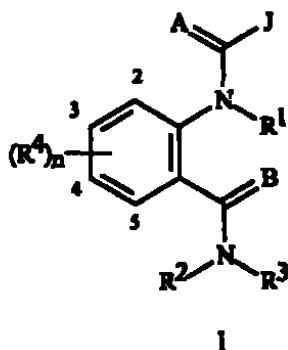
Diamondback Moth (DBM) - *Plutella Xylostella*: The test unit consists of a small self contained unit with a 12-14 day old radish plant inside. These are pre-infested (using a core sampler) with 10-15 neonate larvae on a piece of insect diet. Once 1 mL of formulated compound has been sprayed into each test unit, the test units are allowed to dry for 1 hour before a black, screened cap is placed on the top of the cylinder. They are held for 6 days in a growth chamber at 25C and 70% relative humidity.

Plant feeding damage was visually assessed on a scale of 0-10 where 0 is no feeding, 1 is 10% or less feeding, 2 is 20% or less feeding, 3 is 30% or less feeding through a maximum score of 10 where 10 is 100% of foliage consumed. Of the compounds tested the following provided excellent levels of plant protection (ratings of 0-1, 10% or less feeding damage). 1, 2, 3, 4, 6, 7, 9, 10, 13, 14, 15, 19, 20, 24, 27, 28, 29, 30, 31, 32, 33, 35, 37, 38, 39, 51, 52, 53, 60, 61, 62, 63, 64, 65, 66, 68, 69, 72, 73, 74, 75, 76, 79, 80, 84, 86, 88, 89, 90, 92, 96, 97, 98, 99, 100, 101, 102, 103, 107, 113, 124, 126, 127, 143, 144, 145, 146, 147, 148, 149, 151, 152, 153, 154, 155, 156, 157, 158, 159, 160, 161, 162, 163, 164, 165, 166, 167, 168, 169, 170, 171, 172, 173, 174, 176, 177, 178.

CLAIMS

What is claimed is:

1. A compound of Formula 1, *N*-oxides or agriculturally suitable salts thereof



5 wherein

A and B are independently O or S;

J is a phenyl group substituted with 1 to 2 R^5 and optionally substituted with 1 to 3 R^6 ,
or a 5- or 6-membered heteroaromatic ring optionally substituted with 1 to 4 R^7 ;
n is 1 to 4;

10 R^1 is H; or C_1 - C_6 alkyl, C_2 - C_6 alkenyl, C_2 - C_6 alkynyl or C_3 - C_6 cycloalkyl each
optionally substituted with one or more substituents selected from the group
consisting of halogen, CN, NO_2 , hydroxy, C_1 - C_4 alkoxy, C_1 - C_4 alkylthio, C_1 - C_4
alkylsulfinyl, C_1 - C_4 alkylsulfonyl, C_2 - C_4 alkoxycarbonyl, C_1 - C_4 alkylamino,
 C_2 - C_8 dialkylamino and C_3 - C_6 cycloalkylamino; or

15 R^1 is C_2 - C_6 alkylcarbonyl, C_2 - C_6 alkoxycarbonyl, C_2 - C_6 alkylaminocarbonyl or
 C_3 - C_8 dialkylaminocarbonyl;

R^2 is H, C_1 - C_6 alkyl, C_2 - C_6 alkenyl, C_2 - C_6 alkynyl, C_3 - C_6 cycloalkyl, C_1 - C_4 alkoxy,
 C_1 - C_4 alkylamino, C_2 - C_8 dialkylamino, C_3 - C_6 cycloalkylamino, C_2 - C_6
alkoxycarbonyl or C_2 - C_6 alkylcarbonyl;

20 R^3 is H; C_1 - C_6 alkyl, C_2 - C_6 alkenyl, C_2 - C_6 alkynyl, C_3 - C_6 cycloalkyl, each optionally
substituted with one or more substituents selected from the group consisting of
halogen, CN, NO_2 , hydroxy, C_1 - C_4 alkoxy, C_1 - C_4 alkylthio, C_1 - C_4 alkylsulfinyl
and C_1 - C_4 alkylsulfonyl; C_1 - C_4 alkoxy; C_1 - C_4 alkylamino; C_2 - C_8 dialkylamino;
 C_3 - C_6 cycloalkylamino; C_2 - C_6 alkoxycarbonyl or C_2 - C_6 alkylcarbonyl; or

25 R^2 and R^3 can be 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 of
nitrogen, sulfur or oxygen, said ring may be optionally substituted with 1 to 4
substituents selected from the group consisting of C_1 - C_2 alkyl, halogen, CN,
 NO_2 and C_1 - C_2 alkoxy;

each R⁴ is independently H, C₁-C₆ alkyl, C₂-C₆ alkenyl, C₂-C₆ alkynyl, C₃-C₆ cycloalkyl, C₁-C₆ haloalkyl, C₂-C₆ haloalkenyl, C₂-C₆ haloalkynyl, C₃-C₆ halocycloalkyl, halogen, CN, CO₂H, CONH₂, NO₂, hydroxy, C₁-C₄ alkoxy, C₁-C₄ haloalkoxy, C₁-C₄ alkylthio, C₁-C₄ alkylsulfanyl, C₁-C₄ alkylsulfonyl, C₁-C₄ haloalkylthio, C₁-C₄ haloalkylsulfanyl, C₁-C₄ haloalkylsulfonyl, C₁-C₄ alkylamino, C₂-C₈ dialkylamino, C₃-C₆ cycloalkylamino, C₂-C₆ alkylcarbonyl, C₂-C₆ alkoxy carbonyl, C₂-C₆ alkylaminocarbonyl, C₃-C₈ dialkylaminocarbonyl, C₃-C₆ trialkylsilyl; or

each R⁴ is independently phenyl, benzyl or phenoxy, each optionally substituted with C₁-C₄ alkyl, C₂-C₄ alkenyl, C₂-C₄ alkynyl, C₃-C₆ cycloalkyl, C₁-C₄ haloalkyl, C₂-C₄ haloalkenyl, C₂-C₄ haloalkynyl, C₃-C₆ halocycloalkyl, halogen, CN, NO₂, C₁-C₄ alkoxy, C₁-C₄ haloalkoxy, C₁-C₄ alkylthio, C₁-C₄ alkylsulfenyl, C₁-C₄ alkylsulfonyl, C₁-C₄ alkylamino, C₂-C₈ dialkylamino, C₃-C₆ cycloalkylamino, C₃-C₆ (alkyl)cycloalkylamino, C₂-C₄ alkylcarbonyl, C₂-C₆ alkoxycarbonyl, C₂-C₆ alkylaminocarbonyl, C₃-C₈ dialkylaminocarbonyl or C₃-C₆ trialkylsilyl;

each R³ is independently C₁-C₆ alkyl, C₂-C₆ alkenyl, C₂-C₆ alkynyl, C₃-C₆ cycloalkyl, C₁-C₆ haloalkyl, C₂-C₆ haloalkenyl, C₂-C₆ haloalkynyl, C₃-C₆ halocycloalkyl, halogen, CN, CO₂H, CONH₂, NO₂, hydroxy, C₁-C₄ alkoxy, C₁-C₄ haloalkoxy, C₁-C₄ alkylthio, C₁-C₄ alkylsulfinyl, C₁-C₄ alkylsulfonyl, C₁-C₄ haloalkylthio, C₁-C₄ haloalkylsulfinyl, C₁-C₄ haloalkylsulfonyl, C₁-C₄ alkylamino, C₂-C₈ dialkylamino, C₃-C₆ cycloalkylamino, C₂-C₆ alkylcarbonyl, C₂-C₆ alkoxy carbonyl, C₂-C₆ alkylaminocarbonyl, C₃-C₈ dialkylaminocarbonyl, C₃-C₆ trialkylsilyl; or

(R⁵)₂ when attached to adjacent carbon atoms can be taken together as -OCF₂O-, -CF₂CF₂O-, or -OCF₂CF₂O-;

each R⁶ is independently H, halogen, C₁-C₆ alkyl, C₂-C₆ alkenyl, C₂-C₆ alkynyl, C₃-C₆ cycloalkyl, C₁-C₄ alkoxy, or

each R⁶ is independently a phenyl, benzyl, phenoxy or a 5- or 6-membered heteroaromatic ring, each ring optionally substituted with C₁-C₄ alkyl, C₂-C₄ alkenyl, C₂-C₄ alkynyl, C₃-C₆ cycloalkyl, C₁-C₄ haloalkyl, C₂-C₄ haloalkenyl, C₂-C₄ haloalkynyl, C₃-C₆ halocycloalkyl, halogen, CN, NO₂, C₁-C₄ alkoxy, C₁-C₄ haloalkoxy, C₁-C₄ alkylthio, C₁-C₄ alkylsulfinyl, C₁-C₄ alkylsulfonyl, C₁-C₄ alkylamino, C₂-C₈ dialkylamino, C₃-C₆ cycloalkylamino, C₃-C₆ (alkyl)cycloalkylamino, C₂-C₄ alkylcarbonyl, C₂-C₆ alkoxycarbonyl, C₂-C₆ alkylaminocarbonyl, C₃-C₈ dialkylaminocarbonyl or C₃-C₆ trialkylsilyl;

each R⁷ is independently H, C₁-C₆ alkyl, C₂-C₆ alkenyl, C₂-C₆ alkynyl, C₃-C₆ cycloalkyl, C₁-C₆ haloalkyl, C₂-C₆ haloalkenyl, C₂-C₆ haloalkynyl, C₃-C₆ halocycloalkyl, halogen, CN, CO₂H, CONH₂, NO₂, hydroxy, C₁-C₄ alkoxy, C₁-C₄ haloalkoxy, C₁-C₄ alkylthio, C₁-C₄ alkylsulfinyl, C₁-C₄ alkylsulfonyl, C₁-C₄ haloalkylthio, C₁-C₄ haloalkylsulfinyl, C₁-C₄ haloalkylsulfonyl, C₁-C₄ alkylamino, C₂-C₈ dialkylamino, C₃-C₆ cycloalkylamino, C₂-C₆ alkylcarbonyl, C₂-C₆ alkoxy carbonyl, C₂-C₆ alkylaminocarbonyl, C₃-C₈ dialkylaminocarbonyl, C₃-C₆ trialkylsilyl; or

each R⁷ is independently a phenyl, benzyl, benzoyl, phenoxy or a 5- or 6-membered heteroaromatic ring, each ring optionally substituted with C₁-C₄ alkyl, C₂-C₄ alkenyl, C₂-C₄ alkynyl, C₃-C₆ cycloalkyl, C₁-C₄ haloalkyl, C₂-C₄ haloalkenyl, C₂-C₄ haloalkynyl, C₃-C₆ halocycloalkyl, halogen, CN, NO₂, C₁-C₄ alkoxy, C₁-C₄ haloalkoxy, C₁-C₄ alkylthio, C₁-C₄ alkylsulfinyl, C₁-C₄ alkylsulfonyl, C₁-C₄ alkylamino, C₂-C₈ dialkylamino, C₃-C₆ cycloalkylamino, C₃-C₆ (alkyl)cycloalkylamino, C₂-C₄ alkylcarbonyl, C₂-C₆ alkoxy carbonyl, C₂-C₆ alkylaminocarbonyl, C₃-C₈ dialkylaminocarbonyl or C₃-C₆ trialkylsilyl;

provided that when A and B are both O, R² is H or C₁-C₃ alkyl, R³ is H or C₁-C₃ alkyl and R⁴ is H, halogen, C₁-C₆ alkyl, phenyl, hydroxy or C₁-C₆ alkoxy, then one R⁵ is other than halogen, C₁-C₆ alkyl, hydroxy or C₁-C₆ alkoxy.

2. The compound of Claim 1 wherein J is a phenyl group substituted with 1 to 2 R⁵ and optionally substituted with 1 to 3 R⁶.

3. The compound of Claim 2 wherein

A and B are both O;

n is 1 to 2;

R¹ is H, C₁-C₄ alkyl, C₂-C₄ alkenyl, C₂-C₄ alkynyl, C₃-C₆ cycloalkyl, C₂-C₆ alkylcarbonyl or C₂-C₆ alkoxy carbonyl;

R² is H, C₁-C₄ alkyl, C₂-C₄ alkenyl, C₂-C₄ alkynyl, C₃-C₆ cycloalkyl, C₂-C₆ alkylcarbonyl or C₂-C₆ alkoxy carbonyl;

R³ is C₂-C₆ alkyl, C₂-C₆ alkenyl, C₂-C₆ alkynyl or C₃-C₆ cycloalkyl each optionally substituted with one or more substituents selected from the group consisting of halogen, CN, C₁-C₂ alkoxy, C₁-C₂ alkylthio, C₁-C₂ alkylsulfinyl and C₁-C₂ alkylsulfonyl;

one of the R⁴ groups is attached to the phenyl ring at the 2-position or 5-

position, and said R⁴ is C₁-C₄ alkyl, C₁-C₄ haloalkyl, halogen, CN,

NO₂, C₁-C₄ alkoxy, C₁-C₄ haloalkoxy, C₁-C₄ alkylthio, C₁-C₄

alkylsulfinyl, C₁-C₄ alkylsulfonyl, C₁-C₄ haloalkylthio, C₁-C₄

haloalkylsulfinyl, C₁-C₄ haloalkylsulfonyl or C₂-C₄ alkoxy carbonyl;

each R⁵ is independently C₁-C₄ haloalkyl, CN, NO₂, C₁-C₄ haloalkoxy, C₁-C₄ alkylthio, C₁-C₄ alkylsulfinyl, C₁-C₄ alkylsulfonyl, C₁-C₄ haloalkylthio, C₁-C₄ haloalkylsulfinyl, C₁-C₄ haloalkylsulfonyl or C₂-C₄ alkoxy carbonyl; or

(R⁵)₂ when attached to adjacent carbon atoms can be taken together as -OCF₂O-, -CF₂CF₂O- or -OCF₂CF₂O-; and

each R⁶ is independently H, halogen, C₁-C₄ alkyl, C₁-C₂ alkoxy or C₂-C₄ alkoxy carbonyl, or

each R⁶ is independently a phenyl or a 5- or 6-membered heteroaromatic ring, each ring optionally substituted with C₁-C₄ alkyl, C₂-C₄ alkenyl, C₂-C₄ alkynyl, C₃-C₆ cycloalkyl, C₁-C₄ haloalkyl, C₂-C₄ haloalkenyl, C₂-C₄ haloalkynyl, C₃-C₆ halocycloalkyl, halogen, CN, NO₂, C₁-C₄ alkoxy, C₁-C₄ haloalkoxy, C₁-C₄ alkylthio, C₁-C₄ alkylsulfinyl, C₁-C₄ alkylsulfonyl, C₁-C₄ alkylamino, C₂-C₈ dialkylamino, C₃-C₆ cycloalkylamino, C₃-C₆ (alkyl)cycloalkylamino, C₂-C₄ alkyl carbonyl, C₂-C₆ alkoxy carbonyl, C₂-C₆ alkylaminocarbonyl, C₃-C₈ dialkylaminocarbonyl or C₃-C₆ trialkylsilyl.

3. The compound of Claim 2 wherein

R¹ and R² are H;

R³ is C₂-C₄ alkyl optionally substituted with halogen, CN, OCH₃, S(O)_pCH₃; each R⁴ is independently CH₃, CF₃, OCF₃, OCHF₂, S(O)_pCF₃, S(O)_pCHF₂ or halogen;

each R⁵ is independently CF₃, OCF₃, OCHF₂, S(O)_pCF₃, S(O)_pCHF₂, OCH₂CF₃, OCF₂CHF₂, S(O)_pCH₂CF₃ or S(O)_pCF₂CHF₂;

each R⁶ is independently halogen or methyl; or phenyl, pyrazole, imidazole, triazole, pyridine or pyrimidine, each ring optionally substituted with C₁-C₄ alkyl, C₁-C₄ haloalkyl, halogen or CN; and

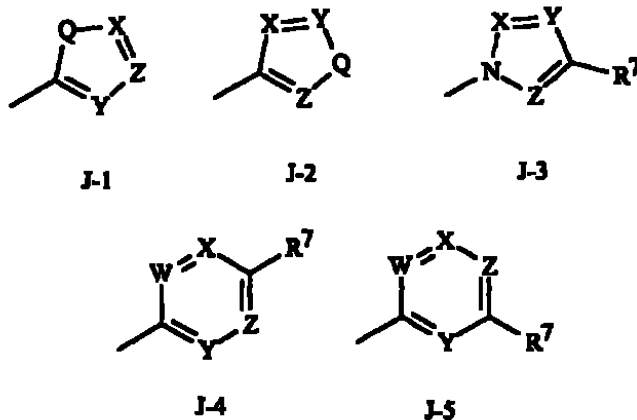
p is 0, 1 or 2.

4. The compound of Claim 3 wherein R³ is *i*-propyl or *t*-butyl.

5. The compound of Claim 1 wherein J is a 5- or 6-membered heteroaromatic ring optionally substituted with 1 to 4 R⁷.

6. The compound of Claim 5 wherein

J is a 5- or 6-membered heteroaromatic ring selected from the group consisting of J-1, J-2, J-3, J-4 and J-5, each J optionally substituted with 1 to 3 R⁷



Q is O, S or NR⁷; and

W, X, Y and Z are independently N or CR⁷, provided that in J-4 and J-5 at least one of W, X, Y or Z is N.

8. The compound of Claim 6 or Claim 7 wherein
- A and B are O;
- n is 1 to 2;
- R¹ is H, C₁-C₄ alkyl, C₂-C₄ alkenyl, C₂-C₄ alkynyl, C₂-C₆ alkylcarbonyl or C₂-C₆ alkoxy carbonyl;
- R² is H, C₁-C₄ alkyl, C₂-C₄ alkenyl, C₂-C₄ alkynyl, C₃-C₆ cycloalkyl, C₂-C₆ alkylcarbonyl or C₂-C₆ alkoxy carbonyl;
- R³ is H; or C₂-C₆ alkyl, C₂-C₆ alkenyl, C₂-C₆ alkynyl or C₃-C₆ cycloalkyl each optionally substituted with one or more substituents selected from the group consisting of halogen, CN, C₁-C₂ alkoxy, C₁-C₂ alkylthio, C₁-C₂ alkylsulfinyl and C₁-C₂ alkylsulfonyl;
- one of the R⁴ groups is attached to the phenyl ring at the 2-position, and said R⁴ is C₁-C₄ alkyl, C₁-C₄ haloalkyl, halogen, CN, NO₂, C₁-C₄ alkoxy, C₁-C₄ haloalkoxy, C₁-C₄ alkylthio, C₁-C₄ alkylsulfinyl, C₁-C₄ alkylsulfonyl, C₁-C₄ haloalkylthio, C₁-C₄ haloalkylsulfinyl, C₁-C₄ haloalkylsulfonyl or C₂-C₄ alkoxy carbonyl; and
- each R⁷ is independently H, C₁-C₄ alkyl, C₁-C₄ haloalkyl, halogen, CN, NO₂, C₁-C₄ haloalkoxy, C₁-C₄ alkylthio, C₁-C₄ alkylsulfinyl, C₁-C₄ alkylsulfonyl, C₁-C₄ haloalkylthio, C₁-C₄ haloalkylsulfinyl, C₁-C₄ haloalkylsulfonyl or C₂-C₄ alkoxy carbonyl; or a phenyl or a 5- or 6-membered heteroaromatic ring, each ring optionally substituted with C₁-C₄ alkyl, C₂-C₄ alkenyl, C₂-C₄ alkynyl, C₃-C₆ cycloalkyl, C₁-C₄ haloalkyl, C₂-C₄ haloalkenyl, C₂-C₄ haloalkynyl, C₃-C₆ halocycloalkyl, halogen, CN, NO₂, C₁-C₄ alkoxy, C₁-C₄ haloalkoxy, C₁-C₄ alkylthio,

C₁-C₄ alkylsulfinyl, C₁-C₄ alkylsulfonyl, C₁-C₄ alkylamino, C₂-C₈ dialkylamino, C₃-C₆ cycloalkylamino, C₃-C₆ (alkyl)cycloalkylamino, C₂-C₄ alkylcarbonyl, C₂-C₆ alkoxy carbonyl, C₂-C₆ alkylaminocarbonyl, C₃-C₈ dialkylaminocarbonyl or C₃-C₆ trialkylsilyl.

9. The compound of Claim 8 wherein

J is selected from the group consisting of pyridine, pyrimidine, pyrazole, imidazole, triazole, thiophene, thiazole and oxazole, each optionally substituted with 1 to 3 R⁷.

10. The compound of Claim 9 wherein

J is selected from the group consisting of pyridine, pyrimidine, pyrazole, thiophene and thiazole, each optionally substituted with 1 to 3 R⁷;

R¹ and R² are H;

R³ is C₂-C₄ alkyl optionally substituted with halogen, CN, OCH₃, S(O)_pCH₃; each R⁴ is independently CH₃, CF₃, OCF₃, OCHF₂, S(O)_pCF₃, S(O)_pCHF₂

or halogen;

each R⁷ is independently halogen, CH₃, CF₃, OCHF₂, S(O)_pCF₃, S(O)_pCHF₂, OCH₂CF₃, OCF₂CHF₂, S(O)_pCH₂CF₃, S(O)_pCF₂CHF₂; or phenyl,

pyrazole, imidazole, triazole, pyridine or pyrimidine, each ring optionally substituted with C₁-C₄ alkyl, C₁-C₄ haloalkyl, halogen or

CN; and

p is 0, 1 or 2.

11. The compound of Claim 1 wherein R³ is *i*-propyl or *t*-butyl.

12. The compound of Claim 1 selected from the group consisting of:

3-methyl-*N*-(1-methylethyl)-2-[[4-(trifluoromethyl)benzoyl]amino]-benzamide,

2-methyl-*N*-[2-methyl-6-[(1-methylethyl)amino]carbonyl]phenyl]-4-(trifluoromethyl)benzamide,

2-methyl-*N*-[2-methyl-6-[(1-methylethyl)amino]carbonyl]phenyl]-6-(trifluoromethyl)-3-pyridinecarboxamide,

1-ethyl-*N*-[2-methyl-6-[(1-methylethyl)amino]carbonyl]phenyl]-3-(trifluoromethyl)-1*H*-pyrazole-5-carboxamide.

13. An arthropodicidal composition comprising an arthropodically effective amount of a compound according to any one of Claims 1 to 12 and at least one additional component selected from the group consisting of surfactants, solid diluents and liquid diluents.

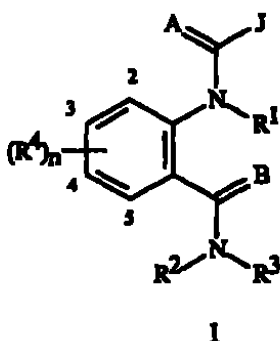
14. A method for controlling arthropods comprising contacting the arthropods or their environment with an arthropodically effective amount of a compound of Claim 1.

697
781TITLE

INSECTICIDAL ANTHRANILAMIDES

ABSTRACT OF THE DISCLOSURE

This invention provides compounds of Formula 1, their *N*-oxides and agriculturally
 5 suitable salts



wherein

A, B, J, R¹, R², R³ and R⁴ and n are as defined in the disclosure.

Also disclosed are methods for controlling arthropods comprising contacting the
 10 arthropods or their environment with an arthropodically effective amount of a compound
 of Formula 1 and compositions containing the compounds of Formula 1.

REQUISITOS NECESARIOS PARA PRESENTACIÓN Y AGILIZACIÓN DEL TRAMITE 792

USUARIO RADICADOR

PATENTE DE INVENCION []

MODELO DE UTILIDAD [] []

- Indicación de que se solicita la concesión de una patente [] []
- Datos de identificación del solicitante o de la persona que presenta la solicitud [] []
- Descripción de la invención [] []
- Dibujos de ser estos pertinentes [] []
- Comprobante de Pago de las tasas establecidas [] []

COMPLETA []

INCOMPLETA [] []

DISEÑO INDUSTRIAL []

- Indicación de que se solicita el registro de Diseño Industrial. [] []
- Datos de identificación del solicitante o de la persona que presenta la solicitud. [] []
- Representación gráfica y foto gráfica del Diseño Industrial o muestra del material que incorpora el diseño. [] []
- Comprobante de pago de las tasas establecidas. [] []

COMPLETA []

INCOMPLETA [] []

ESQUEMA DE TRAZADO []

- Indicación de que se solicita el registro de un Esquema de trazado. [] []
- Datos de identificación del solicitante o de la persona que presenta la solicitud. [] []
- Representación gráfica del esquema de trazado [] []
- Comprobante de pago de las tasas establecidas. [] []

COMPLETA []

INCOMPLETA [] []

Firma Responsable

SUPERINTENDENCIA Y COMERCIO

Radicación : 02013389 00000000

Folios: 694

Fecha (MM): 2002-03-17 16:58:49

Tramite : 011 PCT-PATENT 379 FASE NOCI 411 PRESENTAC

Dependencia: 2020 DIVISION DE NUEVAS CREACIONES

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2020
Bogotá, D.C.,

Doctor:
CARLOS R. OLARTE
Apoderado
E. I. DUPONT DE NEMOURS AND COMPANY

Oficio N°

06359

ASUNTO:	Radicación N°	02-83309
	Solicitud internacional	PCT/US2001/009338
	Fecha inicio fase nacional	22/10/2002
	Trámite	011
	Evento	379
	Actuación	430
	Folios	001

Como resultado del examen de forma, realizado con fundamento en el artículo 38 de la Decisión 486 de la Comisión de la Comunidad Andina, artículo 27 del Tratado de Cooperación en Materia de Patentes (PCT), regla 51 bis del Reglamento y Circular Única de la Superintendencia de Industria y Comercio, se le comunica que:

- ☐ La solicitud no contiene la traducción de los anexos del reporte de examen preliminar internacional (Art. 36.2.b) del tratado PCT).
- ☐ La solicitud no contiene el poder debidamente otorgado, con el lleno de los requisitos exigidos por los artículos 63 y subsiguientes del Código de Procedimiento Civil. Adicionalmente, deberá presentar el documento que acredita la existencia y representación legal de la sociedad solicitante, cuando ésta fuere persona jurídica.
- ☐

En cumplimiento de lo dispuesto por el artículo 39 de la Decisión 486, se le requiere para que dentro del término de dos meses siguientes a la fecha de notificación del presente oficio, complete los requisitos anteriormente enuncados. En caso de no dar cumplimiento al presente requerimiento, la solicitud se considerará abandonada y perderá su prelación.

Notifíquese el presente oficio conforme a lo dispuesto en el numeral 5.2, literal e), del capítulo quinto del título primero de la Circular Única N° 10 de 2001.

ALIX CARMENZA GESPEDES DE VERGEL
Jefe de la División de Nuevas Creaciones.

El anterior requerimiento fue notificado por fijación en lista de fecha 08 AGO. 2003.