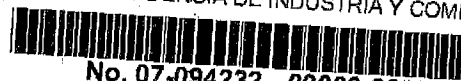




Industria y Comercio
SUPERINTENDENCIA

PETITORIO

SUPERINTENDENCIA DE INDUSTRIA Y COMERCIO



No. 07-094232- -00000-0000

Fecha: 2007-09-12 17:05:02 Dep. 2020 NUECREACION
Tra. 11 PATENTE I/II Eve: 379 FASE NACIONAL II
Act. 411 PRESENTACION Folios: 288

FORMULARIO UNICO DE SOLICITUD DE PATENTE PCT ENTRADA EN FASE NACIONAL

16/10/2007

SOLICITUD DE:

1

Patente de Invención.

☐ Patente de Modelo de Utilidad.

☐ Capítulo I.

☒ Capítulo II.

2

SOLICITANTE
(71)

Nombre: **BASF AKTIENGESSELLSCHAFT**
sociedad constituida y existente bajo las
leyes de Alemania.

Dirección: 67056 Ludwigshafen,
Alemania

Domicilio: Ludwigshafen,
Alemania

IDENTIFICACIÓN

C.C. ☐

NIT ☐

C.E. ☐

Otro ☐

Cual

Número

3

REPRESENTANTE
O APODERADO

Nombre: **EMILIO FERRERO**
Dirección: Carrera 4ª. No. 72-35
Teléfono: 347 36 11
Fax: 211 86 50
E-mail: clientes@cavelier.com
Domicilio: Bogotá, Colombia.

IDENTIFICACIÓN

C.C. ☒

NIT ☐

C.E. ☐

Otro ☐

Cual

Número 438.019 T.P 31.929

4

INVENTOR (ES)
(72)

1. **Wassilios GRAMMENOS**
Alexander-Fleming-Strasse 13,
67071 Ludwigshafen,
Alemania

3. **Jan Klaas LOHMANN**
Lenaustrasse 20,
68167 Mannheim,
Alemania

5. **Michael PUHL**
Bürstädter Strasse 95,
68623 Lampertheim,
Alemania

7. **Ernst BAUMANN**
Falkenstrasse 6a,
67373 Dudenhofen,
Alemania

9. **Jürgen LANGEWALD**
Beethovenstrasse 5,
68165 Mannheim,
Alemania

11. **Deborah L. CULBERTSON**
6400 Vintage Ridge Lane,
Fuquay Varina,
Carolina del Norte 27526,
Estados Unidos de América

13. **Hassan OLOUMI-SADEGHI**
12105 Pawley's Mill Circle,
Raleigh,
Carolina del Norte 27614,
Estados Unidos de América

2. **Joachim RHEINHEIMER**
Merziger Strasse 24,
67063 Ludwigshafen,
Alemania

4. **Thomas GROTE**
Im Höhnhausen 18,
67157 Wachenheim,
Alemania

6. **Christopher KORADIN**
Riedlangstrasse 15,
67067 Ludwigshafen,
Alemania

8. **Wolfgang VON DEYN**
An Der Bleiche 24,
67435 Neustadt,
Alemania

10. **Norbert GÖTZ**
Schöfferstrasse 25,
67547 Worms,
Alemania

12. **Douglas D. ANSPAUGH**
4007 Winecott Drive,
Apex,
Carolina del Norte 27502,
Estados Unidos de América

14. **Henry Van Tuyt COTTER**
8329 Lakewood Drive,
Raleigh,
Carolina del Norte 27613,
Estados Unidos de América

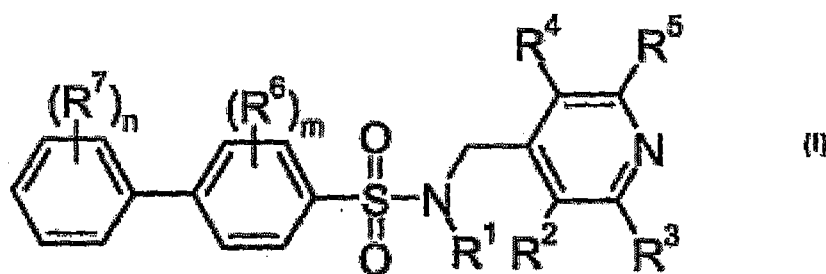
22

15. David G. KUHN 1208 Dalgaven Drive, Apex, Carolina del Norte 27502, Estados Unidos de América				
5	PCT (86) Solicitud Internacional No. <u>PCT/EP2006/060753</u> Fecha: <u>15 de marzo de 2006</u> Publicación Internacional No. <u>WO 2006/097489 A1</u> Fecha: <u>21 de septiembre de 2006</u>			
6	Título (54) <u>BIFENIL-N-(4-PIRIDIL) METILSUFONAMIDAS</u>			
7	Clasificación Internacional (51) <u>A01N 043/040</u>			
8	Prioridad SI ☒ NO ☐	(33) País de Origen <u>US</u> <u>DE</u> <u>EP</u> <u>US</u>	(32) Fecha <u>16 de marzo de 2005</u> <u>20 de abril de 2005</u> <u>30 de mayo de 2005</u> <u>24 de febrero de 2006</u>	(31) Número de Solicitud <u>60/662,411</u> <u>10 2005 018 464.2</u> <u>05011598.9</u> <u>60/776,551</u>
9	Comprobante de pago No.: <u>07 - 72.879</u>		Fecha: <u>10 de septiembre de 2007</u>	
10	Anexos: ☒ Comprobante de pago de la tasa de presentación de la solicitud por \$ 482.000.00. ☐ Comprobante de pago por reivindicación de prioridad. ☒ 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 efectuado por la IPEA. ☐ Traducción del examen preliminar 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 12x12 ☐ 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. ☒ Otros: VER HOJA DE DOCUMENTOS ANEXOS			

33

11

FIGURA CARACTERÍSTICA



12

Solicito la concesión de la patente,

NOMBRE:

EMILIO FERRERO

FIRMA:

C.C. 438.019 de Usaquén T.P. 31.929

JGG

REPRESENTACION Y PODER

Yo (nosotros), abajo firmado (s)

BASF Aktiengesellschaft

domiciliado(s) en **Ludwigshafen, República
Federal de Alemania**

por el presente nombramos a **GERMAN CAVELIER**, tpa. 832; **GONZALO PERDOMO**, tpa. 831; **ERNESTO CAVELIER**, tpa. 11519; y **EMILIO FERRERO**, tpa. 31929; domiciliados en la Carrera 4ª N° 72-35, Bogotá, Colombia, en obediencia a lo dispuesto en el parágrafo 1º del Artículo 543 del Código de Comercio, el primero como principal y los demás como suplentes, en su orden, como nuestros representantes en todos los asuntos de propiedad industrial con facultad para recibir notificaciones y nombrar apoderados judiciales o extrajudiciales. El primer representante suplente reemplazará al principal en caso de ausencia o impedimento temporal o definitivo de aquél. La misma regla se aplicará cuando el segundo representante suplente haya de reemplazar al primero, o el tercero al segundo. En tales casos, el representante principal, o el primero, o segundo suplente, en su caso, o ambos, declararán su intención de no ejercer la representación mediante declaración autenticada por Notario Público en Colombia, o por Notario u otro Funcionario Público, o Cónsul de Colombia, en el extranjero; y si se tratare de impedimento, con el certificado respectivo. Si alguno de los nombrados faltare definitivamente, el siguiente tomará su lugar. Cuando cesare la ausencia o impedimento del representante principal, o de su primero o segundo suplente, en su caso, podrán ejercer la representación, en su orden, uno u otros según el caso, asumiéndola por el solo hecho de ejercerla, cesando en ese momento el ejercicio de la representación por el primero, segundo o tercer suplente en su caso.

Además, y bajo las mismas reglas, conferimos poder a los abogados arriba nombrados, para obtener la protección de mi (nuestra) propiedad industrial y contra la competencia desleal, a cuyo efecto autorizo (amos) a los dichos apoderados para que me (nos) representen ante cualesquiera entidades o personas, ejerzan o no jurisdicción, especialmente ante las del orden administrativo, contencioso-administrativo y judicial, en todo lo concerniente a los siguientes asuntos: a) Obtención de patentes de invención, modelos y dibujos industriales; el registro de marcas de fábrica, colectivas, defensivas y de servicios; el depósito de nombres comerciales y enseñanzas; su renovación, traspaso, cambio de nombre o domicilio, cancelación voluntaria; y el registro de licencias de uso de esos derechos; b) Las acciones de oposición, cancelación, nulidad, medidas cautelares, concesión de licencias y en general los juicios civiles, penales, administrativos o contencioso-administrativos relacionados con estos derechos; acciones y juicios que promovamos o se nos promuevan. Y para que en todos los asuntos arriba determinados, puedan sustituir este mandato, transigir, desistir, cancelar, recibir, renunciar, y ratificar los actos hechos por agentes oficiosos.

Dado y firmado hoy **17 de marzo de 1989**

en **D-6700 Ludwigshafen**

BASF Aktiengesellschaft

W. Welzel
Firma

ppa. Welzel

(Für Patente, Modelle und Zeichnungen; Warenzeichen und Servicezeichen; Handelsnamen und -zeichen)

VERTRETUNG UND VOLLMACHT

Ich (wir) unten Unterzeichnender (de)

BASF Aktiengesellschaft

wohnhaft in **Ludwigshafen, Republica Federal de Alemania**

verleihen durch dieses Schreiben an **GERMAN CAVELIER**, tpa. 832; **GONZALO PERDOMO**, tpa. 831; **ERNESTO CAVELIER**, tpa. 11519; und **EMILIO FERRERO**, tpa. 31929; wohnhaft in der Carrera 4ª N° 72-35, Bogotá, Kolumbien, um die Verfügung des Absatzes 1 im Artikel 543 des Handelsgesetzbuch zu beachten, der erste als Hauptvertreter und die übrigen als Stellvertreter, beziehungsweise, als unsere Vertreter in allen was sich auf das industrielle Eigentum bezieht, berechtigt Meldungen zu empfangen und gerichtliche und aussergerichtliche Bevollmächtigte zu ernennen. Der erste Stellvertreter wird den Hauptvertreter ersetzen wegen zeitweiligen oder definitiven Abwesenheit oder Hindernisses des ersten. Dieselbe Regel wird angewandt werden wenn der zweite Stellvertreter den ersten ersetzen muss, oder der dritte den zweiten. In solchen Fälle der Hauptvertreter, oder der erste oder der zweite Stellvertreter, beziehungsweise, oder beide, werden ihre Absicht aussprechen um nicht die Vertretung auszuüben mittelst Aussage notariell beglaubigt in Kolumbien, oder vor Notar oder vor einem anderen öffentlichen Beamten, oder Kolumbianischen Konsul im Auslande; und wenn es ein Hindernis sei, mittels der betreffenden Beglaubigung. Wenn einer der Genannten immerfort abwesend wäre, der Folgende wird ihn ersetzen. Im Falle dass die Abwesenheit oder das Hindernis des Hauptvertreters, oder diejenigen seines ersten, zweiten oder dritten Vertreters, beziehungsweise, beendeten; einer oder anderen, ordnungsgemäss werden die Vertretung ausüben und sie zu sich nehmen nur auf Grund sie auszuüben, mit Aufhören zur Zeit der Ausübung der Vertretung durch den ersten, den zweiten oder den dritten Stellvertreter beziehungsweise.

Ausserdem, und unter dieselben Regeln, wir verleihen den genannten Rechtsanwaele die Vollmacht, und um den Schutz meines (unseres) industriellen Eigentums zu erhalten, und gegen den unlauteren Wettbewerb; zu diesem Zweck ich (wir) die genannten Bevollmächtigten ernenne(n), damit sie uns vor beliebigen Institutionen oder Personen, die die Rechtsprechung ausüben oder nicht, vor allem vor denen mit Verwaltungs-, streitigem Verwaltungs-, und juristischem Charakter, in allen was sich auf folgende Angelegenheiten bezieht, zu vertreten: a) Erlangung von Patenten, Modellen und Zeichnungen; Registrierung von Waren-, Service-, Defensive- und Servicezeichen; Aufbewahrung von Handelsnamen- und -zeichen; ihre Erneuerung und Übertragung, Names und Wohnsitzänderung, freiwillige Annullierung und die Registrierung von Vertragslizenzen; b) Die Oppositionsklagen, Annullierung, Ungültigkeit, Vorsichtmassnahmen, Lizenzen und im allgemeinen die Zivil-, Straf-, Verwaltungs- oder gerichtlichen Verwaltungsprozesse, die mit diesen Rechten verbunden sind, und Aktionen und Verhandlungen, die wir vorstreifen oder die man uns vorantreibt und; c) damit sie in allen oben bestimmten Angelegenheiten dieses Mandats erlassen, regeln, davon Abstand nehmen, annullieren, empfangen, verzichten, Meldungen annehmen und gerichtliche und aussergerichtliche Bevollmächtigte ernennen, und die Handlungen der halbamtlichen Vertreter besorgen.

Unterzeichnet heute am **17. März 1989**

in **D-6700 Ludwigshafen**

W. Richters
Unterschrift
ppa. Richters

DEL CIRCULO
ESTAR QUE LA
DEL ORIGINAL
LA VISTA

007

TERO MEDINA
NOTARIO

U.R.Nr. 1407/89

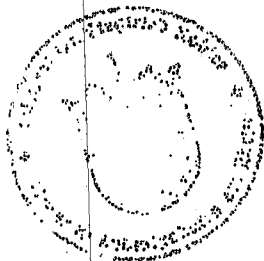
Ich beglaube hiermit die Echtheit der vorstehend
eigenhändig vor mir vollzogenen Unterschriften der für
die Firma BASF Aktiengesellschaft Ludwigshafen am Rhein
handelnden Herren:

1. Dr. Günther W e i z e l , Chemiker in
Ludwigshafen am Rhein,
2. Dr. Peter R i c h t e r s , Chemiker in
Ludwigshafen am Rhein.

Die Herren Dr. Welzel und Dr. Richters sind mir persönlich
bekannt.

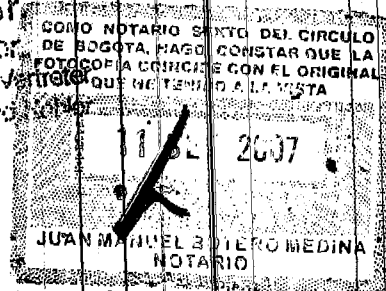
Aufgrund heutiger Einsichtnahme in das Handelsregister
beim Amtsgericht Ludwigshafen am Rhein HR B 3000 be-
scheinige ich, daß die Herren Dr. Welzel und Dr. Richters
als Prokuristen gemeinschaftlich zur Vertretung und
Zeichnung der Firma BASF Aktiengesellschaft mit dem
Sitz in Ludwigshafen am Rhein berechtigt sind.

Ludwigshafen am Rhein, den 17. März 1989



[Handwritten signature]

Th. Walter
als amtlich bestellter Vertreter
des Notars Dr. Kohler



Die Echtheit vorstehender Unterschrift des Notarassessors
Thomas Walter

als amtlich bestellter Vertreter des Notars Justizrat Dr. Kohler
in Ludwigshafen am Rhein und die Echtheit des beigedruckten
Dienststempels werden hiermit bestätigt. Zugleich wird bescheinigt,
daß der Vorgenannte zur Vornahme der Amtshandlung befugt war.

Frankenthal (Pfalz), den einundzwanzigsten März neunzehnhundert-
neunundachtzig

Präsident des Landgerichts

(Franz Serfas)



Kostenvermerk: Gebühr 20,-- DM



COPIA
Luz Arriaga de Arriaga
11.03.2007
11.03.2007
11.03.2007

CONSULADO GENERAL DE COLOMBIA

66
Nº - 0077

El suscrito Cónsul General de Colombia en Frankfurt am Main, República Federal de Alemania, vistos los documentos presentados por los interesados,

C E R T I F I C A:

Que el señor Thomas Walter—
quien como Notario en Ludwigshafen am Rhein
autenticó la(s) firma(s) del(os) signatario(s) del
Poder precedente, ejercía las funciones indicadas
su firma corresponde a la registrada ante el Tribunal Re-
gional de Frankenthal (Pfalz)—
que la compañía BASF Aktiengesellschaft—
es una sociedad constituida de acuerdo con las leyes
de la República Federal de Alemania y cumple su objeto
conforme a las mismas; que el(los) señor(es) Dr. Gunther
WELZEL y el Dr. Peter RICHTERS—
quien(es) en sus carácter(es) de apoderados—
----- y cuya(s) firma(s) (fue-
(fueron) autenticada(s) ante el Notario Thomas Walter
----- es(son) su(s)
te(s) legal(es) en este país.

El Cónsul General no asume responsabilidad por el
tenido del documento.

Esta Certificación se expide en Frankfurt am Main,
el seis de Abril de mil novecientos ochenta y nueve.

Frankfurt a. M 06 APR 1989

PAGADO IMPUESTO DE TIMBRE

Don \$43.64 de Ley E. G. N. N.

Nº - 0077

Martha Niño de Guand
Cónsul General de Colombia

MINISTERIO DE RELACIONES EXTERIORES
LEGALIZACIONES
Bogotá No. 85061
12 ABR. 1989
HACE CONSTAR
Que el señor Cartra Nino
cuya firma aparece al pie del presente
documento, desempeña en esa fecha
las funciones allí indicadas

Cartra Nino
MICHAEL E. ESCOBEDO ACUNA
Jefe de Negocios Generales

COMO NOTARIO DEL CIRCULO
DE BOGOTA, HE CONSTATADO LA
FOTOCOPIA DEL ORIGINAL
DE LA FIRMA DEL SEÑOR
13 SET 2007
JUAN MANUEL ESCOBEDO MEDINA
NOTARIO

788

LAS INSTRUCCIONES
DEBEN ESTAR VIGENTES

ESTE FOLIO, LARGO
CON ALGUN VALOR

881051599954

B C C 15
SUCURSAL CORPORATIVA

16 013 01 000045 1

RECIBO DE IMPUESTOS

16 013 01 000045 1

1 Administración de Impuestos de:

BOGOTA

Código

0,3

2 Teléfono

2120100

3 Documento de identificación (marque X)

C.C. ó T.I.

C

NIT.

A

No.

860041367

D.V.

9

4 Apellidos y Nombre o Razón Social de las partes (incluyan el sustrato)

CAVELIER ABOGADOS

5

C.C. ó T.I.

C

NIT.

A

No.

19' 301.629

D.V.

6 Apellidos y Nombre o Razón Social de las partes (incluyan el sustrato)

FORERO ROCHA JAIME

7 Dirección (de la persona o entidad identificada en la casilla 4)

Cra. 4a. No. 72-35

Municipio

BOGOTA

Departamento

CUNDINAMARCA

8 Número de documento

1

IMPORTE TRADUCCION OFICIAL No. 2056 DE ABRIL 26 DE 1989

PAGO DERECHOS TRADUCCION DE UN PODER

CDLO 0361-52-218-8

REVISADO
SECCION DE NEGOCIOS GENERALES
DIRECCION DE ASUNTOS CONSULARES
DIRECCION DE RELACIONES EXTERIORES

Responsable del pago

Nombre
C.C. No.

19301629

PAGO EN BANCOS
FORTA VALORES

VP
VS
IM
TP

1 037

750.00

DEM-31-V-80

CONTRIBUYENTE

COMO NOTARIO SEXTO DEL CIRCULO
DE BOGOTA, HAGO CONSTAR QUE LA
FOTOCOPIA CONCORDA CON EL ORIGINAL
DEL NÚMERO 19301629

CON PAGO 1 2007

JUAN MANUEL BOTERO MEDINA
NOTARIO

TRADUCCION OFICIAL NO. 2056

de un documento escrito en Alemán, el cual para su identificación se sella con el sello de la traductora, lo mismo que la presente.-

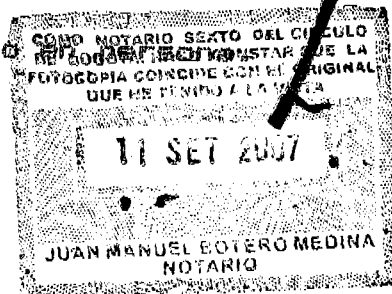
(A continuación del poder conferido a GERMAN CAVELIER, BONZALO PERDOMO, ERNESTO CAVELIER y EMILIO FERRERO, domiciliados en la Cra. 4 No. 72-35, Bogotá, el 17 de marzo de 1989, por la sociedad BASF Aktiengesellschaft, domiciliada en Ludwigshafen, RFA:)

Protocolo notarial No. 1407/89

Certifico la autenticidad de las firmas anteriores puestas ante mí, por los señores:

1. Dr. Gunther W e l z e l , químico, domiciliado en Ludwigshafen am Rhein,
2. Dr. Peter R i c h t e r s , químico, domiciliado en Ludwigshafen am Rhein.

A los doctores Welzel y Richters los conozco



10
10

Certifico, por haber tenido a la vista el registro de comercio HR B 3000, del juzgado de Ludwigshafen, que los doctores Wizer y Richters, en su calidad de apoderados, están facultados para representar conjuntamente y firmar por la sociedad BASF Aktiengesellschaft, con sede en Ludwigshafen.

Ludwigshafen am Rhein, 17 de marzo de 1989

(sello redondo:)

Dr. Siegfried Kohler

Fdo.: firma ilegible

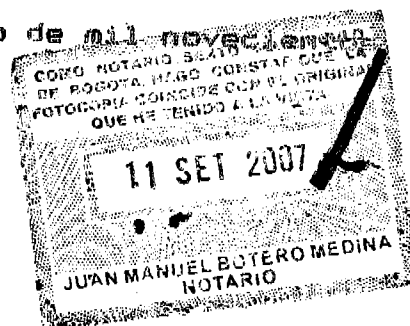
Notario de Ludwigshafen

Th. Walter

Asesor del notario como representante oficialmente designado del notario Dr. Siegfried Kohler

Se certifica la autenticidad de la firma anterior del asesor del notario, consejero de justicia Dr. Kohler, domiciliado en Ludwigshafen am Rhein, y la del sello oficial que la acompaña. Al mismo tiempo se certifica que el mencionado notario estaba facultado para realizar ese acto oficial.

Frankenthal (Pfalz), veintiuno de marzo de mil novecientos ochenta y nueve



21 Presidente del Tribunal Regional

Fda.: firma ilegible (sello redondo:)

(Franz Serfas)

El Presidente del Tribunal Regional
de Frankenthal (Pfalz)

Nota: Derrechos 20,-- DM

EN ESPAÑOL: La legalización de las firmas anteriores por el
Consulado de General de Colombia en Frankfurt, bajo el No.
0077 (Certificación consular) del 6 de abril de 1989 y del
Ministerio de Relaciones Exteriores de Colombia bajo el No.
B5061 del 24 de abril de 1989.

Pagado impuesto de timbre US\$ 6,00

ES TRADUCCION FIEL Y COMPLETA, de la cual se deja copia en
los archivos de estas oficinas para su confrontación.

Bogotá, 26 de abril de 1989

LUZ ARRIAGA DE HERBER
TRADUCCION E INTERPRETE OFICIAL

Regulación No. 599 Ministerio

COMO NOTARIO SEXTO DEL CIRCULO DE SANTAFE DE BOGOTA, HAGO CONSTAR QUE LA FOTOCOPIA COINCIDE CON EL ORIGINAL QUE HE TENIDO A LA VISTA

11 SET 2011

JUAN MANUEL BOTERO MEDINA
NOTARIO

05 AGO. 1999

SANTAFE DE BOGOTA

EL CENDEZ

San Enrique

MINISTERIO DE RELACIONES EXTERIORES
LEGALIZACIONES

Bogotá 28 ABR. 1969 No. 89045

HACE CONSTAR

Que el señor

LUIZ DE HEITA
cuya firma aparece al pie del presente
documento, desempeñaba en esa fecha
las funciones allí indicadas.

[Handwritten signature]

Generales
División Consular
Encargado

RECEIVED
23 MAR 1969
CONSULADO
BOGOTA

COLO NOTARIO JUAN SELVINO
DE BOGOTA, HAGO CONSTAR QUE LA
FOTOCOPIA CONCORDA CON EL ORIGINAL
QUE HE TENIDO A LA VISTA
11 SEP 2007
JUAN MANUEL BOTERO
NOTARIO

CAVELIER

ABOGADOS

EDIFICIO SISKI

CARRERA 4ª, No. 72-35
BOGOTÁ 8, COLOMBIA

CORREO ELECTRONICO: CAVELIER@BOGOTACOL.COM
TELÉFONO (01) 313 221000
CABLE: CAVELIER

Señor Jefe de la
DIVISION DE NUEVAS CREACIONES
Superintendencia de Industria y Comercio
E. S. D.

Poderdante: BASF AKTIENGESELLSCHAFT

Poder conferido el: 17-03-89

Yo, GERMAN CAVELIER, obrando en mi calidad de apoderado principal del poderdante arriba mencionado, solemnemente manifiesto a Usted que sustituyo el poder conferido en el doctor EMILIO FERRERO, Abogado con Tarjeta Profesional N.º 31.929, con todas las facultades que me fueron conferidas.

Hago la anterior sustitución conforme al artículo 66 del Código de Procedimiento Civil ya que los otros sustitutos faltan en este momento.

Señor Jefe,

German Cavelier

GERMAN CAVELIER.

T.P.A. No. 832

C.C. No. 2.877

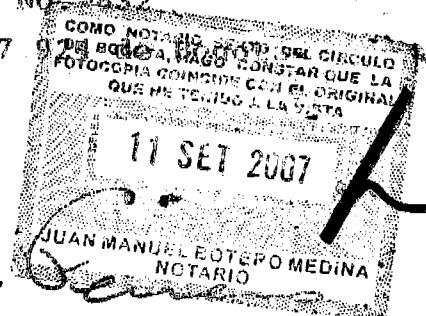
Acepto:

Emilio Ferrero

EMILIO FERRERO

T.P.A. No. 31.929

C.C. No. 438.019 de Usaquén



DILIGENCIA DE AUTENTICACION DE FIRMA
CON PRESENTACION PERSONAL

(Art. 1ro. del Decreto 2282 de 1989)

Ante el Notario Cincuenta (50) del Circulo de Santafé de Bogotá compareció, el Doctor EMILIO FERRER

quien exhibió la cédula de ciudadanía número 438.91
expedida en VAQUERÍA y la tarjeta profesional
número 31.929 del Ministerio de Justicia, con
el presente documento dirigido a: D. D. MUÑOZ (K. S. A. S. E.)
y declaró que la firma que aparece en él es suya.

FIRMA AUTOGRAFA DEL DECLARANTE

- 6 OCT. 1993

Santafé de Bogotá, D. C.

AUTOPIZO LA ANTERIOR



DILIGENCIA DE AUTENTICACION DE FIRMA
CON PRESENTACION PERSONAL

(Art. 1ro. del Decreto 2282 de 1989)

Ante el Notario Cincuenta (50) del Circulo de Santafé de Bogotá compareció, el Doctor 69111

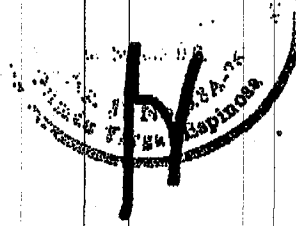
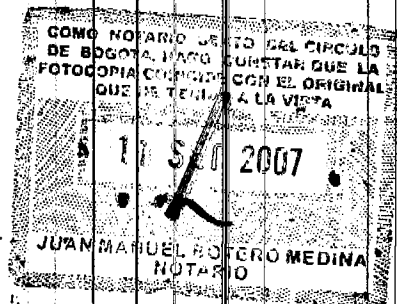
quien exhibió la cédula de ciudadanía número 2072224
expedida en 37 y la tarjeta profesional
número 832 del Ministerio de Justicia, con
el presente documento dirigido a: División Nueva Creación
y declaró que la firma que aparece en él es suya.

FIRMA AUTOGRAFA DEL DECLARANTE

01 OCT. 1993

Santafé de Bogotá, D. C.

AUTORIZO LA ANTERIOR



19
H

APOSTILLE
(Convention de La Haye 5 octobre 1961)

1. COUNTRY: **UNITED STATES OF AMERICA**
2. This Public Document
has been signed by DEBORAH MORRIS
3. acting in the capacity of DEPUTY REGISTER OF DEEDS
WILLIE L. COVINGTON, REGISTER OF DEEDS
4. bears the seal/stamp of COUNTY OF DURHAM, NORTH CAROLINA

CERTIFIED

5. at Raleigh, North Carolina
6. the 7TH DAY OF APRIL, 2006
7. by Secretary of State or Deputy Secretary of State, State of North Carolina
8. No. 8921
9. Seal/Stamp



10. Signature

Clairne J. Marshall



Office of Register of Deeds

CERTIFICATION OF NOTARY

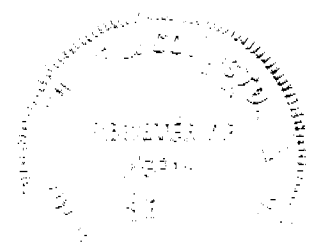
STATE OF NORTH CAROLINA
DURHAM COUNTY

I, Willie L. Covington, Register of Deeds of the aforesaid County and State, do hereby certify that Deborah Martin, at the time of signing this document was a duly commissioned Notary Public in and for the County of Durham, State of North Carolina.

IN WITNESS WHEREOF, I have hereunto set my hand and affixed my official seal. This 4th day of April, 2006.

Willie L. Covington
Register of Deeds

BY Deborah Morris
Deborah Morris, Deputy Register of Deeds



21
21

CAVELIER
ABOGADOS
EDIFICIO SISKI
CARRERA 4a No. 72-35
BOGOTA, 8 - COLOMBIA

CESION

Yo, (nosotros), abajo firmado(s)

domiciliado(s) en

ASSIGNMENT

I (we), the undersigned

Wassilios Grammenos
Alexander-Fleming-Str. 13, 67071 Ludwigshafen
Germany
Citizen of Greece

Joachim Rheinheimer
Merziger Str.24, 67063 Ludwigshafen
Germany
Citizen of Germany

Jan Klaas Lohmann
Lenastr. 20, 68167 Mannheim
Germany
Citizen of Germany

Thomas Grote
Im Höhnhausen 18, 67157 Wachenheim
Germany
Citizen of Germany

Michael Puhl
Bürstädter Str. 95, 68623 Lampertheim
Germany
Citizen of Germany

Christopher Koradin
Riedlangstr. 15, 67067 Ludwigshafen
Germany
Citizen of Germany

Ernst Baumann
Falkenstr.6a, 67373 Dudenhofen
Germany
Citizen of Germany

Wolfgang von Deyn
An der Bleiche 24, 67435 Neustadt
Germany
Citizen of Germany

Jürgen Langewald
Beethovenstrasse 5, 68165 Mannheim
Germany
Citizen of Germany

Norbert Götz
Schöffstr.25, 67547 Worms
Germany
Citizen of Germany

22
22

domiciliado(s) en

Deborah L. Culbertson
6400 Vintage Ridge Lane, Fuquay Varina, NC 27526
United States of America
Citizen of United States of America

Douglas D. Anspaugh
4007 Winecott Drive, Apex, NC 27502
United States of America
Citizen of United States of America

Hassan Oloumi-Sadeghi
12105 Pawley's Mill Circle, Raleigh, NC 27614
United States of America
Citizen of United States of America

Henry Van Tuyl Cotter
8329 Lakewood Drive, Raleigh, NC 27613
United States of America
Citizen of United States of America

David G. Kuhn
1208 Dalgarn Drive, Apex, NC 27502
United States of America
Citizen of United States of America

declaro(amos) bajo juramento ser único(s) y verdadero(s)
inventor(es) de la invención:

state(s) under oath to be sole and true inventor(s) of the
invention:

Novel blphenylsulfonamides

declaro(amos) así mismo que cedo(emos) y
transfiero(erimos), sin limitación, a favor de la sociedad

state as well, that assign, without reservations or limitations
in favour of

BASF Aktiengesellschaft

constituida y existente de conformidad con las leyes de

a corporation constituted and existing in conformity with
the laws of

Germany

domiciliada en

domiciled in

67056 Ludwigshafen, Germany

todos los derechos inherentes a dicha invención y que la
citada Compañía queda facultada para solicitar en su
nombre la patente correspondiente en la República de
Colombia

all rights inherent to said invention and that the above
mentioned company hereby is enabled to apply, in its name,
for the corresponding patent in the Republic of Colombia.



25
25

Office of Register of Deeds

CERTIFICATION OF NOTARY

STATE OF NORTH CAROLINA
DURHAM COUNTY

I, Willie L. Covington, Register of Deeds of the aforesaid County and State, do hereby certify that Deborah Martin, at the time of signing this document was a duly commissioned Notary Public in and for the County of Durham, State of North Carolina.

IN WITNESS WHEREOF, I have hereunto set my hand and affixed my official seal. This 4th day of April, 2006.

Willie L. Covington
Register of Deeds

BY Deborah Morris
Deborah Morris, Deputy Register of Deeds



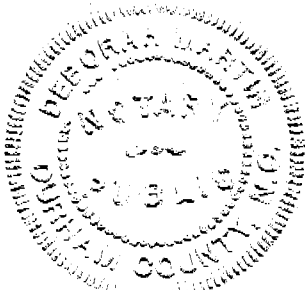
28
26

State of North Carolina)
County of Durham) ss.:

I, Deborah Martin, a Notary Public for the aforementioned jurisdiction,
do hereby certify that Deborah L. Culbertson personally appeared before me this day
and acknowledge the due execution of the foregoing instrument.

Witness my hand and official seal

this 15th day of March 2006



Deborah Martin
Signature of Notary Public
Deborah Martin

My Commission Expires October 13, 2009.

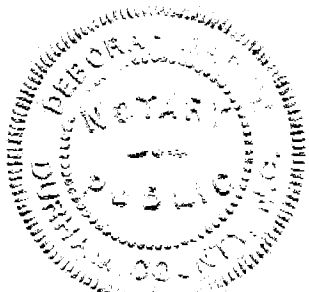
**AUTHENTICATION
CERTIFICATE
ATTACHED**

287
28

State of North Carolina)
County of Durham) ss.:

I, Deborah Martin, a Notary Public for the aforementioned jurisdiction,
do hereby certify that Douglas D. Anspaugh personally appeared before me this day
and acknowledge the due execution of the foregoing instrument.

Witness my hand and official seal
this 17th day of MARCH 2006



Deborah Martin
Signature of Notary Public
Deborah Martin

My Commission Expires October 13, 2009.

AUTHENTICATION
CERTIFICATE
ATTACHED



Office of Register of Deeds

CERTIFICATION OF NOTARY

STATE OF NORTH CAROLINA
DURHAM COUNTY

I, Willie L. Covington, Register of Deeds of the aforesaid County and State, do hereby certify that Deborah Martin, at the time of signing this document was a duly commissioned Notary Public in and for the County of Durham, State of North Carolina.

IN WITNESS WHEREOF, I have hereunto set my hand and affixed my official seal. This 4th day of April, 2006.

Willie L. Covington
Register of Deeds

BY Deborah Morris
Deborah Morris, Deputy Register of Deeds

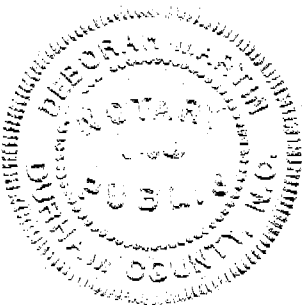


State of North Carolina)
) ss.:
County of Durham)

I, Deborah Martin a Notary Public for the aforementioned jurisdiction,
do hereby certify that Hassan Oloumi-Sadeghi personally appeared before me this
day and acknowledge the due execution of the foregoing instrument.

Witness my hand and official seal

this 15th day of MARCH 2006



Deborah Martin
Signature of Notary Public
Deborah Martin

My Commission Expires October 13, 2009.

AUTHENTICATION
CERTIFICATE
ATTACHED



Office of Register of Deeds

CERTIFICATION OF NOTARY

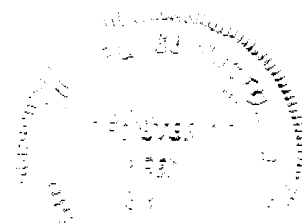
STATE OF NORTH CAROLINA
DURHAM COUNTY

I, Willie L. Covington, Register of Deeds of the aforesaid County and State, do hereby certify that Deborah Martin, at the time of signing this document was a duly commissioned Notary Public in and for the County of Durham, State of North Carolina.

IN WITNESS WHEREOF, I have hereunto set my hand and affixed my official seal. This 4th day of April, 2006.

Willie L. Covington
Register of Deeds

BY Deborah Morris
Deborah Morris, Deputy Register of Deeds

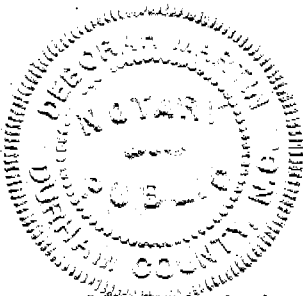


State of North Carolina)
County of Durham) ss.:

I, Deborah Martin, a Notary Public for the aforementioned jurisdiction,
do hereby certify that Henry Van Tuyl Cotter personally appeared before me this day
and acknowledge the due execution of the foregoing instrument.

Witness my hand and official seal

this 15th day of March 2006

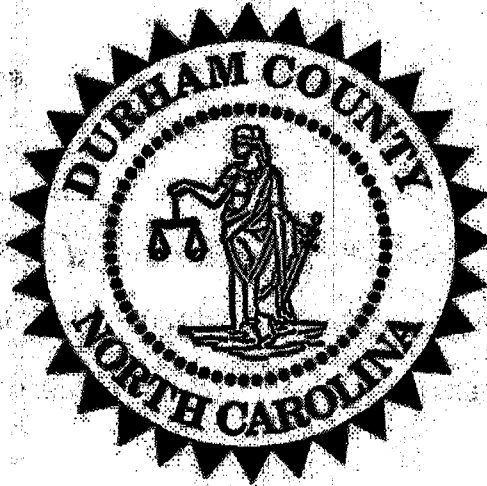


Deborah Martin
Signature of Notary Public
Deborah Martin

My Commission Expires October 13, 2009.

**AUTHENTICATION
CERTIFICATE
ATTACHED**

37
31



Office of Register of Deeds

CERTIFICATION OF NOTARY

STATE OF NORTH CAROLINA
DURHAM COUNTY

I, Willie L. Covington, Register of Deeds of the aforesaid County and State, do hereby certify that Deborah Martin, at the time of signing this document was a duly commissioned Notary Public in and for the County of Durham, State of North Carolina.

IN WITNESS WHEREOF, I have hereunto set my hand and affixed my official seal. This 4th day of April, 2006.

Willie L. Covington
Register of Deeds

BY Deborah Morris
Deborah Morris, Deputy Register of Deeds



34
3A

TRADUCCION OFICIAL No. 13137

de un documento escrito en Alemán, efectuada por Luz Arriaga de Herber,
resolución del Ministerio de Justicia 599 del 6 de marzo 1978.-

Traducción de las legalizaciones en alemán que se encuentran a continuación de
un documento de cesión, escrito en español e inglés, por medio del cual los
señores

Wassilios Grammenos
Alexander-Fleming-Str. 13, 67071 Ludwigshafen, Alemania
Ciudadano de Grecia

Joachim Rheinheimer
Merziger Str.24, 67063 Ludwigshafen, Alemania
Ciudadano de Alemania

Jan Klaas Lohmann
Lenastr. 20, 68167 Mannheim, Alemania
Ciudadano de Alemania

Thomas Grote
Im Höhnhausen 18, 67157 Wachenheim, Alemania
Ciudadano de Alemania

Michael Puhl
Bürstädter Str. 95, 68623 Lampertheim, Alemania
Ciudadano de Alemania

Christopher Koradin
Riediangstr. 15, 67067 Ludwigshafen, Alemania
Ciudadano de Alemania

Ernst Baumann
Falkenstr.6a, 67373 Dudenhofen, Alemania
Ciudadano de Alemania

Wolfgang von Deyn
An der Bleiche 24, 67435 Neustadt, Alemania
Ciudadano de Alemania

Jürgen Langewald
Beethovenstrasse 5, 68165 Mannheim, Alemania
Ciudadano de Alemania

Norbert Götz
Schöffersstr.25, 67547 Worms, Alemania
Ciudadano de Alemania

LUZ ARRIAGA DE HERBER
TRADUCTORA E INTERPRETE OFICIAL
Luz Arriaga de Herber
Resolución No.599 Minjusticia

37
37

U.R. Nr. 865/2006

Yo, Ludwig Draxel-Fischer, notario público en Ludwigshafen/Rhine, República Federal de Alemania, por el presente certifico y confirmo que las firmas anteriores de

1. Señor Wassilios **Grammenos**, residente en Alexander-Fleming-Str. 13, 67071 Ludwigshafen, Alemania, ciudadano de Grecia, a quien conozco personalmente,
2. Señor Joachim **Rheinheimer**, residente en Merziger Str. 24, 67063 Ludwigshafen, Alemania, a quien conozco personalmente,
3. Señor Jan **Klaas Lohmann**, residente en Lenaustr.20, 68167 Mannheim, Alemania, a quien conozco personalmente,
4. Señor Thomas **Grote**, residente en Im Höhnhausen 18, 67157 Wachenheim, Alemania, a quien conozco personalmente,
5. Señor Michael **Puhl**, residente en Bürstädter Str. 95, 68623 Lampertheim, Alemania, a quien conozco personalmente,
6. Señor Christopher **Koradin**, residente en Riedlang-str.15, 67067 Ludwigshafen, Alemania, a quien conozco personalmente,
7. Señor Ernst **Baumann**, residente en Falkenstr.6a, 67373 Dudenhofen, Alemania, a quien conozco personalmente,
8. Señor Wolfgang **von Deyn**, residente en An der Bleiche 24, 67435 Neustadt, Alemania, a quien conozco personalmente,
9. Señor Jürgen **Langewald**, residente en Beethovenstrasse 5, 68165 Mannheim, Alemania, a quien conozco personalmente,
10. Señor Norbert **Götz**, residente en Schöfferstrasse 25, 67547 Worms, Alemania, a quien conozco personalmente,

son auténticas y válidas.

Ludwigshafen/Rhine, este 6 de Abril de 2006.

Notario Público (Firma ilegible)

APOSTILLE

(Convention de La Haye du 5 octobre 1961)

1. Land : Bundesrepublik Deutschland
2. Diese öffentliche Urkunde
3. ist unterschrieben von Notar
4. Draxel-Fischer
5. in seiner Eigenschaft als
6. öffentlicher Notar
7. sie ist versehen mit dem Siegel/Stempel
8. des Notars Ludwig Draxel-Fischer
9. in Ludwigshafen am Rhein

Bestätigt

5. in Frankenthal (Pfalz)
6. am 11. April 2006
7. durch den Präsidenten des Landgerichts
8. unter Nr. 91 Eb - 508/06
9. Siegel/Stempel:
10. Unterschrift
11. im Auftrag



(Christian Meneke)
Richter am Landgericht

Kostenvermerk:
Gebühr 12,- €

Traducción Oficial No. 07-804
HILDA AGUILERA DE PIEDRAHITA
INTERPRETE OFICIAL
RES. No. 323 DEL MIN. DE JUSTICIA

39
39

MINISTERIO DE
RELACIONES EXTERIORES

2007 SET - 7 P 12:35

APOSTILLA

(Convención de La Haya de 5 de octubre de 1961)

1. PAIS ESTADOS UNIDOS DE AMÉRICA
2. Este Documento Público
ha sido firmado por DEBORAH MORRIS
3. actuando en su calidad de REGISTRADOR DE INSTRUMENTOS DELEGADO
4. lleva el sello/estampilla de WILLIE L. CONVINGTON, REGISTRADOR DE
INSTRUMENTOS
CONDADO DE DURHAM, CAROLINA DEL NORTE

CERTIFICADO

5. En Raleigh, Carolina del Norte
 6. el 7 DE ABRIL DE 2006
 7. Por el Secretario de Estado o Secretario de Estado Delegado, Estado de
Carolina del Norte
 8. No. 8921
 9. Sello/Estampilla
 10. Firma
Elaine J. Marshall (Firmado)
- SELLO DE OBLEA

CONDADO DE DURHAM
CAROLINA DEL NORTE

Oficina de Registro de Instrumentos
CERTIFICACIÓN DEL NOTARIO

ESTADO DE CAROLINA DEL NORTE
CONDADO DE DURHAM

Hilda Aguilera de Piedrahita
RADUCCION OFICIAL No. 7-824
HILDA AGUILERA DE PIEDRAHITA
INTERPRETE OFICIAL
RES. No. 323 DEL MIN. DE JUSTICIA

COMO NOTARIO SEXTO DE CIRCULO DE SANTAFE DE
BOGOTA, HAGO CONSTAR QUE LA(S) FIRMA(S) DE

Hilda Aguilera de Piedrahita

COINCIDE(N) CON LA FIRMA REGISTRADA POR
EL (ELLOS) EN ESTA NOTARIA
SANTAFE DE BOGOTA

07 SEP 2007

CODEX JURIS CIVILIS



40
10

Yo, Willie L. Covington, Registrador de Instrumentos del mencionado Condado y Estado, por el presente certifico que Deborah Martin, al momento de firmar este documento era Notario Público debidamente comisionado en y para el Condado de Durham, Estado de Carolina del Norte.

EN TESTIMONIO DE LO CUAL, estampo mi firma y coloco mi sello oficial.
Este 4 de Abril de 2006.

Willie E. Covington
Registrador de Instrumentos

Por: Deborah Morris, (Firmado)
Registrador de Instrumentos Delegado

CESION

Yo, (nosotros), abajo firmado(s)

Wassilios Grammenos
Alexander-Fleming-Str. 13, 67071 Ludwigshafen
Alemania
Ciudadano de Grecia

Joachim Rheinheimer
Merziger Str.24, 67063 Ludwigshafen
Alemania
Ciudadano de Alemania

Jan Klaas Lohmann
Lenastr.20, 68167 Mannheim
Alemania
Ciudadano de Alemania

2/11

Thomas Grote
Im Höhnhausen 18, 67157 Wachenheim
Alemania
Ciudadano de Alemania

Michael Puhl
Bürstädter Str.95, 68623 Lampertheim
Alemania
Ciudadano de Alemania

Christopher Koradin
Riedlangstr.15, 67067 Ludwigshafen
Alemania
Ciudadano de Alemania

Ernst Baumann
Falkenstr.6a, 67373 Dudenhofen
Alemania
Ciudadano de Alemania

Wolfgang von Deyn
An der Bleiche 24, 67435 Neustadt
Alemania
Ciudadano de Alemania

Jürgen Langewald
Beethovenstrasse 5, 68165 Mannheim
Alemania
Ciudadano de Alemania

Norbert Götz
Schöffersstr.25, 67547 Worms
Alemania
Ciudadano de Alemania

42
42

Domiciliado(s) en

Deborah L. Culbertson
6400 Vintage Ridge Lane, Fuquay Varina, NC 27526
Estados Unidos de América
Ciudadano de los Estados Unidos de América

Douglas D. Anspaugh
4007 Winecott Drive, Apex, NC 27502
Estados Unidos de América
Ciudadano de los Estados Unidos de América

Hassan Oloumi-Sadeghi
12105 Pawley's Mill Circle, Raleigh, NC 27614
Estados Unidos de América
Ciudadano de los Estados Unidos de América

Henry Van Tuyl Cotter
8329 Lakewood Drive, Raleigh, NC 27613
Estados Unidos de América
Ciudadano de los Estados Unidos de América

David G. Kuhn
1208 Dalgaven Drive, Apex, NC 27502
Estados Unidos de América
Ciudadano de los Estados Unidos de América

Declaro(amos) bajo juramento ser único(s) y
verdadero(s) inventor(es) de la invención

Nuevas bifenilsulfonamidas

declaro(amos) así mismo que cedo(emos) y
trasnfiero(erimos) sin limitación, a favor de la sociedad

BASF Aktiengesellschaft

Constituida y existente de conformidad con las leyes de
Alemania

domiciliada en

67056 Ludwigshafen, Alemania

Todos los derechos inherentes a dicha invención y que la
citada compañía queda facultada para solicitar en su

244
44

CONDADO DE DURHAM
CAROLINA DEL NORTE

Oficina de Registro de Instrumentos
CERTIFICACIÓN DEL NOTARIO

ESTADO DE CAROLINA DEL NORTE
CONDADO DE DURHAM

Yo, Willie L. Covington, Registrador de Instrumentos del mencionado Condado y Estado, por el presente certifico que Deborah Martin, al momento de firmar este documento era Notario Público debidamente comisionado en y para el Condado de Durham, Estado de Carolina del Norte.

EN TESTIMONIO DE LO CUAL, estampo mi firma y coloco mi sello oficial.
Este 4 de Abril de 2006.

Willie E. Covington
Registrador de Instrumentos

Por: Deborah Morris, (Firmado)
Registrador de Instrumentos Delegado

Estado de Carolina del Norte
Condado de Durham

Yo, Deborah Martin, Notario Público para la jurisdicción antes mencionada, certifico que Deborah L. Culbertson, se presentó personalmente ante mi este día y reconoció la debida ejecución del documento que antecede.

Estampo mi firma y sello oficial
Este 15 de marzo de 2006

455

Firma del Notario Público
Deborah Martin (Firmado)

Mi comisión expira el 13 de Octubre de 2009.

Estado de Carolina del Norte
Condado de Durham

Yo, Deborah Martin, Notario Público para la jurisdicción antes mencionada, certifico que Douglas D. Anspaugh, se presentó personalmente ante mi este día y reconoció la debida ejecución del documento que antecede.

Estampo mi firma y sello oficial
Este 17 de marzo de 2006

Firma del Notario Público
Deborah Martin (Firmado)

Mi comisión expira el 13 de Octubre de 2009.

CONDADO DE DURHAM
CAROLINA DEL NORTE

Oficina de Registro de Instrumentos
CERTIFICACIÓN DEL NOTARIO

ESTADO DE CAROLINA DEL NORTE
CONDADO DE DURHAM

Yo, Willie L. Covington, Registrador de Instrumentos del mencionado Condado y Estado, por el presente certifico que Deborah Martin, al momento de

TRADUCCION OFICIAL No. 07-804
HILDA AGUILERA DE PIEDRAHITA
INTERPRETE OFICIAL
RES. No. 323 DEL MIN. DE JUSTICIA

416
20

firmar este documento era Notario Público debidamente comisionado en y para el Condado de Durham, Estado de Carolina del Norte.

EN TESTIMONIO DE LO CUAL, estampo mi firma y coloco mi sello oficial.
Este 4 de Abril de 2006.

Willie E. Covington
Registrador de Instrumentos

Por: Deborah Morris, (Firmado)
Registrador de Instrumentos Delegado

Estado de Carolina del Norte
Condado de Durham

Yo, Deborah Martin, Notario Público para la jurisdicción antes mencionada, certifico que Hassan Oloumi-Sadeghi, se presentó personalmente ante mi este día y reconoció la debida ejecución del documento que antecede.

Estampo mi firma y sello oficial
Este 15 de marzo de 2006

Firma del Notario Público
Deborah Martin (Firmado)

Mi comisión expira el 13 de Octubre de 2009.

CONDADO DE DURHAM
CAROLINA DEL NORTE

Oficina de Registro de Instrumentos
CERTIFICACIÓN DEL NOTARIO

TRADUCCION OFICIAL No. 07809
HILDA AGUILERA DE ESPINOSA
INTERPRETE OFICIAL
RES. No. 323 DEL MIN. DE JUSTICIA

247
47

ESTADO DE CAROLINA DEL NORTE
CONDADO DE DURHAM

Yo, Willie L. Covington, Registrador de Instrumentos del mencionado Condado y Estado, por el presente certifico que Deborah Martin, al momento de firmar este documento era Notario Público debidamente comisionado en y para el Condado de Durham, Estado de Carolina del Norte.

EN TESTIMONIO DE LO CUAL, estampo mi firma y coloco mi sello oficial.
Este 4 de Abril de 2006.

Willie E. Covington
Registrador de Instrumentos

Por: Deborah Morris, (Firmado)
Registrador de Instrumentos Delegado

Estado de Carolina del Norte
Condado de Durham

Yo, Deborah Martin, Notario Público para la jurisdicción antes mencionada, certifico que Henry Van Tuyl Cotter, se presentó personalmente ante mi este día y reconoció la debida ejecución del documento que antecede.

Estampo mi firma y sello oficial
Este 15 de marzo de 2006

Firma del Notario Público
Deborah Martin (Firmado)

Mi comisión expira el 13 de Octubre de 2009.

78
48

CONDADO DE DURHAM
CAROLINA DEL NORTE

Oficina de Registro de Instrumentos
CERTIFICACIÓN DEL NOTARIO

ESTADO DE CAROLINA DEL NORTE
CONDADO DE DURHAM

Yo, Willie L. Covington, Registrador de Instrumentos del mencionado Condado y Estado, por el presente certifico que Deborah Martin, al momento de firmar este documento era Notario Público debidamente comisionado en y para el Condado de Durham, Estado de Carolina del Norte.

EN TESTIMONIO DE LO CUAL, estampo mi firma y coloco mi sello oficial.
Este 4 de Abril de 2006.

Willie E. Covington
Registrador de Instrumentos

Por: Deborah Morris, (Firmado)
Registrador de Instrumentos Delegado

Estado de Carolina del Norte
Condado de Durham

Yo, Deborah Martin, Notario Público para la jurisdicción antes mencionada, certifico que David G. Kuhn, se presentó personalmente ante mi este día y reconoció la debida ejecución del documento que antecede.

Estampo mi firma y sello oficial
Este 15 de marzo de 2006

COMISION OFICIAL, No. 804
SILDA AGUILERA DE PIEDRANCHI
INTERPRETE OFICIAL
P.O. BOX 323 DEL MIN. DE JUSTICIA

CORRECTED VERSION

(19) World Intellectual Property Organization
International Bureau(43) International Publication Date
21 September 2006 (21.09.2006)

PCT

(10) International Publication Number
WO 2006/097489 A1(51) International Patent Classification:
A01N 43/40 (2006.01) C07D 213/42 (2006.01)(21) International Application Number:
PCT/EP2006/060753

(22) International Filing Date: 15 March 2006 (15.03.2006)

(25) Filing Language: English

(26) Publication Language: English

(30) Priority Data:
60/662,411 16 March 2005 (16.03.2005) US
10 2005 018 464.2 20 April 2005 (20.04.2005) DE
05011598.9 30 May 2005 (30.05.2005) EP
60/776,551 24 February 2006 (24.02.2006) US(71) Applicant (for all designated States except US): BASF
AKTIENGESELLSCHAFT [DE/DE]; 67056 Ludwigshafen (DE).

(72) Inventors; and

(75) Inventors/Applicants (for US only): GRAMMENOS, Wassilios [GR/DE]; Alexander-Fleming-Str. 13, 67071 Ludwigshafen (DE). RHEINHEIMER, Joachim [DE/DE]; Merziger Str.24, 67063 Ludwigshafen (DE). LOHMANN, Jan Klaas [DE/DE]; Lenastr. 20, 68167 Mannheim (DE). GROTE, Thomas [DE/DE]; Im Höhenhausen 18, 67157 Wachenheim (DE). PUHL, Michael [DE/DE]; Bürstädter Str. 95, 68623 Lampertheim (DE). KORADIN, Christopher [DE/DE]; Riedlangstr. 15, 67067 Ludwigshafen (DE). BAUMANN, Ernst [DE/DE]; Falkenstr.6a, 67373 Dudenhofen (DE). VON DEYN, Wolfgang [DE/DE]; An Der Bleiche 24, 67435 Neustadt (DE). LANGEWALD, Jürgen [DE/DE]; Beethovenstrasse 5, 68165 Mannheim (DE). GÖTZ, Norbert [DE/DE]; Schöffnerstr.25, 67547 Worms (DE). CULBERTSON, Deborah L. [US/US]; 6400 Vintage Ridge Lane, Fuquay Varina, NC 27526 (US). ANSPAUGH, Douglas D. [US/US]; 4007 Winecote Drive, Apex, NC

27502 (US). OLOUMI-SADEGHI, Hassan [US/US]; 12105 Pawley's Mill Circle, Raleigh, NC 27614 (US). COTTER, Henry Van Tuyl [US/US]; 8329 Lakewood Drive, Raleigh, NC 27613 (US). KUHN, David G. [US/US]; 1208 Dalgarn Drive, Apex, NC 27502 (US).

(74) Agent: POHL, Michael; Reitsstötter, Kinzebach & Partner, Ludwigsplatz 4, 67059 Ludwigshafen (DE).

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

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

Published:

— with international search report

(48) Date of publication of this corrected version:

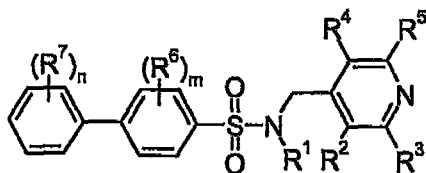
8 March 2007

(15) Information about Correction:

see PCT Gazette No. 10/2007 of 8 March 2007

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

(54) Title: BIPHENYL-N-(4-PYRIDYL) METHYLSUFONAMIDES



(i)

(57) Abstract: Biphenylsulfonamides of the formula (I) and the N-oxides and the agriculturally acceptable salts and the veterinarily acceptable salts of the compounds I, a process for preparing these compounds, compositions comprising them, their use for controlling phytopathogenic harmful fungi and harmful arthropodes, a method for combating arthropodal pests, a method for protecting crops from attack or infestation of arthropodal pests, a method for protecting seed and non-living materials from

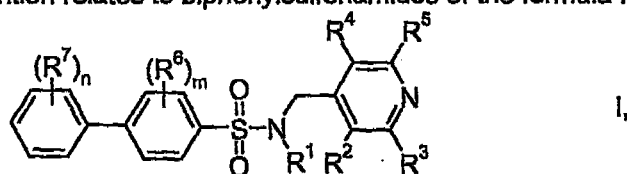
infestation by arthropodal pests, a method for protecting non-living materials from attack or infestation by arthropodal pests and seed comprising the compounds I.

WO 2006/097489 A1

BIPHENYL-N- (4-PYRIDYL) METHYLSUFONAMIDES

Description

5 The present invention relates to biphenyl/sulfonamides of the formula I



in which the substituents are as defined below:

- 10 R^1 is hydrogen, C_1 - C_4 -alkyl, C_1 - C_4 -alkoxy, C_2 - C_4 -alkenyl, C_2 - C_4 -alkynyl or benzyl;
- R^2 , R^3 , R^4 , R^5 independently of one another are hydrogen, halogen, C_1 - C_4 -alkyl, halomethyl, C_1 - C_4 -alkoxy, halomethoxy, C_1 - C_4 -alkylthio, C_1 - C_4 -alkylamino or di-
(C_1 - C_4 -alkyl)amino;
- 15 R^6 , R^7 independently of one another are hydrogen, hydroxy, cyano, nitro, amino, halogen, C_1 - C_8 -alkyl, C_1 - C_8 -haloalkyl, C_1 - C_8 -alkoxy, C_1 - C_8 -haloalkoxy, C_1 - C_8 -alkylthio, (C_1 - C_4 -alkyl)carbonyl, (C_1 - C_4 -alkoxy)carbonyl, $-C(R^8)=NOR^9$, C_1 - C_4 -alkylamino, di(C_1 - C_4 -alkyl)amino, (C_1 - C_4 -alkyl)aminocarbonyl, di(C_1 - C_4 -alkyl)-aminocarbonyl, phenyl or phenoxy, where the rings may carry one to three groups R^{10} ,
- 20 or
- two radicals R^6 or two radicals R^7 , together with two adjacent ring members of the phenyl ring to which they are attached, may form an annellated cyclopentyl, cyclohexyl or phenyl ring, whereas these annellated rings may carry themselves one or two radicals R^{10} ;
- 25 R^{10} is halogen, cyano, nitro, C_1 - C_4 -alkyl, C_1 - C_4 -alkoxy, halomethyl or halomethoxy;
- 30 R^8 C_1 - C_4 -alkyl;
- R^9 C_1 - C_8 -alkyl, C_1 - C_4 -haloalkyl, C_2 - C_4 -alkenyl, C_2 - C_4 -haloalkenyl, C_2 - C_4 -alkynyl, C_2 - C_4 -haloalkynyl or benzyl;
- 35 m is zero, 1, 2, 3 or 4;
- n is zero, 1, 2, 3, 4 or 5;

82
52

with the proviso that m and n are not simultaneously zero if R², R³, R⁴ and R⁵ are all hydrogen;

5 and the N-oxides and the agriculturally acceptable salts and the veterinarily acceptable salts of the compounds I.

Moreover, the invention relates to processes for preparing these compounds, to compositions comprising them and to their use for controlling phytopathogenic harmful fungi.

10

The present invention also relates to the use of the biphenylsulfonamides I for combating arthropodal pests (harmful arthropodes) and for protecting materials against infestation and/or destruction by said pests.

15 WO 2005/033081 describes various pyridinosulfonamides. EP-A 206 581 and Lieb. Ann. Chem. 641 (1990) disclose individual 4-pyridinemethylsulfonamides. The compounds described in the publications mentioned are suitable for controlling harmful fungi.

20 The compounds according to this invention differ from those described in WO 2005/033081 by the substitution pattern of the biphenyl group.

However, in many cases their action is unsatisfactory. Based upon this, it is the object of the present invention to provide compounds having improved action and/or a broadened activity spectrum.

25

We have found that this object is achieved by the compounds defined at the outset. Furthermore, we have found processes and intermediates for their preparation, compositions comprising them and methods for controlling harmful fungi using the compounds I.

30

Compared to the known compounds, the compounds of the formula I have increased efficacy against harmful fungi.

35 Surprisingly, the compounds I, their N-oxides and salts are also suitable for controlling arthropodal pests, in particular for combating insects.

Likewise, the compounds I, their N-oxides and salts are also useful for combating arachnids.

40

The term "combating" as used herein comprises controlling, i.e. killing of pests and also protecting plants, non-living materials or seeds from an attack or infestation by said pests.

- 5 Accordingly, the invention further provides compositions for combating such pests, preferably in the form of directly sprayable solutions, emulsions, pastes, oil dispersions, powders, materials for scattering, dusts or in the form of granules, which comprises a pestidically effective amount of at least one compound of the formula I or at least an N-oxide or a salt thereof and at least one carrier which may be liquid and/or solid and
10 which is preferably agronomically acceptable, and/or at least one surfactant.

- Furthermore, the invention provides a method for combating such pests, which comprises contacting said pests, their habitat, breeding ground, food supply, plant, seed, soil, area, material or environment in which the animal pests are growing or may grow,
15 or the materials, plants, seeds, soils, surfaces or spaces to be protected from an attack of or infestation by said pest, with a pestidically effective amount of a compound of the formula I as defined herein or an N-oxide or a salt thereof.

- The invention provides in particular a method for protecting crops, including seeds,
20 from attack or infestation by arthropodal pests, said method comprises contacting a crop with a pestidically effective amount of at least one compound of formula I as defined herein or with an N-oxide or a salt thereof.

- The invention also provides a method for protecting non-living materials from attack or
25 infestation by the aforementioned pests, which method comprises contacting the non-living material with a pestidically effective amount of at least one compound of formula I as defined herein or with an N-oxide or a salt thereof.

- Suitable compounds of the formula I encompass all possible stereoisomers (cis/trans isomers, enantiomers) which may occur and mixtures thereof. Stereoisomeric centers are e.g. the carbon and nitrogen atom of the $-C(R^8)=NOR^9$ moiety as well as asymmetric carbon atoms in the radicals R^1 , R^2 , R^3 , R^4 and/or R^5 etc. The present invention provides both the pure enantiomers or diastereomers or mixtures thereof, the pure cis- and trans-isomers and the mixtures thereof. The compounds of the general formula I may
30 also exist in the form of different tautomers. The invention comprises the single tautomers, if separable, as well as the tautomer mixtures.

- The compounds of the formula I may be present in various crystal modifications which may differ in their biological activity. They also form part of the subject matter of the
40 present invention.

Salts of the compounds of the formula I are preferably agriculturally acceptable salts. They can be formed in a customary method, e.g. by reacting the compound with an acid of the anion in question if the compound of formula I has a basic functionality or by reacting an acidic compound of formula I with a suitable base.

5

Suitable agriculturally useful salts are especially the salts of those cations or the acid addition salts of those acids whose cations and anions, respectively, do not have any adverse effect on the action of the compounds according to the present invention. Suitable cations are in particular the ions of the alkali metals, preferably lithium, sodium
10 and potassium, of the alkaline earth metals, preferably calcium, magnesium and barium, and of the transition metals, preferably manganese, copper, zinc and iron, and also ammonium (NH_4^+) and substituted ammonium in which one to four of the hydrogen atoms are replaced by $\text{C}_1\text{-C}_4$ -alkyl, $\text{C}_1\text{-C}_4$ -hydroxyalkyl, $\text{C}_1\text{-C}_4$ -alkoxy, $\text{C}_1\text{-C}_4$ -alkoxy- $\text{C}_1\text{-C}_4$ -alkyl, hydroxy- $\text{C}_1\text{-C}_4$ -alkoxy- $\text{C}_1\text{-C}_4$ -alkyl, phenyl or benzyl. Examples of substituted
15 ammonium ions comprise methylammonium, isopropylammonium, dimethylammonium, diisopropylammonium, trimethylammonium, tetramethylammonium, tetraethylammonium, tetrabutylammonium, 2-hydroxyethylammonium, 2-(2-hydroxyethoxy)ethylammonium, bis(2-hydroxyethyl)ammonium, benzyltrimethylammonium and benzyltriethylammonium, furthermore phosphonium ions, sulfonium ions, preferably tri($\text{C}_1\text{-C}_4$ -
20 alkyl)sulfonium, and sulfoxonium ions, preferably tri($\text{C}_1\text{-C}_4$ -alkyl)sulfoxonium.

Anions of useful acid addition salts are primarily chloride, bromide, fluoride, hydrogen sulfate, sulfate, dihydrogen phosphate, hydrogen phosphate, phosphate, nitrate, hydrogen carbonate, carbonate, hexafluorosilicate, hexafluorophosphate, benzoate, and
25 the anions of $\text{C}_1\text{-C}_4$ -alkanoic acids, preferably formate, acetate, propionate and butyrate. They can be formed by reacting the compounds of the formulae Ia and Ib with an acid of the corresponding anion, preferably of hydrochloric acid, hydrobromic acid, sulfuric acid, phosphoric acid or nitric acid.

30 The organic moieties mentioned in the above definitions of the variables are - like the term halogen - collective terms for individual listings of the individual group members. The prefix $\text{C}_n\text{-C}_m$ indicates in each case the possible number of carbon atoms in the group.

35 halogen: fluorine, chlorine, bromine and iodine;

alkyl and all alkyl moieties in alkylcarbonyl, alkylamino, dialkylamino, alkylaminocarbonyl, dialkylaminocarbonyl: saturated straight-chain or branched hydrocarbon radicals having 1 to 4, 6 or 8 carbon atoms, for example $\text{C}_1\text{-C}_6$ -alkyl, such as methyl, ethyl, propyl, 1-methylethyl, butyl, 1-methylpropyl, 2-methylpropyl, 1,1-dimethylethyl, pentyl, 1-methylbutyl, 2-methylbutyl, 3-methylbutyl, 2,2-dimethylpropyl, 1-ethylpropyl, hexyl, 1,1-dimethylpropyl, 1,2-dimethylpropyl, 1-methylpentyl, 2-methylpentyl, 3-methylpentyl, 4-

methypentyl, 1,1-dimethylbutyl, 1,2-dimethylbutyl, 1,3-dimethylbutyl, 2,2-dimethylbutyl, 2,3-dimethylbutyl, 3,3-dimethylbutyl, 1-ethylbutyl, 2-ethylbutyl, 1,1,2-trimethylpropyl, 1,2,2-trimethylpropyl, 1-ethyl-1-methylpropyl and 1-ethyl-2-methylpropyl;

- 5 haloalkyl: straight-chain or branched alkyl groups having 1 to 2 or 4 carbon atoms (as mentioned above), where some or all of the hydrogen atoms in these groups may be replaced by halogen atoms as mentioned above: in particular C₁-C₂-haloalkyl, such as chloromethyl, bromomethyl, dichloromethyl, trichloromethyl, fluoromethyl, difluoro-
- 10 1-chloroethyl, 1-bromoethyl, 1-fluoroethyl, 2-fluoroethyl, 2,2-difluoroethyl, 2,2,2-trifluoroethyl, 2-chloro-2-fluoroethyl, 2-chloro-2,2-difluoroethyl, 2,2-dichloro-2-fluoroethyl, 2,2,2-trichloroethyl, pentafluoroethyl or 1,1,1-trifluoroprop-2-yl;

- alkenyl: unsaturated straight-chain or branched hydrocarbon radicals having 2 to 4, 6
- 15 or 8 carbon atoms and one or two double bonds in any position, for example C₂-C₈-alkenyl, such as ethenyl, 1-propenyl, 2-propenyl, 1-methylethenyl, 1-butenyl, 2-butenyl, 3-butenyl, 1-methyl-1-propenyl, 2-methyl-1-propenyl, 1-methyl-2-propenyl, 2-methyl-2-propenyl, 1-pentenyl, 2-pentenyl, 3-pentenyl, 4-pentenyl, 1-methyl-1-butenyl, 2-methyl-1-butenyl, 3-methyl-1-butenyl, 1-methyl-2-butenyl, 2-methyl-2-butenyl, 3-methyl-2-
- 20 butenyl, 1-methyl-3-butenyl, 2-methyl-3-butenyl, 3-methyl-3-butenyl, 1,1-dimethyl-2-propenyl, 1,2-dimethyl-1-propenyl, 1,2-dimethyl-2-propenyl, 1-ethyl-1-propenyl, 1-ethyl-2-propenyl, 1-hexenyl, 2-hexenyl, 3-hexenyl, 4-hexenyl, 5-hexenyl, 1-methyl-1-pentenyl, 2-methyl-1-pentenyl, 3-methyl-1-pentenyl, 4-methyl-1-pentenyl, 1-methyl-2-pentenyl, 2-methyl-2-pentenyl, 3-methyl-2-pentenyl, 4-methyl-2-pentenyl, 1-methyl-3-
- 25 pentenyl, 2-methyl-3-pentenyl, 3-methyl-3-pentenyl, 4-methyl-3-pentenyl, 1-methyl-4-pentenyl, 2-methyl-4-pentenyl, 3-methyl-4-pentenyl, 4-methyl-4-pentenyl, 1,1-dimethyl-2-butenyl, 1,1-dimethyl-3-butenyl, 1,2-dimethyl-1-butenyl, 1,2-dimethyl-2-butenyl, 1,2-dimethyl-3-butenyl, 1,3-dimethyl-1-butenyl, 1,3-dimethyl-2-butenyl, 1,3-dimethyl-3-bu-
- 30 thyl-3-butenyl, 3,3-dimethyl-1-butenyl, 3,3-dimethyl-2-butenyl, 1-ethyl-1-butenyl, 1-ethyl-2-butenyl, 1-ethyl-3-butenyl, 2-ethyl-1-butenyl, 2-ethyl-2-butenyl, 2-ethyl-3-bu-
- tenyl, 1,1,2-trimethyl-2-propenyl, 1-ethyl-1-methyl-2-propenyl, 1-ethyl-2-methyl-1-pro-
- penyl and 1-ethyl-2-methyl-2-propenyl;

- 35 haloalkenyl: unsaturated straight-chain or branched hydrocarbon radicals having 2 to 6 carbon atoms and one or two double bonds in any position (as mentioned above), where in these groups some or all of the hydrogen atoms may be replaced by halogen atoms as mentioned above, in particular by fluorine, chlorine and bromine;

- 40 alkynyl: straight-chain or branched hydrocarbon groups having 2 to 4, 6 or 8 carbon atoms and one or two triple bonds in any position, for example C₂-C₈-alkynyl, such as ethynyl, 1-propynyl, 2-propynyl, 1-butylnyl, 2-butylnyl, 3-butylnyl, 1-methyl-2-propynyl, 1-

56
56

- pentynyl, 2-pentynyl, 3-pentynyl, 4-pentynyl, 1-methyl-2-butynyl, 1-methyl-3-butynyl, 2-methyl-3-butynyl, 3-methyl-1-butynyl, 1,1-dimethyl-2-propynyl, 1-ethyl-2-propynyl, 1-hexynyl, 2-hexynyl, 3-hexynyl, 4-hexynyl, 5-hexynyl, 1-methyl-2-pentynyl, 1-methyl-3-pentynyl, 1-methyl-4-pentynyl, 2-methyl-3-pentynyl, 2-methyl-4-pentynyl, 3-methyl-1-pentynyl, 3-methyl-4-pentynyl, 4-methyl-1-pentynyl, 4-methyl-2-pentynyl, 1,1-dimethyl-2-butynyl, 1,1-dimethyl-3-butynyl, 1,2-dimethyl-3-butynyl, 2,2-dimethyl-3-butynyl, 3,3-dimethyl-1-butynyl, 1-ethyl-2-butynyl, 1-ethyl-3-butynyl, 2-ethyl-3-butynyl and 1-ethyl-1-methyl-2-propynyl;
- 10 haloalkynyl: unsaturated straight-chain or branched hydrocarbon groups having 2 to 4 carbon atoms and one triple bond in any position (as mentioned above), where in these groups some or all of the hydrogen atoms may be replaced by halogen atoms as mentioned above, in particular by fluorine, chlorine and/or bromine;
- 15 five- to ten-membered saturated, partially unsaturated or aromatic heterocycle which contains one to four heteroatoms from the group consisting of O, N and S:
- 5- or 6-membered heterocyclyl which contains one to three nitrogen atoms and/or one oxygen or sulfur atom or one or two oxygen and/or sulfur atoms, for example 2-tetrahydrofuranyl, 3-tetrahydrofuranyl, 2-tetrahydrothienyl, 3-tetrahydrothienyl, 2-pyrrolidinyl, 3-pyrrolidinyl, 3-isoxazolidinyl, 4-isoxazolidinyl, 5-isoxazolidinyl, 3-isothiazolidinyl, 4-isothiazolidinyl, 5-isothiazolidinyl, 3-pyrazolidinyl, 4-pyrazolidinyl, 5-pyrazolidinyl, 2-oxazolidinyl, 4-oxazolidinyl, 5-oxazolidinyl, 2-thiazolidinyl, 4-thiazolidinyl, 5-thiazolidinyl, 2-imidazolidinyl, 4-imidazolidinyl, 2-pyrrolin-2-yl, 2-pyrrolin-3-yl, 3-pyrrolin-2-yl, 3-pyrrolin-3-yl, 2-piperidinyl, 3-piperidinyl, 4-piperidinyl, 1,3-dioxan-5-yl, 2-tetrahydropyranyl, 4-tetrahydropyranyl, 2-tetrahydrothienyl, 3-hexahydropyridazinyl, 4-hexahydropyridazinyl, 2-hexahydropyrimidinyl, 4-hexahydropyrimidinyl, 5-hexahydropyrimidinyl and 2-piperazinyl;
- 30 - 5-membered heteroaryl which contains one to four nitrogen atoms or one to three nitrogen atoms and one sulfur or oxygen atom: 5-membered heteroaryl groups which, in addition to carbon atoms, may contain one to four nitrogen atoms or one to three nitrogen atoms and one sulfur or oxygen atom as ring members, for example 2-thienyl, 3-thienyl, 3-pyrazolyl, 4-pyrazolyl, 5-pyrazolyl, 2-oxazolyl, 4-oxazolyl, 5-oxazolyl, 2-thiazolyl, 4-thiazolyl, 5-thiazolyl, 2-imidazolyl, 4-imidazolyl and 1,3,4-triazol-2-yl;
- 6-membered heteroaryl which contains one to three or one to four nitrogen atoms: 6-membered heteroaryl groups which, in addition to carbon atoms, may contain one to three or one to four nitrogen atoms as ring members, for example 2-pyridinyl, 3-pyridinyl, 4-pyridinyl, 3-pyridazinyl, 4-pyridazinyl, 2-pyrimidinyl, 4-pyrimidinyl, 5-
- 40

pyrimidinyl and 2-pyrazinyl.

The scope of the present invention includes the (R)- and (S)-isomers and the racemates of compounds of the formula I having chiral centers.

5

With a view to the intended use of the biphenylsulfonamides of the formula I, particular preference is given to the following meanings of the substituents, in each case on their own or in combination:

- 10 The invention preferably provides compounds of the formula I in which R¹ is hydrogen or methyl, in particular hydrogen.

Preference is also given to compounds of the formula I in which R², R³, R⁴ and R⁵ independently of one another are hydrogen, methyl, ethyl, fluorine, chlorine, CF₃, OCH₃,

- 15 OC₂H₅, OCHF₂, OCF₃, SCH₃ or SC₂H₅, or in particular hydrogen.

In addition, preference is also given to compounds of the formula I in which at least one, in particular one or two, radical(s) selected from R², R³, R⁴ and R⁵ is/are not hydrogen. In this case, that radical(s) mean preferably chlorine, methyl or methoxy.

20

In this regard, preference is given to compounds I in which R² and R⁵ are hydrogen.

Likewise, preference is given to compounds I in which R⁴ and R⁵ are hydrogen.

- 25 Preference is likewise given to compounds of the formula I which are substituted by two identical groups selected from R², R³, R⁴ and R⁵.

R⁶ is preferably halogen, in particular chlorine and fluorine; C₁-C₄-alkyl, in particular methyl and ethyl; C₁-C₄-alkoxy, in particular methoxy and ethoxy; C₁-C₄-haloalkyl, in particular trifluoromethyl; C₁-C₄-haloalkoxy, in particular difluoromethoxy and trifluoromethoxy; C₁-C₄-thioalkyl, in particular thiomethyl; (C₁-C₄-alkoxy)carbonyl, in particular methoxycarbonyl and ethoxycarbonyl.

30

Particularly preferred are compounds I with R⁶ being halogen, C₁-C₄-alkyl, C₁-C₄-haloalkyl, C₁-C₄-alkoxy or C₁-C₄-haloalkoxy.

35

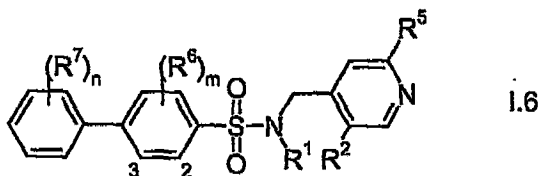
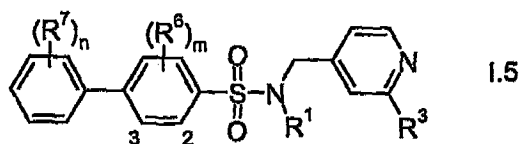
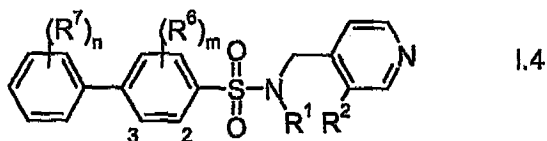
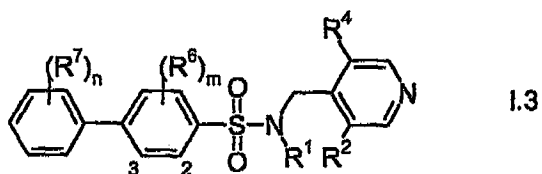
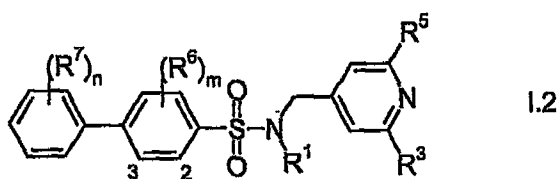
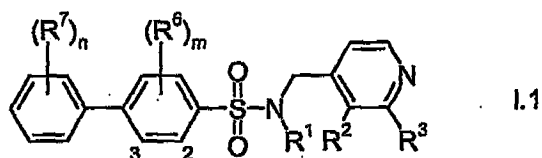
R⁷ is preferably halogen, in particular chlorine and fluorine; C₁-C₈-alkyl, in particular methyl, ethyl, isopropyl, tert.-butyl; C₁-C₈-alkoxy, in particular methoxy, ethoxy, isopropoxy, tert.-butoxy; C₁-C₄-haloalkyl, in particular trifluoromethyl and pentafluoroethyl; C₁-C₈-thioalkyl, in particular thiomethyl and thioethyl; C(R⁸)=NOR⁸, where R⁸ is preferably C₁-C₄-alkyl, in particular methyl and ethyl, and R⁹ is preferably C₁-C₈-alkyl, allyl, propargyl and benzyl; (C₁-C₈-alkoxy)carbonyl, in particular methoxycarbonyl and ethoxycarbonyl; (C₁-C₈-alkyl)carbonyl, in particular methylcarbonyl and ethylcarbonyl; (C₁-

40

- C₈-alkyl)aminocarbonyl; di(C₁-C₈-alkyl)aminocarbonyl; and phenyl, which may be substituted by halogen, such as chlorine or fluorine, C₁-C₄-alkyl, such as methyl and ethyl; C₁-C₄-alkoxy, such as methoxy and ethoxy; or halomethyl, such as trifluoromethyl. Particularly preferred are compounds I with R⁷ being halogen, C₁-C₄-alkyl, C₁-C₄-haloalkyl, C₁-C₄-alkoxy or C₁-C₄-haloalkoxy, in particular fluorine, chlorine, methyl, halomethyl, methoxy or halomethoxy.

Preference is also given to compounds I in which one R⁷ is in the para-position of the respective phenyl ring.

Further preferred embodiments of the formula I are, in each case per se, compounds of the formulae I.1 to I.5, where the variables are as defined for formula I:

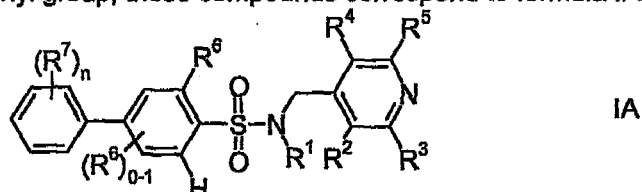


In a further preferred embodiment of the compounds according to the invention, the index m is zero.

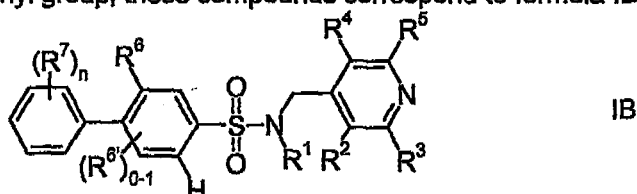
- 5 In a further preferred embodiment of the compounds according to the invention, the index n is zero.

In another preferred embodiment of the compounds according to the invention, the index n is one to five, in particular two to five.

- 10 In one embodiment of the compounds according to the invention, one R⁶ is located ortho to the sulfonyl group; these compounds correspond to formula IA:



In a further embodiment of the compounds according to the invention, one R⁶ is located meta to the sulfonyl group; these compounds correspond to formula IB:



- 15 In further preferred embodiments of the compounds according to the invention, one group R⁷ is located in the 4-position (formula IC), in the 2-position (formula ID), two identical or different groups R⁷ are located in the 2,4-position (formula IE) or in the 3,4-position (formula IF) or in the 2,5-position (formula IG).
- 20 Particular preference is given to the compounds of the formula IC.

Furthermore, preference is given to the compounds of the formula ID.

- 25 In particular with a view to their use, preference is given to compounds I compiled in the tables below. Moreover, the groups mentioned for a substituent in the tables are per se, independently of the combination in which they are mentioned, a particularly preferred embodiment of the substituent in question.

Table 1

- 30 Compounds of the formula I in which R¹, R², R³, R⁴ and R⁵ are hydrogen and the combination of (R⁶)_m and (R⁷)_n for a compound corresponds in each case to one row of Table A

60

Table 2

Compounds of the formula I in which R¹ is methyl, R², R³, R⁴ and R⁵ are hydrogen and the combination of (R⁶)_m and (R⁷)_n for a compound corresponds in each case to one row of Table A

5

Table 3

Compounds of the formula I.1 in which R¹ is hydrogen, R² and R³ are methyl and the combination of (R⁶)_m and (R⁷)_n for a compound corresponds in each case to one of rows A-1 to A-879 of Table A

10

Table 4

Compounds of the formula I.1 in which R¹, R² and R³ are methyl and the combination of (R⁶)_m and (R⁷)_n for a compound corresponds in each case to one of rows A-1 to A-879 of Table A

15

Table 5

Compounds of the formula I.1 in which R¹ is hydrogen, R² and R³ are fluorine and the combination of (R⁶)_m and (R⁷)_n for a compound corresponds in each case to one of rows A-1 to A-879 of Table A

20

Table 6

Compounds of the formula I.1 in which R¹ is hydrogen, R² and R³ are chlorine and the combination of (R⁶)_m and (R⁷)_n for a compound corresponds in each case to one of rows A-1 to A-879 of Table A

25

Table 7

Compounds of the formula I.1 in which R¹ is hydrogen, R² and R³ are methoxy and the combination of (R⁶)_m and (R⁷)_n for a compound corresponds in each case to one of rows A-1 to A-879 of Table A

30

Table 8

Compounds of the formula I.1 in which R¹ is hydrogen, R² and R³ are trifluoromethoxy and the combination of (R⁶)_m and (R⁷)_n for a compound corresponds in each case to one of rows A-1 to A-879 of Table A

35

Table 9

Compounds of the formula I.1 in which R¹ is hydrogen, R² and R³ are trifluoromethyl and the combination of (R⁶)_m and (R⁷)_n for a compound corresponds in each case to one of rows A-1 to A-879 of Table A

40

61

Table 10

Compounds of the formula I.2 in which R¹ is hydrogen, R³ and R⁵ are methyl and the combination of (R⁶)_m and (R⁷)_n for a compound corresponds in each case to one of rows A-1 to A-879 of Table A

5

Table 11

Compounds of the formula I.2 in which R¹ is hydrogen, R³ and R⁵ are fluorine and the combination of (R⁶)_m and (R⁷)_n for a compound corresponds in each case to one of rows A-1 to A-879 of Table A

10

Table 12

Compounds of the formula I.2 in which R¹ is hydrogen, R³ and R⁵ are chlorine and the combination of (R⁶)_m and (R⁷)_n for a compound corresponds in each case to one of rows A-1 to A-879 of Table A

15

Table 13

Compounds of the formula I.2 in which R¹ is hydrogen, R³ and R⁵ are methoxy and the combination of (R⁶)_m and (R⁷)_n for a compound corresponds in each case to one of rows A-1 to A-879 of Table A

20

Table 14

Compounds of the formula I.2 in which R¹ is hydrogen, R³ and R⁵ are trifluoromethoxy and the combination of (R⁶)_m and (R⁷)_n for a compound corresponds in each case to one of rows A-1 to A-879 of Table A

25

Table 15

Compounds of the formula I.2 in which R¹ is hydrogen, R³ and R⁵ are trifluoromethyl and the combination of (R⁶)_m and (R⁷)_n for a compound corresponds in each case to one of rows A-1 to A-879 of Table A

30

Table 16

Compounds of the formula I.3 in which R¹ is hydrogen, R² and R⁴ are methyl and the combination of (R⁶)_m and (R⁷)_n for a compound corresponds in each case to one of rows A-1 to A-879 of Table A

35

Table 17

Compounds of the formula I.3 in which R¹ is hydrogen, R² and R⁴ are fluorine and the combination of (R⁶)_m and (R⁷)_n for a compound corresponds in each case to one of rows A-1 to A-879 of Table A

40

Table 18

Compounds of the formula I.3 in which R¹ is hydrogen, R² and R⁴ are chlorine and the

combination of $(R^6)_m$ and $(R^7)_n$ for a compound corresponds in each case to one of rows A-1 to A-879 of Table A

Table 19

- 5 Compounds of the formula I.3 in which R^1 is hydrogen, R^2 and R^4 are methoxy and the combination of $(R^6)_m$ and $(R^7)_n$ for a compound corresponds in each case to one of rows A-1 to A-879 of Table A

Table 20

- 10 Compounds of the formula I.3 in which R^1 is hydrogen, R^2 and R^4 are trifluoromethoxy and the combination of $(R^6)_m$ and $(R^7)_n$ for a compound corresponds in each case to one of rows A-1 to A-879 of Table A

Table 21

- 15 Compounds of the formula I.3 in which R^1 is hydrogen, R^2 and R^4 are trifluoromethyl and the combination of $(R^6)_m$ and $(R^7)_n$ for a compound corresponds in each case to one of rows A-1 to A-879 of Table A

Table 22

- 20 Compounds of the formula I.4 in which R^1 is hydrogen, R^2 is methyl and the combination of $(R^6)_m$ and $(R^7)_n$ for a compound corresponds in each case to one of rows A-1 to A-879 of Table A

Table 23

- 25 Compounds of the formula I.4 in which R^1 and R^2 are methyl and the combination of $(R^6)_m$ and $(R^7)_n$ for a compound corresponds in each case to one of rows A-1 to A-879 of Table A

Table 24

- 30 Compounds of the formula I.4 in which R^1 is hydrogen, R^2 is fluorine and the combination of $(R^6)_m$ and $(R^7)_n$ for a compound corresponds in each case to one of rows A-1 to A-879 of Table A

Table 25

- 35 Compounds of the formula I.4 in which R^1 is methyl, R^2 is fluorine and the combination of $(R^6)_m$ and $(R^7)_n$ for a compound corresponds in each case to one of rows A-1 to A-879 of Table A

Table 26

- 40 Compounds of the formula I.4 in which R^1 is hydrogen, R^2 is chlorine and the combination of $(R^6)_m$ and $(R^7)_n$ for a compound corresponds in each case to one of rows A-1 to A-879 of Table A

63
63

Table 27

Compounds of the formula I.4 in which R¹ is methyl, R² is chlorine and the combination of (R⁶)_m and (R⁷)_n for a compound corresponds in each case to one of rows A-1 to A-879 of Table A

5

Table 28

Compounds of the formula I.4 in which R¹ is hydrogen, R² is methoxy and the combination of (R⁶)_m and (R⁷)_n for a compound corresponds in each case to one of rows A-1 to A-879 of Table A

10

Table 29

Compounds of the formula I.4 in which R¹ is methyl, R² is methoxy and the combination of (R⁶)_m and (R⁷)_n for a compound corresponds in each case to one of rows A-1 to A-879 of Table A

15

Table 30

Compounds of the formula I.4 in which R¹ is hydrogen, R² is ethoxy and the combination of (R⁶)_m and (R⁷)_n for a compound corresponds in each case to one of rows A-1 to A-879 of Table A

20

Table 31

Compounds of the formula I.4 in which R¹ is hydrogen, R² is trifluoromethoxy and the combination of (R⁶)_m and (R⁷)_n for a compound corresponds in each case to one of rows A-1 to A-879 of Table A

25

Table 32

Compounds of the formula I.4 in which R¹ is methyl, R² is trifluoromethoxy and the combination of (R⁶)_m and (R⁷)_n for a compound corresponds in each case to one of rows A-1 to A-879 of Table A

30

Table 33

Compounds of the formula I.4 in which R¹ is hydrogen, R² is trifluoromethyl and the combination of (R⁶)_m and (R⁷)_n for a compound corresponds in each case to one of rows A-1 to A-879 of Table A

35

Table 34

Compounds of the formula I.4 in which R¹ is methyl, R² is trifluoromethyl and the combination of (R⁶)_m and (R⁷)_n for a compound corresponds in each case to one of rows A-1 to A-879 of Table A

40

Table 35

Compounds of the formula I.5 in which R¹ is hydrogen, R³ is methyl and the combina-

tion of $(R^6)_m$ and $(R^7)_n$ for a compound corresponds in each case to one of rows A-1 to A-879 of Table A

Table 36

- 5 Compounds of the formula I.5 in which R^1 is methyl, R^3 is methyl and the combination of $(R^6)_m$ and $(R^7)_n$ for a compound corresponds in each case to one of rows A-1 to A-879 of Table A

Table 37

- 10 Compounds of the formula I.5 in which R^1 is hydrogen, R^3 is fluorine and the combination of $(R^6)_m$ and $(R^7)_n$ for a compound corresponds in each case to one of rows A-1 to A-879 of Table A

Table 38

- 15 Compounds of the formula I.5 in which R^1 is methyl, R^3 is fluorine and the combination of $(R^6)_m$ and $(R^7)_n$ for a compound corresponds in each case to one of rows A-1 to A-879 of Table A

Table 39

- 20 Compounds of the formula I.5 in which R^1 is hydrogen, R^3 is chlorine and the combination of $(R^6)_m$ and $(R^7)_n$ for a compound corresponds in each case to one of rows A-1 to A-879 of Table A

Table 40

- 25 Compounds of the formula I.5 in which R^1 is methyl, R^3 is chlorine and the combination of $(R^6)_m$ and $(R^7)_n$ for a compound corresponds in each case to one of rows A-1 to A-879 of Table A

Table 41

- 30 Compounds of the formula I.5 in which R^1 is hydrogen, R^3 is methoxy and the combination of $(R^6)_m$ and $(R^7)_n$ for a compound corresponds in each case to one of rows A-1 to A-879 of Table A

Table 42

- 35 Compounds of the formula I.5 in which R^1 is methyl, R^3 is methoxy and the combination of $(R^6)_m$ and $(R^7)_n$ for a compound corresponds in each case to one of rows A-1 to A-879 of Table A

Table 43

- 40 Compounds of the formula I.5 in which R^1 is hydrogen, R^3 is ethoxy and the combination of $(R^6)_m$ and $(R^7)_n$ for a compound corresponds in each case to one of rows A-1 to A-879 of Table A

Table 44

Compounds of the formula I.5 in which R¹ is hydrogen, R³ is trifluoromethoxy and the combination of (R⁶)_m and (R⁷)_n for a compound corresponds in each case to one of rows A-1 to A-879 of Table A

5

Table 45

Compounds of the formula I.5 in which R¹ is methyl, R³ is trifluoromethoxy and the combination of (R⁶)_m and (R⁷)_n for a compound corresponds in each case to one of rows A-1 to A-879 of Table A

10

Table 46

Compounds of the formula I.5 in which R¹ is hydrogen, R³ is trifluoromethyl and the combination of (R⁶)_m and (R⁷)_n for a compound corresponds in each case to one of rows A-1 to A-879 of Table A

15

Table 47

Compounds of the formula I.5 in which R¹ is methyl, R³ is trifluoromethyl and the combination of (R⁶)_m and (R⁷)_n for a compound corresponds in each case to one of rows A-1 to A-879 of Table A

20

Table 48

Compounds of the formula I.6 in which R¹ is hydrogen, R² and R⁵ are methyl and the combination of (R⁶)_m and (R⁷)_n for a compound corresponds in each case to one of rows A-1 to A-879 of Table A

25

Table 49

Compounds of the formula I.6 in which R¹ is hydrogen, R² and R⁵ are fluorine and the combination of (R⁶)_m and (R⁷)_n for a compound corresponds in each case to one of rows A-1 to A-879 of Table A

30

Table 50

Compounds of the formula I.6 in which R¹ is hydrogen, R² and R⁵ are chlorine and the combination of (R⁶)_m and (R⁷)_n for a compound corresponds in each case to one of rows A-1 to A-879 of Table A

35

Table 51

Compounds of the formula I.6 in which R¹ is hydrogen, R² and R⁵ are methoxy and the combination of (R⁶)_m and (R⁷)_n for a compound corresponds in each case to one of rows A-1 to A-879 of Table A

40

Table 52

Compounds of the formula I.6 in which R¹ is hydrogen, R² and R⁵ are trifluoromethoxy

and the combination of $(R^6)_m$ and $(R^7)_n$ for a compound corresponds in each case to one of rows A-1 to A-879 of Table A

Table 53

- 5 Compounds of the formula I.6 in which R^1 is hydrogen, R^2 and R^5 are trifluoromethyl and the combination of $(R^6)_m$ and $(R^7)_n$ for a compound corresponds in each case to one of rows A-1 to A-879 of Table A

Table A

No.	$(R^6)_m$	$(R^7)_n$
A-1	2-F	—
A-2	2-Cl	—
A-3	2-CH ₃	—
A-4	2-CF ₃	—
A-5	2-OCH ₃	—
A-6	3-F	—
A-7	3-Cl	—
A-8	3-CH ₃	—
A-9	3-CF ₃	—
A-10	3-OCH ₃	—
A-11	—	2-CH ₃
A-12	2-F	2-CH ₃
A-13	2-Cl	2-CH ₃
A-14	2-CH ₃	2-CH ₃
A-15	2-CF ₃	2-CH ₃
A-16	2-OCH ₃	2-CH ₃
A-17	3-F	2-CH ₃
A-18	3-Cl	2-CH ₃
A-19	3-CH ₃	2-CH ₃
A-20	3-CF ₃	2-CH ₃
A-21	3-OCH ₃	2-CH ₃
A-22	—	2-CH ₂ CH ₃
A-23	2-F	2-CH ₂ CH ₃
A-24	2-Cl	2-CH ₂ CH ₃
A-25	2-CH ₃	2-CH ₂ CH ₃

No.	(R ⁶) _m	(R ⁷) _n
A-26	2-CF ₃	2-CH ₂ CH ₃
A-27	2-OCH ₃	2-CH ₂ CH ₃
A-28	3-F	2-CH ₂ CH ₃
A-29	3-Cl	2-CH ₂ CH ₃
A-30	3-CH ₃	2-CH ₂ CH ₃
A-31	3-CF ₃	2-CH ₂ CH ₃
A-32	3-OCH ₃	2-CH ₂ CH ₃
A-33	—	2-Br
A-34	2-F	2-Br
A-35	2-Cl	2-Br
A-36	2-CH ₃	2-Br
A-37	2-CF ₃	2-Br
A-38	2-OCH ₃	2-Br
A-39	3-F	2-Br
A-40	3-Cl	2-Br
A-41	3-CH ₃	2-Br
A-42	3-CF ₃	2-Br
A-43	3-OCH ₃	2-Br
A-44	—	2-Cl
A-45	2-F	2-Cl
A-46	2-Cl	2-Cl
A-47	2-CH ₃	2-Cl
A-48	2-CF ₃	2-Cl
A-49	2-OCH ₃	2-Cl
A-50	3-F	2-Cl
A-51	3-Cl	2-Cl
A-52	3-CH ₃	2-Cl
A-53	3-CF ₃	2-Cl
A-54	3-OCH ₃	2-Cl
A-55	—	2-F
A-56	2-F	2-F
A-57	2-Cl	2-F

6768

No.	(R ⁶) _m	(R ⁷) _n
A-58	2-CH ₃	2-F
A-59	2-CF ₃	2-F
A-60	2-OCH ₃	2-F
A-61	3-F	2-F
A-62	3-Cl	2-F
A-63	3-CH ₃	2-F
A-64	3-CF ₃	2-F
A-65	3-OCH ₃	2-F
A-66	—	2-CN
A-67	2-F	2-CN
A-68	2-Cl	2-CN
A-69	2-CH ₃	2-CN
A-70	2-CF ₃	2-CN
A-71	2-OCH ₃	2-CN
A-72	3-F	2-CN
A-73	3-Cl	2-CN
A-74	3-CH ₃	2-CN
A-75	3-CF ₃	2-CN
A-76	3-OCH ₃	2-CN
A-77	—	2-NO ₂
A-78	2-F	2-NO ₂
A-79	2-Cl	2-NO ₂
A-80	2-CH ₃	2-NO ₂
A-81	2-CF ₃	2-NO ₂
A-82	2-OCH ₃	2-NO ₂
A-83	3-F	2-NO ₂
A-84	3-Cl	2-NO ₂
A-85	3-CH ₃	2-NO ₂
A-86	3-CF ₃	2-NO ₂
A-87	3-OCH ₃	2-NO ₂
A-88	—	2-OCH ₃
A-89	2-F	2-OCH ₃

No.	(R ⁶) _m	(R ⁷) _n
A-90	2-Cl	2-OCH ₃
A-91	2-CH ₃	2-OCH ₃
A-92	2-CF ₃	2-OCH ₃
A-93	2-OCH ₃	2-OCH ₃
A-94	3-F	2-OCH ₃
A-95	3-Cl	2-OCH ₃
A-96	3-CH ₃	2-OCH ₃
A-97	3-CF ₃	2-OCH ₃
A-98	3-OCH ₃	2-OCH ₃
A-99	—	2-CF ₃
A-100	2-F	2-CF ₃
A-101	2-Cl	2-CF ₃
A-102	2-CH ₃	2-CF ₃
A-103	2-CF ₃	2-CF ₃
A-104	2-OCH ₃	2-CF ₃
A-105	3-F	2-CF ₃
A-106	3-Cl	2-CF ₃
A-107	3-CH ₃	2-CF ₃
A-108	3-CF ₃	2-CF ₃
A-109	3-OCH ₃	2-CF ₃
A-110	H	2-SCH ₃
A-111	2-F	2-SCH ₃
A-112	2-Cl	2-SCH ₃
A-113	2-CH ₃	2-SCH ₃
A-114	2-CF ₃	2-SCH ₃
A-115	2-OCH ₃	2-SCH ₃
A-116	3-F	2-SCH ₃
A-117	3-Cl	2-SCH ₃
A-118	3-CH ₃	2-SCH ₃
A-119	3-CF ₃	2-SCH ₃
A-120	3-OCH ₃	2-SCH ₃
A-121	—	2-C(=O)CH ₃

No.	(R ^m) _m	(R ⁿ) _n
A-122	2-F	2-C(=O)CH ₃
A-123	2-Cl	2-C(=O)CH ₃
A-124	2-CH ₃	2-C(=O)CH ₃
A-125	2-CF ₃	2-C(=O)CH ₃
A-126	2-OCH ₃	2-C(=O)CH ₃
A-127	3-F	2-C(=O)CH ₃
A-128	3-Cl	2-C(=O)CH ₃
A-129	3-CH ₃	2-C(=O)CH ₃
A-130	3-CF ₃	2-C(=O)CH ₃
A-131	3-OCH ₃	2-C(=O)CH ₃
A-132	—	2-C(=NOCH ₃)CH ₃
A-133	2-F	2-C(=NOCH ₃)CH ₃
A-134	2-Cl	2-C(=NOCH ₃)CH ₃
A-135	2-CH ₃	2-C(=NOCH ₃)CH ₃
A-136	2-CF ₃	2-C(=NOCH ₃)CH ₃
A-137	2-OCH ₃	2-C(=NOCH ₃)CH ₃
A-138	3-F	2-C(=NOCH ₃)CH ₃
A-139	3-Cl	2-C(=NOCH ₃)CH ₃
A-140	3-CH ₃	2-C(=NOCH ₃)CH ₃
A-141	3-CF ₃	2-C(=NOCH ₃)CH ₃
A-142	3-OCH ₃	2-C(=NOCH ₃)CH ₃
A-143	—	3-CH ₃
A-144	2-F	3-CH ₃
A-145	2-Cl	3-CH ₃
A-146	2-CH ₃	3-CH ₃
A-147	2-CF ₃	3-CH ₃
A-148	2-OCH ₃	3-CH ₃
A-149	3-F	3-CH ₃
A-150	3-Cl	3-CH ₃
A-151	3-CH ₃	3-CH ₃
A-152	3-CF ₃	3-CH ₃
A-153	3-OCH ₃	3-CH ₃

No.	(R ⁶) _m	(R ⁷) _n
A-154	—	3-CH ₂ CH ₃
A-155	2-F	3-CH ₂ CH ₃
A-156	2-Cl	3-CH ₂ CH ₃
A-157	2-CH ₃	3-CH ₂ CH ₃
A-158	2-CF ₃	3-CH ₂ CH ₃
A-159	2-OCH ₃	3-CH ₂ CH ₃
A-160	3-F	3-CH ₂ CH ₃
A-161	3-Cl	3-CH ₂ CH ₃
A-162	3-CH ₃	3-CH ₂ CH ₃
A-163	3-CF ₃	3-CH ₂ CH ₃
A-164	3-OCH ₃	3-CH ₂ CH ₃
A-165	—	3-Br
A-166	2-F	3-Br
A-167	2-Cl	3-Br
A-168	2-CH ₃	3-Br
A-169	2-CF ₃	3-Br
A-170	2-OCH ₃	3-Br
A-171	3-F	3-Br
A-172	3-Cl	3-Br
A-173	3-CH ₃	3-Br
A-174	3-CF ₃	3-Br
A-175	3-OCH ₃	3-Br
A-176	—	3-Cl
A-177	2-F	3-Cl
A-178	2-Cl	3-Cl
A-179	2-CH ₃	3-Cl
A-180	2-CF ₃	3-Cl
A-181	2-OCH ₃	3-Cl
A-182	3-F	3-Cl
A-183	3-Cl	3-Cl
A-184	3-CH ₃	3-Cl
A-185	3-CF ₃	3-Cl

No.	(R ⁶) _m	(R ⁷) _n
A-186	3-OCH ₃	3-Cl
A-187	—	3-F
A-188	2-F	3-F
A-189	2-Cl	3-F
A-190	2-CH ₃	3-F
A-191	2-CF ₃	3-F
A-192	2-OCH ₃	3-F
A-193	3-F	3-F
A-194	3-Cl	3-F
A-195	3-CH ₃	3-F
A-196	3-CF ₃	3-F
A-197	3-OCH ₃	3-F
A-198	—	3-CN
A-199	2-F	3-CN
A-200	2-Cl	3-CN
A-201	2-CH ₃	3-CN
A-202	2-CF ₃	3-CN
A-203	2-OCH ₃	3-CN
A-204	3-F	3-CN
A-205	3-Cl	3-CN
A-206	3-CH ₃	3-CN
A-207	3-CF ₃	3-CN
A-208	3-OCH ₃	3-CN
A-209	—	3-NO ₂
A-210	2-F	3-NO ₂
A-211	2-Cl	3-NO ₂
A-212	2-CH ₃	3-NO ₂
A-213	2-CF ₃	3-NO ₂
A-214	2-OCH ₃	3-NO ₂
A-215	3-F	3-NO ₂
A-216	3-Cl	3-NO ₂
A-217	3-CH ₃	3-NO ₂

No.	(R ⁶) _m	(R ⁷) _n
A-218	3-CF ₃	3-NO ₂
A-219	3-OCH ₃	3-NO ₂
A-220	—	3-OCH ₃
A-221	2-F	3-OCH ₃
A-222	2-Cl	3-OCH ₃
A-223	2-CH ₃	3-OCH ₃
A-224	2-CF ₃	3-OCH ₃
A-225	2-OCH ₃	3-OCH ₃
A-226	3-F	3-OCH ₃
A-227	3-Cl	3-OCH ₃
A-228	3-CH ₃	3-OCH ₃
A-229	3-CF ₃	3-OCH ₃
A-230	3-OCH ₃	3-OCH ₃
A-231	—	3-CF ₃
A-232	2-F	3-CF ₃
A-233	2-Cl	3-CF ₃
A-234	2-CH ₃	3-CF ₃
A-235	2-CF ₃	3-CF ₃
A-236	2-OCH ₃	3-CF ₃
A-237	3-F	3-CF ₃
A-238	3-Cl	3-CF ₃
A-239	3-CH ₃	3-CF ₃
A-240	3-CF ₃	3-CF ₃
A-241	3-OCH ₃	3-CF ₃
A-242	—	3-SCH ₃
A-243	2-F	3-SCH ₃
A-244	2-Cl	3-SCH ₃
A-245	2-CH ₃	3-SCH ₃
A-246	2-CF ₃	3-SCH ₃
A-247	2-OCH ₃	3-SCH ₃
A-248	3-F	3-SCH ₃
A-249	3-Cl	3-SCH ₃

No.	(R ⁶) _m	(R ⁷) _n
A-250	3-CH ₃	3-SCH ₃
A-251	3-CF ₃	3-SCH ₃
A-252	3-OCH ₃	3-SCH ₃
A-253	—	3-C(=O)CH ₃
A-254	2-F	3-C(=O)CH ₃
A-255	2-Cl	3-C(=O)CH ₃
A-256	2-CH ₃	3-C(=O)CH ₃
A-257	2-CF ₃	3-C(=O)CH ₃
A-258	2-OCH ₃	3-C(=O)CH ₃
A-259	3-F	3-C(=O)CH ₃
A-260	3-Cl	3-C(=O)CH ₃
A-261	3-CH ₃	3-C(=O)CH ₃
A-262	3-CF ₃	3-C(=O)CH ₃
A-263	3-OCH ₃	3-C(=O)CH ₃
A-264	—	3-C(=NOCH ₃)CH ₃
A-265	2-F	3-C(=NOCH ₃)CH ₃
A-266	2-Cl	3-C(=NOCH ₃)CH ₃
A-267	2-CH ₃	3-C(=NOCH ₃)CH ₃
A-268	2-CF ₃	3-C(=NOCH ₃)CH ₃
A-269	2-OCH ₃	3-C(=NOCH ₃)CH ₃
A-270	3-F	3-C(=NOCH ₃)CH ₃
A-271	3-Cl	3-C(=NOCH ₃)CH ₃
A-272	3-CH ₃	3-C(=NOCH ₃)CH ₃
A-273	3-CF ₃	3-C(=NOCH ₃)CH ₃
A-274	3-OCH ₃	3-C(=NOCH ₃)CH ₃
A-275	—	4-CH ₃
A-276	2-F	4-CH ₃
A-277	2-Cl	4-CH ₃
A-278	2-CH ₃	4-CH ₃
A-279	2-CF ₃	4-CH ₃
A-280	2-OCH ₃	4-CH ₃
A-281	3-F	4-CH ₃

75
75

No.	(R ⁶) _m	(R ⁷) _n
A-282	3-Cl	4-CH ₃
A-283	3-CH ₃	4-CH ₃
A-284	3-CF ₃	4-CH ₃
A-285	3-OCH ₃	4-CH ₃
A-286	—	4-CH ₂ CH ₃
A-287	2-F	4-CH ₂ CH ₃
A-288	2-Cl	4-CH ₂ CH ₃
A-289	2-CH ₃	4-CH ₂ CH ₃
A-290	2-CF ₃	4-CH ₂ CH ₃
A-291	2-OCH ₃	4-CH ₂ CH ₃
A-292	3-F	4-CH ₂ CH ₃
A-293	3-Cl	4-CH ₂ CH ₃
A-294	3-CH ₃	4-CH ₂ CH ₃
A-295	3-CF ₃	4-CH ₂ CH ₃
A-296	3-OCH ₃	4-CH ₂ CH ₃
A-297	—	4-Br
A-298	2-F	4-Br
A-299	2-Cl	4-Br
A-300	2-CH ₃	4-Br
A-301	2-CF ₃	4-Br
A-302	2-OCH ₃	4-Br
A-303	3-F	4-Br
A-304	3-Cl	4-Br
A-305	3-CH ₃	4-Br
A-306	3-CF ₃	4-Br
A-307	3-OCH ₃	4-Br
A-308	—	4-Cl
A-309	2-F	4-Cl
A-310	2-Cl	4-Cl
A-311	2-CH ₃	4-Cl
A-312	2-CF ₃	4-Cl
A-313	2-OCH ₃	4-Cl

76
76

No.	(R ⁶) _m	(R ⁷) _n
A-314	3-F	4-Cl
A-315	3-Cl	4-Cl
A-316	3-CH ₃	4-Cl
A-317	3-CF ₃	4-Cl
A-318	3-OCH ₃	4-Cl
A-319	—	4-F
A-320	2-F	4-F
A-321	2-Cl	4-F
A-322	2-CH ₃	4-F
A-323	2-CF ₃	4-F
A-324	2-OCH ₃	4-F
A-325	3-F	4-F
A-326	3-Cl	4-F
A-327	3-CH ₃	4-F
A-328	3-CF ₃	4-F
A-329	3-OCH ₃	4-F
A-330	—	4-CN
A-331	2-F	4-CN
A-332	2-Cl	4-CN
A-333	2-CH ₃	4-CN
A-334	2-CF ₃	4-CN
A-335	2-OCH ₃	4-CN
A-336	3-F	4-CN
A-337	3-Cl	4-CN
A-338	3-CH ₃	4-CN
A-339	3-CF ₃	4-CN
A-340	3-OCH ₃	4-CN
A-341	—	4-NO ₂
A-342	2-F	4-NO ₂
A-343	2-Cl	4-NO ₂
A-344	2-CH ₃	4-NO ₂
A-345	2-CF ₃	4-NO ₂

No.	(R ⁶) _m	(R ⁷) _n
A-346	2-OCH ₃	4-NO ₂
A-347	3-F	4-NO ₂
A-348	3-Cl	4-NO ₂
A-349	3-CH ₃	4-NO ₂
A-350	3-CF ₃	4-NO ₂
A-351	3-OCH ₃	4-NO ₂
A-352	—	4-OCH ₃
A-353	2-F	4-OCH ₃
A-354	2-Cl	4-OCH ₃
A-355	2-CH ₃	4-OCH ₃
A-356	2-CF ₃	4-OCH ₃
A-357	2-OCH ₃	4-OCH ₃
A-358	3-F	4-OCH ₃
A-359	3-Cl	4-OCH ₃
A-360	3-CH ₃	4-OCH ₃
A-361	3-CF ₃	4-OCH ₃
A-362	3-OCH ₃	4-OCH ₃
A-363	—	4-OCH ₂ CH ₃
A-364	2-F	4-OCH ₂ CH ₃
A-365	2-Cl	4-OCH ₂ CH ₃
A-366	2-CH ₃	4-OCH ₂ CH ₃
A-367	2-CF ₃	4-OCH ₂ CH ₃
A-368	2-OCH ₃	4-OCH ₂ CH ₃
A-369	3-F	4-OCH ₂ CH ₃
A-370	3-Cl	4-OCH ₂ CH ₃
A-371	3-CH ₃	4-OCH ₂ CH ₃
A-372	3-CF ₃	4-OCH ₂ CH ₃
A-373	3-OCH ₃	4-OCH ₂ CH ₃
A-374	—	4-OCH(CH ₃) ₂
A-375	2-F	4-OCH(CH ₃) ₂
A-376	2-Cl	4-OCH(CH ₃) ₂
A-377	2-CH ₃	4-OCH(CH ₃) ₂

No.	(R ⁶) _m	(R ⁷) _n
A-378	2-CF ₃	4-OCH(CH ₃) ₂
A-379	2-OCH ₃	4-OCH(CH ₃) ₂
A-380	3-F	4-OCH(CH ₃) ₂
A-381	3-Cl	4-OCH(CH ₃) ₂
A-382	3-CH ₃	4-OCH(CH ₃) ₂
A-383	3-CF ₃	4-OCH(CH ₃) ₂
A-384	3-OCH ₃	4-OCH(CH ₃) ₂
A-385	—	4-OC(CH ₃) ₃
A-386	2-F	4-OC(CH ₃) ₃
A-387	2-Cl	4-OC(CH ₃) ₃
A-388	2-CH ₃	4-OC(CH ₃) ₃
A-389	2-CF ₃	4-OC(CH ₃) ₃
A-390	2-OCH ₃	4-OC(CH ₃) ₃
A-391	3-F	4-OC(CH ₃) ₃
A-392	3-Cl	4-OC(CH ₃) ₃
A-393	3-CH ₃	4-OC(CH ₃) ₃
A-394	3-CF ₃	4-OC(CH ₃) ₃
A-395	3-OCH ₃	4-OC(CH ₃) ₃
A-396	—	4-CF ₃
A-397	2-F	4-CF ₃
A-398	2-Cl	4-CF ₃
A-399	2-CH ₃	4-CF ₃
A-400	2-CF ₃	4-CF ₃
A-401	2-OCH ₃	4-CF ₃
A-402	3-F	4-CF ₃
A-403	3-Cl	4-CF ₃
A-404	3-CH ₃	4-CF ₃
A-405	3-CF ₃	4-CF ₃
A-406	3-OCH ₃	4-CF ₃
A-407	—	4-SCH ₃
A-408	2-F	4-SCH ₃
A-409	2-Cl	4-SCH ₃

No.	(R ⁶) _m	(R ⁷) _n
A-410	2-CH ₃	4-SCH ₃
A-411	2-CF ₃	4-SCH ₃
A-412	2-OCH ₃	4-SCH ₃
A-413	3-F	4-SCH ₃
A-414	3-Cl	4-SCH ₃
A-415	3-CH ₃	4-SCH ₃
A-416	3-CF ₃	4-SCH ₃
A-417	3-OCH ₃	4-SCH ₃
A-418	—	4-C(=O)CH ₃
A-419	2-F	4-C(=O)CH ₃
A-420	2-Cl	4-C(=O)CH ₃
A-421	2-CH ₃	4-C(=O)CH ₃
A-422	2-CF ₃	4-C(=O)CH ₃
A-423	2-OCH ₃	4-C(=O)CH ₃
A-424	3-F	4-C(=O)CH ₃
A-425	3-Cl	4-C(=O)CH ₃
A-426	3-CH ₃	4-C(=O)CH ₃
A-427	3-CF ₃	4-C(=O)CH ₃
A-428	3-OCH ₃	4-C(=O)CH ₃
A-429	—	4-C(=NOCH ₃)CH ₃
A-430	2-F	4-C(=NOCH ₃)CH ₃
A-431	2-Cl	4-C(=NOCH ₃)CH ₃
A-432	2-CH ₃	4-C(=NOCH ₃)CH ₃
A-433	2-CF ₃	4-C(=NOCH ₃)CH ₃
A-434	2-OCH ₃	4-C(=NOCH ₃)CH ₃
A-435	3-F	4-C(=NOCH ₃)CH ₃
A-436	3-Cl	4-C(=NOCH ₃)CH ₃
A-437	3-CH ₃	4-C(=NOCH ₃)CH ₃
A-438	3-CF ₃	4-C(=NOCH ₃)CH ₃
A-439	3-OCH ₃	4-C(=NOCH ₃)CH ₃
A-440	—	4-C ₆ H ₅
A-441	2-F	4-C ₆ H ₅

No.	(R ⁶) _m	(R ⁷) _n
A-442	2-Cl	4-C ₆ H ₅
A-443	2-CH ₃	4-C ₆ H ₅
A-444	2-CF ₃	4-C ₆ H ₅
A-445	2-OCH ₃	4-C ₆ H ₅
A-446	3-F	4-C ₆ H ₅
A-447	3-Cl	4-C ₆ H ₅
A-448	3-CH ₃	4-C ₆ H ₅
A-449	3-CF ₃	4-C ₆ H ₅
A-450	3-OCH ₃	4-C ₆ H ₅
A-451	—	2,4-(CH ₃) ₂
A-452	2-F	2,4-(CH ₃) ₂
A-453	2-Cl	2,4-(CH ₃) ₂
A-454	2-CH ₃	2,4-(CH ₃) ₂
A-455	2-CF ₃	2,4-(CH ₃) ₂
A-456	2-OCH ₃	2,4-(CH ₃) ₂
A-457	3-F	2,4-(CH ₃) ₂
A-458	3-Cl	2,4-(CH ₃) ₂
A-459	3-CH ₃	2,4-(CH ₃) ₂
A-460	3-CF ₃	2,4-(CH ₃) ₂
A-461	3-OCH ₃	2,4-(CH ₃) ₂
A-462	—	2,4-(CH ₂ CH ₃) ₂
A-463	2-F	2,4-(CH ₂ CH ₃) ₂
A-464	2-Cl	2,4-(CH ₂ CH ₃) ₂
A-465	2-CH ₃	2,4-(CH ₂ CH ₃) ₂
A-466	2-CF ₃	2,4-(CH ₂ CH ₃) ₂
A-467	2-OCH ₃	2,4-(CH ₂ CH ₃) ₂
A-468	3-F	2,4-(CH ₂ CH ₃) ₂
A-469	3-Cl	2,4-(CH ₂ CH ₃) ₂
A-470	3-CH ₃	2,4-(CH ₂ CH ₃) ₂
A-471	3-CF ₃	2,4-(CH ₂ CH ₃) ₂
A-472	3-OCH ₃	2,4-(CH ₂ CH ₃) ₂
A-473	—	2,4-Br ₂

31

No.	(R ⁶) _m	(R ⁷) _n
A-474	2-F	2,4-Br ₂
A-475	2-Cl	2,4-Br ₂
A-476	2-CH ₃	2,4-Br ₂
A-477	2-CF ₃	2,4-Br ₂
A-478	2-OCH ₃	2,4-Br ₂
A-479	3-F	2,4-Br ₂
A-480	3-Cl	2,4-Br ₂
A-481	3-CH ₃	2,4-Br ₂
A-482	3-CF ₃	2,4-Br ₂
A-483	3-OCH ₃	2,4-Br ₂
A-484	—	2,4-Cl ₂
A-485	2-F	2,4-Cl ₂
A-486	2-Cl	2,4-Cl ₂
A-487	2-CH ₃	2,4-Cl ₂
A-488	2-CF ₃	2,4-Cl ₂
A-489	2-OCH ₃	2,4-Cl ₂
A-490	3-F	2,4-Cl ₂
A-491	3-Cl	2,4-Cl ₂
A-492	3-CH ₃	2,4-Cl ₂
A-493	3-CF ₃	2,4-Cl ₂
A-494	3-OCH ₃	2,4-Cl ₂
A-495	—	2,4-F ₂
A-496	2-F	2,4-F ₂
A-497	2-Cl	2,4-F ₂
A-498	2-CH ₃	2,4-F ₂
A-499	2-CF ₃	2,4-F ₂
A-500	2-OCH ₃	2,4-F ₂
A-501	3-F	2,4-F ₂
A-502	3-Cl	2,4-F ₂
A-503	3-CH ₃	2,4-F ₂
A-504	3-CF ₃	2,4-F ₂
A-505	3-OCH ₃	2,4-F ₂

No.	(R ⁶) _m	(R ⁷) _n
A-506	2-F	2,4-(OCH ₃) ₂
A-507	2-Cl	2,4-(OCH ₃) ₂
A-508	2-CH ₃	2,4-(OCH ₃) ₂
A-509	2-CF ₃	2,4-(OCH ₃) ₂
A-510	2-OCH ₃	2,4-(OCH ₃) ₂
A-511	3-F	2,4-(OCH ₃) ₂
A-512	3-Cl	2,4-(OCH ₃) ₂
A-513	3-CH ₃	2,4-(OCH ₃) ₂
A-514	3-CF ₃	2,4-(OCH ₃) ₂
A-515	3-OCH ₃	2,4-(OCH ₃) ₂
A-516	—	2,4-(CF ₃) ₂
A-517	2-F	2,4-(CF ₃) ₂
A-518	2-Cl	2,4-(CF ₃) ₂
A-519	2-CH ₃	2,4-(CF ₃) ₂
A-520	2-CF ₃	2,4-(CF ₃) ₂
A-521	2-OCH ₃	2,4-(CF ₃) ₂
A-522	3-F	2,4-(CF ₃) ₂
A-523	3-Cl	2,4-(CF ₃) ₂
A-524	3-CH ₃	2,4-(CF ₃) ₂
A-525	3-CF ₃	2,4-(CF ₃) ₂
A-526	3-OCH ₃	2,4-(CF ₃) ₂
A-527	—	2,5-(CH ₃) ₂
A-528	2-F	2,5-(CH ₃) ₂
A-529	2-Cl	2,5-(CH ₃) ₂
A-530	2-CH ₃	2,5-(CH ₃) ₂
A-531	2-CF ₃	2,5-(CH ₃) ₂
A-532	2-OCH ₃	2,5-(CH ₃) ₂
A-533	3-F	2,5-(CH ₃) ₂
A-534	3-Cl	2,5-(CH ₃) ₂
A-535	3-CH ₃	2,5-(CH ₃) ₂
A-536	3-CF ₃	2,5-(CH ₃) ₂
A-537	3-OCH ₃	2,5-(CH ₃) ₂

83
83

No.	(R ⁶) _m	(R ⁷) _n
A-538	—	2,5-Cl ₂
A-539	2-F	2,5-Cl ₂
A-540	2-Cl	2,5-Cl ₂
A-541	2-CH ₃	2,5-Cl ₂
A-542	2-CF ₃	2,5-Cl ₂
A-543	2-OCH ₃	2,5-Cl ₂
A-544	3-F	2,5-Cl ₂
A-545	3-Cl	2,5-Cl ₂
A-546	3-CH ₃	2,5-Cl ₂
A-547	3-CF ₃	2,5-Cl ₂
A-548	3-OCH ₃	2,5-Cl ₂
A-549	—	2,5-F ₂
A-550	2-F	2,5-F ₂
A-551	2-Cl	2,5-F ₂
A-552	2-CH ₃	2,5-F ₂
A-553	2-CF ₃	2,5-F ₂
A-554	2-OCH ₃	2,5-F ₂
A-555	3-F	2,5-F ₂
A-556	3-Cl	2,5-F ₂
A-557	3-CH ₃	2,5-F ₂
A-558	3-CF ₃	2,5-F ₂
A-559	3-OCH ₃	2,5-F ₂
A-560	—	2,5-(OCH ₃) ₂
A-561	2-F	2,5-(OCH ₃) ₂
A-562	2-Cl	2,5-(OCH ₃) ₂
A-563	2-CH ₃	2,5-(OCH ₃) ₂
A-564	2-CF ₃	2,5-(OCH ₃) ₂
A-565	2-OCH ₃	2,5-(OCH ₃) ₂
A-566	3-F	2,5-(OCH ₃) ₂
A-567	3-Cl	2,5-(OCH ₃) ₂
A-568	3-CH ₃	2,5-(OCH ₃) ₂
A-569	3-CF ₃	2,5-(OCH ₃) ₂

No.	(R ⁶) _m	(R ⁷) _n
A-570	3-OCH ₃	2,5-(OCH ₃) ₂
A-571	—	2,5-(CF ₃) ₂
A-572	2-F	2,5-(CF ₃) ₂
A-573	2-Cl	2,5-(CF ₃) ₂
A-574	2-CH ₃	2,5-(CF ₃) ₂
A-575	2-CF ₃	2,5-(CF ₃) ₂
A-576	2-OCH ₃	2,5-(CF ₃) ₂
A-577	3-F	2,5-(CF ₃) ₂
A-578	3-Cl	2,5-(CF ₃) ₂
A-579	3-CH ₃	2,5-(CF ₃) ₂
A-580	3-CF ₃	2,5-(CF ₃) ₂
A-581	3-OCH ₃	2,5-(CF ₃) ₂
A-582	—	2,5-(SCH ₃) ₂
A-583	2-F	2,5-(SCH ₃) ₂
A-584	2-Cl	2,5-(SCH ₃) ₂
A-585	2-CH ₃	2,5-(SCH ₃) ₂
A-586	2-CF ₃	2,5-(SCH ₃) ₂
A-587	2-OCH ₃	2,5-(SCH ₃) ₂
A-588	3-F	2,5-(SCH ₃) ₂
A-589	3-Cl	2,5-(SCH ₃) ₂
A-590	3-CH ₃	2,5-(SCH ₃) ₂
A-591	3-CF ₃	2,5-(SCH ₃) ₂
A-592	3-OCH ₃	2,5-(SCH ₃) ₂
A-593	—	2,6-(CH ₃) ₂
A-594	2-F	2,6-(CH ₃) ₂
A-595	2-Cl	2,6-(CH ₃) ₂
A-596	2-CH ₃	2,6-(CH ₃) ₂
A-597	2-CF ₃	2,6-(CH ₃) ₂
A-598	2-OCH ₃	2,6-(CH ₃) ₂
A-599	3-F	2,6-(CH ₃) ₂
A-600	3-Cl	2,6-(CH ₃) ₂
A-601	3-CH ₃	2,6-(CH ₃) ₂

No.	(R ⁶) _m	(R ⁷) _n
A-602	3-CF ₃	2,6-(CH ₃) ₂
A-603	3-OCH ₃	2,6-(CH ₃) ₂
A-604	—	3,5-(CH ₃) ₂
A-605	2-F	3,5-(CH ₃) ₂
A-606	2-Cl	3,5-(CH ₃) ₂
A-607	2-CH ₃	3,5-(CH ₃) ₂
A-608	2-CF ₃	3,5-(CH ₃) ₂
A-609	2-OCH ₃	3,5-(CH ₃) ₂
A-610	3-F	3,5-(CH ₃) ₂
A-611	3-Cl	3,5-(CH ₃) ₂
A-612	3-CH ₃	3,5-(CH ₃) ₂
A-613	3-CF ₃	3,5-(CH ₃) ₂
A-614	3-OCH ₃	3,5-(CH ₃) ₂
A-615	—	3,5-(CF ₃) ₂
A-616	2-F	3,5-(CF ₃) ₂
A-617	2-Cl	3,5-(CF ₃) ₂
A-618	2-CH ₃	3,5-(CF ₃) ₂
A-619	2-CF ₃	3,5-(CF ₃) ₂
A-620	2-OCH ₃	3,5-(CF ₃) ₂
A-621	3-F	3,5-(CF ₃) ₂
A-622	3-Cl	3,5-(CF ₃) ₂
A-623	3-CH ₃	3,5-(CF ₃) ₂
A-624	3-CF ₃	3,5-(CF ₃) ₂
A-625	3-OCH ₃	3,5-(CF ₃) ₂
A-626	—	3-Cl, 4-F
A-627	2-F	3-Cl, 4-F
A-628	2-Cl	3-Cl, 4-F
A-629	2-CH ₃	3-Cl, 4-F
A-630	2-CF ₃	3-Cl, 4-F
A-631	2-OCH ₃	3-Cl, 4-F
A-632	3-F	3-Cl, 4-F
A-633	3-Cl	3-Cl, 4-F

No.	(R ⁶) _m	(R ⁷) _n
A-634	3-CH ₃	3-Cl, 4-F
A-635	3-CF ₃	3-Cl, 4-F
A-636	3-OCH ₃	3-Cl, 4-F
A-637	—	3-CH ₃ , 4-F
A-638	2-F	3-CH ₃ , 4-F
A-639	2-Cl	3-CH ₃ , 4-F
A-640	2-CH ₃	3-CH ₃ , 4-F
A-641	2-CF ₃	3-CH ₃ , 4-F
A-642	2-OCH ₃	3-CH ₃ , 4-F
A-643	3-F	3-CH ₃ , 4-F
A-644	3-Cl	3-CH ₃ , 4-F
A-645	3-CH ₃	3-CH ₃ , 4-F
A-646	3-CF ₃	3-CH ₃ , 4-F
A-647	3-OCH ₃	3-CH ₃ , 4-F
A-648	—	2-Cl, 4-F
A-649	2-F	2-Cl, 4-F
A-650	2-Cl	2-Cl, 4-F
A-651	2-CH ₃	2-Cl, 4-F
A-652	2-CF ₃	2-Cl, 4-F
A-653	2-OCH ₃	2-Cl, 4-F
A-654	3-F	2-Cl, 4-F
A-655	3-Cl	2-Cl, 4-F
A-656	3-CH ₃	2-Cl, 4-F
A-657	3-CF ₃	2-Cl, 4-F
A-658	3-OCH ₃	2-Cl, 4-F
A-659	—	2-Cl, 4-OCH ₃
A-660	2-F	2-Cl, 4-OCH ₃
A-661	2-Cl	2-Cl, 4-OCH ₃
A-662	2-CH ₃	2-Cl, 4-OCH ₃
A-663	2-CF ₃	2-Cl, 4-OCH ₃
A-664	2-OCH ₃	2-Cl, 4-OCH ₃
A-665	3-F	2-Cl, 4-OCH ₃

87

No.	(R ⁶) _m	(R ⁷) _n
A-666	3-Cl	2-Cl, 4-OCH ₃
A-667	3-CH ₃	2-Cl, 4-OCH ₃
A-668	3-CF ₃	2-Cl, 4-OCH ₃
A-669	3-OCH ₃	2-Cl, 4-OCH ₃
A-670	—	2-F, 4-Cl
A-671	2-F	2-F, 4-Cl
A-672	2-Cl	2-F, 4-Cl
A-673	2-CH ₃	2-F, 4-Cl
A-674	2-CF ₃	2-F, 4-Cl
A-675	2-OCH ₃	2-F, 4-Cl
A-676	3-F	2-F, 4-Cl
A-677	3-Cl	2-F, 4-Cl
A-678	3-CH ₃	2-F, 4-Cl
A-679	3-CF ₃	2-F, 4-Cl
A-680	3-OCH ₃	2-F, 4-Cl
A-681	—	2-F, 4-Br
A-682	2-F	2-F, 4-Br
A-683	2-Cl	2-F, 4-Br
A-684	2-CH ₃	2-F, 4-Br
A-685	2-CF ₃	2-F, 4-Br
A-686	2-OCH ₃	2-F, 4-Br
A-687	3-F	2-F, 4-Br
A-688	3-Cl	2-F, 4-Br
A-689	3-CH ₃	2-F, 4-Br
A-690	3-CF ₃	2-F, 4-Br
A-691	3-OCH ₃	2-F, 4-Br
A-692	—	2-F, 4-CH ₃
A-693	2-F	2-F, 4-CH ₃
A-694	2-Cl	2-F, 4-CH ₃
A-695	2-CH ₃	2-F, 4-CH ₃
A-696	2-CF ₃	2-F, 4-CH ₃
A-697	2-OCH ₃	2-F, 4-CH ₃

88
48

No.	(R ⁹) _m	(R ⁷) _n
A-698	3-F	2-F, 4-CH ₃
A-699	3-Cl	2-F, 4-CH ₃
A-700	3-CH ₃	2-F, 4-CH ₃
A-701	3-CF ₃	2-F, 4-CH ₃
A-702	3-OCH ₃	2-F, 4-CH ₃
A-703	—	2-F, 4-CF ₃
A-704	2-F	2-F, 4-CF ₃
A-705	2-Cl	2-F, 4-CF ₃
A-706	2-CH ₃	2-F, 4-CF ₃
A-707	2-CF ₃	2-F, 4-CF ₃
A-708	2-OCH ₃	2-F, 4-CF ₃
A-709	3-F	2-F, 4-CF ₃
A-710	3-Cl	2-F, 4-CF ₃
A-711	3-CH ₃	2-F, 4-CF ₃
A-712	3-CF ₃	2-F, 4-CF ₃
A-713	3-OCH ₃	2-F, 4-CF ₃
A-714	—	2-F, 4-OCH ₃
A-715	2-F	2-F, 4-OCH ₃
A-716	2-Cl	2-F, 4-OCH ₃
A-717	2-CH ₃	2-F, 4-OCH ₃
A-718	2-CF ₃	2-F, 4-OCH ₃
A-719	2-OCH ₃	2-F, 4-OCH ₃
A-720	3-F	2-F, 4-OCH ₃
A-721	3-Cl	2-F, 4-OCH ₃
A-722	3-CH ₃	2-F, 4-OCH ₃
A-723	3-CF ₃	2-F, 4-OCH ₃
A-724	3-OCH ₃	2-F, 4-OCH ₃
A-725	—	2-CH ₃ , 4-OCH ₃
A-726	2-F	2-CH ₃ , 4-OCH ₃
A-727	2-Cl	2-CH ₃ , 4-OCH ₃
A-728	2-CH ₃	2-CH ₃ , 4-OCH ₃
A-729	2-CF ₃	2-CH ₃ , 4-OCH ₃

No.	(R ⁶) _m	(R ⁷) _n
A-730	2-OCH ₃	2-CH ₃ , 4-OCH ₃
A-731	3-F	2-CH ₃ , 4-OCH ₃
A-732	3-Cl	2-CH ₃ , 4-OCH ₃
A-733	3-CH ₃	2-CH ₃ , 4-OCH ₃
A-734	3-CF ₃	2-CH ₃ , 4-OCH ₃
A-735	3-OCH ₃	2-CH ₃ , 4-OCH ₃
A-736	—	2-CH ₃ , 4-Cl
A-737	2-F	2-CH ₃ , 4-Cl
A-738	2-Cl	2-CH ₃ , 4-Cl
A-739	2-CH ₃	2-CH ₃ , 4-Cl
A-740	2-CF ₃	2-CH ₃ , 4-Cl
A-741	2-OCH ₃	2-CH ₃ , 4-Cl
A-742	3-F	2-CH ₃ , 4-Cl
A-743	3-Cl	2-CH ₃ , 4-Cl
A-744	3-CH ₃	2-CH ₃ , 4-Cl
A-745	3-CF ₃	2-CH ₃ , 4-Cl
A-746	3-OCH ₃	2-CH ₃ , 4-Cl
A-747	—	2-CH ₃ , 4-F
A-748	2-F	2-CH ₃ , 4-F
A-749	2-Cl	2-CH ₃ , 4-F
A-750	2-CH ₃	2-CH ₃ , 4-F
A-751	2-CF ₃	2-CH ₃ , 4-F
A-752	2-OCH ₃	2-CH ₃ , 4-F
A-753	3-F	2-CH ₃ , 4-F
A-754	3-Cl	2-CH ₃ , 4-F
A-755	3-CH ₃	2-CH ₃ , 4-F
A-756	3-CF ₃	2-CH ₃ , 4-F
A-757	3-OCH ₃	2-CH ₃ , 4-F
A-758	—	2-CH ₃ , 4-CF ₃
A-759	2-F	2-CH ₃ , 4-CF ₃
A-760	2-Cl	2-CH ₃ , 4-CF ₃
A-761	2-CH ₃	2-CH ₃ , 4-CF ₃

90
90

No.	(R ⁶) _m	(R ⁷) _n
A-762	2-CF ₃	2-CH ₃ , 4-CF ₃
A-763	2-OCH ₃	2-CH ₃ , 4-CF ₃
A-764	3-F	2-CH ₃ , 4-CF ₃
A-765	3-Cl	2-CH ₃ , 4-CF ₃
A-766	3-CH ₃	2-CH ₃ , 4-CF ₃
A-767	3-CF ₃	2-CH ₃ , 4-CF ₃
A-768	3-OCH ₃	2-CH ₃ , 4-CF ₃
A-769	—	2-OCH ₃ , 4-F
A-770	2-F	2-OCH ₃ , 4-F
A-771	2-Cl	2-OCH ₃ , 4-F
A-772	2-CH ₃	2-OCH ₃ , 4-F
A-773	2-CF ₃	2-OCH ₃ , 4-F
A-774	2-OCH ₃	2-OCH ₃ , 4-F
A-775	3-F	2-OCH ₃ , 4-F
A-776	3-Cl	2-OCH ₃ , 4-F
A-777	3-CH ₃	2-OCH ₃ , 4-F
A-778	3-CF ₃	2-OCH ₃ , 4-F
A-779	3-OCH ₃	2-OCH ₃ , 4-F
A-780	—	2-OCH ₃ , 4-Cl
A-781	2-F	2-OCH ₃ , 4-Cl
A-782	2-Cl	2-OCH ₃ , 4-Cl
A-783	2-CH ₃	2-OCH ₃ , 4-Cl
A-784	2-CF ₃	2-OCH ₃ , 4-Cl
A-785	2-OCH ₃	2-OCH ₃ , 4-Cl
A-786	3-F	2-OCH ₃ , 4-Cl
A-787	3-Cl	2-OCH ₃ , 4-Cl
A-788	3-CH ₃	2-OCH ₃ , 4-Cl
A-789	3-CF ₃	2-OCH ₃ , 4-Cl
A-790	3-OCH ₃	2-OCH ₃ , 4-Cl
A-791	—	3-NO ₂ , 4-CH ₃
A-792	2-F	3-NO ₂ , 4-CH ₃
A-793	2-Cl	3-NO ₂ , 4-CH ₃

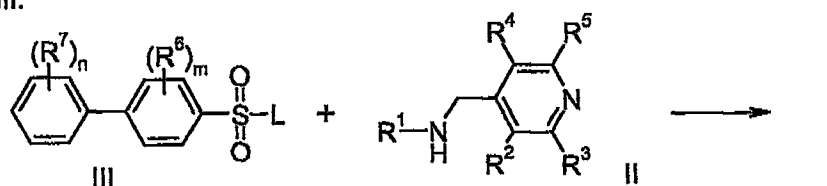
ST
91

No.	(R ⁶) _m	(R ⁷) _n
A-794	2-CH ₃	3-NO ₂ , 4-CH ₃
A-795	2-CF ₃	3-NO ₂ , 4-CH ₃
A-796	2-OCH ₃	3-NO ₂ , 4-CH ₃
A-797	3-F	3-NO ₂ , 4-CH ₃
A-798	3-Cl	3-NO ₂ , 4-CH ₃
A-799	3-CH ₃	3-NO ₂ , 4-CH ₃
A-800	3-CF ₃	3-NO ₂ , 4-CH ₃
A-801	3-OCH ₃	3-NO ₂ , 4-CH ₃
A-802	—	2-CF ₃ , 4-Cl
A-803	3-F	2-CF ₃ , 4-Cl
A-804	3-Cl	2-CF ₃ , 4-Cl
A-805	3-CH ₃	2-CF ₃ , 4-Cl
A-806	3-CF ₃	2-CF ₃ , 4-Cl
A-807	3-OCF ₃	2-CF ₃ , 4-Cl
A-808	—	2-Cl, 4-CF ₃
A-809	3-F	2-Cl, 4-CF ₃
A-810	3-Cl	2-Cl, 4-CF ₃
A-811	3-CH ₃	2-Cl, 4-CF ₃
A-812	3-CF ₃	2-Cl, 4-CF ₃
A-813	3-OCF ₃	2-Cl, 4-CF ₃
A-814	—	2-CF ₃ , 4-OCH ₃
A-815	3-F	2-CF ₃ , 4-OCH ₃
A-816	3-Cl	2-CF ₃ , 4-OCH ₃
A-817	3-CH ₃	2-CF ₃ , 4-OCH ₃
A-818	3-CF ₃	2-CF ₃ , 4-OCH ₃
A-819	3-OCF ₃	2-CF ₃ , 4-OCH ₃
A-820	—	3-Cl, 4-CF ₃
A-821	3-F	3-Cl, 4-CF ₃
A-822	3-Cl	3-Cl, 4-CF ₃
A-823	3-CH ₃	3-Cl, 4-CF ₃
A-824	3-CF ₃	3-Cl, 4-CF ₃
A-825	3-OCF ₃	3-Cl, 4-CF ₃

No.	(R ⁹) _m	(R ⁷) _n
A-826	—	2-CF ₃ , 5-F
A-827	3-F	2-CF ₃ , 5-F
A-828	3-Cl	2-CF ₃ , 5-F
A-829	3-CH ₃	2-CF ₃ , 5-F
A-830	3-CF ₃	2-CF ₃ , 5-F
A-831	3-OCF ₃	2-CF ₃ , 5-F
A-832	—	2-CF ₃ , 5-Cl
A-833	3-F	2-CF ₃ , 5-Cl
A-834	3-Cl	2-CF ₃ , 5-Cl
A-835	3-CH ₃	2-CF ₃ , 5-Cl
A-836	3-CF ₃	2-CF ₃ , 5-Cl
A-837	3-OCF ₃	2-CF ₃ , 5-Cl
A-838	—	2-Cl, 5-CF ₃
A-839	3-F	2-Cl, 5-CF ₃
A-840	3-Cl	2-Cl, 5-CF ₃
A-841	3-CH ₃	2-Cl, 5-CF ₃
A-842	3-CF ₃	2-Cl, 5-CF ₃
A-843	3-OCF ₃	2-Cl, 5-CF ₃
A-844	—	2-F, 5-CF ₃
A-845	3-F	2-F, 5-CF ₃
A-846	3-Cl	2-F, 5-CF ₃
A-847	3-CH ₃	2-F, 5-CF ₃
A-848	3-CF ₃	2-F, 5-CF ₃
A-849	3-OCF ₃	2-F, 5-CF ₃
A-850	—	3-F, 4-CF ₃
A-851	3-F	3-F, 4-CF ₃
A-852	3-Cl	3-F, 4-CF ₃
A-853	3-CH ₃	3-F, 4-CF ₃
A-854	3-CF ₃	3-F, 4-CF ₃
A-855	3-OCF ₃	3-F, 4-CF ₃
A-856	—	3-Cl, 4-CF ₃
A-857	3-F	3-Cl, 4-CF ₃

No.	(R ⁶) _m	(R ⁷) _n
A-858	3-Cl	3-Cl, 4-CF ₃
A-859	3-CH ₃	3-Cl, 4-CF ₃
A-860	3-CF ₃	3-Cl, 4-CF ₃
A-861	3-OCF ₃	3-Cl, 4-CF ₃
A-862	—	3-Cl, 4-Cl
A-863	3-F	3-Cl, 4-Cl
A-864	3-Cl	3-Cl, 4-Cl
A-865	3-CH ₃	3-Cl, 4-Cl
A-866	3-CF ₃	3-Cl, 4-Cl
A-867	3-OCF ₃	3-Cl, 4-Cl
A-868	—	3-F, 4-Cl
A-869	3-F	3-F, 4-Cl
A-870	3-Cl	3-F, 4-Cl
A-871	3-CH ₃	3-F, 4-Cl
A-872	3-CF ₃	3-F, 4-Cl
A-873	3-OCF ₃	3-F, 4-Cl
A-874	—	3-CF ₃ , 4-Cl
A-875	3-F	3-CF ₃ , 4-Cl
A-876	3-Cl	3-CF ₃ , 4-Cl
A-877	3-CH ₃	3-CF ₃ , 4-Cl
A-878	3-CF ₃	3-CF ₃ , 4-Cl
A-879	3-OCF ₃	3-CF ₃ , 4-Cl
A-880	—	—

- 5 The compounds according to the invention can be obtained by different routes. Advantageously, they are obtained from pyridine derivatives of the formula II under basic conditions by reaction with sulfonic acids or activated sulfonic acid derivatives of the formula III.



94
94

In formula III, L is a suitable leaving group such as hydroxyl or halogen, preferably chlorine.

This reaction is usually carried out at temperatures of from (-30)°C to 120°C, preferably from (-10)°C to 100°C, in an inert organic solvent in the presence of a base [cf. Lieb. Ann. Chem. 641 (1990)].

Suitable solvents are aliphatic hydrocarbons, such as pentane, hexane, cyclohexane and petroleum ether, aromatic hydrocarbons, such as toluene, o-, m- and p-xylene, halogenated hydrocarbons, such as methylene chloride, chloroform and chlorobenzene, ethers, such as diethyl ether, diisopropyl ether, tert.-butyl methyl ether, dioxane, anisole and tetrahydrofuran, nitriles, such as acetonitrile and propionitrile, ketones, such as acetone, methyl ethyl ketone, diethyl ketone and tert.-butyl methyl ketone, and also dimethyl sulfoxide, dimethylformamide and dimethylacetamide, particularly preferably diisopropyl ether, diethyl ether and tetrahydrofuran. It is also possible to use mixtures of the solvents mentioned.

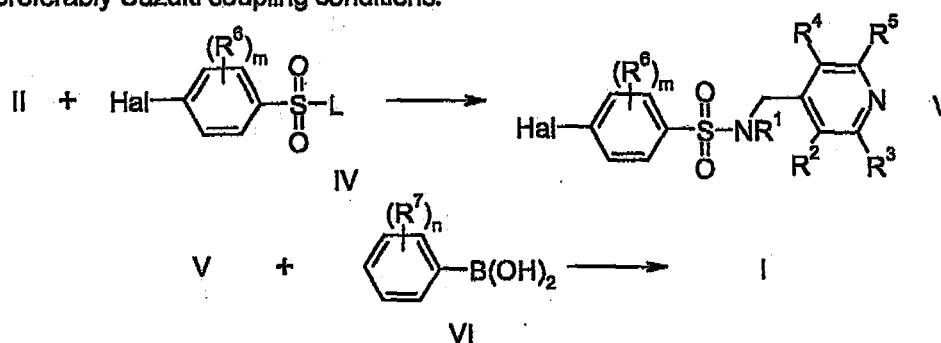
Suitable bases are, in general, inorganic compounds, such as alkali metal and alkaline earth metal hydroxides, such as lithium hydroxide, sodium hydroxide, potassium hydroxide and calcium hydroxide, alkali metal and alkaline earth metal oxides, such as lithium oxide, sodium oxide, calcium oxide and magnesium oxide, alkali metal and alkaline earth metal hydrides, such as lithium hydride, sodium hydride, potassium hydride and calcium hydride, alkali metal and alkaline earth metal carbonates, such as lithium carbonate, potassium carbonate and calcium carbonate, and also alkali metal bicarbonates, such as sodium bicarbonate, moreover organic bases, for example tertiary amines, such as trimethylamine, triethylamine, triisopropylethylamine and N-methylpiperidine, pyridine, substituted pyridines, such as collidine, lutidine and 4-dimethylaminopyridine, and also bicyclic amines. Particular preference is given to pyridine, triethylamine and potassium carbonate. The bases are generally employed in catalytic amounts; however, they can also be employed in equimolar amounts, in excess or, if appropriate, as solvent.

The starting materials are generally reacted with one another in equimolar amounts. In terms of yield, it may be advantageous to use an excess of II, based on III.

The starting materials required for preparing the compounds I are commercially available or known from the literature [WO 99/42443; EP-A 483 667; WO 96/10022; WO 00/73295; J. für praktische Chemie, p. 695 (1994); Heterocycles, p. 675 (1995); Tetrahedron, p. 12, 483 (1996); Chem. Pharm. Bull., p. 1927 (1973); J. Chem. Soc., p. 426 (1942); EP-A 983 982; Synthesis, p. 852 (1986)], or they can be prepared in accordance with the literature cited.

The pyridine derivatives II can be prepared, for example, by reduction of the respective nitriles, oximes or carbonic acid amides. The synthesis and the precursors can be taken from, e.g. J. Org. Chem. 23, 714 (1958), J. Prakt. Chem. 336(8), 695 (1994), Chem. Pharm. Bull. 1927, 21 (1973), US 4,439,609, Houben-Weyl, Methoden der Organischen Chemie, Georg Thieme Verlag Stuttgart, Vol. 10/4 (1968) and Vol. 11/2 (1957) and Vol. E5 (1985), Heterocyclic Compounds, Vol. 14, part 1-4, Wiley New York 1974-1975, Methods in Science of Synthesis, Vol. 15, Tetrahedron 57, 4489 (2001), Doklady Akadem Nauk SSSR 164, 816 (1965), Eur. J. Org. Chem. 1371 (2001), Tetrahedron 57, 4059 (2001), US 2005/0239791, Heterocycles 65, 8 (2005), European Journal of Organic Chemistry 8, 1559-1568 (2003).

Alternatively, the compounds of the formula I can also be prepared by reacting a pyridine derivative of the formula II with a 4-halophenylsulfonyl halide of the formula IV, followed by construction of the biphenyl unit. The latter can be carried out under Stille or preferably Suzuki coupling conditions.



In formula IV, Hal and L are halogen, L is preferably chlorine and "Hal" is in particular bromine or iodine.

The conversion into the pyridylsulfonamides of the formula V is carried out under the same conditions as the condensation of the compounds II and III.

The Suzuki coupling of a pyridylsulfonamide V with a boronic acid derivatives VI or an ester thereof is usually carried out at temperatures of from 20°C to 180°C, preferably from 40°C to 120°C, in an inert organic solvent in the presence of a base and a platinum metal, in particular a palladium catalyst [cf. Synth. Commun. Vol. 11, p. 513 (1981); Acc. Chem. Res. Vol. 15, pp. 178-184 (1982); Chem. Rev. Vol. 95, pp. 2457-2483 (1995); Organic Letters Vol. 6 (16), p. 2808 (2004); WO 2002/42275].

Suitable catalysts are in particular tetrakis(triphenylphosphine)palladium(0); bis(triphenylphosphine)palladium(II) chloride; bis(acetonitrile)palladium(II) chloride; [1,1'-bis(diphenylphosphino)ferrocene]-palladium(II) chloride/methylene chloride (1:1) complex; bis[bis-(1,2-diphenylphosphino)ethane]palladium(0); bis(bis-(1,2-diphenylphosphino)butane]-palladium(II) chloride; palladium(II) acetate; palladium(II)

96
ab

chloride; and palladium(II) acetate/tri-*o*-tolylphosphine complex; tris-*tert*-butylphosphine / palladium-dibenzylidene acetone.

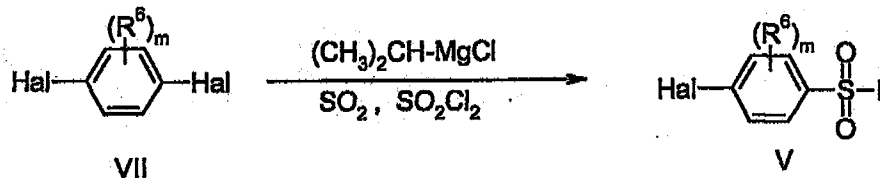
- Suitable solvents are aliphatic hydrocarbons, such as pentane, hexane, cyclohexane and petroleum ether, aromatic hydrocarbons, such as toluene, *o*-, *m*- and *p*-xylene, ethers, such as diisopropyl ether, *tert*-butyl methyl ether, dioxane, anisole and tetrahydrofuran and dimethoxyethane, ketones, such as acetone, methyl ethyl ketone, diethyl ketone and *tert*-butyl methyl ketone, and also dimethyl sulfoxide, dimethylformamide and dimethylacetamide, particularly preferably ethers, such as tetrahydrofuran, dioxane and dimethoxyethane. It is also possible to use mixtures of the solvents mentioned.

- Suitable bases are, in general, inorganic compounds, such as alkali metal and alkaline earth metal oxides, such as lithium oxide, sodium oxide, calcium oxide and magnesium oxide, alkali metal and alkaline earth metal carbonates, such as lithium carbonate, sodium carbonate, potassium carbonate and calcium carbonate, and also alkali metal bicarbonates, such as sodium bicarbonate, alkali metal and alkaline earth metal alkoxides, such as sodium methoxide, sodium ethoxide, potassium ethoxide and potassium *tert*-butoxide, moreover organic bases, for example tertiary amines, such as trimethylamine, triethylamine, triisopropylethylamine and *N*-methylpiperidine, pyridine, substituted pyridines, such as collidine, lutidine and 4-dimethylaminopyridine, and also bicyclic amines. Particular preference is given to bases such as sodium carbonate, potassium carbonate, cesium carbonate, triethylamine and sodium bicarbonate.

- The bases are generally employed in equimolar amounts; however, they can also be employed in excess or, if appropriate, as solvent.

The starting materials are generally reacted with one another in equimolar amounts. In terms of yield, it may be advantageous to use an excess of VI, based on V.

- The intermediate IV can be prepared from the respective phenylhalide VII by treatment with alkylmagnesiumhalogenide such as $(\text{CH}_3)_2\text{CHMgCl}$, SO_2 and SO_2Cl_2 as shown in the reaction scheme below:



- The boronic acid derivatives VI or their esters can be obtained as described in Synlett 8, 1204 (2003), J. Org. Chem. 68, 3729 (2003), Synthesis, 442 (2000), J. Org. Chem. 60, 7508 (1995) or WO 2002/42275.

JF
9A

The reaction mixtures are worked up in the customary manner, for example by mixing with water, separating the phases and, if appropriate, chromatographic purification of the crude products. Some of the intermediates and end products are obtained in the form of colorless or slightly brownish viscous oils which can be purified or freed from volatile components under reduced pressure and at moderately elevated temperature. If the intermediates and end products are obtained as solids, purification can also be carried out by recrystallization or digestion.

The N-oxides may be prepared from the compounds I according to conventional oxidation methods, for example by treating a biphenylsulfonamide I with an organic peracid such as metachloroperbenzoic acid [Journal of Medicinal Chemistry, 38(11), 1892-1903 (1995); WO 03/64572] or with inorganic oxidizing agents such as hydrogen peroxide [cf. Journal of Heterocyclic Chemistry, 18(7), 1305-8 (1981)] or oxone [cf. Journal of the American Chemical Society, 123(25), 5962-5973 (2001)]. The oxidation may lead to pure mono-N-oxides or to a mixture of different N-oxides in case a second pyridine ring is present in the molecule. Different N-oxides can be separated by conventional methods such as chromatography.

If individual compounds I cannot be obtained by the routes described above, they can be prepared by derivatization of other compounds I.

If the synthesis yields mixtures of isomers, a separation is generally not necessarily required since in some cases the individual isomers can be interconverted during work-up for use or during application (for example under the action of light, acids or bases). Such conversions may also take place after use, for example in the treatment of plants in the treated plant, or in the harmful fungus to be controlled.

The compounds I are suitable as fungicides. They are distinguished by an outstanding effectiveness against a broad spectrum of phytopathogenic fungi, especially from the classes of the *Ascomycetes*, *Basidiomycetes*, *Deuteromycetes* and *Peronosporomycetes* (syn. *Oomycetes*). Some are systemically effective and they can be used in crop protection as foliar fungicides, fungicides for seed dressing and soil fungicides.

They are particularly important in the control of a multitude of fungi on various cultivated plants, such as wheat, rye, barley, oats, rice, corn, lawns, bananas, cotton, soybeans, coffee, sugar cane, grapevines, fruit and ornamental plants, and vegetables, such as cucumbers, beans, tomatoes, potatoes and cucurbits, and on the seeds of these plants.

They are especially suitable for controlling the following plant diseases:

- *Alternaria* species on vegetables, rape, sugar beets, fruit and rice (e.g. *A. solani* or *A. alternata* on potatoes and tomatoes),
- *Aphanomyces* species on sugar beets and vegetables,
- *Ascochyta* species on cereals and vegetables,
- 5 • *Bipolaris* and *Drechslera* species on corn, cereals, rice and lawns (e.g. *D. maydis* on corn),
- *Blumeria graminis* (powdery mildew) on cereals,
- *Botrytis cinerea* (gray mold) on strawberries, vegetables, ornamental plants and grapevines,
- 10 • *Bremia lactucae* on lettuce,
- *Cerospora* species on corn, soybeans, rice and sugar beets,
- *Cochliobolus* species on corn, cereals, rice (e.g. *Cochliobolus sativus* on cereals, *Cochliobolus miyabeanus* on rice),
- *Colletotricum* species on soybeans and cotton,
- 15 • *Drechslera* species, *Pyrenophora* species on corn, cereals, rice and lawns (e.g. *D. teres* on barley or *D. tritici-repentis* on wheat),
- *Esca* on grapevines, caused by *Phaeoacremonium chlamydosporium*, *Ph. Aleophilum* and *Formitipora punctata* (syn. *Phellinus punctatus*),
- *Exserohilum* species on corn,
- 20 • *Erysiphe cichoracearum* and *Sphaerotheca fulginea* on cucurbits,
- *Fusarium* and *Verticillium* species on various plants (e.g. *F. graminearum* or *F. culmorum* on cereals or *F. oxysporum* on various plants, e.g. tomatoes),
- *Gaeumanomyces graminis* on cereals,
- *Gibberella* species on cereals and rice (e.g. *Gibberella fujikuroi* on rice),
- 25 • *Grainstaining complex* on rice,
- *Helminthosporium* species on corn and rice,
- *Microdochium nivale* on cereals,
- *Mycosphaerella* species on cereals, bananas and peanuts (e.g. *M. graminicola* on wheat or *M. fijiensis* on bananas),
- 30 • *Peronospora* species on cabbage and onion plants (e.g. *P. brassicae* on cabbage or *P. destructor* on onions),
- *Phakopsara pachyrhizi* and *Phakopsara melibromiae* on soybeans,
- *Phomopsis* species on soybeans and sun flowers,
- *Phytophthora infestans* on potatoes and tomatoes,
- 35 • *Phytophthora* species on various plants (e.g. *P. capsici* on paprika),
- *Plasmopara viticola* on grapevines,
- *Podosphaera leucotricha* on apples,
- *Pseudocercospora herpotrichoides* on cereals,
- *Pseudoperonospora* on various plants (e.g. *P. cubensis* on cucumber or *P. humili* on hops),
- 40 • *Puccinia* species on various plants (e.g. *P. triticina*, *P. striiformis*, *P. hordei* or *P. graminis* on cereals or *P. asparagi* on asparagus),

- 99
99
- *Pyricularia oryzae*, *Corticium sasakii*, *Sarocladium oryzae*, *S.attenuatum*, *Entyloma oryzae* on rice,
 - *Pyricularia grisea* on lawns and cereals,
 - *Pythium spp.* on lawns, rice, corn, cotton, rape, sun flowers, sugar beets,
 - 5 vegetables and other plants (e.g. *P. ultimum* on various plants, *P. aphanidermatum* on lawns),
 - *Rhizoctonia* species on cotton, rice, potatoes, lawns, corn, rape, sugar beets, vegetables and on various plants (e.g. *R. solani* on beets and various plants),
 - *Rhynchosporium secalis* on barley, rye and triticale,
 - 10 • *Sclerotinia* species on rape and sun flowers,
 - *Septoria tritici* and *Stagonospora nodorum* on wheat,
 - *Erysiphe* (syn. *Uncinula*) *necator* on grapevines,
 - *Setosphaeria* species on corn and lawns,
 - *Sphacelotheca reilinia* on corn,
 - 15 • *Thielaviopsis* species on soybeans and cotton,
 - *Tilletia* species on cereals,
 - *Ustilago* species on cereals, corn and sugar cane (e.g. *U. maydis* on corn),
 - *Venturia* species (scab) on apples and pears (e.g. *V. inaequalis* on apples).
- 20 The compounds I are also suitable for controlling harmful fungi in the protection of materials (e.g. wood, paper, paint dispersions, fiber or fabrics) and in the protection of stored products. As to the protection of wood, the following harmful fungi are worthy of note: Ascomycetes such as *Ophiostoma spp.*, *Ceratocystis spp.*, *Aureobasidium pullulans*, *Sclerophoma spp.*, *Chaetomium spp.*, *Humicola spp.*, *Petriella spp.*,
- 25 *Trichurus spp.*; Basidiomycetes such as *Coniophora spp.*, *Coriolus spp.*, *Gloeophyllum spp.*, *Lentinus spp.*, *Pleurotus spp.*, *Poria spp.*, *Serpula spp.* and *Tyromyces spp.*, Deuteromycetes such as *Aspergillus spp.*, *Cladosporium spp.*, *Penicillium spp.*, *Trichoderma spp.*, *Alternaria spp.*, *Paecilomyces spp.* and Zygomycetes such as *Mucor spp.*, and in addition in the protection of stored products the following yeast fungi are
- 30 worthy of note: *Candida spp.* and *Saccharomyces cerevisiae*.

35 The compounds I are employed by treating the fungi or the plants, seeds, materials or the soil to be protected from fungal attack with a fungicidally effective amount of the active compounds. The application can be carried out both before and after the infection of the materials, plants or seeds by the fungi.

40 The fungicidal compositions generally comprise between 0.1 and 95%, preferably between 0.5 and 90%, by weight of active compound. The active compounds are employed in a purity of from 90% to 100%, preferably from 95% to 100% (according to NMR spectrum).

When employed in plant protection, the amounts applied are, depending on the kind of effect desired, between 0.01 and 2.0 kg of active compound per ha.

- 5 In seed treatment, for example by dusting, coating or drenching seed, amounts of active compound of from 1 to 1000 g, preferably from 5 to 100 g, per 100 kilogram of seed are generally required.

When used in the protection of materials or stored products, the amount of active compound applied depends on the kind of application area and on the desired effect.

- 10 Amounts customarily applied in the protection of materials are, for example, 0.001 g to 2 kg, preferably 0.005 g to 1 kg, of active compound per cubic meter of treated material.

- 15 The compounds of the formula I are furthermore suitable for controlling pests from the classes of the insects, arachnids and nematodes effectively. They can be used as pesticides in crop protection and in the sectors of hygiene and the protection of stored products and the veterinary sector.

- 20 They may act by contact or may be stomach-acting, or have systemic or residual action. Contact action means that the pest is killed by coming into contact with a compound I or with material that releases compound I. Stomach-acting means that the pest is killed if it ingests a pesticidally effective amount of the compound I or material containing a pesticidally effective amount of compound I. Systemic action means that the compound is absorbed into the plant tissues of treated plant and the pest is controlled, if it eats plant tissue or sucks plant-sap. Compounds I are in particular suitable for controlling the following insect pests:, such as

Insects:

- 30 insects from the order of *Lepidoptera*, for example *Agrotis ypsilon*, *Agrotis segetum*, *Alabama argillacea*, *Anticarsia gemmatalis*, *Argyresthia conjugella*, *Autographa gamma*, *Bupalus piniarius*, *Cacoecia murinana*, *Capua reticulana*, *Cheimatobia brumata*, *Choristoneura fumiferana*, *Choristoneura occidentalis*, *Cirphis unipuncta*, *Cydia pomonella*, *Dendrolimus pini*, *Diaphania nitidalis*, *Diatraea grandiosella*, *Earias insulana*, *Elasmopalpus lignosellus*, *Eupoecilia ambiguella*, *Evetria bouliana*, *Feltia subterranea*, *Galleria mellonella*, *Grapholitha funebrana*, *Grapholitha molesta*, *Heliothis armigera*, *Heliothis virescens*, *Heliothis zea*, *Hellula undalis*, *Hibernia defoliaria*, *Hyphantria cunea*, *Hyponomeuta malinellus*, *Keiferia lycopersicella*, *Lambdina fiscellaria*, *Laphygma exigua*, *Leucoptera coffeella*, *Leucoptera scitella*, *Lithocolletis blancardella*, *Lobesia botrana*, *Loxostege sticticalis*, *Lymantria dispar*, *Lymantria monacha*, *Lyonetia clerkella*, *Malacosoma neustria*, *Mamestra brassicae*, *Orgyia pseudotsugata*, *Ostrinia nubilalis*, *Panolis flammea*, *Pectinophora gossypiella*, *Peridroma saucia*, *Phalera bucephala*, *Phthorimaea operculella*, *Phyllocnistis citrella*, *Pieris brassicae*, *Plathypena*

scabra, *Plutella xylostella*, *Pseudoplusia includens*, *Rhyacionia frustrana*, *Scrobipalpula absoluta*, *Sitotroga cerealella*, *Sparganothis pilleriana*, *Spodoptera eridania*, *Spodoptera frugiperda*, *Spodoptera littoralis*, *Spodoptera litura*, *Thaumatopoea pityocampa*, *Tortrix viridana*, *Trichoplusia ni* and *Zeiraphera canadensis*,

- 5 from the order of Coleoptera (beetles), for example *Agrilus sinuatus*, *Agriotes lineatus*, *Agriotes obscurus*, *Amphimallus solstitialis*, *Anisandrus dispar*, *Anthonomus grandis*, *Anthonomus pomorum*, *Atomaria linearis*, *Blastophagus piniperda*, *Blitophaga undata*, *Bruchus rufimanus*, *Bruchus pisorum*, *Bruchus lentis*, *Byctiscus betulae*, *Cassida nebulosa*, *Cerotoma trifurcata*, *Ceuthorrhynchus assimilis*, *Ceuthorrhynchus napi*, *Chaetocnema tibialis*, *Conoderus vespertinus*, *Crioceris asparagi*, *Diabrotica longicornis*, *Diabrotica 12-punctata*, *Diabrotica virgifera*, *Epilachna varivestis*, *Epitrix hirtipennis*, *Eutinobothrus brasiliensis*, *Hylobius abietis*, *Hypera brunneipennis*, *Hypera postica*, *Ips typographus*, *Lema bilineata*, *Lema melanopus*, *Leptinotarsa decemlineata*, *Limonius californicus*, *Lissorhoptrus oryzophilus*, *Melanotus communis*, *Meligethes aeneus*, *Melolontha hippocastani*, *Melolontha melolontha*, *Oulema oryzae*, *Ortiorrhynchus sulcatus*, *Otiorrhynchus ovatus*, *Phaedon cochleariae*, *Phyllotreta chrysocephala*, *Phyllophaga sp.*, *Phyllopertha horticola*, *Phyllotreta nemorum*, *Phyllotreta striolata*, *Popillia japonica*, *Sitona lineatus* and *Sitophilus granaria*,

- 20 from the order of Diptera, for example *Aedes aegypti*, *Aedes vexans*, *Anastrepha ludens*, *Anopheles maculipennis*, *Ceratitis capitata*, *Chrysomya bezziana*, *Chrysomya hominivorax*, *Chrysomya macellaria*, *Contarinia sorghicola*, *Cordylobia anthropophaga*, *Culex pipiens*, *Dacus cucurbitae*, *Dacus oleae*, *Dasineura brassicae*, *Fannia canicularis*, *Gasterophilus intestinalis*, *Glossina morsitans*, *Haematobia irritans*, *Haplodiplosis equestris*, *Hylemyia platura*, *Hypoderma lineata*, *Liriomyza sativae*, *Liriomyza trifolii*, *Lucilia caprina*, *Lucilia cuprina*, *Lucilia sericata*, *Lycoria pectoralis*, *Mayetiola destructor*, *Musca domestica*, *Muscina stabulans*, *Oestrus ovis*, *Oscinella frit*, *Pegomya hyso-cyami*, *Phorbia antiqua*, *Phorbia brassicae*, *Phorbia coarctata*, *Rhagoletis cerasi*,
30 *Rhagoletis pomonella*, *Tabanus bovinus*, *Tipula oleracea* and *Tipula paludosa*,

- from the order of Thysanoptera (thrips), e.g. *Dichromothrips spp.*, *Frankliniella fusca*, *Frankliniella occidentalis*, *Frankliniella tritici*, *Scirtothrips citri*, *Thrips oryzae*, *Thrips palmi* and *Thrips tabaci*,

- 35 ants, bees, wasps, sawflies from the order of (Hymenoptera) e.g. *Athalia rosae*, *Atta cephalotes*, *Atta cephalotes*, *Atta laevigata*, *Atta robusta*, *Atta capiguara*, *Atta sexdens*, *Atta texana*, *Crematogaster spp.*, *Hoplocampa minuta*, *Hoplocampa testudinea*, *Monomorium pharaonis*, *Solenopsis geminata*, and *Solenopsis invicta*, *Solenopsis rich-teri*, *Solenopsis xyloni*, *Pogonomyrmex barbatus*, *Pogonomyrmex californicus*, *Pheidole megacephala*, *Dasymutilla occidentalis*, *Bombus spp.* *Vespula squamosa*, *Paravespula vulgaris*, *Paravespula pennsylvanica*, *Paravespula germanica*,
- 40

- Dolichovespula maculata*, *Vespa crabro*, *Polistes rubiginosa*, *Camponotus floridanus*, and *Linepithema humile*,
from the order of Homoptera, e.g. *Acyrtosiphon onobrychis*, *Adelges laricis*, *Aphidula nasturtii*, *Aphis craccivora*, *Aphis fabae*, *Aphis forbesi*, *Aphis pomi*, *Aphis gossypii*,
5 *Aphis grossulariae*, *Aphis schneideri*, *Aphis spiraeicola*, *Aphis sambuci*, *Acyrtosiphon pisum*, *Aulacorthum solani*, *Bemisia tabaci*, *Bemisia argentifolii*, *Brachycaudus cardui*,
Brachycaudus helichrysi, *Brachycaudus persicae*, *Brachycaudus prunicola*, *Brevicoryne brassicae*, *Capitophorus horni*, *Cerosipha gossypii*, *Chaetosiphon fragaefolii*,
Cryptomyzus ribis, *Dreyfusia nordmannianae*, *Dreyfusia piceae*, *Dysaphis radicola*,
10 *Dysaulacorthum pseudosolani*, *Dysaphis plantaginea*, *Dysaphis pyri*, *Empoasca fabae*,
Hyalopterus pruni, *Hyperomyzus lactucae*, *Macrosiphum avenae*, *Macrosiphum euphorbiae*, *Macrosiphon rosae*, *Megoura viciae*, *Melanaphis pyraeae*, *Metopolophium dirhodum*, *Myzodes persicae*, *Myzus ascalonicus*, *Myzus cerasi*, *Myzus varians*, *Nasonovia ribis-nigri*, *Nilaparvata lugens*, *Pemphigus bursarius*, *Perkinsiella saccharicida*,
15 *Phorodon humuli*, *Psylla mali*, *Psylla piri*, *Rhopalomyzus ascalonicus*, *Rhopalosiphum maidis*, *Rhopalosiphum padi*, *Rhopalosiphum insertum*, *Sappaphis mala*, *Sappaphis mali*, *Schizaphis graminum*, *Schizoneura lanuginosa*, *Sitobion avenae*, *Trialeurodes vaporariorum*, *Toxoptera aurantii* and, and *Viteus vitifolii*,
20 from the order of Isoptera (termites), e.g. *Calotermes flavicollis*, *Heterotermes aureus*,
Leucotermes flavipes, *Reticulitermes flavipes*, *Reticulitermes virginicus*, *Reticulitermes lucifugus*, and *Termes natalensis*, and *Coptotermes formosanus*,
cockroaches (Blattaria - Blattodea), e.g. *Blattella germanica*, *Blattella asahinae*, *Periplaneta americana*, *Periplaneta japonica*, *Periplaneta brunnea*, *Periplaneta fuliginosa*, *Periplaneta australasiae*, and *Blatta orientalis*,
25 true bugs (Hemiptera), e.g. *Acrosternum hilare*, *Blissus leucopterus*, *Cyrtopeltis notatus*, *Dysdercus cingulatus*, *Dysdercus intermedius*, *Eurygaster integriceps*, *Euschistus impictiventris*, *Leptoglossus phyllopus*, *Lygus lineolaris*, *Lygus pratensis*, *Nezara viridula*, *Plesma quadrata*, *Solubea insularis*, *Thyanta perditor*, *Acyrtosiphon onobrychis*, *Adelges laricis*, *Aphidula nasturtii*, *Aphis fabae*, *Aphis forbesi*, *Aphis pomi*,
30 *Aphis gossypii*, *Aphis grossulariae*, *Aphis schneideri*, *Aphis spiraeicola*, *Aphis sambuci*,
Acyrtosiphon pisum, *Aulacorthum solani*, *Bemisia argentifolii*, *Brachycaudus cardui*,
35 *Brachycaudus helichrysi*, *Brachycaudus persicae*, *Brachycaudus prunicola*, *Brevicoryne brassicae*, *Capitophorus horni*, *Cerosipha gossypii*, *Chaetosiphon fragaefolii*,
Cryptomyzus ribis, *Dreyfusia nordmannianae*, *Dreyfusia piceae*, *Dysaphis radicola*,
Dysaulacorthum pseudosolani, *Dysaphis plantaginea*, *Dysaphis pyri*, *Empoasca fabae*,
Hyalopterus pruni, *Hyperomyzus lactucae*, *Macrosiphum avenae*, *Macrosiphum euphorbiae*, *Macrosiphon rosae*, *Megoura viciae*, *Melanaphis pyraeae*, *Metopolophium dirhodum*, *Myzus persicae*, *Myzus ascalonicus*, *Myzus cerasi*, *Myzus varians*, *Nasonovia ribis-nigri*, *Nilaparvata lugens*, *Pemphigus bursarius*, *Perkinsiella saccharicida*,
40

103
103

Phorodon humuli, *Psylla mali*, *Psylla piri*, *Rhopalomyzus ascalonicus*, *Rhopalosiphum maidis*, *Rhopalosiphum padi*, *Rhopalosiphum insertum*, *Sappaphis mala*, *Sappaphis mali*, *Schizaphis graminum*, *Schizoneura lanuginosa*, *Sitobion avenae*, *Trialeurodes vaporariorum*, *Toxoptera aurantii* and, *Viteus vitifolii*, *Cimex lectularius*, *Cimex hemipterus*, *Reduvius senilis*, *Triatoma* spp., and *Arilus critatus*,

crickets, grasshoppers, locusts from the order of (Orthoptera), e.g. *Acheta domestica*, *Blatta orientalis*, *Blattella germanica*, *Calliptamus italicus*, *Chortoicetes terminifera*, *Dociostaurus maroccanus*, *Forficula auricularia*, *Gryllotalpa gryllotalpa*, *Hieroglyphus daganensis*, *Kraussaria angulifera*, *Locusta migratoria*, *Locustana pardalina*, *Melanoplus bivittatus*, *Melanoplus femur-rubrum*, *Melanoplus mexicanus*, *Melanoplus sanguinipes*, *Melanoplus spretus*, *Nomadacris septemfasciata*, *Oedaleus senegalensis*, *Periplaneta americana*, *Schistocerca americana*, *Schistocerca peregrina*, *Schistocerca gregaria*, *Stauronotus maroccanus* and, *Tachycines asynamorus*, *Tachycines asynamorus*, *Zonozelus variegatus*.

The compounds of the formula I and their salts are also useful for controlling arachnids (Arachnoidea), such as acarians (*Acarina*), e.g. of the families *Argasidae*, *Ixodidae* and *Sarcoptidae*, such as *Amblyomma americanum*, *Amblyomma variegatum*, *Amblyomma maculatum*, *Argas persicus*, *Boophilus annulatus*, *Boophilus decoloratus*, *Boophilus microplus*, *Dermacentor silvarum*, *Dermacentor andersoni*, *Dermacentor variabilis*, *Hyalomma truncatum*, *Ixodes ricinus*, *Ixodes rubicundus*, *Ixodes scapularis*, *Ixodes holocyclus*, *Ixodes pacificus*, *Ornithodoros hermsi*, *Ornithodoros turicata*, *Ornithonyssus bacoti*, *Ornithodoros moubata*, *Otobius megnini*, *Dermanyssus gallinae*, *Psoroptes ovis*, *Rhipicephalus sanguineus*, *Rhipicephalus appendiculatus*, *Rhipicephalus evertsi*, *Sarcoptes scabiei*, and Eriophyidae spp. such as *Aculus schlechtendali*, *Phyllocoptrata oleivora* and *Eriophyes sheldoni*; Tarsonemidae spp. such as *Phytonemus pallidus* and *Polyphagotarsonemus latus*; Tenuipalpidae spp. such as *Brevipalpus phoenicis*; Tetranychidae spp. such as *Tetranychus cinnabarinus*, *Tetranychus kanzawai*, *Tetranychus pacificus*, *Tetranychus telarius* and *Tetranychus urticae*, *Panonychus ulmi*, *Panonychus citri*, and *Oligonychus pratensis* and *Oligonychus pratensis*; Araneida, e.g. *Latreutes mactans*, and *Loxosceles reclusa*,

fleas (Siphonaptera), e.g. *Ctenocephalides felis*, *Ctenocephalides canis*, *Xenopsylla cheopis*, *Pulex irritans*, *Tunga penetrans*, and *Nosopsyllus fasciatus*,

silverfish, firebrat (Thysanura), e.g. *Lepisma saccharina* and *Thermobia domestica*,

centipedes (Chilopoda), e.g. *Scutigera coleoptrata*,

millipedes (Diplopoda), e.g. *Narceus* spp.,

earwigs (Dermaptera), e.g. *forficula auricularia*,

- lice (Phthiraptera), e.g. *Pediculus humanus capitis*, *Pediculus humanus corporis*, *Phthirus pubis*, *Haematopinus eurysternus*, *Haematopinus suis*, *Linognathus vituli*, *Bovicola bovis*, *Menopon gallinae*, *Menacanthus stramineus* and *Solenopotes capillatus*.

In general, the insecticidal compositions comprise from 0.01 to 95% by weight, preferably from 0.1 to 90% by weight, of the active compound. The active compounds are employed in a purity of from 90% to 100%, preferably from 95% to 100% (according to NMR spectrum).

Under outdoor conditions, the active compound application rate for controlling pests is from 0.1 to 2.0, preferably from 0.2 to 1.0, kg/ha.

- 15 The compounds I can be converted into the customary formulations, for example solutions, emulsions, suspensions, dusts, powders, pastes and granules. The application form depends on the particular intended purpose; in each case, it should ensure a fine and uniform distribution of the compound according to the invention.
- 20 The formulations are prepared in a known manner, for example by extending the active compound with solvents and/or carriers, if desired using emulsifiers and dispersants. Solvents/auxiliaries which are suitable are essentially:
- water, aromatic solvents (for example Solvesso® products, xylene), paraffins (for example mineral oil fractions), alcohols (for example methanol, butanol, pentanol, benzyl alcohol), ketones (for example cyclohexanone, gamma-butyrolactone),
 - 25 pyrrolidones (N-methylpyrrolidone, N-octylpyrrolidone), acetates (glycol diacetate), glycols, fatty acid dimethylamides, fatty acids and fatty acid esters. In principle, solvent mixtures may also be used.
 - carriers such as ground natural minerals (for example kaolins, clays, talc, chalk)
 - 30 and ground synthetic minerals (for example highly disperse silica, silicates); emulsifiers such as nonionic and anionic emulsifiers (for example polyoxyethylene fatty alcohol ethers, alkylsulfonates and arylsulfonates) and dispersants such as lignosulfite waste liquors and methylcellulose.
- 35 Suitable surfactants are alkali metal, alkaline earth metal and ammonium salts of lignosulfonic acid, naphthalenesulfonic acid, phenolsulfonic acid, dibutyl-naphthalenesulfonic acid, alkylarylsulfonates, alkyl sulfates, alkylsulfonates, fatty alcohol sulfates, fatty acids and sulfated fatty alcohol glycol ethers, furthermore condensates of sulfonated naphthalene and naphthalene derivatives with formaldehyde, condensates of naphthalene
- 40 or of naphthalenesulfonic acid with phenol and formaldehyde, polyoxyethylene octylphenol ether, ethoxylated isooctylphenol, octylphenol, nonylphenol, alkylphenol polyglycol ethers, tributylphenyl polyglycol ether, tristearylphenyl polyglycol ether, alkylaryl

polyether alcohols, alcohol and fatty alcohol/ethylene oxide condensates, ethoxylated castor oil, polyoxyethylene alkyl ethers, ethoxylated polyoxypropylene, lauryl alcohol polyglycol ether acetal, sorbitol esters, lagnosulfite waste liquors and methylcellulose.

- 5 Suitable for the preparation of directly sprayable solutions, emulsions, pastes or oil dispersions are mineral oil fractions of medium to high boiling point, such as kerosene or diesel oil, furthermore coal tar oils and oils of vegetable or animal origin, aliphatic, cyclic and aromatic hydrocarbons, for example toluene, xylene, paraffin, tetrahydro-naphthalene, alkylated naphthalenes or their derivatives, methanol, ethanol, propanol, 10 butanol, cyclohexanol, cyclohexanone, isophorone, strongly polar solvents, for example dimethyl sulfoxide, N-methylpyrrolidone and water.

Also anti-freezing agents such as glycerin, ethylene glycol and propylene glycol can be added to the formulation.

15

Suitable antifoaming agents are, for example, those based on silicone or magnesium stearate.

20

Powders, materials for spreading and dustable products can be prepared by mixing or concomitantly grinding the active substances with a solid carrier.

25

Granules, for example coated granules, impregnated granules and homogeneous granules, can be prepared by binding the active compounds to solid carriers. Examples of solid carriers are mineral earths such as silica gels, silicates, talc, kaolin, attaclay, limestone, lime, chalk, bole, loess, clay, dolomite, diatomaceous earth, calcium sulfate, magnesium sulfate, magnesium oxide, ground synthetic materials, fertilizers, such as, for example, ammonium sulfate, ammonium phosphate, ammonium nitrate, ureas, and products of vegetable origin, such as cereal meal, tree bark meal, wood meal and nut-shell meal, cellulose powders and other solid carriers.

30

Formulations for the treatment of seed may additionally comprise binders and/or gelling agents and, if appropriate, colorants.

35

Binders may be added to increase the adhesion of the active compounds on the seed after the treatment. Suitable binders are, for example, EO/PO block copolymer surfac-tants, but also polyvinyl alcohols, polyvinylpyrrolidones, polyacrylates, polymethacry-lates, polybutenes, polyisobutylenes, polystyrenes, polyethylenamines, poly-ethylenamides, polyethylenimines (Lupasol®, Polymin®), polyethers, polyurethanes, polyvinyl acetates, tylose and copolymers of these polymers.

40

A suitable gelling agent is, for example, carrageen (Satiage®).

106
(06)

In general, the formulations comprise from 0.01 to 95% by weight, preferably from 0.1 to 90% by weight, of the active compound. The active compounds are employed in a purity of from 90% to 100%, preferably from 95% to 100% (according to NMR spectrum).

5

The concentrations of active compound in the ready-for-use preparations can be varied within relatively wide ranges. In general, they are between 0.0001 and 10%, preferably between 0.01 and 1%.

- 10 The active compounds can also be used with great success in the ultra-low volume (ULV) process, it being possible to apply formulations with more than 95% by weight of active compound or even the active compound without additives.

- 15 For the treatment of seed, the formulations in question give, after two-to-tenfold dilution, active compound concentrations of from 0.01 to 60% by weight, preferably from 0.1 to 40% by weight, in the ready-to-use preparations.

The following are examples of formulations: 1. Products for dilution with water

- 20 A) Water-soluble concentrates (SL, LS)

10 parts by weight of a compound I according to the invention are dissolved in 90 parts by weight of water or in a water-soluble solvent. As an alternative, wetting agents or other auxiliaries are added. The active compound dissolves upon dilution with water. In this way, a formulation having a content of 10% by weight of active compound is
25 obtained.

- B) Dispersible concentrates (DC)

- 20 parts by weight of a compound I according to the invention are dissolved in 70 parts by weight of cyclohexanone with addition of 10 parts by weight of a dispersant, for
30 example polyvinylpyrrolidone. Dilution with water gives a dispersion. The active compound content is 20% by weight.

- C) Emulsifiable concentrates (EC)

- 15 parts by weight of a compound I according to the invention are dissolved in 75 parts
35 by weight of xylene with addition of calcium dodecylbenzenesulfonate and castor oil ethoxylate (in each case 5 parts by weight). Dilution with water gives an emulsion. The formulation has an active compound content of 15% by weight.

- D) Emulsions (EW, EO, ES)

- 40 25 parts by weight of a compound I according to the invention are dissolved in 35 parts by weight of xylene with addition of calcium dodecylbenzenesulfonate and castor oil ethoxylate (in each case 5 parts by weight). This mixture is introduced into 30 parts by

weight of water by means of an emulsifying machine (Ultraturrax) and made into a homogeneous emulsion. Dilution with water gives an emulsion. The formulation has an active compound content of 25% by weight.

5

E) Suspensions (SC, OD)

In an agitated ball mill, 20 parts by weight of a compound I according to the invention are comminuted with addition of 10 parts by weight of dispersants and wetting agents and 70 parts by weight of water or an organic solvent to give a fine active compound suspension. Dilution with water gives a stable suspension of the active compound. The active compound content in the formulation is 20% by weight.

F) Water-dispersible granules and water-soluble granules (WG, SG, SS, WS)
50 parts by weight of a compound I according to the invention are ground finely with addition of 50 parts by weight of dispersants and wetting agents and prepared as water-dispersible or water-soluble granules by means of technical appliances (for example extrusion, spray tower, fluidized bed). Dilution with water gives a stable dispersion or solution of the active compound. The formulation has an active compound content of 50% by weight.

20

G) Water-dispersible powders and water-soluble powders (WP, SP)

75 parts by weight of a compound I according to the invention are ground in a rotor-stator mill with addition of 25 parts by weight of dispersants, wetting agents and silica gel. Dilution with water gives a stable dispersion or solution of the active compound. The active compound content of the formulation is 75% by weight.

25

2. Products to be applied undiluted

H) Dustable powders (DP, DS)

5 parts by weight of a compound I according to the invention are ground finely and mixed intimately with 95 parts by weight of finely divided kaolin. This gives a dustable product having an active compound content of 5% by weight.

30

J) Granules (GR, FG, GG, MG)

0.5 part by weight of a compound I according to the invention is ground finely and associated with 99.5 parts by weight of carriers. Current methods are extrusion, spray-drying or the fluidized bed. This gives granules to be applied undiluted having an active compound content of 0.5% by weight.

35

40 K) ULV solutions (UL, LS)

10 parts by weight of a compound I according to the invention are dissolved in 90 parts by weight of an organic solvent, for example xylene. This gives a product to be applied undiluted having an active compound content of 10% by weight.

- 5 The active compounds can be used as such, in the form of their formulations or the use forms prepared therefrom, for example in the form of directly sprayable solutions, powders, suspensions or dispersions, emulsions, oil dispersions, pastes, dustable products, materials for spreading, or granules, by means of spraying, atomizing, dusting, spreading or pouring. The use forms depend entirely on the intended purposes; the
- 10 intention is to ensure in each case the finest possible distribution of the active compounds according to the invention.

- Aqueous use forms can be prepared from emulsion concentrates, pastes or wettable powders (sprayable powders, oil dispersions) by adding water. To prepare emulsions,
- 15 pastes or oil dispersions, the substances, as such or dissolved in an oil or solvent, can be homogenized in water by means of a wetter, tackifier, dispersant or emulsifier. Alternatively, it is possible to prepare concentrates composed of active substance, wetter, tackifier, dispersant or emulsifier and, if appropriate, solvent or oil, and such concentrates are suitable for dilution with water.

- 20 The active compound concentrations in the ready-to-use preparations can be varied within relatively wide ranges. In general, they are from 0.0001 to 10%, preferably from 0.01 to 1%.

- 25 The active compounds may also be used successfully in the ultra-low-volume process (ULV), by which it is possible to apply formulations comprising over 95% by weight of active compound, or even to apply the active compound without additives.

- Various types of oils, wetters, adjuvants, herbicides, fungicides, other pesticides, or
- 30 bactericides may be added to the active compounds, if appropriate not until immediately prior to use (tank mix). These agents can be admixed with the agents according to the invention in a weight ratio of 1:10 to 10:1.

- The compositions according to the invention can, in the use form as fungicides, also be
- 35 present together with other active compounds, e.g. with herbicides, insecticides, growth regulators, fungicides or else with fertilizers. Mixing the compounds I or the compositions comprising them in the use form as fungicides with other fungicides results in many cases in an expansion of the fungicidal spectrum of activity being obtained.

- 40 The following list of fungicides, in conjunction with which the compounds according to the invention can be used, is intended to illustrate the possible combinations but does not limit them:

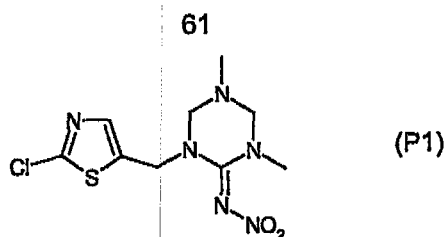
109

- acylalanines, such as benalaxyl, metalaxyl, ofurace or oxadixyl,
- amine derivatives, such as aldimorph, dodine, dodemorph, fenpropimorph, fenpropidin, guazatine, iminoctadine, spiroxamine or tridemorph,
- anilinopyrimidines, such as pyrimethanil, mepanipyrim or cyprodinil,
- 5 • antibiotics, such as cycloheximide, griseofulvin, kasugamycin, natamycin, polyoxin or streptomycin,
- azoles, such as bitertanol, bromoconazole, cyproconazole, difenoconazole, dinitroconazole, enilconazole, epoxiconazole, fenbuconazole, fluquinconazole, flusilazole, flutriafol, hexaconazole, imazalil, ipconazole, metconazole, myclobutanil, penconazole, propiconazole, prochloraz, prothioconazole, simeconazole, tebuconazole,
- 10 • tetraconazole, triadimefon, triadimenol, triflumizole or triticonazole,
- dicarboximides, such as iprodione, myclozolin, procymidone or vinclozolin,
- dithiocarbamates, such as ferbam, nabam, maneb, mancozeb, metam, metiram, propineb, polycarbamate, thiram, ziram or zineb,
- 15 • heterocyclic compounds, such as anilazine, benomyl, boscalid, carbendazim, carboxin, oxycarboxin, cyazofamid, dazomet, dithianon, famoxadone, fenamidone, fenarimol, fuberidazole, flutolanil, furametpyr, isoprothiolane, mepronil, nuarimol, picobenzamide, probenazole, proquinazid, pyrifenoxy, pyroquillon, quinoxifen, silthiofam, thiabendazole, thifluzamide, thiophanate-methyl, tiadinil, tricyclazole or triforine,
- 20 • copper fungicides, such as Bordeaux mixture, copper acetate, copper oxychloride or basic copper sulfate,
- nitrophenyl derivatives, such as binapacryl, dinocap, dinobuton or nitrophthalisopropyl,
- 25 • phenylpyrroles, such as fenpiclonil or fludioxonil,
- sulfur,
- other fungicides, such as acibenzolar-S-methyl, benthiavalicarb, carpropamid, chlorothalonil, cyflufenamid, cymoxanil, diclomezine, diclocymet, diethofencarb, edifenphos, ethaboxam, fenhexamid, fentin acetate, fenoxanil, ferimzone, fluazinam, fosetyl, fosetyl-aluminum, phosphorous acid, iprovalicarb, hexachlorobenzene, metrafenone, pencycuron, penthiopyrad, propamocarb, phthalide, toloclofosmethyl, quintozone or zoxamide,
- 30 • strobilurins, such as azoxystrobin, dimoxystrobin, enestroburin, fluoxastrobin, kresoxim-methyl, metominostrobin, orysastrobin, picoxystrobin, pyraclostrobin or trifloxystrobin,
- 35 • sulfenic acid derivatives, such as captan, dichlofluanid, folpet or tolylfluanid,
- cinnamides and analogous compounds, such as dimethomorph, flumetover or flumorph.
- 40

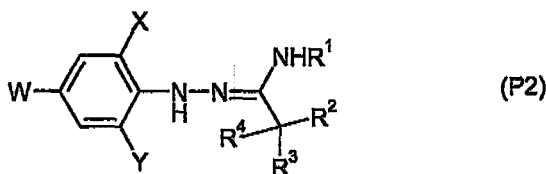
The insecticidal compositions of this invention may also contain other active ingredients, for example other pesticides such as insecticides and herbicides, fertilizers

~~110~~
110

- such as ammonium nitrate, urea, potash, and superphosphate, phytotoxicants and plant growth regulators, safeners and nematicides. These additional ingredients may be used sequentially or in combination with the above-described compositions, if appropriate also added only immediately prior to use (tank mix). For example, the
- 5 plant(s) may be sprayed with a composition of this invention either before or after being treated with other active ingredients.
- These agents usually are admixed with the agents according to the invention in a weight ratio of 1:100 to 100:1.
- 10 The following list of pesticides together with which the compounds according to the invention can be used, is intended to illustrate the possible combinations, but not to impose any limitation:
- A.1. Organo(thio)phosphates: e.g. acephate, azamethiphos, azinphos-methyl, chlorpyrifos, chlorpyrifos-methyl, chlorfenvinphos, diazinon, dichlorvos, dicrotophos, dimethoate, disulfoton, ethion, fenitrothion, fenthion, isoxathion, malathion, methamidophos, methidathion, methyl-parathion, mevinphos, monocrotophos, oxydemeton-methyl, paraoxon, parathion, phenthoate, phosalone, phosmet, phosphamidon, phorate, phoxim, pirimiphos-methyl, profenofos, prothiofos, sulprophos, tetrachlorvinphos,
- 15 20 terbufos, triazophos, trichlorfon;
- A.2. Carbamates: e.g. alanycarb, aldicarb, bendiocarb, benfuracarb, carbaryl, carbofuran, carbosulfan, fenoxycarb, furathiocarb, methiocarb, methomyl, oxamyl, pirimicarb, propoxur, thiodicarb, triazamate;
- 25 A.3. Pyrethroids: e.g. allethrin, bifenthrin, cyfluthrin, cyhalothrin, cyphenothrin, cypermethrin, alpha-cypermethrin, beta-cypermethrin, zeta-cypermethrin, deltamethrin, esfenvalerate, etofenprox, fenpropathrin, fenvalerate, imiprothrin, lambda-cyhalothrin, permethrin, prallethrin, pyrethrin I and II, resmethrin, silafluofen, tau-fluvalinate, tefluthrin, tetramethrin, tralomethrin, transfluthrin, profluthrin, dimefluthrin;
- 30 A.4. Growth regulators: a) chitin synthesis inhibitors: e.g. benzoylureas: chlorfluazuron, diflubenzuron, flucycloxuron, flufenoxuron, hexaflumuron, lufenuron, novaluron, te-flubenzuron, triflumuron; buprofezin, diofenolan, hexythiazox, etoxazole, clofentazine;
- 35 b) ecdysone antagonists: e.g. halofenozide, methoxyfenozide, tebufenozide, azadirachtin; c) juvenoids: e.g. pyriproxyfen, methoprene, fenoxycarb; d) lipid biosynthesis inhibitors: e.g. spirotetramat, spiromesifen or spirotetramat;
- A.5. Nicotinic receptor agonists/antagonists compounds (nicotinoid insecticides or
- 40 neonicotinoids): e.g. clothianidin, dinotefuran, imidacloprid, thiamethoxam, nitenpyram, acetamiprid, thiacloprid or the thiazol compound of formula P1

28
111

- A.6. GABA antagonist compounds: e.g. acetoprole, endosulfan, ethiprole, fipronil, vaniliprole, pyrafluprole, pyriprole, 5-amino-3-(aminothiobonyl)-1-(2,6-dichloro-4-trifluoromethylphenyl)-4-(trifluoromethylsulfinyl)-pyrazole;
- 5 A.7. Macrocyclic lactone insecticides: abamectin, emamectin, milbemectin, lepimectin, spinosad,
- 10 A.8. Mitochondrial complex I electron transport inhibitors (METI I compounds): e.g. fenazaquin, pyridaben, tebufenpyrad, tolfenpyrad, flufenimer;
- A.9. Mitochondrial complex II and/or complex III electron transport inhibitors (METI II and III compounds): e.g. acequinocyl, fluacyprim, hydramethylnon;
- 15 A.10. Uncoupler compounds: e.g. chlorfenapyr;
- A.11. Oxidative phosphorylation inhibitor compounds: cyhexatin, diafenthiuron, fenbutatin oxide, propargite;
- 20 A.12. Moulting disruptor compounds: e.g. cyromazine;
- A.13. Mixed function oxidase inhibitor compounds: e.g. piperonyl butoxide;
- A.14. Sodium channel blocker compounds: e.g. indoxacarb, metaflumizone,
- 25 A.15. Various: benclonthiaz, bifentazate, cartap, flonicamid, pyridalyl, pymetrozine, sulfur, thiocyclam, flubendiamide, cyenopyrafen, flupyrazofos, cyflumetofen, amidoflumet, compounds of the formula P2:

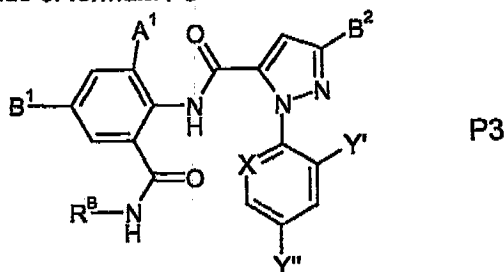


- 30 wherein X and Y are each independently halogen, in particular chlorine;
W is halogen or C₁-C₂-haloalkyl, in particular trifluoromethyl;
R¹ is C₁-C₆-alkyl, C₂-C₆-alkenyl, C₂-C₆-alkynyl, C₁-C₄-alkoxy-C₁-C₄-alkyl or C₃-C₆-cycloalkyl each of which may be substituted with 1, 2, 3, 4 or 5 halogen atoms; in particular R¹ is methyl or ethyl;

R^2 and R^3 are C_1 - C_6 -alkyl, in particular methyl, or may form together with the adjacent carbon atom a C_3 - C_6 -cycloalkyl moiety, in particular a cyclopropyl moiety, which may carry 1, 2 or 3 halogen atoms, examples including 2,2-dichlorocyclopropyl and 2,2-dibromocyclopropyl; and

5 R^4 is hydrogen or C_1 - C_6 -alkyl, in particular hydrogen methyl or ethyl;

anthranilamide compounds of formula P3



10 wherein A^1 is CH_3 , Cl, Br, I, X is C-H, C-Cl, C-F or N, Y' is F, Cl, or Br, Y'' is F, Cl, CF_3 , B^1 is hydrogen, Cl, Br, I, CN, B^2 is Cl, Br, CF_3 , OCH_2CF_3 , OCF_2H , and R^B is hydrogen, CH_3 or $CH(CH_3)_2$;

and malononitrile compounds as described in JP 2002 284608, WO 02/89579, WO 02/90320, WO 02/90321, WO 04/06677, WO 04/20399, or JP 2004/99597.

15

Suitable pesticides compounds also include microorganisms such as *Bacillus thuringiensis*, *Bacillus tenebrionis* and *Bacillus subtilis*.

20 The aforementioned compositions are particularly useful for protecting plants against infestation of said pests and also for protecting plants against infections of phytopathogenic fungi or to combat these pests/fungi in infested/infected plants.

25 However, the compounds of formula I are also suitable for the treatment of seeds. Application to the seeds is carried out before sowing, either directly on the seeds or after having pregerminated the latter.

Compositions which are useful for seed treatment are e.g.:

- A Soluble concentrates (SL, LS)
- D Emulsions (EW, EO, ES)
- 30 E Suspensions (SC, OD, FS)
- F Water-dispersible granules and water-soluble granules (WG, SG)
- G Water-dispersible powders and water-soluble powders (WP, SP, WS)
- H Dustable powders (DP, DS)

35 Preferred FS formulations of compounds of formula I for seed treatment usually comprise from 0.5 to 80% of the active ingredient, from 0.05 to 5 % of a wetter, from 0.5 to

113
43

15 % of a dispersing agent, from 0,1 to 5 % of a thickener, from 5 to 20 % of an anti-freeze agent, from 0,1 to 2 % of an anti-foam agent, from 1 to 20 % of a pigment and/or a dye, from 0 to 15 % of a sticker /adhesion agent, from 0 to 75 % of a filler/vehicle, and from 0,01 to 1 % of a preservative.

5

Suitable pigments or dyes for seed treatment formulations are pigment blue 15:4, pigment blue 15:3, pigment blue 15:2, pigment blue 15:1, pigment blue 80, pigment yellow 1, pigment yellow 13, pigment red 112, pigment red 48:2, pigment red 48:1, pigment red 57:1, pigment red 53:1, pigment orange 43, pigment orange 34, pigment orange 5, pigment green 36, pigment green 7, pigment white 6, pigment brown 25, basic violet 10, basic violet 49, acid red 51, acid red 52, acid red 14, acid blue 9, acid yellow 23, basic red 10, basic red 108.

15 Stickers / adhesion agents are added to improve the adhesion of the active materials on the seeds after treatment. Suitable adhesives are block copolymers EO/PO surfactants but also polyvinylalcohols, polyvinylpyrrolidones, polyacrylates, polymethacrylates, polybutenes, polyisobutylenes, polystyrene, polyethyleneamines, polyethyleneamides, polyethyleneimines (Lupasol®, Polymin®), polyethers and copolymers derived from these polymers.

20

For use against ants, termites, wasps, flies, mosquitos, crickets, or cockroaches, compounds of formula I are preferably used in a bait composition.

25 The bait can be a liquid, a solid or a semisolid preparation (e.g. a gel). Solid baits can be formed into various shapes and forms suitable to the respective application e.g. granules, blocks, sticks, disks. Liquid baits can be filled into various devices to ensure proper application, e.g. open containers, spray devices, droplet sources, or evaporation sources. Gels can be based on aqueous or oily matrices and can be formulated to particular necessities in terms of stickyness, moisture retention or aging characteristics.

30

The bait employed in the composition is a product which is sufficiently attractive to incite insects such as ants, termites, wasps, flies, mosquitos, crickets etc. or cockroaches to eat it. The attractiveness can be manipulated by using feeding stimulants or sex pheromones. Food stimulants are chosen, for example, but not exclusively, from animal and/or plant proteins (meat-, fish- or blood meal, insect parts, egg yolk), from fats and oils of animal and/or plant origin, or mono-, oligo- or polyorganosaccharides, especially from sucrose, lactose, fructose, dextrose, glucose, starch, pectin or even molasses or honey. Fresh or decaying parts of fruits, crops, plants, animals, insects or specific parts thereof can also serve as a feeding stimulant. Sex pheromones are known to be more insect specific. Specific pheromones are described in the literature and are known to those skilled in the art.

40

Formulations of compounds of formula I as aerosols (e.g. in spray cans), oil sprays or pump sprays are highly suitable for the non-professional user for controlling pests such as flies, fleas, ticks, mosquitos or cockroaches. Aerosol recipes are preferably composed of the active compound, solvents such as lower alcohols (e.g. methanol, ethanol, propanol, butanol), ketones (e.g. acetone, methyl ethyl ketone), paraffin hydrocarbons (e.g. kerosenes) having boiling ranges of approximately 50 to 250 °C, dimethylformamide, N-methylpyrrolidone, dimethyl sulfoxide, aromatic hydrocarbons such as toluene, xylene, water, furthermore auxiliaries such as emulsifiers such as sorbitol monooleate, oleyl ethoxylate having 3-7 mol of ethylene oxide, fatty alcohol ethoxylate, perfume oils such as ethereal oils, esters of medium fatty acids with lower alcohols, aromatic carbonyl compounds, if appropriate stabilizers such as sodium benzoate, amphoteric surfactants, lower epoxides, triethyl orthoformate and, if required, propellants such as propane, butane, nitrogen, compressed air, dimethyl ether, carbon dioxide, nitrous oxide, or mixtures of these gases.

The oil spray formulations differ from the aerosol recipes in that no propellants are used.

The compounds of formula I and its respective compositions can also be used in mosquito and fumigating coils, smoke cartridges, vaporizer plates or long-term vaporizers and also in moth papers, moth pads or other heat-independent vaporizer systems.

The compounds of formula I and its compositions can be used for protecting non-living material, in particular cellulose-based materials such as wooden materials e.g. trees, board fences, sleepers, etc. and buildings such as houses, outhouses, factories, but also construction materials, furniture, leathers, fibers, vinyl articles, electric wires and cables etc. from ants and/or termites, and for controlling ants and termites from doing harm to crops or human being (e.g. when the pests invade into houses and public facilities). The compounds of formula I are applied not only to the surrounding soil surface or into the under-floor soil in order to protect wooden materials but it can also be applied to lumbered articles such as surfaces of the under-floor concrete, alcove posts, beams, plywoods, furniture, etc., wooden articles such as particle boards, half boards, etc. and vinyl articles such as coated electric wires, vinyl sheets, heat insulating material such as styrene foams, etc. In case of application against ants doing harm to crops or human beings, the ant controller of the present invention is applied to the crops or the surrounding soil, or is directly applied to the nest of ants or the like.

In the methods according to the invention the pests are controlled by contacting the target parasite/pest, its food supply, habitat, breeding ground or its locus with a pesticidally effective amount of at least one compounds I, or the N-oxide or salt thereof, or with a composition, containing a pesticidally effective amount of at least one compound I, or the N-oxide or salt thereof.

245
115

"Locus" means a habitat, breeding ground, plant, seed, soil, area, material or environment in which a pest or parasite is growing or may grow.

- 5 In general, "pesticidally effective amount" means the amount of active ingredient needed to achieve an observable effect on growth, including the effects of necrosis, death, retardation, prevention, and removal, destruction, or otherwise diminishing the occurrence and activity of the target organism. The pesticidally effective amount can vary for the various compounds/compositions used in the invention. A pesticidally effective amount of the compositions will also vary according to the prevailing conditions
- 10 such as desired pesticidal effect and duration, weather, target species, locus, mode of application, and the like.

- 15 The compounds of the invention can also be applied preventively to places at which occurrence of the pests is expected.

- 20 The compounds of formula I may be also used to protect growing plants from attack or infestation by pests by contacting the plant with a pesticidally effective amount of compounds of formula I. As such, "contacting" includes both direct contact (applying the compounds/compositions directly on the pest and/or plant - typically to the foliage, stem or roots of the plant) and indirect contact (applying the compounds/compositions to the locus of the pest and/or plant).

- 25 The compounds I are employed by treating the fungi, pests or the plants, seeds, materials or the soil to be protected from fungal attack or pesticidal attack with a fungicidally or pesticidally effective amount of at least one active compound I, its N-oxide or salt. The application can be carried out both before and after the infection/infestation of the materials, plants or seeds by the fungi or pest.

- 30 When employed in plant protection, the amounts applied are, depending on the kind of effect desired, in the range of 0.1 g to 4000 g per hectare, desirably from 25 g to 600 g per hectare, more desirably from 50 g to 500 g per hectare.

- 35 In the treatment of seed, the application rates of the active compounds are generally from 0.001 g to 100 g per kg of seed, preferably from 0.01 g to 50 g per kg of seed, in particular from 0.01 g to 2 g per kg of seed.

- 40 In the case of soil treatment or of application to the pests dwelling place or nest, the quantity of active ingredient ranges from 0.0001 to 500 g per 100 m², preferably from 0.001 to 20 g per 100 m².

77b
116

Customary application rates in the protection of materials are, for example, from 0.01 g to 1000 g of active compound per m² treated material, desirably from 0.1 g to 50 g per m².

- 5 Insecticidal compositions for use in the impregnation of materials typically contain from 0.001 to 95 weight %, preferably from 0.1 to 45 weight %, and more preferably from 1 to 25 weight % of at least one repellent and / or insecticide.

- 10 For use in bait compositions, the typical content of active ingredient is from 0.001 weight % to 15 weight %, desirably from 0.001 weight % to 5% weight % of active compound.

- 15 For use in spray compositions, the content of active ingredient is from 0.001 to 80 weights %, preferably from 0.01 to 50 weight % and most preferably from 0.01 to 15 weight %.

- 20 When used in the protection of materials or stored products, the amount of active compound applied depends on the kind of application area and on the desired effect. Amounts customarily applied in the protection of materials are, for example, 0.001 g to 2 kg, preferably 0.005 g to 1 kg, of active compound per cubic meter of treated material.

Under outdoor conditions, the active compound application rate for controlling pests is from 0.1 to 2.0, preferably from 0.2 to 1.0, kg/ha.

- 25 Various types of oils, wetters, adjuvants, herbicides, fungicides, other pesticides, or bactericides may be added to the active compounds, if appropriate not until immediately prior to use (tank mix). These agents can be admixed with the agents according to the invention in a weight ratio of 1:100 to 100:1, preferably 1:10 to 10:1.

- 30 Adjuvants which can be used are in particular organic modified polysiloxanes such as Break Thru S 240®; alcohol alkoxylates such as Atplus 245®, Atplus MBA 1303®, Plurafac LF 300® and Lutensol ON 30®; EO/PO block polymers, z. B. Pluronic RPE 2035® and Genapol B®; alcohol ethoxylates such as Lutensol XP 80®; and dioctyl sulfosuccinate sodium such as Leophen RA®.

- 35 Compounds of formula I, their N-oxides and veterinarily acceptable salts as well as the compositions comprising them can also be used for controlling and preventing infestations and infections in animals including warm-blooded animals (including humans) and fish. They are for example suitable for controlling and preventing infestations and infections in mammals such as cattle, sheep, swine, camels, deer, horses, pigs, poultry, rabbits, goats, dogs and cats, water buffalo, donkeys, fallow deer and reindeer, and also in fur-bearing animals such as mink, chinchilla and raccoon, birds such as hens,
- 40

117
117

geese, turkeys and ducks and fish such as fresh- and salt-water fish such as trout, carp and eels.

- 5 Infestations in warm-blooded animals and fish include, but are not limited to, lice, biting lice, ticks, nasal bots, keds, biting flies, muscoid flies, flies, myiasitic fly larvae, chiggers, gnats, mosquitoes and fleas.

- 10 The compounds of formula I and compositions comprising them are suitable for systemic and/or non-systemic control of ecto- and/or endoparasites. They are active against all or some stages of development.

Administration can be carried out both prophylactically and therapeutically. Administration of the active compounds is carried out directly or in the form of suitable preparations, orally, topically/dermally or parenterally.

- 15 For oral administration to warm-blooded animals, the formula I compounds may be formulated as animal feeds, animal feed premixes, animal feed concentrates, pills, solutions, pastes, suspensions, drenches, gels, tablets, boluses and capsules. In addition, the formula I compounds may be administered to the animals in their drinking water. For oral administration, the dosage form chosen should provide the animal with 20 0.01 mg/kg to 100 mg/kg of animal body weight per day of the formula I compound, preferably with 0.5 mg/kg to 100 mg/kg of animal body weight per day.

- 25 Alternatively, the formula I compounds, their N-oxides and salts may be administered to animals parenterally, for example, by intraruminal, intramuscular, intravenous or subcutaneous injection. The formula I compounds may be dispersed or dissolved in a physiologically acceptable carrier for subcutaneous injection. Alternatively, the formula I compounds may be formulated into an implant for subcutaneous administration. In addition the formula I compound may be transdermally administered to animals. For 30 parenteral administration, the dosage form chosen should provide the animal with 0.01 mg/kg to 100 mg/kg of animal body weight per day of the formula I compound.

- 35 The formula I compounds may also be applied topically to the animals in the form of dips, dusts, powders, collars, medallions, sprays, shampoos, spot-on and pour-on formulations and in ointments or oil-in-water or water-in-oil emulsions. For topical application, dips and sprays usually contain 0.5 ppm to 5,000 ppm and preferably 1 ppm to 3,000 ppm of the formula I compound. In addition, the formula I compounds may be formulated as ear tags for animals, particularly quadrupeds such as cattle and sheep.

- 40 Suitable preparations are:
- Solutions such as oral solutions, concentrates for oral administration after dilution, solutions for use on the skin or in body cavities, pouring-on formulations, gels;

- 118
118
- Emulsions and suspensions for oral or dermal administration; semi-solid preparations;
 - Formulations in which the active compound is processed in an ointment base or in an oil-in-water or water-in-oil emulsion base;
 - 5 - Solid preparations such as powders, premixes or concentrates, granules, pellets, tablets, boluses, capsules; aerosols and inhalants, and active compound-containing shaped articles.

10 Generally it is favorable to apply solid formulations which release compounds of formula I in total amounts of 10 mg/kg to 300 mg/kg, preferably 20 mg/kg to 200 mg/kg. The active compounds can also be used as a mixture with synergists or with other active compounds which act against pathogenic endo- and ectoparasites.

15 In general, the compounds of formula I are applied in parasitically effective amount - meaning the amount of active ingredient needed to achieve an observable effect on growth, including the effects of necrosis, death, retardation, prevention, and removal, destruction, or otherwise diminishing the occurrence and activity of the target organism. The parasitically effective amount can vary for the various compounds/compositions used in the invention. A parasitically effective amount of the compositions will also
20 vary according to the prevailing conditions such as desired parasitidal effect and duration, target species, mode of application, and the like.

Synthesis examples

- 25 The procedures described in the synthesis examples below were used to prepare further compounds I by appropriate modification of the starting compounds. The compounds thus obtained are listed in the tables below, together with physical data.

Example 1: Preparation of N-(pyridin-4-ylmethyl)-4'-cyanobiphenyl-4-sulfonamide

30 0.73 g of triethylamine was added to a solution of 2 g of 4'-cyanobiphenyl-4-sulfonyl chloride (cf. EP-A 483 667) in 80 ml of diethyl ether, and this was followed by addition of a solution of 0.78 g of 4-(aminomethyl)pyridine in 15 ml of dioxane. After 18 hours of stirring at about 23°C, the solvent was distilled off and the residue was chromatographed on silica gel (methyl tert.-butyl ether[MtBE]/methanol mixture). This gave 0.9 g of
35 the title compound of m.p. 198-202°C.

Example 2: Preparation of N-(pyridin-4-ylmethyl)-2'-chlorobiphenyl-4-sulfonamide

- 40 2a: 4-Iodo-N-pyridin-4-ylmethylphenylsulfonamide

119
119

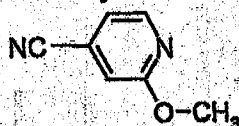
At 0-5°C, 7 ml of pyridine were added to a solution of 50 g of 4-iodophenylsulfonyl chloride in 400 ml of diethyl ether, and this was followed by dropwise addition of a solution of 17.8 g of 4-(aminomethyl)pyridine in 20 ml of dioxane. After 18 hours of stirring at 23°C, the precipitate was filtered off, washed with diluted NaHCO₃ solution and then dried. 29.9 g of the title compound of m.p. 174-176°C remained.

2b: N-(pyridin-4-ylmethyl)-2'-chlorobiphenyl-4-sulfonamide

4.2 g of 2-chlorophenylboronic acid and then a solution of 7.1 g of Na₂CO₃ in 100 ml of water were added to a solution of 5 g of the sulfonamide from Ex. 2a in 200 ml of tetrahydrofuran (THF). After addition of 1 g of palladium acetate and about 100 mg of Pd[P(phenyl)]₃, the mixture was heated under reflux for 5 hours and then added to water. After extraction with methyl-tert.-butyl ether, the organic phases were washed with water and then dried, and the solvent was removed. The residue gave, after chromatography on silica gel (methyl-tert.-butyl ether/methanol mixture), 3.8 g of the title compound of m.p. 138-141°C.

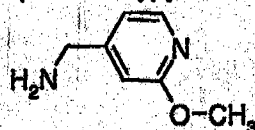
Example 3: Preparation of 4'-cyanobiphenyl-4-(sulfonic acid)-(2-methoxypyridin-4-ylmethyl)amide

3a: 2-methoxy-isonicotinonitrile



At 5-10°C, a solution of 60 g (0.433 mol) 2-chloro-isonicotinonitrile in 200 ml dimethylformamide was added dropwise to 25 g (0.46 mol) solid sodium methoxide in 100 ml dimethylformamide. Subsequently, the mixture was stirred for 2 hours at 5°C and then for 12 hours at 23°C. The reaction mixture was poured onto water and then extracted with methyl tert.-butyl ether. The combined organic phases were washed with water and dried. The solvent was removed at reduced pressure. Yield: 47.5 g useful product. ¹H-NMR (in CDCl₃): δ [ppm] = 4.0 (s), 6.9 (s), 7.9 (m) and 8.3 (m).

3b: C-(2-methoxypyridin-4-yl)methylamine

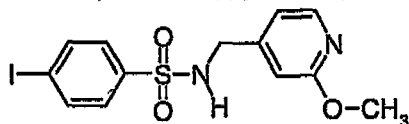


A solution of 57.5 g (0.42 mol) 2-methoxy-isonicotinonitrile from 3a in 1,000 ml ethanol was treated with 500 ml 25 % aqueous ammonia solution. Hydrogenation was then carried out for 7 hours in the presence of 14 g Pd/C (10 %) at 0-5°C and a pressure of 0.1 bar. After filtration and removal of solvent the residue was taken up in methylene dichloride. It was then washed once with water, dried and the solvent was removed at

reduced pressure. The residue was chromatographed with methyl tert.-butyl ether over silica gel. Yield: 17 g useful product in the form of an oil.

$^1\text{H-NMR}$ (in CDCl_3): δ [ppm] = 3.7 (s), 3.9 (s), 6.7 (s), 6.8 (m) and 8.1 (m).

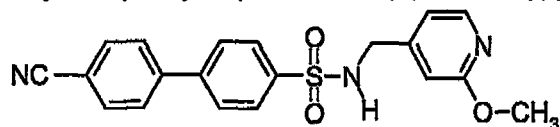
5 3c: 4-Iodo-N-(2-methoxypyridin-4-ylmethyl)benzenesulfonamide



40 g (0.29 mol) C-(2-methoxypyridin-4-yl)methylamine from Example 3b in 150 ml acetonitrile were mixed at 0-5°C with 29.4 g (0.29 mol) triethylamine. A solution of 79.7 g (0.263 mol) 4-iodophenylsulfonyl chloride in 300 ml acetonitrile was added dropwise to this and the mixture was stirred for 18 hours at 23°C. The mixture was then filtered and the filtrate evaporated. The residue was mixed with water and stirred for four hours. The product obtained was filtered off after which it was washed with a little methylene dichloride and dried. Yield: 43 g useful product.

15 $^1\text{H-NMR}$ (in d^6 -dimethylsulfoxide): δ [ppm] = 3.8 (s), 4.0 (s), 7.5 (m), 7.9 (m) and 8.4 (m).

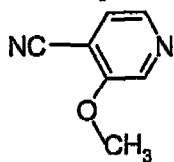
3d: 4'-Cyanobiphenyl-4-(sulfonic acid)-(2-methoxypyridin-4-ylmethyl)amide



20 A solution of 25 g (0.061 mol) 4-Iodo-N-(2-methoxypyridin-4-ylmethyl)benzenesulfonamide from Example 3c in 300 ml tetrahydrofuran was mixed with 18.18 g (0.122 mol) 4-cyanophenylboronic acid and then with 32.8 g (0.30 mol) sodium carbonate in 130 ml water. After adding 0.6 g [1,4 -bis-(diphenylphosphino)-butane]-palladium(II) chloride the mixture was refluxed for 2 hours and then added to water. Following extraction with methyl tert.-butyl ether the organic phases were washed with water, then dried and freed of solvent. The residue was stirred with a small quantity of methylene dichloride, separated off and dried. Yield: 20.4 g useful product; m.p.: 120-125°C.

30 Example 4: Preparation of 4'-Chlorobiphenyl-4-(sulfonic acid)-(3-methoxypyridin-4-ylmethyl)amide

4a: 3-methoxy-isonicotinonitrile

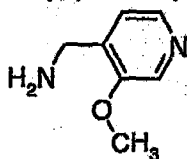


f2t
121

At 5°C, a solution of 62.8 g (0.453 mol) 3-chloro-isonicotinonitrile (for preparation see J. Heterocyclic Chem. 15, 683 (1978)) in 200 ml dimethylformamide was added dropwise to 26.3 g (0.48 mol) solid sodium methoxide in 100 ml dimethylformamide. Subsequently, the mixture was stirred for 2 hours at 5 °C and then for 18 hours at 23°C. The reaction solution was poured onto water and then extracted with methyl tert.-butyl ether. The combined organic phases were washed with water, dried and the solvent was removed at reduced pressure. Yield: 39.5 g useful product.

¹H-NMR (in CDCl₃): δ [ppm] = 4.0 (s), 7.5 (m), 8.4 (m) and 8.5 (s).

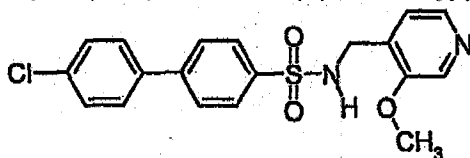
10 4b: C-(3-methoxypyridin-4-yl)methylamine



A solution of 34 g (0.254 mol) 3-methoxy-isonicotinonitrile from Example 4a in 1,000 ml ethanol was treated with 336 ml 25 % aqueous ammonia solution after which hydrogenation was carried out for 6.5 hours in the presence of 18 g Pd/C (10 %) at 0-5°C and a pressure of 0.1 bar. The solids were then filtered off. The filtrate was evaporated. The residue was taken up in methylene dichloride. The organic phase was washed once with water, then dried and the solvent was finally removed at reduced pressure. After chromatography over silica gel using methyl tert.-butyl ether as solvent 26.9 g useful product in the form of oil was obtained.

15 ¹H-NMR (in CDCl₃): δ [ppm] = 3.7 (s), 3.9 (s), 7.2 (m) and 8.3 (m).

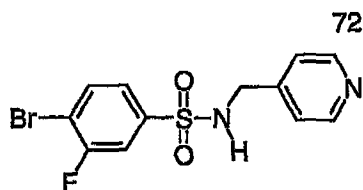
4c: 4'-Chlorobiphenyl-4-(sulfonic acid)-(3-methoxypyridin-4-ylmethyl)amide



8 g (0.059 mol) C-(3-methoxypyridin-4-yl)methylamine from Example 4b in 100 ml acetonitrile were mixed at 0-5°C with 5.9 g (0.057 mol) triethylamine. A solution of 15.15 g (0.052 mol) 4'-chlorobiphenyl-4-sulfonyl chloride in 100 ml acetonitrile was added dropwise to this. The reaction mixture was then stirred for a further 18 hours at 23°C after which the solids were filtered off. The filtrate was evaporated. The residue was stirred for 7 hours with water/n-pentane. The solid portion was then separated off, washed with a little methyl tert.-butyl ether and dried. Yield: 14.3 g useful product; m.p.: 127-131°C.

Example 5: Preparation of 2,2,4'-trifluorobiphenyl-4-(sulfonic acid)-(pyridin-4-ylmethyl)-amide

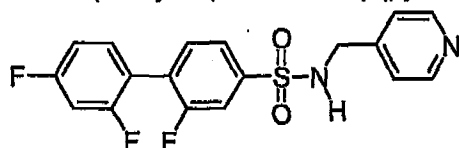
5a: 4-Bromo-3-fluoro-N-pyridin-4-ylmethylbenzenesulfonamide

128
122

2.17 g (0.020 mol) picolinamine in 80 ml acetonitrile were mixed at 0-5 °C with 2 g (0.020 mol) triethylamine. A solution of 15.15 g (0.052 mol) 4-bromo-3-fluoro-phenyl-sulfonyl chloride in 20 ml acetonitrile was added dropwise to this. Subsequently, the reaction mixture was stirred for a further 18 hours at 23°C and then evaporated. The residue was mixed with water. After stirring for 3 hours the solid portion was separated off, washed with n-pentane and dried. Yield: 6.1 g useful product.

¹H-NMR (in d⁶-dimethylsulfoxide): δ [ppm] = 4.1 (s), 7.2 (s), 7.6 (m), 7.7 (m), 7.9 (m), 8.5 (m) and 8.6 (m).

5b: 2,2,4'-Trifluorobiphenyl-4-(sulfonic acid)-(pyridin-4-ylmethyl)amide

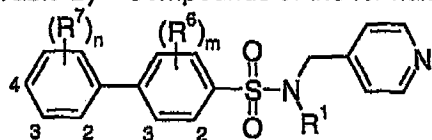


A solution of 0.5 g (1.4 mmol) 4-bromo-3-fluoro-N-pyridin-4-ylmethylbenzenesulfonamide from Example 5a in 80 ml tetrahydrofuran was mixed with 0.46 g (2.9 mmol) 2,4-difluorophenylboronic acid and then with 0.78 g (7.2 mmol) sodium carbonate in 30 ml water. After adding 0.1 g [1,4-bis-(diphenylphosphino)butane]-palladium(II) chloride the mixture was refluxed for 5 hours and then added to water. Following extraction with methyl tert.-butyl ether, the organic phase was washed with water, then dried and freed of solvent. The residue was chromatographed with methyl tert.-butyl ether over silica gel. Yield: 90 mg useful product.

¹H-NMR (in d⁶-dimethylsulfoxide): δ [ppm] = 4.2 (s), 7.2 (m), 7.4-7.7 (m), 8.4 (m) and 8.6 (m).

Further biphenylsulfonamides I, which have been prepared or can be prepared in a way similar to the procedures described in Examples 1 to 5, are listed in the following tables B) and C):

Table B) – Compounds of the formula I'



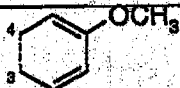
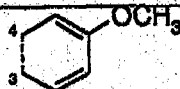
I' (= I whereas R², R³, R⁴, R⁵ are hydrogen)

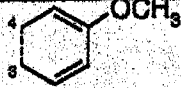
No.	(R ⁷) _n	(R ⁶) _m	R ¹	Phys. data (m.p. [°C]; MS M+H ⁺ [m/e])
I'-1	4-Cl	-	H	208-212
I'-2	4-F	-	H	195-198

228
123

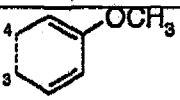
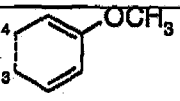
No.	(R ⁷) _n	(R ⁶) _m	R ¹	Phys. data (m.p. [°C]; MS M+H ⁺ [m/e])
I'-3	4-CF ₃	-	H	196-200
I'-4	2-CH ₃	-	H	175-178
I'-5	4-OCH ₃	-	H	200-203
I'-6	-	2-CF ₃	H	393.0
I'-7	3-Cl, 4-F	-	H	225-230
I'-8	3-CH ₃ , 4-F	-	H	178-180
I'-9	4-F	2-CF ₃	H	168-172
I'-10	2-F, 4-CH ₃	-	H	187-188
I'-11	4-CN	-	H	198-201
I'-12	2-Cl	-	H	132-137
I'-13	4-CH ₃	-	H	217-218
I'-14	-	3-CF ₃	H	393.25
I'-15	-	2-Cl	H	358.8
I'-16	2-OCH ₃	2-Cl	H	3888
I'-17	4-SCH ₃	2-Cl	H	404.8
I'-18	3-NO ₂ , 4-CH ₃	2-Cl	H	417.8
I'-19	4-F	2-Cl	H	376.8
I'-20	3,5-Cl ₂	2-Cl	H	428.7
I'-21	-	3-Cl	H	338.9
I'-22	2-OCH ₃	3-CH ₃	H	368.9
I'-23	4-SCH ₃	3-CH ₃	H	384.9
I'-24	4-F	3-CH ₃	H	356.9
I'-25	3,5-Cl ₂	3-CH ₃	H	406.8
I'-26	4-CH ₃	3-CF ₃	H	407.2
I'-27	4-CF ₃	3-CF ₃	H	461.2
I'-28	3-Cl, 4-F	3-CF ₃	H	445.2
I'-29	3-NHC(O)CH ₃	2-Cl	H	416.25
I'-30	4-CH ₃	2-Cl	H	373.2
I'-31	3-Cl, 4-F	2-Cl	H	411.25
I'-32	4-CH ₃	3-CH ₃	H	353.3
I'-33	3,5-(CF ₃) ₂	3-CH ₃	H	475.3
I'-34	4-CF ₃	3-CH ₃	H	407.3
I'-35	3-Cl, 4-F	3-CH ₃	H	391.2
I'-36	4-Cl	3-CF ₃	H	427.0
I'-37	4-Br	3-CF ₃	H	472.9
I'-38	2-CH ₃	3-CF ₃	H	407.0
I'-39	3-NO ₂	3-CF ₃	H	438.0
I'-40	2,4-Cl ₂	3-CF ₃	H	460.9
I'-41	4-Cl	2-Cl	H	393.0

124
124

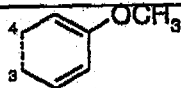
No.	(R ⁷) _n	(R ⁸) _m	R ¹	Phys. data (m.p. [°C]; MS M+H ⁺ [m/e])
I'-42	4-Br	2-Cl	H	438.9
I'-43	2-CH ₃	2-Cl	H	373.0
I'-44	3-NO ₂	2-Cl	H	404.0
I'-45	2,4-Cl ₂	2-Cl	H	428.9
I'-46	4-Cl	3-CH ₃	H	373.0
I'-47	2-CH ₃	3-CH ₃	H	353.1
I'-48	3-NO ₂	3-CH ₃	H	384.1
I'-49	2,4-Cl ₂	3-CH ₃	H	407.0
I'-50	2-F	3-CF ₃	H	411.0
I'-51	2,4-F ₂	3-CF ₃	H	429.0
I'-52	3-CH ₃ , 4-Cl	3-CF ₃	H	441.0
I'-53	4-C ₆ H ₅	3-CF ₃	H	469.1
I'-54	4-OCH ₃	3-CF ₃	H	423.1
I'-55	2,5-F ₂	3-CF ₃	H	429.0
I'-56	2-F	2-Cl	H	337.2
I'-57	3,5-F ₂	2-Cl	H	395.2
I'-58	2,4-F ₂	2-Cl	H	395.2
I'-59	3-CH ₃ , 4-Cl	2-Cl	H	407.0
I'-60	4-C ₆ H ₅	2-Cl	H	435.2
I'-61	4-OCH ₃	2-Cl	H	389.2
I'-62	2,5-F ₂	2-Cl	H	395.2
I'-63	2-F	3-CH ₃	H	357.2
I'-64	3,5-F ₂	3-CH ₃	H	375.2
I'-65	2,4-F ₂	3-CH ₃	H	375.1
I'-66	3-CH ₃ , 4-Cl	3-CH ₃	H	387.0
I'-67	4-C ₆ H ₅	3-CH ₃	H	415.3
I'-68	4-OCH ₃	3-CH ₃	H	369.1
I'-69	2,5-F ₂	3-CH ₃	H	375.2
I'-70	2-Cl	3-CF ₃	H	427.0
I'-71		3-CF ₃	H	473.1
I'-72	4-C(O)CH ₃	3-CF ₃	H	435.0
I'-73	3-CH ₃	3-CF ₃	H	407.0
I'-74	2-OCH ₃ , 5-Br	3-CF ₃	H	503.0
I'-75	2-Cl	2-Cl	H	393.0
I'-76		2-Cl	H	439.0
I'-77	4-C(O)CH ₃	2-Cl	H	401.0

No.	(R ⁷) _n	(R ⁸) _m	R ¹	Phys. data (m.p. [°C]; MS M+H ⁺ [m/e])
I'-78	3-CH ₃	2-Cl	H	373.0
I'-79	2,6-F ₂	2-Cl	H	393.0
I'-80	2-OCH ₃ , 5-Br	2-Cl	H	468.9
I'-81	2-Cl	3-CH ₃	H	373.0
I'-82		3-CH ₃	H	180-182
I'-83	4-C(O)CH ₃	3-CH ₃	H	381.1
I'-84	3-CH ₃	3-CH ₃	H	353.1
I'-85	2-OCH ₃ , 5-Br	3-CH ₃	H	449.0
I'-86	2-OCH ₃	3-F	H	373.1
I'-87	4-SCH ₃	3-F	H	389.0
I'-88	3-NO ₂ , 4-CH ₃	3-F	H	402.0
I'-89	4-C(O)CH ₃	3-F	H	399.0
I'-90	-	-	H	180-182
I'-91	-	-	CH ₂ CH ₃	
I'-92	-	-	CH ₂ CH ₂ CH ₃	
I'-93	-	-	CH ₂ CH=CH ₂	
I'-94	-	-	CH ₂ CH≡CH	
I'-95	-	-	CH ₂ C ₆ H ₅	
I'-96	4-F	3-F	H	361.0
I'-97	H	3-F	H	343.1
I'-98	2-OCH ₃	3-Cl	H	389.0
I'-99	4-SCH ₃	3-Cl	H	405.0
I'-100	3-NO ₂ , 4-CH ₃	3-Cl	H	418.0
I'-101	3-NHC(O)CH ₃	3-Cl	H	416.0
I'-102	H	3-Cl	H	359.0
I'-103	H	4-CH(CH ₃) ₂	H	oil
I'-104	2-OCH ₃	2,6-Cl ₂	H	423.0
I'-105	4-SCH ₃	2,6-Cl ₂	H	439.0
I'-106	3-NO ₂ , 4-CH ₃	2,6-Cl ₂	H	452.0
I'-107	3-NHC(O)CH ₃	2,6-Cl ₂	H	450.0
I'-108	4-F	2,6-Cl ₂	H	411.0
I'-109	H	2,6-Cl ₂	H	427.0
I'-110	4-Cl	3-Cl	H	393.25
I'-111	4-Br	3-Cl	H	439.15
I'-112	4-CH ₃	2,6-Cl ₂	H	407.25
I'-113	3,5-Cl ₂	2,6-Cl ₂	H	463.15
I'-114	3,5-(CF ₃) ₂	2,6-Cl ₂	H	529.25
I'-115	4-CF ₃	2,6-Cl ₂	H	461.25

126
126

No.	(R ⁷) _n	(R ⁶) _m	R ¹	Phys. data (m.p. [°C]; MS M+H ⁺ [m/e])
I'-116	3-Cl, 4-F	2,6-Cl ₂	H	445.15
I'-117	4-Cl	2,6-Cl ₂	H	429.15
I'-118	4-Br	2,6-Cl ₂	H	473.15
I'-119	2-CH ₃	3-F	H	357.25
I'-120	4-CO ₂ H	3-F	H	387.25
I'-121	3-NO ₂	3-F	H	388.25
I'-122	2,4-Cl ₂	3-F	H	411.15
I'-123	2-F	3-F	H	361.25
I'-124	3,5-F ₂	3-F	H	379.25
I'-125	2,4-F ₂	3-F	H	379.25
I'-126	2-CH ₃	3-Cl	H	373.25
I'-127	4-CO ₂ H	3-Cl	H	363.15
I'-128	3-NO ₂	3-Cl	H	404.25
I'-129	2,4-Cl ₂	3-Cl	H	428.9
I'-130	2-F	3-Cl	H	377.25
I'-131	3,5-F ₂	3-Cl	H	395.25
I'-132	2,4-F ₂	3-Cl	H	395.25
I'-133	2-CH ₃	2,6-Cl ₂	H	407.25
I'-134	3-NO ₂	2,6-Cl ₂	H	438.15
I'-135	2,4-Cl ₂	2,6-Cl ₂	H	463.15
I'-136	2-F	2,6-Cl ₂	H	411.50
I'-137	3,5-F ₂	2,6-Cl ₂	H	429.25
I'-138	2,4-F ₂	2,6-Cl ₂	H	429.15
I'-139	3-CH ₃ , 4-Cl	3-F	H	391.25
I'-140	4-C ₆ H ₅	3-F	H	419.25
I'-141	4-OCH ₃	3-F	H	373.25
I'-142	2,5-F ₂	3-F	H	379.25
I'-143		3-F	H	423.25
I'-144	3-CH ₃ , 4-Cl	3-Cl	H	407.15
I'-145	2,5-F ₂	3-Cl	H	395.25
I'-146	2-Cl	3-Cl	H	393.15
I'-147		3-Cl	H	439.25
I'-148	3-CH ₃ , 4-Cl	2,6-Cl ₂	H	441.15
I'-149	4-C ₆ H ₅	2,6-Cl ₂	H	469.25
I'-150	4-OCH ₃	2,6-Cl ₂	H	423.25
I'-151	2,5-F ₂	2,6-Cl ₂	H	429.25

V29
127

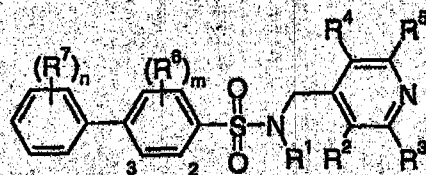
No.	(R ⁷) _n	(R ⁸) _m	R ¹	Phys. data (m.p. [°C]; MS M+H ⁺ [m/e])
I'-152	2-Cl	2,6-Cl ₂	H	425.15
I'-153		2,6-Cl ₂	H	473.25
I'-154	4-OCF ₃	3-CF ₃	H	477.25
I'-155	3,5-(CH ₃) ₂	3-CF ₃	H	421.25
I'-156	3,4-(OCH ₃) ₂	3-CF ₃	H	453.35
I'-157	3-F	3-CF ₃	H	411.25
I'-158	4-OCF ₃	3-CH ₃	H	423.25
I'-159	3,5-(CH ₃) ₂	3-CH ₃	H	367.35
I'-160	3,4-(OCH ₃) ₂	3-CH ₃	H	399.35
I'-161	3-F	3-CH ₃	H	357.25
I'-162	4-OCF ₃	3-F	H	427.25
I'-163	3,5-(CH ₃) ₂	3-F	H	371.25
I'-164	3,4-(OCH ₃) ₂	3-F	H	403.25
I'-165	3-F	3-F	H	361.25
I'-166	4-OCF ₃	3-Cl	H	443.25
I'-167	3,5-(CH ₃) ₂	3-Cl	H	387.25
I'-168	3,4-(OCH ₃) ₂	3-Cl	H	419.25
I'-169	3-F	3-Cl	H	377.25
I'-170	4-CH ₂ CH ₃	3-CF ₃	H	421.35
I'-171	2,3-(CH ₃) ₂	3-CF ₃	H	421.25
I'-172	2,5-(OCH ₃) ₂	3-CF ₃	H	453.35
I'-173	2-CF ₃	3-CH ₃	H	407.25
I'-174	4-CH ₂ CH ₃	3-CH ₃	H	367.25
I'-175	2,3-(CH ₃) ₂	3-CH ₃	H	367.25
I'-176	2,4-(OCH ₃) ₂	3-CH ₃	H	399.35
I'-177	4-CH ₂ CH ₃	3-F	H	371.25
I'-178	2,3-(CH ₃) ₂	3-F	H	371.25
I'-179	2,5-(OCH ₃) ₂	3-F	H	403.1
I'-180	2-CF ₃	3-Cl	H	425.9
I'-181	4-CH ₂ CH ₃	3-Cl	H	387.25
I'-182	2,3-(CH ₃) ₂	3-Cl	H	387.25
I'-183	2,5-(OCH ₃) ₂	3-Cl	H	419.25
I'-184	4-CH ₃	3-F	H	357.25
I'-185	3,5-Cl ₂	3-F	H	411.15
I'-186	3,5-(CF ₃) ₂	3-F	H	479.25
I'-187	4-CF ₃	3-F	H	411.25
I'-188	3-Cl, 4-F	3-F	H	395.25
I'-189	4-CH ₃	3-Cl	H	373.25

728
128

No.	(R ⁷) _n	(R ⁸) _m	R ¹	Phys. data (m.p. [°C]; MS M+H ⁺ [m/e])
I'-190	3,5-Cl ₂	3-Cl	H	429.17
I'-191	3,5-(CF ₃) ₂	3-Cl	H	495.25
I'-192	4-CF ₃	3-Cl	H	427.25
I'-193	3-Cl, 4-F	3-Cl	H	411.15
I'-194	CO ₂ CH ₃	-	H	190-200
I'-195	2,5-(CH ₃) ₂	3-CF ₃	H	421.10
I'-196	2-naphthyl	3-CF ₃	H	443.0
I'-197	2,5-(OCH ₃) ₂	3-CF ₃	H	453.10
I'-198	3-OCH ₃	3-CF ₃	H	423.10
I'-199	2,5-(CH ₃) ₂	3-CH ₃	H	367.10
I'-200	2-naphthyl	3-CH ₃	H	389.10
I'-201	2,5-(OCH ₃) ₂	3-CH ₃	H	399.10
I'-202	3-OCH ₃	3-CH ₃	H	369.10
I'-203	2,5-(CH ₃) ₂	3-F	H	371.10
I'-204	2-naphthyl	3-F	H	393.10
I'-205	2,5-(OCH ₃) ₂	3-F	H	403.10
I'-206	3-OCH ₃	3-F	H	373.10
I'-207	2,5-(CH ₃) ₂	3-Cl	H	387.10
I'-208	2-naphthyl	3-Cl	H	409.0
I'-209	2,5-(OCH ₃) ₂	3-Cl	H	419.0
I'-210	3-OCH ₃	3-Cl	H	389.0
I'-211	3,5-Br ₂	3-CF ₃	H	550.9
I'-212	2-OCH ₃ , 5-Cl	3-CF ₃	H	457.0
I'-213	2-OCH ₃ , 5-F	3-CF ₃	H	441.0
I'-214	3-CH ₃ , 4-F	3-CF ₃	H	425.0
I'-215	3,5-Br ₂	3-CH ₃	H	496.9
I'-216	2-OCH ₃ , 5-Cl	3-CH ₃	H	403.0
I'-217	2-OCH ₃ , 5-F	3-CH ₃	H	387.1
I'-218	3-CH ₃ , 4-F	3-CH ₃	H	371.1
I'-219	2-OCH ₃ , 5-Cl	3-F	H	407.0
I'-220	2-OCH ₃ , 5-F	3-F	H	391.1
I'-221	3-CH ₃ , 4-F	3-F	H	375.1
I'-222	3,5-Br ₂	3-Cl	H	517.2
I'-223	2-OCH ₃ , 5-Cl	3-Cl	H	423.0
I'-224	2-OCH ₃ , 5-F	3-Cl	H	407.0
I'-225	3-CH ₃ , 4-F	3-Cl	H	391.0
I'-226	3-C(O)CH ₃	3-CH ₃	H	381.1
I'-227	4-OCH ₂ CH ₃	3-CH ₃	H	383.1
I'-228	3-Br	3-CH ₃	H	419.0

729
129

No.	(R ⁷) _n	(R ⁶) _m	R ¹	Phys. data (m.p. [°C]; MS M+H ⁺ [m/e])
I'-229	3,4-F ₂	3-CH ₃	H	375.1
I'-230	3,4-Cl ₂	3-CH ₃	H	407.0
I'-231	3-OCH ₂ CH ₃	3-CH ₃	H	383.0
I'-232	3-C(O)CH ₃	3-F	H	385.1
I'-233	4-OCH ₂ CH ₃	3-F	H	387.1
I'-234	3-Br	3-F	H	422.9
I'-235	3,4-F ₂	3-F	H	379.1
I'-236	3,4-Cl ₂	3-F	H	411.0
I'-237	3-OCH ₂ CH ₃	3-F	H	387.1

Table C) – Compounds of the formula I (R¹ = H)

No.	(R ⁷) _n	(R ⁶) _m	R ²	R ³	R ⁴	R ⁵	Phys. data (m.p. [°C]; MS M+H ⁺ [m/e] RT [min]); ¹ H-NMR [ppm]
I-1	-	-	CF ₃	H	H	H	155-157
I-2	-	-	H	OCH ₃	H	H	105-109
I-3	4-Cl	-	H	OCH ₃	H	H	127-130
I-4	4-C(O)CH ₃	-	H	OCH ₃	H	H	98-100
I-5	4-C(CH ₃)=NOCH ₃	-	H	OCH ₃	H	H	350.10
I-6	4-C(CH ₃)=NOCH ₂ CH ₃	-	H	OCH ₃	H	H	364.10
I-7	-	-	Cl	H	Cl	H	148-151
I-8	4-C(O)CH ₃	-	Cl	H	Cl	H	132-135
I-9	-	-	CH ₃	H	H	H	133-135
I-10	-	-	OCH ₃	H	H	H	187-189
I-11	-	-	H	Cl	H	Cl	165-167
I-12	4-F	-	OCH ₃	H	H	H	78-82
I-13	4-CN	-	OCH ₃	H	H	H	135-138
I-14	4-Cl	-	OCH ₃	H	H	H	170-174
I-15	4-CH ₃	-	OCH ₃	H	H	H	2,7; 369,15

130
180

No.	(R ⁷) _n	(R ⁸) _m	R ²	R ³	R ⁴	R ⁵	Phys. data (m.p. [°C]; MS M+H ⁺ [m/e] RT [min]); ¹ H-NMR [ppm]
I-16	3,5-Cl ₂	-	OCH ₃	H	H	H	3,0; 422,95
I-17	3,5-(CF ₃) ₂	-	OCH ₃	H	H	H	3,1; 491,05
I-18	4-CF ₃	-	OCH ₃	H	H	H	2,89; 423,05
I-19	3-Cl, 4-F	-	OCH ₃	H	H	H	2,7; 406,9
I-20	4-Br	-	OCH ₃	H	H	H	182-190
I-21	2-CH ₃	-	OCH ₃	H	H	H	2,61; 369
I-22	3,5-F ₂	-	OCH ₃	H	H	H	2,66; 391,05
I-23	2-F	-	OCH ₃	H	H	H	2,52; 373,05
I-24	2,4-Cl ₂	-	OCH ₃	H	H	H	2,94; 422,95
I-25	2,4-F ₂	-	OCH ₃	H	H	H	2,61; 391,05
I-26	3-CH ₃ -4-Cl	-	OCH ₃	H	H	H	2,95; 403,05
I-27	4-OCH ₃	-	OCH ₃	H	H	H	2,54; 385,05
I-28	3-CH ₃	-	OCH ₃	H	H	H	2,72; 369,15
I-29	2-CH ₃ -5-Br	-	OCH ₃	H	H	H	2,85; 463,05
I-30	4-OCF ₃	-	OCH ₃	H	H	H	2,97; 439,05
I-31	3,5-(CH ₃) ₂	-	OCH ₃	H	H	H	2,92; 383,15
I-32	3,4-(OCH ₃) ₂	-	OCH ₃	H	H	H	2,3; 415,05
I-33	3-F	-	OCH ₃	H	H	H	2,57; 373,05
I-34	2-CF ₃	-	OCH ₃	H	H	H	2,71; 423,05
I-35	4-CH ₂ CH ₃	-	OCH ₃	H	H	H	2,94; 383,15
I-36	2,3-(CH ₃) ₂	-	OCH ₃	H	H	H	2,83; 383,15
I-37	2,5-(OCH ₃) ₂	-	OCH ₃	H	H	H	2,54; 415,15
I-38	2,5-(CH ₃) ₂	-	OCH ₃	H	H	H	2,86; 383,15
I-39	3-OCF ₃	-	OCH ₃	H	H	H	2,85; 438,9

No.	(R ⁷) _n	(R ⁶) _m	R ²	R ³	R ⁴	R ⁵	Phys. data (m.p. [°C]; MS M+H ⁺ [m/e] RT [min]); ¹ H-NMR [ppm]
I-40	3-OCF ₃	-	OCH ₃	H	H	H	2,81; 422,9
I-41	3-F, 4-OCH ₃	-	OCH ₃	H	H	H	2,50; 403,0
I-42	3-F, 5-OCH ₃	-	OCH ₃	H	H	H	2,60; 402,9
I-43	3-CH ₃ , 4-F	-	OCH ₃	H	H	H	2,77; 387,05
I-44	4-OCH ₂ CH ₃	-	OCH ₃	H	H	H	2,73; 399,05
I-45	3-Br	-	OCH ₃	H	H	H	2,81; 434,85
I-46	3,4-F ₂	-	OCH ₃	H	H	H	2,65; 390,95
I-47	3,4-Cl ₂	-	OCH ₃	H	H	H	2,98; 422,85
I-48	3-OCH ₂ CH ₃	-	OCH ₃	H	H	H	2,72; 399,00
I-49	2,5-Cl ₂	-	OCH ₃	H	H	H	2,89; 422,80
I-50	2,5-(OCH ₃) ₂	-	OCH ₃	H	H	H	2,60; 414,95
I-51	3-OCH ₃	-	OCH ₃	H	H	H	2,58; 384,95
I-52	3,5-Br ₂	-	OCH ₃	H	H	H	3,16; 512,75
I-53	2-OCH ₃ -5-Cl	-	OCH ₃	H	H	H	2,82; 418,95
I-54	2-F, 4-Cl, 5-CH ₃	-	OCH ₃	H	H	H	3,05; 420,85
I-55	2-CH ₃ -4-F	-	OCH ₃	H	H	H	2,75; 386,95
I-56	4-C(CH ₃) ₃	-	OCH ₃	H	H	H	3,22; 411,05
I-57	3,4-(-OCH ₂ O-)	-	OCH ₃	H	H	H	2,48; 398,95
I-58	2-F-4-Cl-5-OH	-	OCH ₃	H	H	H	2,26; 404,85
I-59	2-F, 4-Cl	-	OCH ₃	H	H	H	2,53; 406,90
I-60	2-F, 4-CH ₃	-	OCH ₃	H	H	H	2,73; 387,0
I-61	3,4-(-OCH ₂ CH ₂ O-)	-	OCH ₃	H	H	H	2,49; 412,90
I-62	2,4-(OCF ₃) ₂	-	OCH ₃	H	H	H	124
I-63	4-CN	-	H	OCH ₃	H	H	120-123

132
132

No.	(R ⁷) _n	(R ⁸) _m	R ²	R ³	R ⁴	R ⁵	Phys. data (m.p. [°C]; MS M+H ⁺ [m/e] RT [min]); ¹ H-NMR [ppm]
I-64	4-F	-	H	OCH ₃	H	H	123-129
I-65	2-OCH ₃	-	H	OCH ₃	H	H	2,89; 385,05
I-66	4-SCH ₃	-	H	OCH ₃	H	H	3,10; 400,90
I-67	4-CH ₃	-	H	OCH ₃	H	H	3,11; 369,15
I-68	3,5-Cl ₂	-	H	OCH ₃	H	H	3,56; 426,10
I-69	3,5-(CF ₃) ₂	-	H	OCH ₃	H	H	3,62; 491,05
I-70	4-CF ₃	-	H	OCH ₃	H	H	3,30; 423,05
I-71	3-Cl, 4-F	-	H	OCH ₃	H	H	3,26; 406,9
I-72	4-Br	-	H	OCH ₃	H	H	134-144
I-73	2-CH ₃	-	H	OCH ₃	H	H	3,02; 369,0
I-74	3,5-F ₂	-	H	OCH ₃	H	H	3,06; 391,05
I-75	2-F	-	H	OCH ₃	H	H	2,90; 373,05
I-76	2,4-Cl ₂	-	H	OCH ₃	H	H	3,40; 423,05
I-77	2,4-F ₂	-	H	OCH ₃	H	H	2,98; 391,05
I-78	3-CH ₃ , 4-Cl	-	H	OCH ₃	H	H	3,41; 403,05
I-79	4-OCH ₃	-	H	OCH ₃	H	H	2,85; 385,05
I-80	2,5-F ₂	-	H	OCH ₃	H	H	2,96; 391,05
I-81	3-CH ₃	-	H	OCH ₃	H	H	3,11; 369,15
I-82	2-OCH ₃ , 5-Br	-	H	OCH ₃	H	H	3,24; 462,95
I-83	4-OCF ₃	-	H	OCH ₃	H	H	3,38; 439,05
I-84	3,5-(CH ₃) ₂	-	H	OCH ₃	H	H	3,34; 383,15
I-85	3,4-(OCH ₃) ₂	-	H	OCH ₃	H	H	2,67; 415,15
I-86	3-F	-	H	OCH ₃	H	H	2,94; 373,05
I-87	2-CF ₃	-	H	OCH ₃	H	H	3,14; 423,05

No.	(R ⁷) _n	(R ⁸) _m	R ²	R ³	R ⁴	R ⁵	Phys. data (m.p. [°C]; MS M+H ⁺ [m/e] RT [min]); ¹ H-NMR [ppm]
I-88	4-CH ₂ CH ₃	-	H	OCH ₃	H	H	3,30; 383,15
I-89	2,3-(CH ₃) ₂	-	H	OCH ₃	H	H	3,22; 383,15
I-90	2,5-(OCH ₃) ₂	-	H	OCH ₃	H	H	2,87; 415,05
I-91	4-N(CH ₃) ₂	-	H	OCH ₃	H	H	Oil
I-92	2,5-(CH ₃) ₂	-	H	OCH ₃	H	H	3,30; 383,15
I-93	4-OCH ₃	-	H	OC ₂ H ₅	H	H	143
I-94	3-OCF ₃	-	H	OCH ₃	H	H	3,19; 438,90
I-95	3-CF ₃	-	H	OCH ₃	H	H	3,16; 422,90
I-96	3-F, 4-OCH ₃	-	H	OCH ₃	H	H	2,78; 403,00
I-97	2-OCH ₃ , 5-F	-	H	OCH ₃	H	H	2,91; 403,95
I-98	3-CH ₃ , 4-F	-	H	OCH ₃	H	H	3,11; 386,95
I-99	4-OCH ₂ CH ₃	-	H	OCH ₃	H	H	3,05; 399,05
I-100	3-Br	-	H	OCH ₃	H	H	3,18; 434,85
I-101	3,4-F ₂	-	H	OCH ₃	H	H	2,99; 390,95
I-102	3,4-Cl ₂	-	H	OCH ₃	H	H	3,38; 422,85
I-103	3-OCH ₂ CH ₃	-	H	OCH ₃	H	H	3,12; 399,00
I-104	2,5-Cl ₂	-	H	OCH ₃	H	H	3,27; 422,90
I-105	2,4-(OCH ₃) ₂	-	H	OCH ₃	H	H	2,85; 415,05
I-106	3-OCH ₃	-	H	OCH ₃	H	H	2,83; 384,95
I-107	3,5-Br ₂	-	H	OCH ₃	H	H	3,55; 512,85
I-108	2-OCH ₃ , 5-Cl	-	H	OCH ₃	H	H	3,12; 418,95
I-109	2-F, 4-Cl, 5-CH ₃	-	H	OCH ₃	H	H	3,54; 420,95
I-110	2-CH ₃ , 4-F	-	H	OCH ₃	H	H	3,18; 387,25
I-111	4-C(CH ₃) ₃	-	H	OCH ₃	H	H	3,70; 411,05

No.	(R ⁷) _n	(R ⁸) _m	R ²	R ³	R ⁴	R ⁵	Phys. data (m.p. [°C]; MS M+H ⁺ [m/e] RT [min]); ¹ H-NMR [ppm]
I-112	3,4-(-OCH ₂ O-)	-	H	OCH ₃	H	H	2,77; 398,95
I-113	4-SCH ₂ CH ₃	-	H	OCH ₃	H	H	3,30; 414,95
I-114	2-F, 4-Cl	-	H	OCH ₃	H	H	2,97; 406,9
I-115	2-F, 4-CH ₃	-	H	OCH ₃	H	H	3,02; 386,90
I-116	3,4-(-OCH ₂ CH ₂ O-)	-	H	OCH ₃	H	H	115-119
I-117	4-F	-	CF ₃	H	H	H	130-132
I-118	4-CN	-	CF ₃	H	H	H	83-85
I-119	4-Cl	-	CF ₃	H	H	H	149-151
I-120	4-F	-	CH ₃	H	H	H	135-140
I-121	4-Cl	-	CH ₃	H	H	H	168-172
I-122	4-CN	-	CH ₃	H	H	H	183-186
I-123	2,4-Cl ₂	-	CF ₃	H	H	H	¹ H-NMR (400 MHz in d ⁶ - DMSO): δ = 8.8 (s,1H), 8.7 (m,1H), 8.6 (br.,s,1H), 7.9- 7.8 (m,3H), 7.6- 7.4 (m,4H), 7.4 (m,1H), 4.3 (s,2H)
I-124	2,4-Cl ₂	-	H	Cl	H	H	¹ H-NMR (400 MHz in d ⁶ - DMSO): δ = 8.2 (m,1H), 7.8 (m,2H), 7.6-7.5 (m,3H), 7.5-7.4 (m,3H), 7.2 (m,1H), 7.1 (m,1H), 4.2 (s,2H)

134
134

135
135

No.	(R ⁷) _n	(R ⁶) _m	R ²	R ³	R ⁴	R ⁵	Phys. data (m.p. [°C]; MS M+H ⁺ [m/e] RT [min]); ¹ H-NMR [ppm]
I-125	2-CF ₃ , 4-CF ₃	-	CH ₃	CH ₃	H	H	161-162°C; ¹ H-NMR (400 MHz in d ⁸ -THF): δ = 8.2 (m,2H), 8.1 (m,1H), 7.9 (m,2H), 7.6 (m,1H), 7.5 (m,2H), 7.1 (t,1H), 6.9 (m,1H), 4.2 (t,2H), 2.4 (s,3H), 2.2 (s,3H)
I-126	2,4-Cl ₂	-	CH ₃	CH ₃	H	H	172-173°C; ¹ H-NMR (400 MHz in d ⁸ -THF): δ = 8.2 (m,1H), 7.9 (m,2H), 7.6 (m,3H), 7.5-7.4 (m,2H), 7.1 (t,1H), 6.9 (m,1H), 4.1 (t,2H), 2.4 (s,3H), 2.2 (s,3H)
I-127	2-CF ₃ , 4-CF ₃	-	OCH ₃	H	H	H	124°C

Examples of the action against harmful fungi

5 The fungicidal action of the compounds of the formula I was demonstrated by the following experiments:

10 The active compounds were formulated separately or together as a stock solution with 0.25% by weight of active compound in acetone or DMSO. 1% by weight of the emulsifier Uniperol® EL (wetting agent having emulsifying and dispersing action based on

1736
136

ethoxylated alkylphenols) was added to this solution and diluted with water to the desired concentration.

Use example 1 - Activity against early blight of tomatoes caused by *Alternaria solani*

- 5 Leaves of potted plants of the cultivar "Golden Queen" were sprayed to run-off point with an aqueous suspension having the concentration of active compound stated below. The next day, the leaves were infected with an aqueous spore suspension of *Alternaria solani* in a 2% biomalt solution having a density of 0.17×10^6 spores/ml. The plants were then
10 placed in a water-vapor-saturated chamber at temperatures between 20 and 22°C. After 5 days, the disease on the untreated, but infected control plants had developed to such an extent that the infection could be determined visually in %.

- 15 In this test, the plants which had been treated with 250 ppm of the compound I-5, I-6, I-8, I-9, I-10, I-12, I-15, I-16, I-24, I-45, I-56, I-61, I-63, I-64, I-65 or I-68 showed an infection of not more than 20%, whereas the untreated plants were 90% infected.

Use example 2: Activity against late blight of tomatoes caused by *Phytophthora infestans*, protective treatment

- 20 Leaves of potted tomato plants were sprayed to runoff point with an aqueous suspension having the concentration of active compounds stated below. The next day, the leaves were infected with an aqueous sporangia suspension of *Phytophthora infestans*. The plants were then placed in a water-vapor-saturated chamber at temperatures between
25 18°C and 20°C. After 6 days, the late blight on the untreated, but infected control plants had developed to such an extent that the infection could be determined visually in %.

- 30 In this test, the plants which had been treated with 250 ppm of the compound I-5, I-6, I-8 to I-12, I-15, I-16, I-21, I-23, I-24, I-43, I-45, I-46, I-48, I-53, I-54, I-56, I-57, I-58, I-61, I-63 to I-68, I-78, I-84, I-87 or I-88 showed an infection of not more than 20%, whereas the untreated plants were 90% infected.

Use example 3: Curative activity against brown rust of wheat caused by *Puccinia recondita*

- 35 Leaves of potted wheat seedlings of the cultivar "Kanzler" were inoculated with a spore suspension of brown rust (*Puccinia recondita*). The pots were then placed into a chamber with high atmospheric humidity (90-95%) at 20-22°C for 24 hours. During this time, the spores germinated and the germ tubes penetrated into the leaf tissue. The next day, the
40 infected plants were sprayed to runoff point with an aqueous suspension having the concentration of active compound stated below. The suspension or emulsion was prepared as described above. After the spray coating had dried on, the test plants were cultivated in

137
137

a greenhouse at temperatures between 20 and 22°C and at 65 to 70% relative atmospheric humidity for 7 days. The extent of the rust fungus development on the leaves was then determined.

- 5 In this test, the plants which had been treated with 250 ppm of the compound I-24, I-46, I-47, I-48, I-58, I-63 to I-65, I-69 or I-87 showed an infection of not more than 20%, whereas the untreated plants were 90% infected.

- 10 The action of the compounds of the formula I against harmful pests was demonstrated by the following experiments:

1. Cotton aphid (*aphis gossypii*), mixed life stages

- 15 The active compounds were formulated in 50:50 acetone / water and 100 ppm Kinetic® surfactant.

- 20 Cotton plants at the cotyledon stage were infested prior to treatment by placing a heavily infested leaf from the main aphid colony on top of each cotyledon. The aphids were allowed to transfer overnight and the host leaf was removed. The infested cotyledons were then dipped and agitated in the test solution for 3 seconds and allowed to dry in a fume hood. Test plants were maintained under fluorescent lighting in a 24-hr photoperiod at 25°C and 20-40% relative humidity. Aphid mortality on the treated plants, relative to mortality on untreated check plants, was determined after 5 days.

- 25 2. Southern Armyworm (*spodoptera eridania*), 2nd-3rd instar larvae

The active compounds were formulated as a 10,000 ppm solution in a mixture of 35% acetone and water, which was diluted with water, if needed.

- 30 Sileva lima bean foliage, expanded to the first true leaves, were dipped and agitated in the test solution for 3 seconds and then allowed to dry in a fume hood. The treated plant was then placed in 25-cm plastic perforated zip enclosure bags, ten 2nd-instar larvae were added, and the bags sealed. After 4 days, observations were made of mortality, plant feeding, and of any interference with larval growth.

- 35 3. Tobacco Budworm (*Heliothis virescens*)

- 40 Two-leaf cotton plants are utilized for bioassays. Excised plant leaves are dipped into 1:1 acetone / water dilutions of the active compounds. After the leaves have dried, they are individually placed onto water-moistened filter paper on the bottoms of Petri dishes. Each dish is infested with 5 - 7 larvae and covered with a lid. Each treatment dilution is replicated 4 times. Test dishes are held at approximately 27°C and 60% humidity.

138
138

Numbers of live and morbid larvae are assessed in each dish at 5 days after treatment application, and percent mortality is calculated.

4. Colorado Potato Beetle (*Leptinotarsa decemlineata*)

5

Potato plants are utilized for bioassays. Excised plant leaves are dipped into 1:1 acetone/water dilutions of the active compounds. After the leaves have dried, they are individually placed onto water-moistened filter paper on the bottoms of Petri dishes. Each dish is infested with 5 – 7 larvae and covered with a lid. Each treatment dilution is replicated 4 times. Test dishes are held at approximately 27°C and 60% humidity. Numbers of live and morbid larvae are assessed in each dish at 5 days after treatment application, and percent mortality is calculated.

10

5. Green Peach Aphid (*Myzus persicae*)

15

The active compounds were formulated in 50:50 acetone:water and 100 ppm Kinetic® surfactant.

20

Pepper plants in the 2nd leaf-pair stage (variety 'California Wonder') were infested with approximately 40 laboratory-reared aphids by placing infested leaf sections on top of the test plants. The leaf sections were removed after 24 hours. The leaves of the intact plants were dipped into gradient solutions of the test compound and allowed to dry. Test plants were maintained under fluorescent light (24 hour photoperiod) at about 25°C and 20-40% relative humidity. Aphid mortality on the treated plants, relative to mortality on check plants, was determined after 5 days.

25

6. Silverleaf whitefly (*Bemisia argentifolii*)

30

The active compounds are formulated in 50:50 acetone:water and 100 ppm Kinetic® surfactant.

35

Selected cotton plants are grown to the cotyledon state (one plant per pot). The cotyledons are dipped into the test solution to provide complete coverage of the foliage and placed in a well-vented area to dry. Each pot with treated seedling is placed in a plastic cup and 10 to 12 whitefly adults (approximately 3-5 day old) are introduced. The insects are collected using an aspirator and an 0.6 cm, non-toxic Tygon tubing connected to a barrier pipette tip. The tip, containing the collected insects, is then gently inserted into the soil containing the treated plant, allowing insects to crawl out of the tip to reach the foliage for feeding. The cups are covered with a re-usable screened lid (150 micron mesh polyester screen PeCap from Tetko Inc). Test plants are maintained in the holding room at about 25 °C and 20-40% relative humidity for 3 days avoiding direct exposure to the fluorescent light (24 hour photoperiod) to prevent trapping of heat inside the

40

B9
(39)

cup. Mortality is assessed 3 days after treatment of the plants.

7. 2-spotted spider mite (*tetranychus urticae*, OP-resistant strain)

- 5 The active compounds are formulated in 50:50 acetone:water and 100 ppm Kinetic® surfactant.

- 10 Sieva lima bean plants with primary leaves expanded to 7-12 cm are infested by placing on each a small piece from an infested leaf (with about 100 mites) taken from the main colony. This is done at about 2 hours before treatment to allow the mites to move over to the test plant to lay eggs. The piece of leaf used to transfer the mites is removed. The newly-infested plants are dipped in the test solution and allowed to dry. The test plants are kept under fluorescent light (24 hour photoperiod) at about 25°C and 20 - 40% relative humidity. After 5 days, one leaf is removed and mortality counts are made.
- 15

8. Activity against cowpea aphid (*aphis craccivora*)

- 20 The active compounds were formulated in 50:50 acetone / water. Potted cowpea plants colonized with 100 - 150 aphids of various stages were sprayed after the pest population has been recorded. Population reduction was recorded after 24, 72, and 120 hours.

9. Activity against diamond back moth (*plutella xylostella*)

- 25 The active compounds were formulated in 50:50 acetone / water and 0.1 % (vol/vol) Alkamuls® EL 620 surfactant. A 6 cm leaf disk of cabbage leaves was dipped in the test solution for 3 seconds and allowed to air dry in a Petri plate lined with moist filter paper. The leaf disk was inoculated with 10 third instar larvae and kept at 25-27°C and 50-60% humidity for 3 days. Mortality was assessed after 72 hours of treatment.
- 30

10. Yellowfever mosquitos (*aedes aegypti*)

- 35 The test compound (1 Vol% in acetone) is applied to water in glass dishes containing 4th instar *aedes aegypti*. The test dishes are maintained at about 25°C and observed daily for mortality. Each test is replicated in 3 test dishes.

11. Eastern subterranean termites (*Reticulitermes flavipes*)

- 40 Toxicant treatments (1.0% test compound w/w) are applied to 4.25 cm (diam.) filter papers in acetone solution. Treatment levels (% test compound) are calculated on basis of a mean weight per filter paper of 106.5 mg. Treatment solutions are adjusted to

2-10
140

provide the quantity of toxicant (mg) required per paper in 213 ml of acetone. Acetone only is applied for untreated controls. Treated papers are vented to evaporate the acetone, moistened with 0.25 ml water, and enclosed in 50x9 mm Petri dishes with tight-fit lids.

5

Termite bioassays are conducted in 100x15 mm Petri dishes with 10 g fine sand spread in a thin layer over the bottom of each dish. An additional 2.5 g sand is piled against the side of each dish. The sand is moistened with 2.8 ml water applied to the piled sand. Water is added to dishes as needed over the course of the bioassays to maintain high moisture content. Bioassays are done with one treated filter (inside enclosure) and 30 termite workers per test dish. Each treatment level is replicated in 2 test dishes. Test dishes are maintained at about 25°C and 85% humidity for 12 days and observed daily for mortality.

10

15 12. Orchid thrips (*dichromothrips corbetti*)

Dichromothrips corbetti adults used for bioassay are obtained from a colony maintained continuously under laboratory conditions. For testing purposes, the test compound is diluted to a concentration of 500 ppm (wt compound: vol diluent) in a 1:1 mixture of acetone : water, plus 0.01% Kinetic surfactant.

20

Thrips potency of each compound is evaluated by using a floral-immersion technique. Plastic petri dishes are used as test arenas. All petals of individual, intact orchid flowers are dipped into treatment solution for approximately 3 seconds and allowed to dry for 2 hours. Treated flowers are placed into individual petri dishes along with 10 - 15 adult thrips. The petri dishes are then covered with lids. All test arenas are held under continuous light and a temperature of about 28°C for duration of the assay. After 4 days, the numbers of live thrips are counted on each flower, and along inner walls of each petri dish. The level of thrips mortality is extrapolated from pre-treatment thrips numbers.

25

30

13. Activity against Argentine ant, harvester ant, acrobat ant, carpenter ant, fire ant, house fly, stable fly, flesh fly, yellowfever mosquito, house mosquito, malaria mosquito, German cockroach, cat flea, and brown dog tick via glass contact

35

Glass vials (20 ml scintillation vials) are treated with 0.5 ml of a solution of active ingredient in acetone. Each vial is rolled uncapped for ca. 10 minutes to allow the active ingredient to completely coat the vial and to allow for full drying of the acetone. Insects or ticks are placed into each vial. The vials are kept at 22°C and are observed for treatment effects at various time intervals.

40

141
(41)14. Activity against Boll weevil (*Anthonomus grandis*)

5 The active compounds were formulated in 1:3 dimethylsulfoxide / water. 10 to 15 eggs were placed into microtiterplates filled with 2% agar-agar in water and 300 ppm formaline. The eggs were sprayed with 20 μ l of the test solution, the plates were sealed with pierced foils and kept at 24-26°C and 75-85% humidity with a day/night cycle for 3 to 5 days. Mortality was assessed on the basis of the remaining unhatched eggs or larvae on the agar surface and/or quantity and depth of the digging channels caused by the hatched
10 larvae. Tests were replicated 2 times.

In this test, 2500 ppm of the following compounds caused at least 75% mortality compared to 0% mortality of untreated controls:
15 compound A-187 of Table 36, compound A-99 of Table 36, compound A-363 of Table 36, compound A-396 of Table 25, compound A-484 of Table 25, compound A-670 of Table 25, compound A-228 of Table 1, compound A-403 of Table 1, compound A-516 of Table 3, compound A-484 of Table 3, compound A-429 of Table 36, compound A-396 of Table 36, compound A-11 of Table 36, compound A-626 of Table 36, compound A-55 of Table 36, compound A-484 of Table 36.

20

15. Activity against Mediterranean fruitfly (*Ceratitis capitata*)

The active compounds were formulated in 1:3 dimethylsulfoxide / water. 50 to 80 eggs were placed into microtiterplates filled with 0.5% agar-agar and 14 % diet in water. The
25 eggs were sprayed with 5 μ l of the test solution, the plates were sealed with pierced foils and kept at 27-29°C and 75-85% humidity under fluorescent light for 6 days. Mortality was assessed on the basis of the agility of the hatched larvae. Tests were replicated 2 times.

30 In this test compound A-628 of Table 1 and compound A-484 of Table 25 at 2500 ppm showed over 75% mortality compared to 0% mortality of untreated controls.

16. Activity against Tobacco budworm (*Heliothis virescens*)

35 The active compounds were formulated in 1:3 dimethylsulfoxide / water. 15 to 25 eggs were placed into microtiterplates filled with diet. The eggs were sprayed with 10 μ l of the test solution, the plates were sealed with pierced foils and kept at 27-29°C and 75-85% humidity under fluorescent light for 6 days. Mortality was assessed on the basis of the agility and of comparative feeding of the hatched larvae. Tests were replicated 2
40 times.

142
42

In this test, 2500 ppm of the following compounds caused at least 75% mortality compared to 0% mortality of untreated controls:

- compound A-187 of Table 36; compound A-99 of Table 36, compound A-396 of Table 25; compound A-484 of Table 25; compound A-670 of Table 25, compound A-403 of Table 1,
5 compound A-516 of Table 3, compound A-429 of Table 36, compound A-396 of Table 36, compound A-11 of Table 36, compound A-626 of Table 36.

17. Activity against Vetch aphid (*Megoura viciae*)

- 10 The active compounds were formulated in 1:3 dimethylsulfoxide / water. Bean leaf disks were placed into microtiterplates filled with 0.8% agar-agar and 2.5 ppm OPUS®. The leaf disks were sprayed with 2.5 µl of the test solution and 5 to 8 adult aphids were placed into the microtiterplates which were then closed and kept at 22-24°C and 35-45% under fluorescent light for 6 days. Mortality was assessed on the basis of vital,
15 reproduced aphids. Tests were replicated 2 times.

18. Activity against Wheat aphid (*Rhopalosiphum padi*)

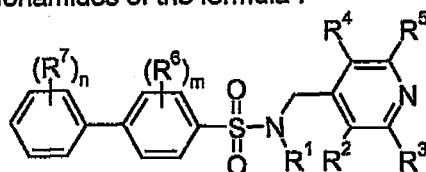
- The active compounds were formulated in 1:3 dimethylsulfoxide / water. Barley leaf
20 disk were placed into microtiterplates filled with 0.8% agar-agar and 2.5 ppm OPUS®. The leaf disks were sprayed with 2.5 µl of the test solution and 3 to 8 adult aphids were placed into the microtiterplates which were then closed and kept at 22-24°C and 35-45% humidity under fluorescent light for 5 days. Mortality was assessed on the basis of vital aphids. Tests were replicated 2 times.

25

143

Claims

1. Biphenylsulfonamides of the formula I



5 where

R^1 is hydrogen, C_1 - C_4 -alkyl, C_1 - C_4 -alkoxy, C_2 - C_4 -alkenyl, C_2 - C_4 -alkynyl or benzyl;

10 R^2 , R^3 , R^4 , R^5 independently of one another are hydrogen, halogen, C_1 - C_4 -alkyl, halomethyl, C_1 - C_4 -alkoxy, halomethoxy, C_1 - C_4 -alkylthio, C_1 - C_4 -alkylamino or di(C_1 - C_4 -alkyl)amino;

15 R^6 , R^7 independently of one another are hydrogen, hydroxy, cyano, nitro, amino, halogen, C_1 - C_8 -alkyl, C_1 - C_8 -haloalkyl, C_1 - C_8 -alkoxy, C_1 - C_8 -haloalkoxy, C_1 - C_8 -alkylthio, (C_1 - C_4 -alkyl)carbonyl, (C_1 - C_4 -alkoxy)carbonyl, $-C(R^8)=NOR^9$, (C_1 - C_4 -alkyl)amino, di(C_1 - C_4 -alkyl)amino, (C_1 - C_4 -alkyl)aminocarbonyl, di(C_1 - C_4 -alkyl)aminocarbonyl, phenyl or phenoxy, where the phenyl ring in the last two mentioned radicals may carry 1, 2 or 3 groups R^{10} ,
or

20 two radicals R^6 or two radicals R^7 , together with two adjacent ring members of the phenyl ring to which they are attached, may form an annellated cyclopentyl, cyclohexyl or phenyl ring, whereas these annellated rings may carry themselves one or two radicals R^{10} ;

25 m zero, 1, 2, 3 or 4;

n zero, 1, 2, 3, 4 or 5;

30 with the proviso that m and n are not simultaneously zero if R^2 to R^5 are all hydrogen;

R^8 C_1 - C_4 -alkyl,

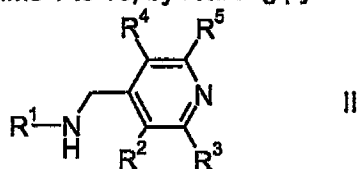
35 R^9 C_1 - C_8 -alkyl, C_1 - C_4 -haloalkyl, C_2 - C_4 -alkenyl, C_2 - C_4 -haloalkenyl, C_2 - C_4 -alkynyl, C_2 - C_4 -haloalkynyl or benzyl;

R^{10} cyano, nitro, halogen, C_1 - C_4 -alkyl, halomethyl, C_1 - C_4 -alkoxy or halomethoxy;

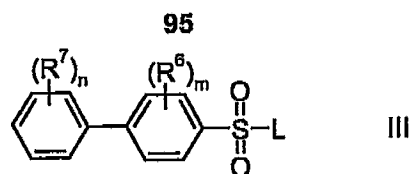
244
KA

and the N-oxides and the agriculturally acceptable salts and the veterinarily acceptable salts of the compounds I.

2. Biphenylsulfonamides of the formula I as defined in claim 1, where R¹ is hydrogen.
3. Biphenylsulfonamides of the formula I as defined in claim 1, where R², R³, R⁴ and R⁵ independently of one another, are hydrogen, methyl, ethyl, fluorine, chlorine, trifluoromethyl, methoxy, ethoxy, difluoromethoxy, trifluoromethoxy, methylthio or ethylthio.
4. Biphenylsulfonamides of the formula I as defined in claim 1, where R² and R⁵ are hydrogen.
5. Biphenylsulfonamides of the formula I as defined in claim 1, where R⁴ and R⁵ are hydrogen.
6. Biphenylsulfonamides of the formula I as defined in claim 1, where R², R³, R⁴ and R⁵ are hydrogen.
7. Biphenylsulfonamides of the formula I as defined in claim 1, where m is zero or one.
8. Biphenylsulfonamides of the formula I as defined in claim 1, where R⁶ is halogen, C₁-C₄-alkyl, C₁-C₄-haloalkyl, C₁-C₄-alkoxy or C₁-C₄-haloalkoxy.
9. Biphenylsulfonamides of the formula I as defined in claim 1, where n is zero, 1 or 2 and R⁷ is halogen, cyano, nitro, C₁-C₄-alkyl, C₁-C₆-haloalkyl, acetyl, C(CH₃)=NOCH₃, C₁-C₆-alkoxy, C₁-C₆-haloalkoxy or C₁-C₆-alkylthio.
10. Biphenylsulfonamides of the formula I as defined in claim 1, where n is 2, 3, 4 or 5.
11. A process for the preparation of biphenylsulfonamides of the formula I according to claims 1 to 10, by reacting pyridine derivatives of the formula II

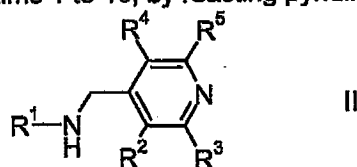


in which R¹ to R⁵ are as defined in claim 1,
under basic conditions with sulfonyl chloride of the formula III

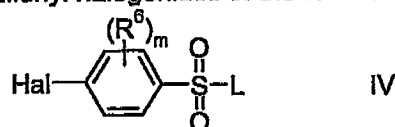
745
145

in which the variables are as defined in claim 1 and L is hydroxy or halogen.

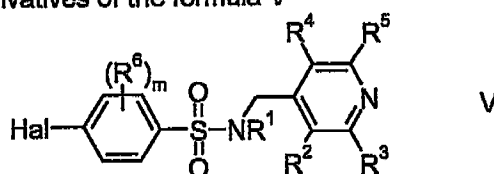
12. A process for the preparation of biphenylsulfonamides of the formula I according to claims 1 to 10, by reacting pyridine derivatives of the formula II



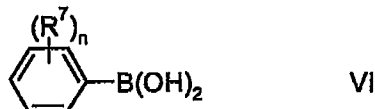
in which R¹ to R⁵ are as defined in claim 1,
with 4-halogenphenylsulfonyl halogenides of the formula IV



- 10 in which the variables are as defined in claim 1 and L is hydroxy or halogen,
to yield pyridine derivatives of the formula V



which themselves are reacted with boronic acid derivatives of the formula VI



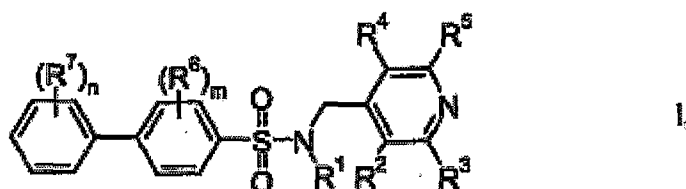
- 15 in the presence of a platinum metal catalyst.
13. A composition suitable for controlling harmful fungi, which composition comprises a solid or liquid carrier and at least one biphenylsulfonamide of the formula I or an N-oxide or an agriculturally acceptable salt thereof, according to claim 1.
- 20
14. A process for the treatment of phytopathogenic harmful fungi, which process comprises treating the fungi or the materials, plants, the soil or seeds to be protected against fungal attack, with an effective amount of a biphenylsulfonamide compound of the formula I or an N-oxide or an agriculturally acceptable salt thereof, according to claim 1.
- 25
15. The use of biphenylsulfonamides of the formula I, their N-oxides, their agriculturally acceptable salts and their veterinarily acceptable salts, according to claim 1, and of compositions comprising compounds of formula I, for combating harmful

arthropodes.

- 5 16. A method for combating arthropodal pests, which comprises contacting said pests, their habitat, breeding ground, food supply, plant, seed, soil, area, material or environment in which the arthropodal pests are growing or may grow, or the materials, plants, seeds, soils, surfaces or spaces to be protected from an attack of or infestation by said pests, with a pesticidally effective amount of at least one biphenylsulfonamide of the formula I, an N-oxide, an agriculturally acceptable salt or a veterinarily acceptable salts thereof, according to claim 1, or with a composition comprising at least one biphenylsulfonamide of the formula I, an N-oxide, an agriculturally acceptable salt or a veterinarily acceptable salt thereof.
- 10 17. The method as claimed in claim 16, wherein the pests are insects.
- 15 18. The method as claimed in claim 16, wherein the pests are arachnids.
- 20 19. A method for protecting crops from attack or infestation by arthropodal pests, the method comprising contacting a crop with a pesticidally effective amount of at least one biphenylsulfonamide of the formula I or an N-oxide or an agriculturally acceptable salt thereof, according to claim 1.
- 25 20. A method for protecting seed from infestation by arthropodal pests and of the seedlings' roots and shoots from infestation by arthropodal pests, the method comprising contacting the seed or of the seedlings' roots and shoots with a pesticidally effective amount of at least one biphenylsulfonamide of the formula I, or an N-oxide or an agriculturally acceptable salt thereof, according to claim 1.
- 30 21. A method for protecting non-living materials from attack or infestation by arthropodal pests, the method comprising contacting the non-living material with a pesticidally effective amount of at least one biphenylsulfonamide of the formula I, or an N-oxide or an agriculturally acceptable salt thereof, according to claim 1.
- 35 22. Seed comprising a biphenylsulfonamide of the formula I, or an N-oxide or an agriculturally acceptable salt thereof, as defined in claim 1, in an amount of from 0.1 g to 10 kg per 100 kg of seed.

447
147**BIFENIL-N-(4-PIRIDIL) METILSUFONAMIDAS****Descripción**

5 La presente invención se relaciona con bifenilsulfonamidas de la fórmula I



en la cual los sustituyentes son como se define adelante:

10

R¹ es hidrógeno, alquilo C₁-C₄, alcoxi C₁-C₄, alquenilo C₂-C₄, alquinilo C₂-C₄ o bencilo;

R², R³, R⁴, R⁵ independientemente uno del otro son hidrógeno, halógeno, alquilo C₁-C₄, halometilo, alcoxi C₁-C₄, halometoxi, alquiltio C₁-C₄, alquilamino C₁-

15

C₄ o di-
(alquilo C₁-C₄)amino;

R⁶, R⁷ independientemente uno del otro son hidrógeno, hidroxilo, ciano, nitro, amino, halógeno, alquilo C₁-C₈, haloalquilo C₁-C₆, alcoxi C₁-C₈, haloalcoxi C₁-C₈, alquiltio C₁-C₆, (alquilo C₁-C₄)carbonilo, (alcoxi C₁-C₄)carbonilo, -
20 C(R⁸)=NOR⁹, alquilamino C₁-C₄, di(alquilo C₁-C₄)amino, (alquilo C₁-C₄)aminocarbonilo, di(alquilo C₁-C₄)-aminocarbonilo, fenilo o fenoxi, en donde los anillos pueden llevar de uno a tres grupos R¹⁰, o

248
148

dos radicales R^6 o dos radicales R^7 , junto con dos miembros de anillo adyacentes del anillo fenilo al cual ellos están adheridos, pueden formar un ciclopentilo, anillo fenilo o hexilo, mientras que estos anillos pueden llevar en si mismos uno o dos radicales R^{10} ;

5

R^{10} es halógeno, ciano, nitro, alquilo C_1-C_4 , alcoxi C_1-C_4 , halometilo o halometoxi;

R^8 alquilo C_1-C_4 ;

10 R^9 alquilo C_1-C_8 , haloalquilo C_1-C_4 , alquenilo C_2-C_4 , haloalquenilo C_2-C_4 , alquinilo C_2-C_4 , haloalquinilo C_2-C_4 , o bencilo;

m es cero, 1, 2, 3 o 4;

15 n es cero, 1, 2, 3, 4 o 5;

con la condición que m y n no son simultáneamente cero si R^2 , R^3 , R^4 y R^5 son todos hidrógeno;

20 y los N-óxidos y las sales aceptables agrícolamente y las sales aceptables veterinariamente de los compuestos I.

Más aún, la invención se relaciona con procesos para preparar estos compuestos, con composiciones que los comprenden y con su uso para controlar hongos
25 dañinos fitopatógenos.

149

La presente invención también se relaciona con el uso de las bifenilsulfonamidas I para combatir plagas artrópodos (artrópodos dañinos) y para proteger materiales contra infestación y/o destrucción por dichas plagas.

5 La WO 2005/033081 describe varias piridinosulfonamidas. La EP-A 206 581 y 1Lieb. Ann. Chem. 641 (1990) describe 4-piridinametilsulfonamidas individuales. Los compuestos descritos en las publicaciones mencionadas son adecuados para controlar hongos dañinos.

10 Los compuestos de acuerdo con esta invención difieren de aquellos descritos en la WO 2005/033081 por el patrón de sustitución del grupo bifenilo.

Sin embargo, en muchos casos su acción es insatisfactoria. Basado en esto, es el objeto de la presente invención suministrar compuestos que tienen acción
15 mejorada y/o un amplio espectro de actividad.

Hemos encontrado que este objeto se alcanza por los compuestos definidos al principio. Adicionalmente, hemos encontrado procesos e intermediarios para su preparación, las composiciones que los comprenden y los métodos para controlar
20 hongos dañinos utilizando los compuestos I.

Comparado con los compuestos conocidos, los compuestos de la fórmula I han aumentado la eficacia contra hongos dañinos.

25 Sorprendentemente, los compuestos I, sus N-óxidos y sales son también adecuados para controlar plagas artrópodos, en particular para combatir insectos.

150
150

Asimismo, los compuestos I, sus N-óxidos y sales son también útiles para combatir arácnidos.

5 El término "combatir" como se utiliza aquí comprende controlar, es decir matar las plagas y también proteger las plantas, materiales no vivos o semillas del ataque o infestación por dichas plagas.

De acuerdo con esto, la invención suministra adicionalmente composiciones para combatir tales plagas, preferiblemente en la forma de soluciones de rociado
10 directo, emulsiones, pastas, dispersiones en aceite, polvos, materiales para dispersión, polvillos o en la forma de gránulos, que comprenden una cantidad efectiva pesticidamente de al menos un compuesto de la fórmula I o al menos un N-óxido o una sal de estos y al menos un potador que puede ser líquido y/o sólido y que es preferiblemente aceptable agronómicamente, y/o al menos un
15 tensoactivo.

Adicionalmente, la invención suministra un método para combatir tales plagas, que comprende poner en contacto dichas plagas, su hábitat, lugar de incubación, fuente de alimento, planta, semilla, tierra, superficie, material o medio ambiente en
20 el cual las plagas de animales están creciendo o pueden crecer, o los materiales, plantas, semillas, suelos, superficies o espacios a ser protegidos de un ataque de o infestación por dicha plaga, con una cantidad efectiva pesticidamente de un compuesto de la fórmula I como se define aquí o un N-óxido o una sal de estos.

25 La invención suministra en particular un método para proteger cultivos, incluyendo semillas, del ataque o infestación por plagas artrópodos, dicho método comprende poner contacto un cultivo con una cantidad efectiva pesticidamente de al menos

257
157

un compuesto de fórmula I como se define aquí o con un N-óxido o una sal de estos.

La invención también suministra un método para proteger materiales no vivos del ataque o infestación por las plagas ya mencionadas, cuyo método comprende poner contacto el material no vivo con una cantidad efectiva pesticidamente de al menos un compuesto de fórmula I como se define aquí o con un N-óxido o una sal de estos.

10 Compuestos adecuados de la fórmula I abarcan todos los posibles estereoisómeros (isómeros cis/trans, enantiómeros) que pueden ocurrir y mezclas de estos. Los centros estereoisoméricos son por ejemplo el átomo de carbono y nitrógeno de la porción $-C(R^8)=NOR^9$ así como también átomos de carbono asimétrico en los radicales R^1 , R^2 , R^3 , R^4 y/o R^5 etc. La presente invención
15 suministra ambos enantiómeros o diastereómeros puros o mezclas de estos, los isómeros cis- y trans- puros y las mezclas de estos. Los compuestos de la fórmula general I pueden también existir en la forma de diferentes tautómeros. La invención comprende los tautómeros únicos, si se pueden separar, así como también las mezclas de tautómeros.

20

Los compuestos de la fórmula I pueden estar presentes en modificaciones de cristal que pueden diferir en su actividad biológica. Ellos también forman parte del tema de la presente invención.

25 Las sales de los compuestos de la fórmula I son preferiblemente sales aceptables agrícolamente. Ellas se pueden formar por un método de costumbre, por ejemplo al hacer reaccionar el compuesto con un ácido de el anión en la pregunta si el

152
152

compuesto de fórmula I tiene una funcionalidad básica o al hacer reaccionar un compuesto ácido de fórmula I con una base adecuada.

Sales útiles agrícolamente adecuadas son específicamente las sales de estos cationes o las sales de adición ácida de aquellos ácidos cuyos cationes y aniones, respectivamente, no tienen ningún efecto adverso en la acción de los compuestos de acuerdo con la presente invención. Los cationes adecuados son en particular los iones de los metales alcali, preferiblemente litio, sodio y potasio, de los metales alcalinotérreos, preferiblemente calcio, magnesio y bario, y de los metales de transición, preferiblemente manganeso, cobre, zinc y hierro, y también amonio (NH_4^+) y amonio sustituido en el que uno a cuatro de los átomos de hidrógeno se reemplazan por alquilo $\text{C}_1\text{-C}_4$, hidroxialquilo $\text{C}_1\text{-C}_4$, alcoxi $\text{C}_1\text{-C}_4$, alcoxi $\text{C}_1\text{-C}_4$ -alquilo $\text{C}_1\text{-C}_4$, hidroxialcoxi $\text{C}_1\text{-C}_4$ -alquilo $\text{C}_1\text{-C}_4$, fenilo o bencilo. Ejemplos de iones de amonio sustituido comprenden metilamonio, isopropilamonio, dimetilamonio, diisopropilamonio, trimetilamonio, tetrametilamonio, tetraetilamonio, tetrabutilamonio, 2-hidroxietilamonio, 2-(2-hidroxietoxi)etil-amonio, bis(2-hidroxietil)amonio, benciltrimetilamonio y bencil-trietilamonio, adicionalmente iones fosfonios, iones sulfonios, preferiblemente tri(alquilo $\text{C}_1\text{-C}_4$)sulfonio, y iones sulfoxonio, preferiblemente tri(alquilo $\text{C}_1\text{-C}_4$)sulfoxonio.

Aniones de sales de adición ácida son principalmente cloruro, bromuro, fluoruro, hidrogen sulfato, sulfato, dihidrogen fosfato, hidrogen fosfato, fosfato, nitrato, hidrogen carbonato, carbonato, hexafluorosilicato, hexafluorofosfato, benzoato, y los aniones de ácidos alcanóicos $\text{C}_1\text{-C}_4$, preferiblemente formato, acetato, propionato y butirato. Ellos se pueden formar al hacer reaccionar los compuestos de las fórmulas Ia y Ib con un ácido del anión correspondiente, preferiblemente de ácido clorhídrico, ácido bromhídrico, ácido sulfúrico, ácido fosfórico o ácido nítrico.

Las porciones orgánicas mencionadas en las anteriores definiciones de las variables son- como el término halógeno – términos colectivos para listados individuales de los miembros de grupo individual. El prefijo C_n-C_m indica en cada caso el número posible de átomos de carbono en el grupo.

halógeno: flúor, cloro, bromo y yodo;

alquilo y todas las porciones alquilo en alquilcarbonilo, alquilamino, dialquilamino, alquilaminocarbonilo, dialquilaminocarbonilo: radicales hidrocarburo saturados de cadena recta o ramificada que tienen de 1 a 4, 6 o 8 átomos de carbono, por ejemplo alquilo C_1-C_8 , tal como metilo, etilo, propilo, 1-metiletilo, butilo, 1-metilpropilo, 2-metilpropilo, 1,1-dimetiletilo, pentilo, 1-metilbutilo, 2-metilbutilo, 3-metilbutilo, 2,2-dimetilpropilo, 1-etilpropilo, hexilo, 1,1-dimetilpropilo, 1,2-dimetilpropilo, 1-metilpentilo, 2-metilpentilo, 3-metilpentilo, 4-metilpentilo, 1,1-dimetilbutilo, 1,2-dimetilbutilo, 1,3-dimetilbutilo, 2,2-dimetilbutilo, 2,3-dimetilbutilo, 3,3-dimetilbutilo, 1-etilbutilo, 2-etilbutilo, 1,1,2-trimetilpropilo, 1,2,2-trimetilpropilo, 1-etil-1-metilpropilo y 1-etil-2-metilpropilo;

haloalquilo: grupos alquilo de cadena recta o ramificada que tienen de 1 a 2 o 4 átomos de carbono (como se mencionó anteriormente), donde alguno o todos de los átomos de hidrógeno en estos grupos se pueden reemplazar por átomos de halógeno como se mencionó anteriormente: en particular haloalquilo C_1-C_2 , tal como clorometilo, bromometilo, diclorometilo, triclorometilo, fluorometilo, difluorometilo, trifluorometilo, clorofluorometilo, diclorofluorometilo, clorodifluorometilo, 1-cloroetilo, 1-bromoetilo, 1-fluoroetilo, 2-fluoroetilo, 2,2-

284
184

difluoroetilo, 2,2,2-trifluoroetilo, 2-cloro-2-fluoroetilo, 2-cloro-2,2-difluoroetilo, 2,2-dicloro-2-fluoroetilo, 2,2,2-tricloroetilo, pentafluoroetilo o 1,1,1-trifluoroprop-2-ilo;

alquenilo: radicales hidrocarburo insaturados de cadena recta o ramificada que

- 5 tienen de 2 a 4, 6 o 8 átomos de carbono y uno o dos enlaces dobles en cualquier posición, por ejemplo alquenilo C₂-C₆, tal como etenilo, 1-propenilo, 2-propenilo, 1-metiletenilo, 1-butenilo, 2-butenilo, 3-butenilo, 1-metil-1-propenilo, 2-metil-1-propenilo, 1-metil-2-propenilo, 2-metil-2-propenilo, 1-pentenilo, 2-pentenilo, 3-pentenilo, 4-pentenilo, 1-metil-1-butenilo, 2-metil-1-butenilo, 3-metil-1-butenilo, 1-metil-2-butenilo, 2-metil-2-butenilo, 3-metil-2-butenilo, 1-metil-3-butenilo, 2-metil-3-butenilo, 3-metil-3-butenilo, 1,1-dimetil-2-propenilo, 1,2-dimetil-1-propenilo, 1,2-dimetil-2-propenilo, 1-etil-1-propenilo, 1-etil-2-propenilo, 1-hexenilo, 2-hexenilo, 3-hexenilo, 4-hexenilo, 5-hexenilo, 1-metil-1-pentenilo, 2-metil-1-pentenilo, 3-metil-1-pentenilo, 4-metil-1-pentenilo, 1-metil-2-pentenilo, 2-metil-2-pentenilo, 3-metil-2-pentenilo, 4-metil-2-pentenilo, 1-metil-3-pentenilo, 2-metil-3-pentenilo, 3-metil-3-pentenilo, 4-metil-3-pentenilo, 1-metil-4-pentenilo, 2-metil-4-pentenilo, 3-metil-4-pentenilo, 4-metil-4-pentenilo, 1,1-dimetil-2-butenilo, 1,1-dimetil-3-butenilo, 1,2-dimetil-1-butenilo, 1,2-dimetil-2-butenilo, 1,2-dimetil-3-butenilo, 1,3-dimetil-1-butenilo, 1,3-dimetil-2-butenilo, 1,3-dimetil-3-butenilo, 2,2-dimetil-3-butenilo, 2,3-dimetil-1-butenilo, 2,3-dimetil-2-butenilo, 2,3-dimetil-3-butenilo, 3,3-dimetil-1-butenilo, 3,3-dimetil-2-butenilo, 1-etil-1-butenilo, 1-etil-2-butenilo, 1-etil-3-butenilo, 2-etil-1-butenilo, 2-etil-2-butenilo, 2-etil-3-butenilo, 1,1,2-trimetil-2-propenilo, 1-etil-1-metil-2-propenilo, 1-etil-2-metil-1-propenilo y 1-etil-2-metil-2-propenilo;

- 25 haloalquenilo: radicales hidrocarburo insaturados de cadena recta o ramificada que tienen de 2 a 6 átomos de carbono y uno o dos enlaces dobles en cualquier posición (como se mencionó anteriormente), en donde en estos grupos algunos o

155
155

todos de los átomos de hidrógeno se pueden reemplazar por átomos de halógeno como se mencionó anteriormente, en particular por flúor, cloro y bromo;

alquinilo: grupos de hidrocarburo de cadena recta o ramificada que tienen de 2 a

5 4, 6 o 8 átomos de carbono y uno o dos enlaces triples en cualquier posición, por ejemplo alquinilo C₂-C₆, tal como etinilo, 1-propinilo, 2-propinilo, 1-butinilo, 2-butinilo, 3-butinilo, 1-metil-2-propinilo, 1-pentinilo, 2-pentinilo, 3-pentinilo, 4-pentinilo, 1-metil-2-butinilo, 1-metil-3-butinilo, 2-metil-3-butinilo, 3-metil-1-butinilo, 1,1-dimetil-2-propinilo, 1-etil-2-propinilo, 1-hexinilo, 2-hexinilo, 3-hexinilo, 4-hexinilo, 5-hexinilo, 1-metil-2-pentinilo, 1-metil-3-pentinilo, 1-metil-4-pentinilo, 2-metil-3-pentinilo, 2-metil-4-pentinilo, 3-metil-1-pentinilo, 3-metil-4-pentinilo, 4-metil-1-pentinilo, 4-metil-2-pentinilo, 1,1-dimetil-2-butinilo, 1,1-dimetil-3-butinilo, 1,2-dimetil-3-butinilo, 2,2-dimetil-3-butinilo, 3,3-dimetil-1-butinilo, 1-etil-2-butinilo, 1-etil-3-butinilo, 2-etil-3-butinilo y 1-etil-1-metil-2-propinilo;

15

haloalquinilo: grupos de hidrocarburo de cadena recta o ramificada insaturados que tienen de 2 a 4 átomos de carbono y un triple enlace en cualquier posición (como se mencionó anteriormente), en donde en estos grupos algunos o todos de los átomos de hidrógeno se pueden reemplazar por átomos de halógeno como se

20 mencionó anteriormente, en particular por flúor, cloro y/o bromo;

heterociclo de cinco- o diez- miembros saturado, parcialmente insaturado o aromático que contiene de uno a cuatro heteroátomos del grupo que consiste de O, N y S:

25

- heterociclilo de 5- o 6-miembros que contiene uno a tres átomos de nitrógeno y/o un átomo de oxígeno o azufre o uno o dos átomos de oxígeno y/o azufre, por

156
156

ejemplo 2-tetrahidrofuranilo, 3-tetrahidrofuranilo, 2-tetrahidrotienilo, 3-tetrahidrotienilo, 2-pirrolidinilo, 3-pirrolidinilo, 3-isoxazolidinilo, 4-isoxazolidinilo, 5-isoxazolidinilo, 3-isotiazolidinilo, 4-isotiazolidinilo, 5-isotiazolidinilo, 3-pirazolidinilo, 4-pirazolidinilo, 5-pirazolidinilo, 2-oxazolidinilo, 4-oxazolidinilo, 5-oxazolidinilo, 2-tiazolidinilo, 4-tiazolidinilo, 5-tiazolidinilo, 2-imidazolidinilo, 4-imidazolidinilo, 2-pirrolin-2-ilo, 2-pirrolin-3-ilo, 3-pirrolin-2-ilo, 3-pirrolin-3-ilo, 2-piperidinilo, 3-piperidinilo, 4-piperidinilo, 1,3-dioxan-5-ilo, 2-tetrahidropiranilo, 4-tetrahidropiranilo, 2-tetrahidrotienilo, 3-hexahidropiridazinilo, 4-hexahidropiridazinilo, 2-hexahidropirimidinilo, 4-hexahidropirimidinilo, 5-hexahidropirimidinilo y 2-piperazinilo;

- heteroarilo de 5-miembros que contiene uno a cuatro átomos de nitrógeno o uno a tres átomos de nitrógeno y un átomo de azufre u oxígeno: grupos heteroarilo de 5-miembros que, en adición a los átomos de carbono, pueden contener uno a cuatro átomos de nitrógeno o uno a tres átomos de nitrógeno y un átomo de azufre u oxígeno como miembros de anillo, por ejemplo 2-tienilo, 3-tienilo, 3-pirazolilo, 4-pirazolilo, 5-pirazolilo, 2-oxazolilo, 4-oxazolilo, 5-oxazolilo, 2-tiazolilo, 4-tiazolilo, 5-tiazolilo, 2-imidazolilo, 4-imidazolilo y 1,3,4-triazol-2-ilo;

- heteroarilo de 6-miembros que contiene uno a tres o uno a cuatro átomos de nitrógeno: grupos heteroarilo de 6-miembros que, en adición a los átomos de carbono, puede contener uno a tres o uno a cuatro átomos de nitrógeno como miembros de anillo, por ejemplo 2-piridinilo, 3-piridinilo, 4-piridinilo, 3-piridazinilo, 4-piridazinilo, 2-pirimidinilo, 4-pirimidinilo, 5-pirimidinilo y 2-pirazinilo.

25

El alcance de la presente invención incluye los isómeros (R) y (S) y los racematos de los compuestos de la fórmula I que tienen centros quirales.

187
157

Con miras al uso destinado de las bifenilsulfonamidas de la fórmula I, se da preferencia particular a los siguientes significados de los sustituyentes, en cada caso en sí mismo o en combinación:

- 5 La invención preferiblemente suministra compuestos de la fórmula I en los cuales R^1 es hidrógeno o metilo, en particular hidrógeno.

- Se da también preferencia a los compuestos de la fórmula I en los cuales R^2 , R^3 , R^4 y R^5 independientemente uno del otro son hidrógeno, metilo, etilo, flúor, cloro,
10 CF_3 , OCH_3 , OC_2H_5 , $OCHF_2$, OCF_3 , SCH_3 o SC_2H_5 , o en particular hidrógeno.

- En adición, se da también preferencia a los compuestos de la fórmula I en los cuales al menos uno, en particular uno o dos, radicales seleccionados de R^2 , R^3 , R^4 y R^5 no es/no son hidrógeno. En este caso, tales radicales significan
15 preferiblemente cloro, metilo o metoxi.

A este respecto, se da preferencia a los compuestos I en los cuales R^2 y R^5 son hidrógeno.

- 20 Asimismo, se da preferencia a los compuestos I en los cuales R^4 y R^5 son hidrógeno.

Se da asimismo preferencia a los compuestos de la fórmula I los cuales se sustituyen por dos grupos idénticos seleccionados de R^2 , R^3 , R^4 y R^5 .

25

R^6 es preferiblemente halógeno, en particular cloro y flúor; alquilo C_1-C_4 , en particular metilo y etilo; alcoxi C_1-C_4 , en particular metoxi y etoxi; haloalquilo C_1-C_4 ,

158
158

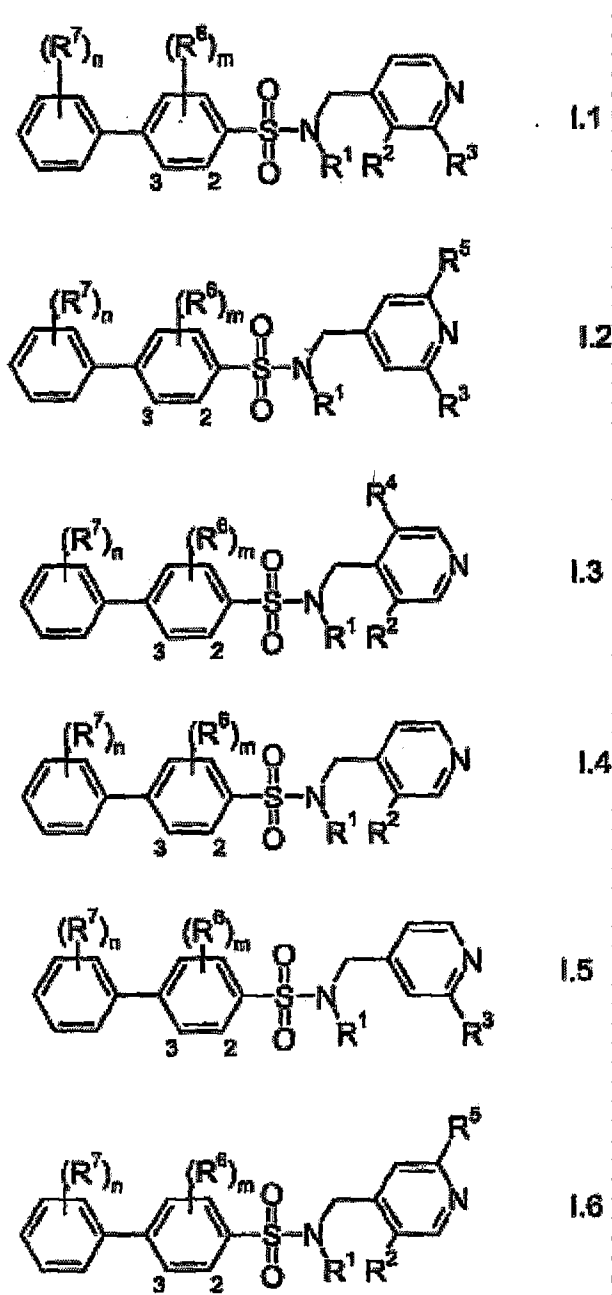
en particular trifluorometilo; haloalcoxi C₁-C₄, en particular difluorometoxi y trifluorometoxi; tioalquilo C₁-C₄, en particular tiometilo; (alcoxi C₁-C₄)carbonilo, en particular metoxycarbonilo y etoxycarbonilo.

- 5 Los compuestos particularmente preferidos I con R⁶ son halógeno, alquilo C₁-C₄, haloalquilo C₁-C₄, alcoxi C₁-C₄ o haloalcoxi C₁-C₄.

- R⁷ es preferiblemente halógeno, en particular cloro y flúor; alquilo C₁-C₈, en particular metilo, etilo, isopropilo, terc-butilo; alcoxi C₁-C₈, en particular metoxi, etoxi, isopropoxi, terc-butoxi; haloalquilo C₁-C₄, en particular trifluorometilo y pentafluoroetilo; tioalquilo C₁-C₈, en particular tiometilo y tioetilo; C(R⁸)=NOR⁹, en donde R⁸ es preferiblemente alquilo C₁-C₄, en particular metilo y etilo, y R⁹ es preferiblemente alquilo C₁-C₈, alilo, propargilo y bencilo; (alcoxi C₁-C₈)carbonilo, en particular metoxycarbonilo y etoxycarbonilo; (alquilo C₁-C₈)carbonilo, en particular metilcarbonilo y etilcarbonilo; (alquilo C₁-C₈)aminocarbonilo; di(alquilo C₁-C₈)aminocarbonilo; y fenilo, los cuales se pueden sustituir por halógeno, tal como cloro o flúor, alquilo C₁-C₄, tal como metilo y etilo; alcoxi C₁-C₄, tal como metoxi y etoxi; o halometilo, tal como trifluorometilo. Los compuestos particularmente preferidos I con R⁷ son halógeno, alquilo C₁-C₄, haloalquilo C₁-C₄, alcoxi C₁-C₄ o haloalcoxi C₁-C₄, en particular flúor, cloro, metilo, halometilo, metoxi o halometoxi.

Se da también preferencia a los compuestos I en los cuales uno de R⁷ está en la posición para del respectivo anillo fenilo.

- 25 Modalidades preferidas adicionales de la fórmula I son, en cada caso per se, compuestos de las fórmulas I.1 a I.5, en donde las variables son como se define para la fórmula I:

189
152

En una modalidad preferida de los compuestos de acuerdo con la invención, el

5. índice m es cero.

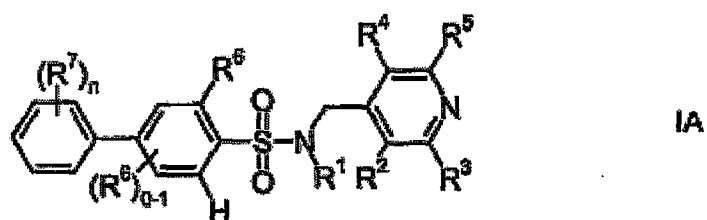
En una modalidad preferida de los compuestos de acuerdo con la invención, el

índice n es cero.

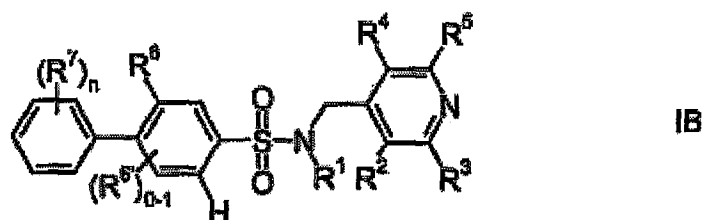
160

En otra modalidad preferida de los compuestos de acuerdo con la invención, el índice n es uno a cinco, en particular dos a cinco.

- En una modalidad de los compuestos de acuerdo con la invención, uno de R⁶ se localiza orto en el grupo; estos compuestos corresponden a la fórmula IA:



- En una modalidad adicional de los compuestos de acuerdo con la invención, uno de R⁶ se localiza meta en el grupo sulfonilo; estos compuestos corresponden a la fórmula IB:



- En modalidades preferidas adicionales de los compuestos de acuerdo con la invención, un grupo de R⁷ se localiza en la posición 4 (fórmula IC), en la posición 2 (fórmula ID), dos grupos idénticos o diferentes R⁷ se localizan en la posición 2,4 (fórmula IE) o en la posición 3,4 (fórmula IF) o en la posición 2,5 (fórmula IG). Se da preferencia particular a los compuestos de la fórmula IC.

20

Adicionalmente, se da preferencia a los compuestos de la fórmula ID.

261
161

En particular con una vista a su uso, se da preferencia a los compuestos I compilados en las tablas de adelante. Más aún, los grupos mencionados para un sustituyente en las tablas son per se, independientemente de la combinación en la que ellos se mencionan, una modalidad particularmente preferida del sustituyente en cuestión.

Tabla 1

Los compuestos de la fórmula I en los cuales R^1 , R^2 , R^3 , R^4 y R^5 son hidrógeno y la combinación de $(R^6)_m$ y $(R^7)_n$ para un compuesto corresponde en cada caso para uno de la Fila de la Tabla A

Tabla 2

Los compuestos de la fórmula I en los cuales R^1 es metilo, R^2 , R^3 , R^4 y R^5 son hidrógeno y la combinación de $(R^6)_m$ y $(R^7)_n$ para un compuesto corresponde en cada caso para uno de la Fila de la Tabla A

Tabla 3

Los compuestos de la fórmula I.1 en los cuales R^1 es hidrógeno, R^2 y R^3 son metilo y la combinación de $(R^6)_m$ y $(R^7)_n$ para un compuesto corresponde en cada caso para una de las filas A-1 a A-879 de la Tabla A

Tabla 4

Los compuestos de la fórmula I.1 en los cuales R^1 , R^2 y R^3 son metilo y la combinación de $(R^6)_m$ y $(R^7)_n$ para un compuesto corresponde en cada caso para una de las filas A-1 a A-879 de la Tabla A

Tabla 5

162
162

Los compuestos de la fórmula I.1 en los cuales R^1 es hidrógeno, R^2 y R^3 son flúor y la combinación de $(R^6)_m$ y $(R^7)_n$ para un compuesto corresponde en cada caso para una de las filas A-1 a A-879 de la Tabla A

5 Tabla 6

Los compuestos de la fórmula I.1 en los cuales R^1 es hidrógeno, R^2 y R^3 son cloro y la combinación de $(R^6)_m$ y $(R^7)_n$ para un compuesto corresponde en cada caso para una de las filas A-1 a A-879 de la Tabla A

10 Tabla 7

Los compuestos de la fórmula I.1 en los cuales R^1 es hidrógeno, R^2 y R^3 son metoxi y la combinación de $(R^6)_m$ y $(R^7)_n$ para un compuesto corresponde en cada caso para una de las filas A-1 a A-879 de la Tabla A

15 Tabla 8

Los compuestos de la fórmula I.1 en los cuales R^1 es hidrógeno, R^2 y R^3 son trifluorometoxi y la combinación de $(R^6)_m$ y $(R^7)_n$ para un compuesto corresponde en cada caso para una de las filas A-1 a A-879 de la Tabla A

20 Tabla 9

Los compuestos de la fórmula I.1 en los cuales R^1 es hidrógeno, R^2 y R^3 son trifluorometilo y la combinación de $(R^6)_m$ y $(R^7)_n$ para un compuesto corresponde en cada caso para una de las filas A-1 a A-879 de la Tabla A

25 Tabla 10

163
163

Los compuestos de la fórmula I.2 en los cuales R^1 es hidrógeno, R^3 y R^5 son metilo y la combinación de $(R^6)_m$ y $(R^7)_n$ para un compuesto corresponde en cada caso para una de las filas A-1 a A-879 de la Tabla A

5 Tabla 11

Los compuestos de la fórmula I.2 en los cuales R^1 es hidrógeno, R^3 y R^5 son flúor y la combinación de $(R^6)_m$ y $(R^7)_n$ para un compuesto corresponde en cada caso para una de las filas A-1 a A-879 de la Tabla A

10 Tabla 12

Los compuestos de la fórmula I.2 en los cuales R^1 es hidrógeno, R^3 y R^5 son cloro y la combinación de $(R^6)_m$ y $(R^7)_n$ para un compuesto corresponde en cada caso para una de las filas A-1 a A-879 de la Tabla A

15 Tabla 13

Los compuestos de la fórmula I.2 en los cuales R^1 es hidrógeno, R^3 y R^5 son metoxi y la combinación de $(R^6)_m$ y $(R^7)_n$ para un compuesto corresponde en cada caso para una de las filas A-1 a A-879 de la Tabla A

20 Tabla 14

Los compuestos de la fórmula I.2 en los cuales R^1 es hidrógeno, R^3 y R^5 son trifluorometoxi y la combinación de $(R^6)_m$ y $(R^7)_n$ para un compuesto corresponde en cada caso para una de las filas A-1 a A-879 de la Tabla A

25 Tabla 15

264
164

Los compuestos de la fórmula I.2 en los cuales R^1 es hidrógeno, R^3 y R^5 son trifluorometilo y la combinación de $(R^6)_m$ y $(R^7)_n$ para un compuesto corresponde en cada caso para una de las filas A-1 a A-879 de la Tabla A

5 Tabla 16

Los compuestos de la fórmula I.3 en los cuales R^1 es hidrógeno, R^2 y R^4 son metilo y la combinación de $(R^6)_m$ y $(R^7)_n$ para un compuesto corresponde en cada caso para una de las filas A-1 a A-879 de la Tabla A

10 Tabla 17

Los compuestos de la fórmula I.3 en los cuales R^1 es hidrógeno, R^2 y R^4 son flúor y la combinación de $(R^6)_m$ y $(R^7)_n$ para un compuesto corresponde en cada caso para una de las filas A-1 a A-879 de la Tabla A

15 Tabla 18

Los compuestos de la fórmula I.3 en los cuales R^1 es hidrógeno, R^2 y R^4 son cloro y la combinación de $(R^6)_m$ y $(R^7)_n$ para un compuesto corresponde en cada caso para una de las filas A-1 a A-879 de la Tabla A

20 Tabla 19

Los compuestos de la fórmula I.3 en los cuales R^1 es hidrógeno, R^2 y R^4 son metoxi y la combinación de $(R^6)_m$ y $(R^7)_n$ para un compuesto corresponde en cada caso para una de las filas A-1 a A-879 de la Tabla A

25 Tabla 20

~~165~~
165

Los compuestos de la fórmula I.3 en los cuales R^1 es hidrógeno, R^2 y R^4 son trifluorometoxi y la combinación de $(R^6)_m$ y $(R^7)_n$ para un compuesto corresponde en cada caso para una de las filas A-1 a A-879 de la Tabla A

5 Tabla 21

Los compuestos de la fórmula I.3 en los cuales R^1 es hidrógeno, R^2 y R^4 son trifluorometilo y la combinación de $(R^6)_m$ y $(R^7)_n$ para un compuesto corresponde en cada caso para una de las filas A-1 a A-879 de la Tabla A

10 Tabla 22

Los compuestos de la fórmula I.4 en los cuales R^1 es hidrógeno, R^2 es metilo y la combinación de $(R^6)_m$ y $(R^7)_n$ para un compuesto corresponde en cada caso para una de las filas A-1 a A-879 de la Tabla A

15 Tabla 23

Los compuestos de la fórmula I.4 en los cuales R^1 y R^2 son metilo y la combinación de $(R^6)_m$ y $(R^7)_n$ para un compuesto corresponde en cada caso para una de las filas A-1 a A-879 de la Tabla A

20 Tabla 24

Los compuestos de la fórmula I.4 en los cuales R^1 es hidrógeno, R^2 es flúor y la combinación de $(R^6)_m$ y $(R^7)_n$ para un compuesto corresponde en cada caso para una de las filas A-1 a A-879 de la Tabla A

25 Tabla 25

~~166~~
166

Los compuestos de la fórmula 1.4 en los cuales R^1 es metilo, R^2 es flúor y la combinación de $(R^6)_m$ y $(R^7)_n$ para un compuesto corresponde en cada caso para una de las filas A-1 a A-879 de la Tabla A

5 Tabla 26

Los compuestos de la fórmula 1.4 en los cuales R^1 es hidrógeno, R^2 es cloro y la combinación de $(R^6)_m$ y $(R^7)_n$ para un compuesto corresponde en cada caso para una de las filas A-1 a A-879 de la Tabla A

10 Tabla 27

Los compuestos de la fórmula 1.4 en los cuales R^1 es metilo, R^2 es cloro y la combinación de $(R^6)_m$ y $(R^7)_n$ para un compuesto corresponde en cada caso para una de las filas A-1 a A-879 de la Tabla A

15 Tabla 28

Los compuestos de la fórmula 1.4 en los cuales R^1 es hidrógeno, R^2 es metoxi y la combinación de $(R^6)_m$ y $(R^7)_n$ para un compuesto corresponde en cada caso para una de las filas A-1 a A-879 de la Tabla A

20 Tabla 29

Los compuestos de la fórmula 1.4 en los cuales R^1 es metilo, R^2 es metoxi y la combinación de $(R^6)_m$ y $(R^7)_n$ para un compuesto corresponde en cada caso para una de las filas A-1 a A-879 de la Tabla A

25 Tabla 30

~~167~~
167

Los compuestos de la fórmula I.4 en los cuales R^1 es hidrógeno, R^2 es etoxi y la combinación de $(R^6)_m$ y $(R^7)_n$ para un compuesto corresponde en cada caso para una de las filas A-1 a A-879 de la Tabla A

5 Tabla 31

Los compuestos de la fórmula I.4 en los cuales R^1 es hidrógeno, R^2 es trifluorometoxi y la combinación de $(R^6)_m$ y $(R^7)_n$ para un compuesto corresponde en cada caso para una de las filas A-1 a A-879 de la Tabla A

10 Tabla 32

Los compuestos de la fórmula I.4 en los cuales R^1 es metilo, R^2 es trifluorometoxi y la combinación de $(R^6)_m$ y $(R^7)_n$ para un compuesto corresponde en cada caso para una de las filas A-1 a A-879 de la Tabla A

15 Tabla 33

Los compuestos de la fórmula I.4 en los cuales R^1 es hidrógeno, R^2 es trifluorometilo y la combinación de $(R^6)_m$ y $(R^7)_n$ para un compuesto corresponde en cada caso para una de las filas A-1 a A-879 de la Tabla A

20 Tabla 34

Los compuestos de la fórmula I.4 en los cuales R^1 es metilo, R^2 es trifluorometilo y la combinación de $(R^6)_m$ y $(R^7)_n$ para un compuesto corresponde en cada caso para una de las filas A-1 a A-879 de la Tabla A

25 Tabla 35

168
168

Los compuestos de la fórmula I.5 en los cuales R^1 es hidrógeno, R^3 es metilo y la combinación de $(R^6)_m$ y $(R^7)_n$ para un compuesto corresponde en cada caso para una de las filas A-1 a A-879 de la Tabla A

5 Tabla 36

Los compuestos de la fórmula I.5 en los cuales R^1 es metilo, R^3 es metilo y la combinación de $(R^6)_m$ y $(R^7)_n$ para un compuesto corresponde en cada caso para una de las filas A-1 a A-879 de la Tabla A

10 Tabla 37

Los compuestos de la fórmula I.5 en los cuales R^1 es hidrógeno, R^3 es flúor y la combinación de $(R^6)_m$ y $(R^7)_n$ para un compuesto corresponde en cada caso para una de las filas A-1 a A-879 de la Tabla A

15 Tabla 38

Los compuestos de la fórmula I.5 en los cuales R^1 es metilo, R^3 es flúor y la combinación de $(R^6)_m$ y $(R^7)_n$ para un compuesto corresponde en cada caso para una de las filas A-1 a A-879 de la Tabla A

20 Tabla 39

Los compuestos de la fórmula I.5 en los cuales R^1 es hidrógeno, R^3 es cloro y la combinación de $(R^6)_m$ y $(R^7)_n$ para un compuesto corresponde en cada caso para una de las filas A-1 a A-879 de la Tabla A

25 Tabla 40

~~169~~
169

Los compuestos de la fórmula 1.5 en los cuales R^1 es metilo, R^3 es cloro y la combinación de $(R^6)_m$ y $(R^7)_n$ para un compuesto corresponde en cada caso para una de las filas A-1 a A-879 de la Tabla A

5 Tabla 41

Los compuestos de la fórmula 1.5 en los cuales R^1 es hidrógeno, R^3 es metoxi y la combinación de $(R^6)_m$ y $(R^7)_n$ para un compuesto corresponde en cada caso para una de las filas A-1 a A-879 de la Tabla A

10 Tabla 42

Los compuestos de la fórmula 1.5 en los cuales R^1 es metilo, R^3 es metoxi y la combinación de $(R^6)_m$ y $(R^7)_n$ para un compuesto corresponde en cada caso para una de las filas A-1 a A-879 de la Tabla A

15 Tabla 43

Los compuestos de la fórmula 1.5 en los cuales R^1 es hidrógeno, R^3 es etoxi y la combinación de $(R^6)_m$ y $(R^7)_n$ para un compuesto corresponde en cada caso para una de las filas A-1 a A-879 de la Tabla A

20 Tabla 44

Los compuestos de la fórmula 1.5 en los cuales R^1 es hidrógeno, R^3 es trifluorometoxi y la combinación de $(R^6)_m$ y $(R^7)_n$ para un compuesto corresponde en cada caso para una de las filas A-1 a A-879 de la Tabla A

25 Tabla 45

170

Los compuestos de la fórmula I.5 en los cuales R^1 es metilo, R^3 es trifluorometoxi y la combinación de $(R^6)_m$ y $(R^7)_n$ para un compuesto corresponde en cada caso para una de las filas A-1 a A-879 de la Tabla A

5 Tabla 46

Los compuestos de la fórmula I.5 en los cuales R^1 es hidrógeno, R^3 es trifluorometilo y la combinación de $(R^6)_m$ y $(R^7)_n$ para un compuesto corresponde en cada caso para una de las filas A-1 a A-879 de la Tabla A

10 Tabla 47

Los compuestos de la fórmula I.5 en los cuales R^1 es metilo, R^3 es trifluorometilo y la combinación de $(R^6)_m$ y $(R^7)_n$ para un compuesto corresponde en cada caso para una de las filas A-1 a A-879 de la Tabla A

15 Tabla 48

Los compuestos de la fórmula I.6 en los cuales R^1 es hidrógeno, R^2 y R^5 son metilo y la combinación de $(R^6)_m$ y $(R^7)_n$ para un compuesto corresponde en cada caso para una de las filas A-1 a A-879 de la Tabla A

20 Tabla 49

Los compuestos de la fórmula I.6 en los cuales R^1 es hidrógeno, R^2 y R^5 son flúor y la combinación de $(R^6)_m$ y $(R^7)_n$ para un compuesto corresponde en cada caso para una de las filas A-1 a A-879 de la Tabla A

25 Tabla 50

171
12/1

Los compuestos de la fórmula I.6 en los cuales R^1 es hidrógeno, R^2 y R^5 son cloro y la combinación de $(R^6)_m$ y $(R^7)_n$ para un compuesto corresponde en cada caso para una de las filas A-1 a A-879 de la Tabla A

5 Tabla 51

Los compuestos de la fórmula I.6 en los cuales R^1 es hidrógeno, R^2 y R^5 son metoxi y la combinación de $(R^6)_m$ y $(R^7)_n$ para un compuesto corresponde en cada caso para una de las filas A-1 a A-879 de la Tabla A

10 Tabla 52

Los compuestos de la fórmula I.6 en los cuales R^1 es hidrógeno, R^2 y R^5 son trifluorometoxi y la combinación de $(R^6)_m$ y $(R^7)_n$ para un compuesto corresponde en cada caso para una de las filas A-1 a A-879 de la Tabla A

15 Tabla 53

Los compuestos de la fórmula I.6 en los cuales R^1 es hidrógeno, R^2 y R^5 son trifluorometilo y la combinación de $(R^6)_m$ y $(R^7)_n$ para un compuesto corresponde en cada caso para una de las filas A-1 a A-879 de la Tabla A

20 Tabla A

132
172

No.	(R ⁶) _m	(R ⁷) _n
A-1	2-F	—
A-2	2-Cl	—
A-3	2-CH ₃	—
A-4	2-CF ₃	—
A-5	2-OCH ₃	—
A-6	3-F	—
A-7	3-Cl	—
A-8	3-CH ₃	—
A-9	3-CF ₃	—
A-10	3-OCH ₃	—
A-11	—	2-CH ₃
A-12	2-F	2-CH ₃
A-13	2-Cl	2-CH ₃
A-14	2-CH ₃	2-CH ₃
A-15	2-CF ₃	2-CH ₃
A-16	2-OCH ₃	2-CH ₃
A-17	3-F	2-CH ₃
A-18	3-Cl	2-CH ₃
A-19	3-CH ₃	2-CH ₃
A-20	3-CF ₃	2-CH ₃
A-21	3-OCH ₃	2-CH ₃
A-22	—	2-CH ₂ CH ₃
A-23	2-F	2-CH ₂ CH ₃
A-24	2-Cl	2-CH ₂ CH ₃
A-25	2-CH ₃	2-CH ₂ CH ₃

173
PB

No.	(R ⁵) _m	(R ⁷) _n
A-26	2-CF ₃	2-CH ₂ CH ₃
A-27	2-OCH ₃	2-CH ₂ CH ₃
A-28	3-F	2-CH ₂ CH ₃
A-29	3-Cl	2-CH ₂ CH ₃
A-30	3-CH ₃	2-CH ₂ CH ₃
A-31	3-CF ₃	2-CH ₂ CH ₃
A-32	3-OCH ₃	2-CH ₂ CH ₃
A-33	—	2-Br
A-34	2-F	2-Br
A-35	2-Cl	2-Br
A-36	2-CH ₃	2-Br
A-37	2-CF ₃	2-Br
A-38	2-OCH ₃	2-Br
A-39	3-F	2-Br
A-40	3-Cl	2-Br
A-41	3-CH ₃	2-Br
A-42	3-CF ₃	2-Br
A-43	3-OCH ₃	2-Br
A-44	—	2-Cl
A-45	2-F	2-Cl
A-46	2-Cl	2-Cl
A-47	2-CH ₃	2-Cl
A-48	2-CF ₃	2-Cl
A-49	2-OCH ₃	2-Cl
A-50	3-F	2-Cl
A-51	3-Cl	2-Cl
A-52	3-CH ₃	2-Cl
A-53	3-CF ₃	2-Cl
A-54	3-OCH ₃	2-Cl
A-55	—	2-F
A-56	2-F	2-F
A-57	2-Cl	2-F

174
174

No.	(R ⁶) _m	(R ⁷) _n
A-58	2-CH ₃	2-F
A-59	2-CF ₃	2-F
A-60	2-OCH ₃	2-F
A-61	3-F	2-F
A-62	3-Cl	2-F
A-63	3-CH ₃	2-F
A-64	3-CF ₃	2-F
A-65	3-OCH ₃	2-F
A-66	—	2-CN
A-67	2-F	2-CN
A-68	2-Cl	2-CN
A-69	2-CH ₃	2-CN
A-70	2-CF ₃	2-CN
A-71	2-OCH ₃	2-CN
A-72	3-F	2-CN
A-73	3-Cl	2-CN
A-74	3-CH ₃	2-CN
A-75	3-CF ₃	2-CN
A-76	3-OCH ₃	2-CN
A-77	—	2-NO ₂
A-78	2-F	2-NO ₂
A-79	2-Cl	2-NO ₂
A-80	2-CH ₃	2-NO ₂
A-81	2-CF ₃	2-NO ₂
A-82	2-OCH ₃	2-NO ₂
A-83	3-F	2-NO ₂
A-84	3-Cl	2-NO ₂
A-85	3-CH ₃	2-NO ₂
A-86	3-CF ₃	2-NO ₂
A-87	3-OCH ₃	2-NO ₂
A-88	—	2-OCH ₃
A-89	2-F	2-OCH ₃

No.	(R ⁶) _m	(R ⁷) _n
A-90	2-Cl	2-OCH ₃
A-91	2-CH ₃	2-OCH ₃
A-92	2-CF ₃	2-OCH ₃
A-93	2-OCH ₃	2-OCH ₃
A-94	3-F	2-OCH ₃
A-95	3-Cl	2-OCH ₃
A-96	3-CH ₃	2-OCH ₃
A-97	3-CF ₃	2-OCH ₃
A-98	3-OCH ₃	2-OCH ₃
A-99	—	2-CF ₃
A-100	2-F	2-CF ₃
A-101	2-Cl	2-CF ₃
A-102	2-CH ₃	2-CF ₃
A-103	2-CF ₃	2-CF ₃
A-104	2-OCH ₃	2-CF ₃
A-105	3-F	2-CF ₃
A-106	3-Cl	2-CF ₃
A-107	3-CH ₃	2-CF ₃
A-108	3-CF ₃	2-CF ₃
A-109	3-OCH ₃	2-CF ₃
A-110	H	2-SCH ₃
A-111	2-F	2-SCH ₃
A-112	2-Cl	2-SCH ₃
A-113	2-CH ₃	2-SCH ₃
A-114	2-CF ₃	2-SCH ₃
A-115	2-OCH ₃	2-SCH ₃
A-116	3-F	2-SCH ₃
A-117	3-Cl	2-SCH ₃
A-118	3-CH ₃	2-SCH ₃
A-119	3-CF ₃	2-SCH ₃
A-120	3-OCH ₃	2-SCH ₃
A-121	—	2-C(=O)CH ₃

176
176

No.	(R ⁶) _m	(R ⁷) _n
A-122	2-F	2-C(=O)CH ₃
A-123	2-Cl	2-C(=O)CH ₃
A-124	2-CH ₃	2-C(=O)CH ₃
A-125	2-CF ₃	2-C(=O)CH ₃
A-126	2-OCH ₃	2-C(=O)CH ₃
A-127	3-F	2-C(=O)CH ₃
A-128	3-Cl	2-C(=O)CH ₃
A-129	3-CH ₃	2-C(=O)CH ₃
A-130	3-CF ₃	2-C(=O)CH ₃
A-131	3-OCH ₃	2-C(=O)CH ₃
A-132	—	2-C(=NOCH ₃)CH ₃
A-133	2-F	2-C(=NOCH ₃)CH ₃
A-134	2-Cl	2-C(=NOCH ₃)CH ₃
A-135	2-CH ₃	2-C(=NOCH ₃)CH ₃
A-136	2-CF ₃	2-C(=NOCH ₃)CH ₃
A-137	2-OCH ₃	2-C(=NOCH ₃)CH ₃
A-138	3-F	2-C(=NOCH ₃)CH ₃
A-139	3-Cl	2-C(=NOCH ₃)CH ₃
A-140	3-CH ₃	2-C(=NOCH ₃)CH ₃
A-141	3-CF ₃	2-C(=NOCH ₃)CH ₃
A-142	3-OCH ₃	2-C(=NOCH ₃)CH ₃
A-143	—	3-CH ₃
A-144	2-F	3-CH ₃
A-145	2-Cl	3-CH ₃
A-146	2-CH ₃	3-CH ₃
A-147	2-CF ₃	3-CH ₃
A-148	2-OCH ₃	3-CH ₃
A-149	3-F	3-CH ₃
A-150	3-Cl	3-CH ₃
A-151	3-CH ₃	3-CH ₃
A-152	3-CF ₃	3-CH ₃
A-153	3-OCH ₃	3-CH ₃

177
+77

No.	(R ⁶) _m	(R ⁷) _n
A-154	—	3-CH ₂ CH ₃
A-155	2-F	3-CH ₂ CH ₃
A-156	2-Cl	3-CH ₂ CH ₃
A-157	2-CH ₃	3-CH ₂ CH ₃
A-158	2-CF ₃	3-CH ₂ CH ₃
A-159	2-OCH ₃	3-CH ₂ CH ₃
A-160	3-F	3-CH ₂ CH ₃
A-161	3-Cl	3-CH ₂ CH ₃
A-162	3-CH ₃	3-CH ₂ CH ₃
A-163	3-CF ₃	3-CH ₂ CH ₃
A-164	3-OCH ₃	3-CH ₂ CH ₃
A-165	—	3-Br
A-166	2-F	3-Br
A-167	2-Cl	3-Br
A-168	2-CH ₃	3-Br
A-169	2-CF ₃	3-Br
A-170	2-OCH ₃	3-Br
A-171	3-F	3-Br
A-172	3-Cl	3-Br
A-173	3-CH ₃	3-Br
A-174	3-CF ₃	3-Br
A-175	3-OCH ₃	3-Br
A-176	—	3-Cl
A-177	2-F	3-Cl
A-178	2-Cl	3-Cl
A-179	2-CH ₃	3-Cl
A-180	2-CF ₃	3-Cl
A-181	2-OCH ₃	3-Cl
A-182	3-F	3-Cl
A-183	3-Cl	3-Cl
A-184	3-CH ₃	3-Cl
A-185	3-CF ₃	3-Cl

178
X 78

No.	(R ⁸) _m	(R ⁷) _n
A-186	3-OCH ₃	3-Cl
A-187	—	3-F
A-188	2-F	3-F
A-189	2-Cl	3-F
A-190	2-CH ₃	3-F
A-191	2-CF ₃	3-F
A-192	2-OCH ₃	3-F
A-193	3-F	3-F
A-194	3-Cl	3-F
A-195	3-CH ₃	3-F
A-196	3-CF ₃	3-F
A-197	3-OCH ₃	3-F
A-198	—	3-CN
A-199	2-F	3-CN
A-200	2-Cl	3-CN
A-201	2-CH ₃	3-CN
A-202	2-CF ₃	3-CN
A-203	2-OCH ₃	3-CN
A-204	3-F	3-CN
A-205	3-Cl	3-CN
A-206	3-CH ₃	3-CN
A-207	3-CF ₃	3-CN
A-208	3-OCH ₃	3-CN
A-209	—	3-NO ₂
A-210	2-F	3-NO ₂
A-211	2-Cl	3-NO ₂
A-212	2-CH ₃	3-NO ₂
A-213	2-CF ₃	3-NO ₂
A-214	2-OCH ₃	3-NO ₂
A-215	3-F	3-NO ₂
A-216	3-Cl	3-NO ₂
A-217	3-CH ₃	3-NO ₂

A9
179

No.	(R ⁵) _m	(R ⁷) _n
A-218	3-CF ₃	3-NO ₂
A-219	3-OCH ₃	3-NO ₂
A-220	—	3-OCH ₃
A-221	2-F	3-OCH ₃
A-222	2-Cl	3-OCH ₃
A-223	2-CH ₃	3-OCH ₃
A-224	2-CF ₃	3-OCH ₃
A-225	2-OCH ₃	3-OCH ₃
A-226	3-F	3-OCH ₃
A-227	3-Cl	3-OCH ₃
A-228	3-CH ₃	3-OCH ₃
A-229	3-CF ₃	3-OCH ₃
A-230	3-OCH ₃	3-OCH ₃
A-231	—	3-CF ₃
A-232	2-F	3-CF ₃
A-233	2-Cl	3-CF ₃
A-234	2-CH ₃	3-CF ₃
A-235	2-CF ₃	3-CF ₃
A-236	2-OCH ₃	3-CF ₃
A-237	3-F	3-CF ₃
A-238	3-Cl	3-CF ₃
A-239	3-CH ₃	3-CF ₃
A-240	3-CF ₃	3-CF ₃
A-241	3-OCH ₃	3-CF ₃
A-242	—	3-SCH ₃
A-243	2-F	3-SCH ₃
A-244	2-Cl	3-SCH ₃
A-245	2-CH ₃	3-SCH ₃
A-246	2-CF ₃	3-SCH ₃
A-247	2-OCH ₃	3-SCH ₃
A-248	3-F	3-SCH ₃
A-249	3-Cl	3-SCH ₃

180
180

No.	(R ⁵) _m	(R ⁷) _n
A-250	3-CH ₃	3-SCH ₃
A-251	3-CF ₃	3-SCH ₃
A-252	3-OCH ₃	3-SCH ₃
A-253	—	3-C(=O)CH ₃
A-254	2-F	3-C(=O)CH ₃
A-255	2-Cl	3-C(=O)CH ₃
A-256	2-CH ₃	3-C(=O)CH ₃
A-257	2-CF ₃	3-C(=O)CH ₃
A-258	2-OCH ₃	3-C(=O)CH ₃
A-259	3-F	3-C(=O)CH ₃
A-260	3-Cl	3-C(=O)CH ₃
A-261	3-CH ₃	3-C(=O)CH ₃
A-262	3-CF ₃	3-C(=O)CH ₃
A-263	3-OCH ₃	3-C(=O)CH ₃
A-264	—	3-C(=NOCH ₃)CH ₃
A-265	2-F	3-C(=NOCH ₃)CH ₃
A-266	2-Cl	3-C(=NOCH ₃)CH ₃
A-267	2-CH ₃	3-C(=NOCH ₃)CH ₃
A-268	2-CF ₃	3-C(=NOCH ₃)CH ₃
A-269	2-OCH ₃	3-C(=NOCH ₃)CH ₃
A-270	3-F	3-C(=NOCH ₃)CH ₃
A-271	3-Cl	3-C(=NOCH ₃)CH ₃
A-272	3-CH ₃	3-C(=NOCH ₃)CH ₃
A-273	3-CF ₃	3-C(=NOCH ₃)CH ₃
A-274	3-OCH ₃	3-C(=NOCH ₃)CH ₃
A-275	—	4-CH ₃
A-276	2-F	4-CH ₃
A-277	2-Cl	4-CH ₃
A-278	2-CH ₃	4-CH ₃
A-279	2-CF ₃	4-CH ₃
A-280	2-OCH ₃	4-CH ₃
A-281	3-F	4-CH ₃

181
181

No.	(R ⁶) _m	(R ⁷) _n
A-282	3-Cl	4-CH ₃
A-283	3-CH ₃	4-CH ₃
A-284	3-CF ₃	4-CH ₃
A-285	3-OCH ₃	4-CH ₃
A-286	—	4-CH ₂ CH ₃
A-287	2-F	4-CH ₂ CH ₃
A-288	2-Cl	4-CH ₂ CH ₃
A-289	2-CH ₃	4-CH ₂ CH ₃
A-290	2-CF ₃	4-CH ₂ CH ₃
A-291	2-OCH ₃	4-CH ₂ CH ₃
A-292	3-F	4-CH ₂ CH ₃
A-293	3-Cl	4-CH ₂ CH ₃
A-294	3-CH ₃	4-CH ₂ CH ₃
A-295	3-CF ₃	4-CH ₂ CH ₃
A-296	3-OCH ₃	4-CH ₂ CH ₃
A-297	—	4-Br
A-298	2-F	4-Br
A-299	2-Cl	4-Br
A-300	2-CH ₃	4-Br
A-301	2-CF ₃	4-Br
A-302	2-OCH ₃	4-Br
A-303	3-F	4-Br
A-304	3-Cl	4-Br
A-305	3-CH ₃	4-Br
A-306	3-CF ₃	4-Br
A-307	3-OCH ₃	4-Br
A-308	—	4-Cl
A-309	2-F	4-Cl
A-310	2-Cl	4-Cl
A-311	2-CH ₃	4-Cl
A-312	2-CF ₃	4-Cl
A-313	2-OCH ₃	4-Cl

182
182

No.	(R ⁶) _m	(R ⁷) _n
A-314	3-F	4-Cl
A-315	3-Cl	4-Cl
A-316	3-CH ₃	4-Cl
A-317	3-CF ₃	4-Cl
A-318	3-OCH ₃	4-Cl
A-319	—	4-F
A-320	2-F	4-F
A-321	2-Cl	4-F
A-322	2-CH ₃	4-F
A-323	2-CF ₃	4-F
A-324	2-OCH ₃	4-F
A-325	3-F	4-F
A-326	3-Cl	4-F
A-327	3-CH ₃	4-F
A-328	3-CF ₃	4-F
A-329	3-OCH ₃	4-F
A-330	—	4-CN
A-331	2-F	4-CN
A-332	2-Cl	4-CN
A-333	2-CH ₃	4-CN
A-334	2-CF ₃	4-CN
A-335	2-OCH ₃	4-CN
A-336	3-F	4-CN
A-337	3-Cl	4-CN
A-338	3-CH ₃	4-CN
A-339	3-CF ₃	4-CN
A-340	3-OCH ₃	4-CN
A-341	—	4-NO ₂
A-342	2-F	4-NO ₂
A-343	2-Cl	4-NO ₂
A-344	2-CH ₃	4-NO ₂
A-345	2-CF ₃	4-NO ₂

183
183

No.	(R ⁶) _m	(R ⁷) _n
A-346	2-OCH ₃	4-NO ₂
A-347	3-F	4-NO ₂
A-348	3-Cl	4-NO ₂
A-349	3-CH ₃	4-NO ₂
A-350	3-CF ₃	4-NO ₂
A-351	3-OCH ₃	4-NO ₂
A-352	—	4-OCH ₃
A-353	2-F	4-OCH ₃
A-354	2-Cl	4-OCH ₃
A-355	2-CH ₃	4-OCH ₃
A-356	2-CF ₃	4-OCH ₃
A-357	2-OCH ₃	4-OCH ₃
A-358	3-F	4-OCH ₃
A-359	3-Cl	4-OCH ₃
A-360	3-CH ₃	4-OCH ₃
A-361	3-CF ₃	4-OCH ₃
A-362	3-OCH ₃	4-OCH ₃
A-363	—	4-OCH ₂ CH ₃
A-364	2-F	4-OCH ₂ CH ₃
A-365	2-Cl	4-OCH ₂ CH ₃
A-366	2-CH ₃	4-OCH ₂ CH ₃
A-367	2-CF ₃	4-OCH ₂ CH ₃
A-368	2-OCH ₃	4-OCH ₂ CH ₃
A-369	3-F	4-OCH ₂ CH ₃
A-370	3-Cl	4-OCH ₂ CH ₃
A-371	3-CH ₃	4-OCH ₂ CH ₃
A-372	3-CF ₃	4-OCH ₂ CH ₃
A-373	3-OCH ₃	4-OCH ₂ CH ₃
A-374	—	4-OCH(CH ₃) ₂
A-375	2-F	4-OCH(CH ₃) ₂
A-376	2-Cl	4-OCH(CH ₃) ₂
A-377	2-CH ₃	4-OCH(CH ₃) ₂

187
181

No.	(R ⁶) _m	(R ⁷) _n
A-378	2-CF ₃	4-OCH(CH ₃) ₂
A-379	2-OCH ₃	4-OCH(CH ₃) ₂
A-380	3-F	4-OCH(CH ₃) ₂
A-381	3-Cl	4-OCH(CH ₃) ₂
A-382	3-CH ₃	4-OCH(CH ₃) ₂
A-383	3-CF ₃	4-OCH(CH ₃) ₂
A-384	3-OCH ₃	4-OCH(CH ₃) ₂
A-385	—	4-OC(CH ₃) ₃
A-386	2-F	4-OC(CH ₃) ₃
A-387	2-Cl	4-OC(CH ₃) ₃
A-388	2-CH ₃	4-OC(CH ₃) ₃
A-389	2-CF ₃	4-OC(CH ₃) ₃
A-390	2-OCH ₃	4-OC(CH ₃) ₃
A-391	3-F	4-OC(CH ₃) ₃
A-392	3-Cl	4-OC(CH ₃) ₃
A-393	3-CH ₃	4-OC(CH ₃) ₃
A-394	3-CF ₃	4-OC(CH ₃) ₃
A-395	3-OCH ₃	4-OC(CH ₃) ₃
A-396	—	4-CF ₃
A-397	2-F	4-CF ₃
A-398	2-Cl	4-CF ₃
A-399	2-CH ₃	4-CF ₃
A-400	2-CF ₃	4-CF ₃
A-401	2-OCH ₃	4-CF ₃
A-402	3-F	4-CF ₃
A-403	3-Cl	4-CF ₃
A-404	3-CH ₃	4-CF ₃
A-405	3-CF ₃	4-CF ₃
A-406	3-OCH ₃	4-CF ₃
A-407	—	4-SCH ₃
A-408	2-F	4-SCH ₃
A-409	2-Cl	4-SCH ₃

185

No.	(R ⁶) _m	(R ⁷) _n
A-410	2-CH ₃	4-SCH ₃
A-411	2-CF ₃	4-SCH ₃
A-412	2-OCH ₃	4-SCH ₃
A-413	3-F	4-SCH ₃
A-414	3-Cl	4-SCH ₃
A-415	3-CH ₃	4-SCH ₃
A-416	3-CF ₃	4-SCH ₃
A-417	3-OCH ₃	4-SCH ₃
A-418	—	4-C(=O)CH ₃
A-419	2-F	4-C(=O)CH ₃
A-420	2-Cl	4-C(=O)CH ₃
A-421	2-CH ₃	4-C(=O)CH ₃
A-422	2-CF ₃	4-C(=O)CH ₃
A-423	2-OCH ₃	4-C(=O)CH ₃
A-424	3-F	4-C(=O)CH ₃
A-425	3-Cl	4-C(=O)CH ₃
A-426	3-CH ₃	4-C(=O)CH ₃
A-427	3-CF ₃	4-C(=O)CH ₃
A-428	3-OCH ₃	4-C(=O)CH ₃
A-429	—	4-C(=NOCH ₃)CH ₃
A-430	2-F	4-C(=NOCH ₃)CH ₃
A-431	2-Cl	4-C(=NOCH ₃)CH ₃
A-432	2-CH ₃	4-C(=NOCH ₃)CH ₃
A-433	2-CF ₃	4-C(=NOCH ₃)CH ₃
A-434	2-OCH ₃	4-C(=NOCH ₃)CH ₃
A-435	3-F	4-C(=NOCH ₃)CH ₃
A-436	3-Cl	4-C(=NOCH ₃)CH ₃
A-437	3-CH ₃	4-C(=NOCH ₃)CH ₃
A-438	3-CF ₃	4-C(=NOCH ₃)CH ₃
A-439	3-OCH ₃	4-C(=NOCH ₃)CH ₃
A-440	—	4-C ₆ H ₅
A-441	2-F	4-C ₆ H ₅

186
186

No.	(R ⁶) _m	(R ⁷) _n
A-442	2-Cl	4-C ₆ H ₅
A-443	2-CH ₃	4-C ₆ H ₅
A-444	2-CF ₃	4-C ₆ H ₅
A-445	2-OCH ₃	4-C ₆ H ₅
A-446	3-F	4-C ₆ H ₅
A-447	3-Cl	4-C ₆ H ₅
A-448	3-CH ₃	4-C ₆ H ₅
A-449	3-CF ₃	4-C ₆ H ₅
A-450	3-OCH ₃	4-C ₆ H ₅
A-451	—	2,4-(CH ₃) ₂
A-452	2-F	2,4-(CH ₃) ₂
A-453	2-Cl	2,4-(CH ₃) ₂
A-454	2-CH ₃	2,4-(CH ₃) ₂
A-455	2-CF ₃	2,4-(CH ₃) ₂
A-456	2-OCH ₃	2,4-(CH ₃) ₂
A-457	3-F	2,4-(CH ₃) ₂
A-458	3-Cl	2,4-(CH ₃) ₂
A-459	3-CH ₃	2,4-(CH ₃) ₂
A-460	3-CF ₃	2,4-(CH ₃) ₂
A-461	3-OCH ₃	2,4-(CH ₃) ₂
A-462	—	2,4-(CH ₂ CH ₃) ₂
A-463	2-F	2,4-(CH ₂ CH ₃) ₂
A-464	2-Cl	2,4-(CH ₂ CH ₃) ₂
A-465	2-CH ₃	2,4-(CH ₂ CH ₃) ₂
A-466	2-CF ₃	2,4-(CH ₂ CH ₃) ₂
A-467	2-OCH ₃	2,4-(CH ₂ CH ₃) ₂
A-468	3-F	2,4-(CH ₂ CH ₃) ₂
A-469	3-Cl	2,4-(CH ₂ CH ₃) ₂
A-470	3-CH ₃	2,4-(CH ₂ CH ₃) ₂
A-471	3-CF ₃	2,4-(CH ₂ CH ₃) ₂
A-472	3-OCH ₃	2,4-(CH ₂ CH ₃) ₂
A-473	—	2,4-Br ₂

187
187

No.	(R ⁶) _m	(R ⁷) _n
A-474	2-F	2,4-Br ₂
A-475	2-Cl	2,4-Br ₂
A-476	2-CH ₃	2,4-Br ₂
A-477	2-CF ₃	2,4-Br ₂
A-478	2-OCH ₃	2,4-Br ₂
A-479	3-F	2,4-Br ₂
A-480	3-Cl	2,4-Br ₂
A-481	3-CH ₃	2,4-Br ₂
A-482	3-CF ₃	2,4-Br ₂
A-483	3-OCH ₃	2,4-Br ₂
A-484	—	2,4-Cl ₂
A-485	2-F	2,4-Cl ₂
A-486	2-Cl	2,4-Cl ₂
A-487	2-CH ₃	2,4-Cl ₂
A-488	2-CF ₃	2,4-Cl ₂
A-489	2-OCH ₃	2,4-Cl ₂
A-490	3-F	2,4-Cl ₂
A-491	3-Cl	2,4-Cl ₂
A-492	3-CH ₃	2,4-Cl ₂
A-493	3-CF ₃	2,4-Cl ₂
A-494	3-OCH ₃	2,4-Cl ₂
A-495	—	2,4-F ₂
A-496	2-F	2,4-F ₂
A-497	2-Cl	2,4-F ₂
A-498	2-CH ₃	2,4-F ₂
A-499	2-CF ₃	2,4-F ₂
A-500	2-OCH ₃	2,4-F ₂
A-501	3-F	2,4-F ₂
A-502	3-Cl	2,4-F ₂
A-503	3-CH ₃	2,4-F ₂
A-504	3-CF ₃	2,4-F ₂
A-505	3-OCH ₃	2,4-F ₂

~~188~~
188

No.	(R ⁶) _m	(R ⁷) _n
A-506	2-F	2,4-(OCH ₃) ₂
A-507	2-Cl	2,4-(OCH ₃) ₂
A-508	2-CH ₃	2,4-(OCH ₃) ₂
A-509	2-CF ₃	2,4-(OCH ₃) ₂
A-510	2-OCH ₃	2,4-(OCH ₃) ₂
A-511	3-F	2,4-(OCH ₃) ₂
A-512	3-Cl	2,4-(OCH ₃) ₂
A-513	3-CH ₃	2,4-(OCH ₃) ₂
A-514	3-CF ₃	2,4-(OCH ₃) ₂
A-515	3-OCH ₃	2,4-(OCH ₃) ₂
A-516	—	2,4-(CF ₃) ₂
A-517	2-F	2,4-(CF ₃) ₂
A-518	2-Cl	2,4-(CF ₃) ₂
A-519	2-CH ₃	2,4-(CF ₃) ₂
A-520	2-CF ₃	2,4-(CF ₃) ₂
A-521	2-OCH ₃	2,4-(CF ₃) ₂
A-522	3-F	2,4-(CF ₃) ₂
A-523	3-Cl	2,4-(CF ₃) ₂
A-524	3-CH ₃	2,4-(CF ₃) ₂
A-525	3-CF ₃	2,4-(CF ₃) ₂
A-526	3-OCH ₃	2,4-(CF ₃) ₂
A-527	—	2,5-(CH ₃) ₂
A-528	2-F	2,5-(CH ₃) ₂
A-529	2-Cl	2,5-(CH ₃) ₂
A-530	2-CH ₃	2,5-(CH ₃) ₂
A-531	2-CF ₃	2,5-(CH ₃) ₂
A-532	2-OCH ₃	2,5-(CH ₃) ₂
A-533	3-F	2,5-(CH ₃) ₂
A-534	3-Cl	2,5-(CH ₃) ₂
A-535	3-CH ₃	2,5-(CH ₃) ₂
A-536	3-CF ₃	2,5-(CH ₃) ₂
A-537	3-OCH ₃	2,5-(CH ₃) ₂

189
189

No.	(R ⁶) _m	(R ⁷) _n
A-538	—	2,5-Cl ₂
A-539	2-F	2,5-Cl ₂
A-540	2-Cl	2,5-Cl ₂
A-541	2-CH ₃	2,5-Cl ₂
A-542	2-CF ₃	2,5-Cl ₂
A-543	2-OCH ₃	2,5-Cl ₂
A-544	3-F	2,5-Cl ₂
A-545	3-Cl	2,5-Cl ₂
A-546	3-CH ₃	2,5-Cl ₂
A-547	3-CF ₃	2,5-Cl ₂
A-548	3-OCH ₃	2,5-Cl ₂
A-549	—	2,5-F ₂
A-550	2-F	2,5-F ₂
A-551	2-Cl	2,5-F ₂
A-552	2-CH ₃	2,5-F ₂
A-553	2-CF ₃	2,5-F ₂
A-554	2-OCH ₃	2,5-F ₂
A-555	3-F	2,5-F ₂
A-556	3-Cl	2,5-F ₂
A-557	3-CH ₃	2,5-F ₂
A-558	3-CF ₃	2,5-F ₂
A-559	3-OCH ₃	2,5-F ₂
A-560	—	2,5-(OCH ₃) ₂
A-561	2-F	2,5-(OCH ₃) ₂
A-562	2-Cl	2,5-(OCH ₃) ₂
A-563	2-CH ₃	2,5-(OCH ₃) ₂
A-564	2-CF ₃	2,5-(OCH ₃) ₂
A-565	2-OCH ₃	2,5-(OCH ₃) ₂
A-566	3-F	2,5-(OCH ₃) ₂
A-567	3-Cl	2,5-(OCH ₃) ₂
A-568	3-CH ₃	2,5-(OCH ₃) ₂
A-569	3-CF ₃	2,5-(OCH ₃) ₂

190
140

No.	(R ⁵) _m	(R ⁷) _n
A-570	3-OCH ₃	2,5-(OCH ₃) ₂
A-571	—	2,5-(CF ₃) ₂
A-572	2-F	2,5-(CF ₃) ₂
A-573	2-Cl	2,5-(CF ₃) ₂
A-574	2-CH ₃	2,5-(CF ₃) ₂
A-575	2-CF ₃	2,5-(CF ₃) ₂
A-576	2-OCH ₃	2,5-(CF ₃) ₂
A-577	3-F	2,5-(CF ₃) ₂
A-578	3-Cl	2,5-(CF ₃) ₂
A-579	3-CH ₃	2,5-(CF ₃) ₂
A-580	3-CF ₃	2,5-(CF ₃) ₂
A-581	3-OCH ₃	2,5-(CF ₃) ₂
A-582	—	2,5-(SCH ₃) ₂
A-583	2-F	2,5-(SCH ₃) ₂
A-584	2-Cl	2,5-(SCH ₃) ₂
A-585	2-CH ₃	2,5-(SCH ₃) ₂
A-586	2-CF ₃	2,5-(SCH ₃) ₂
A-587	2-OCH ₃	2,5-(SCH ₃) ₂
A-588	3-F	2,5-(SCH ₃) ₂
A-589	3-Cl	2,5-(SCH ₃) ₂
A-590	3-CH ₃	2,5-(SCH ₃) ₂
A-591	3-CF ₃	2,5-(SCH ₃) ₂
A-592	3-OCH ₃	2,5-(SCH ₃) ₂
A-593	—	2,6-(CH ₃) ₂
A-594	2-F	2,6-(CH ₃) ₂
A-595	2-Cl	2,6-(CH ₃) ₂
A-596	2-CH ₃	2,6-(CH ₃) ₂
A-597	2-CF ₃	2,6-(CH ₃) ₂
A-598	2-OCH ₃	2,6-(CH ₃) ₂
A-599	3-F	2,6-(CH ₃) ₂
A-600	3-Cl	2,6-(CH ₃) ₂
A-601	3-CH ₃	2,6-(CH ₃) ₂

No.	(R ⁶) _m	(R ⁷) _n
A-602	3-CF ₃	2,6-(CH ₃) ₂
A-603	3-OCH ₃	2,6-(CH ₃) ₂
A-604	—	3,5-(CH ₃) ₂
A-605	2-F	3,5-(CH ₃) ₂
A-606	2-Cl	3,5-(CH ₃) ₂
A-607	2-CH ₃	3,5-(CH ₃) ₂
A-608	2-CF ₃	3,5-(CH ₃) ₂
A-609	2-OCH ₃	3,5-(CH ₃) ₂
A-610	3-F	3,5-(CH ₃) ₂
A-611	3-Cl	3,5-(CH ₃) ₂
A-612	3-CH ₃	3,5-(CH ₃) ₂
A-613	3-CF ₃	3,5-(CH ₃) ₂
A-614	3-OCH ₃	3,5-(CH ₃) ₂
A-615	—	3,5-(CF ₃) ₂
A-616	2-F	3,5-(CF ₃) ₂
A-617	2-Cl	3,5-(CF ₃) ₂
A-618	2-CH ₃	3,5-(CF ₃) ₂
A-619	2-CF ₃	3,5-(CF ₃) ₂
A-620	2-OCH ₃	3,5-(CF ₃) ₂
A-621	3-F	3,5-(CF ₃) ₂
A-622	3-Cl	3,5-(CF ₃) ₂
A-623	3-CH ₃	3,5-(CF ₃) ₂
A-624	3-CF ₃	3,5-(CF ₃) ₂
A-625	3-OCH ₃	3,5-(CF ₃) ₂
A-626	—	3-Cl, 4-F
A-627	2-F	3-Cl, 4-F
A-628	2-Cl	3-Cl, 4-F
A-629	2-CH ₃	3-Cl, 4-F
A-630	2-CF ₃	3-Cl, 4-F
A-631	2-OCH ₃	3-Cl, 4-F
A-632	3-F	3-Cl, 4-F
A-633	3-Cl	3-Cl, 4-F

192
192

No.	(R ⁵) _m	(R ⁷) _n
A-634	3-CH ₃	3-Cl, 4-F
A-635	3-CF ₃	3-Cl, 4-F
A-636	3-OCH ₃	3-Cl, 4-F
A-637	—	3-CH ₃ , 4-F
A-638	2-F	3-CH ₃ , 4-F
A-639	2-Cl	3-CH ₃ , 4-F
A-640	2-CH ₃	3-CH ₃ , 4-F
A-641	2-CF ₃	3-CH ₃ , 4-F
A-642	2-OCH ₃	3-CH ₃ , 4-F
A-643	3-F	3-CH ₃ , 4-F
A-644	3-Cl	3-CH ₃ , 4-F
A-645	3-CH ₃	3-CH ₃ , 4-F
A-646	3-CF ₃	3-CH ₃ , 4-F
A-647	3-OCH ₃	3-CH ₃ , 4-F
A-648	—	2-Cl, 4-F
A-649	2-F	2-Cl, 4-F
A-650	2-Cl	2-Cl, 4-F
A-651	2-CH ₃	2-Cl, 4-F
A-652	2-CF ₃	2-Cl, 4-F
A-653	2-OCH ₃	2-Cl, 4-F
A-654	3-F	2-Cl, 4-F
A-655	3-Cl	2-Cl, 4-F
A-656	3-CH ₃	2-Cl, 4-F
A-657	3-CF ₃	2-Cl, 4-F
A-658	3-OCH ₃	2-Cl, 4-F
A-659	—	2-Cl, 4-OCH ₃
A-660	2-F	2-Cl, 4-OCH ₃
A-661	2-Cl	2-Cl, 4-OCH ₃
A-662	2-CH ₃	2-Cl, 4-OCH ₃
A-663	2-CF ₃	2-Cl, 4-OCH ₃
A-664	2-OCH ₃	2-Cl, 4-OCH ₃
A-665	3-F	2-Cl, 4-OCH ₃

193
193

No.	(R ⁶) _m	(R ⁷) _n
A-666	3-Cl	2-Cl, 4-OCH ₃
A-667	3-CH ₃	2-Cl, 4-OCH ₃
A-668	3-CF ₃	2-Cl, 4-OCH ₃
A-669	3-OCH ₃	2-Cl, 4-OCH ₃
A-670	—	2-F, 4-Cl
A-671	2-F	2-F, 4-Cl
A-672	2-Cl	2-F, 4-Cl
A-673	2-CH ₃	2-F, 4-Cl
A-674	2-CF ₃	2-F, 4-Cl
A-675	2-OCH ₃	2-F, 4-Cl
A-676	3-F	2-F, 4-Cl
A-677	3-Cl	2-F, 4-Cl
A-678	3-CH ₃	2-F, 4-Cl
A-679	3-CF ₃	2-F, 4-Cl
A-680	3-OCH ₃	2-F, 4-Cl
A-681	—	2-F, 4-Br
A-682	2-F	2-F, 4-Br
A-683	2-Cl	2-F, 4-Br
A-684	2-CH ₃	2-F, 4-Br
A-685	2-CF ₃	2-F, 4-Br
A-686	2-OCH ₃	2-F, 4-Br
A-687	3-F	2-F, 4-Br
A-688	3-Cl	2-F, 4-Br
A-689	3-CH ₃	2-F, 4-Br
A-690	3-CF ₃	2-F, 4-Br
A-691	3-OCH ₃	2-F, 4-Br
A-692	—	2-F, 4-CH ₃
A-693	2-F	2-F, 4-CH ₃
A-694	2-Cl	2-F, 4-CH ₃
A-695	2-CH ₃	2-F, 4-CH ₃
A-696	2-CF ₃	2-F, 4-CH ₃
A-697	2-OCH ₃	2-F, 4-CH ₃

199

No.	(R ⁶) _m	(R ⁷) _n
A-698	3-F	2-F, 4-CH ₃
A-699	3-Cl	2-F, 4-CH ₃
A-700	3-CH ₃	2-F, 4-CH ₃
A-701	3-CF ₃	2-F, 4-CH ₃
A-702	3-OCH ₃	2-F, 4-CH ₃
A-703	—	2-F, 4-CF ₃
A-704	2-F	2-F, 4-CF ₃
A-705	2-Cl	2-F, 4-CF ₃
A-706	2-CH ₃	2-F, 4-CF ₃
A-707	2-CF ₃	2-F, 4-CF ₃
A-708	2-OCH ₃	2-F, 4-CF ₃
A-709	3-F	2-F, 4-CF ₃
A-710	3-Cl	2-F, 4-CF ₃
A-711	3-CH ₃	2-F, 4-CF ₃
A-712	3-CF ₃	2-F, 4-CF ₃
A-713	3-OCH ₃	2-F, 4-CF ₃
A-714	—	2-F, 4-OCH ₃
A-715	2-F	2-F, 4-OCH ₃
A-716	2-Cl	2-F, 4-OCH ₃
A-717	2-CH ₃	2-F, 4-OCH ₃
A-718	2-CF ₃	2-F, 4-OCH ₃
A-719	2-OCH ₃	2-F, 4-OCH ₃
A-720	3-F	2-F, 4-OCH ₃
A-721	3-Cl	2-F, 4-OCH ₃
A-722	3-CH ₃	2-F, 4-OCH ₃
A-723	3-CF ₃	2-F, 4-OCH ₃
A-724	3-OCH ₃	2-F, 4-OCH ₃
A-725	—	2-CH ₃ , 4-OCH ₃
A-726	2-F	2-CH ₃ , 4-OCH ₃
A-727	2-Cl	2-CH ₃ , 4-OCH ₃
A-728	2-CH ₃	2-CH ₃ , 4-OCH ₃
A-729	2-CF ₃	2-CH ₃ , 4-OCH ₃

195
195

No.	(R ⁶) _m	(R ⁷) _n
A-730	2-OCH ₃	2-CH ₃ , 4-OCH ₃
A-731	3-F	2-CH ₃ , 4-OCH ₃
A-732	3-Cl	2-CH ₃ , 4-OCH ₃
A-733	3-CH ₃	2-CH ₃ , 4-OCH ₃
A-734	3-CF ₃	2-CH ₃ , 4-OCH ₃
A-735	3-OCH ₃	2-CH ₃ , 4-OCH ₃
A-736	—	2-CH ₃ , 4-Cl
A-737	2-F	2-CH ₃ , 4-Cl
A-738	2-Cl	2-CH ₃ , 4-Cl
A-739	2-CH ₃	2-CH ₃ , 4-Cl
A-740	2-CF ₃	2-CH ₃ , 4-Cl
A-741	2-OCH ₃	2-CH ₃ , 4-Cl
A-742	3-F	2-CH ₃ , 4-Cl
A-743	3-Cl	2-CH ₃ , 4-Cl
A-744	3-CH ₃	2-CH ₃ , 4-Cl
A-745	3-CF ₃	2-CH ₃ , 4-Cl
A-746	3-OCH ₃	2-CH ₃ , 4-Cl
A-747	—	2-CH ₃ , 4-F
A-748	2-F	2-CH ₃ , 4-F
A-749	2-Cl	2-CH ₃ , 4-F
A-750	2-CH ₃	2-CH ₃ , 4-F
A-751	2-CF ₃	2-CH ₃ , 4-F
A-752	2-OCH ₃	2-CH ₃ , 4-F
A-753	3-F	2-CH ₃ , 4-F
A-754	3-Cl	2-CH ₃ , 4-F
A-755	3-CH ₃	2-CH ₃ , 4-F
A-756	3-CF ₃	2-CH ₃ , 4-F
A-757	3-OCH ₃	2-CH ₃ , 4-F
A-758	—	2-CH ₃ , 4-CF ₃
A-759	2-F	2-CH ₃ , 4-CF ₃
A-760	2-Cl	2-CH ₃ , 4-CF ₃
A-761	2-CH ₃	2-CH ₃ , 4-CF ₃

196
196

No.	(R ⁶) _m	(R ⁷) _n
A-762	2-CF ₃	2-CH ₃ , 4-CF ₃
A-763	2-OCH ₃	2-CH ₃ , 4-CF ₃
A-764	3-F	2-CH ₃ , 4-CF ₃
A-765	3-Cl	2-CH ₃ , 4-CF ₃
A-766	3-CH ₃	2-CH ₃ , 4-CF ₃
A-767	3-CF ₃	2-CH ₃ , 4-CF ₃
A-768	3-OCH ₃	2-CH ₃ , 4-CF ₃
A-769	—	2-OCH ₃ , 4-F
A-770	2-F	2-OCH ₃ , 4-F
A-771	2-Cl	2-OCH ₃ , 4-F
A-772	2-CH ₃	2-OCH ₃ , 4-F
A-773	2-CF ₃	2-OCH ₃ , 4-F
A-774	2-OCH ₃	2-OCH ₃ , 4-F
A-775	3-F	2-OCH ₃ , 4-F
A-776	3-Cl	2-OCH ₃ , 4-F
A-777	3-CH ₃	2-OCH ₃ , 4-F
A-778	3-CF ₃	2-OCH ₃ , 4-F
A-779	3-OCH ₃	2-OCH ₃ , 4-F
A-780	—	2-OCH ₃ , 4-Cl
A-781	2-F	2-OCH ₃ , 4-Cl
A-782	2-Cl	2-OCH ₃ , 4-Cl
A-783	2-CH ₃	2-OCH ₃ , 4-Cl
A-784	2-CF ₃	2-OCH ₃ , 4-Cl
A-785	2-OCH ₃	2-OCH ₃ , 4-Cl
A-786	3-F	2-OCH ₃ , 4-Cl
A-787	3-Cl	2-OCH ₃ , 4-Cl
A-788	3-CH ₃	2-OCH ₃ , 4-Cl
A-789	3-CF ₃	2-OCH ₃ , 4-Cl
A-790	3-OCH ₃	2-OCH ₃ , 4-Cl
A-791	—	3-NO ₂ , 4-CH ₃
A-792	2-F	3-NO ₂ , 4-CH ₃
A-793	2-Cl	3-NO ₂ , 4-CH ₃

197

No.	(R ⁶) _m	(R ⁷) _n
A-794	2-CH ₃	3-NO ₂ , 4-CH ₃
A-795	2-CF ₃	3-NO ₂ , 4-CH ₃
A-796	2-OCH ₃	3-NO ₂ , 4-CH ₃
A-797	3-F	3-NO ₂ , 4-CH ₃
A-798	3-Cl	3-NO ₂ , 4-CH ₃
A-799	3-CH ₃	3-NO ₂ , 4-CH ₃
A-800	3-CF ₃	3-NO ₂ , 4-CH ₃
A-801	3-OCH ₃	3-NO ₂ , 4-CH ₃
A-802	—	2-CF ₃ , 4-Cl
A-803	3-F	2-CF ₃ , 4-Cl
A-804	3-Cl	2-CF ₃ , 4-Cl
A-805	3-CH ₃	2-CF ₃ , 4-Cl
A-806	3-CF ₃	2-CF ₃ , 4-Cl
A-807	3-OCF ₃	2-CF ₃ , 4-Cl
A-808	—	2-Cl, 4-CF ₃
A-809	3-F	2-Cl, 4-CF ₃
A-810	3-Cl	2-Cl, 4-CF ₃
A-811	3-CH ₃	2-Cl, 4-CF ₃
A-812	3-CF ₃	2-Cl, 4-CF ₃
A-813	3-OCF ₃	2-Cl, 4-CF ₃
A-814	—	2-CF ₃ , 4-OCH ₃
A-815	3-F	2-CF ₃ , 4-OCH ₃
A-816	3-Cl	2-CF ₃ , 4-OCH ₃
A-817	3-CH ₃	2-CF ₃ , 4-OCH ₃
A-818	3-CF ₃	2-CF ₃ , 4-OCH ₃
A-819	3-OCF ₃	2-CF ₃ , 4-OCH ₃
A-820	—	3-Cl, 4-CF ₃
A-821	3-F	3-Cl, 4-CF ₃
A-822	3-Cl	3-Cl, 4-CF ₃
A-823	3-CH ₃	3-Cl, 4-CF ₃
A-824	3-CF ₃	3-Cl, 4-CF ₃
A-825	3-OCF ₃	3-Cl, 4-CF ₃

148
198

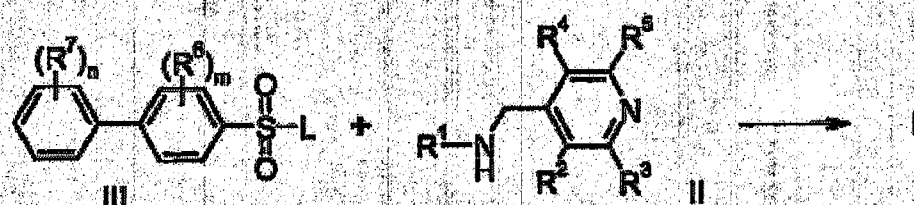
No.	(R ⁶) _m	(R ⁷) _n
A-826	—	2-CF ₃ , 5-F
A-827	3-F	2-CF ₃ , 5-F
A-828	3-Cl	2-CF ₃ , 5-F
A-829	3-CH ₃	2-CF ₃ , 5-F
A-830	3-CF ₃	2-CF ₃ , 5-F
A-831	3-OCF ₃	2-CF ₃ , 5-F
A-832	—	2-CF ₃ , 5-Cl
A-833	3-F	2-CF ₃ , 5-Cl
A-834	3-Cl	2-CF ₃ , 5-Cl
A-835	3-CH ₃	2-CF ₃ , 5-Cl
A-836	3-CF ₃	2-CF ₃ , 5-Cl
A-837	3-OCF ₃	2-CF ₃ , 5-Cl
A-838	—	2-Cl, 5-CF ₃
A-839	3-F	2-Cl, 5-CF ₃
A-840	3-Cl	2-Cl, 5-CF ₃
A-841	3-CH ₃	2-Cl, 5-CF ₃
A-842	3-CF ₃	2-Cl, 5-CF ₃
A-843	3-OCF ₃	2-Cl, 5-CF ₃
A-844	—	2-F, 5-CF ₃
A-845	3-F	2-F, 5-CF ₃
A-846	3-Cl	2-F, 5-CF ₃
A-847	3-CH ₃	2-F, 5-CF ₃
A-848	3-CF ₃	2-F, 5-CF ₃
A-849	3-OCF ₃	2-F, 5-CF ₃
A-850	—	3-F, 4-CF ₃
A-851	3-F	3-F, 4-CF ₃
A-852	3-Cl	3-F, 4-CF ₃
A-853	3-CH ₃	3-F, 4-CF ₃
A-854	3-CF ₃	3-F, 4-CF ₃
A-855	3-OCF ₃	3-F, 4-CF ₃
A-856	—	3-Cl, 4-CF ₃
A-857	3-F	3-Cl, 4-CF ₃

199
199

No.	(R ⁶) _m	(R ⁷) _n
A-858	3-Cl	3-Cl, 4-CF ₃
A-859	3-CH ₃	3-Cl, 4-CF ₃
A-860	3-CF ₃	3-Cl, 4-CF ₃
A-861	3-OCF ₃	3-Cl, 4-CF ₃
A-862	—	3-Cl, 4-Cl
A-863	3-F	3-Cl, 4-Cl
A-864	3-Cl	3-Cl, 4-Cl
A-865	3-CH ₃	3-Cl, 4-Cl
A-866	3-CF ₃	3-Cl, 4-Cl
A-867	3-OCF ₃	3-Cl, 4-Cl
A-868	—	3-F, 4-Cl
A-869	3-F	3-F, 4-Cl
A-870	3-Cl	3-F, 4-Cl
A-871	3-CH ₃	3-F, 4-Cl
A-872	3-CF ₃	3-F, 4-Cl
A-873	3-OCF ₃	3-F, 4-Cl
A-874	—	3-CF ₃ , 4-Cl
A-875	3-F	3-CF ₃ , 4-Cl
A-876	3-Cl	3-CF ₃ , 4-Cl
A-877	3-CH ₃	3-CF ₃ , 4-Cl
A-878	3-CF ₃	3-CF ₃ , 4-Cl
A-879	3-OCF ₃	3-CF ₃ , 4-Cl
A-880	—	—

Los compuestos de acuerdo con la invención se pueden obtener por diferentes rutas. Ventajosamente, ellos se obtienen de derivados de piridina de la fórmula II

5 bajo condiciones básicas mediante reacción con ácidos sulfónicos o derivados de ácidos sulfónicos activados de la fórmula III.

~~200~~
200

En la fórmula III, L es un grupo saliente adecuado tal como hidroxilo o halógeno, preferiblemente cloro.

5

Esta reacción se lleva a cabo usualmente a temperaturas de entre (-30)° C a 120° C, preferiblemente de (-10)° C a 100° C, en un disolvente orgánico inerte en la presencia de una base [cf. Lieb. Ann. Chem. 641 (1990)].

- 10 Los disolventes adecuados son hidrocarburos alifáticos, tales como pentano, hexano, ciclohexano y éter de petróleo, hidrocarburos aromáticos, tales como tolueno, o-, m-y p-xileno, hidrocarburos halogenados, tales como cloruro de metileno, cloroformo y clorobenceno, éteres, tales como dietil éter, diisopropil éter, terc-butil metil éter, di-oxano, anisol y tetrahidrofurano, nitrilos, tales como
- 15 acetonitrilo y propionitrilo, cetonas, tales como acetona, metil etil cetona, dietil cetona y terc-butil metil cetona, y también dimetil sulfóxido, dimetilformamida y dimetilacetamida, particular y preferiblemente diisopropil éter, dietil éter y tetrahidrofurano. También es posible utilizar mezclas de los disolventes mencionados.

20

Las bases adecuadas son, en general, compuestos inorgánicos, tales como hidróxidos de metal alcali y metal alcalinotérreo, tales como hidróxido de litio, hidróxido de sodio, hidróxido de potasio e hidróxido de calcio, óxidos de metal alcali y metal alcalinotérreo, tales como óxido de litio, óxido de sodio, óxido de

- 25 calcio y óxido de magnesio, hidruros de metal alcali y metal alcalinotérreo, tales

201
201

como hidruro de litio, hidruro de sodio, hidruro de potasio y hidruro de calcio, carbonatos de metal álcali y metal alcalinotérreo, tales como carbonato de litio, carbonato de potasio y carbonato de calcio, y también bicarbonatos de metal álcali, tales como bicarbonato de sodio, más aún bases orgánicas, por ejemplo

5 aminas terciarias, tales como trimetilamina, trietilamina, triisopropiletilamina y N-metilpiperidina, piridina, piridinas sustituidas, tales como colidina, lutidina y 4-dimetilaminopiridina, y también aminas bicíclicas. Se da preferencia particular a piridina, trietilamina y carbonato de potasio. Las bases se emplean generalmente en cantidades catalíticas; sin embargo, ellas también se pueden emplear en

10 cantidades equimolares, en exceso o, si es apropiado, como disolvente.

Los materiales de partida generalmente se hacen reaccionar con otro en cantidades equimolares. En términos de rendimiento, puede ser ventajoso utilizar un exceso de II, basado en III.

15

Los materiales de partida requeridos para la preparación de los compuestos I están comercialmente disponibles o se conocen en la literatura [WO 99/42443; EP-A 483 667; WO 96/10022; WO 00/73295; J. für praktische Chemie, p. 695 (1994); Heterocycles, p. 675 (1995); Tetrahedron, p. 12, 483 (1996); Chem.

20 Pharm. Bull., p. 1927 (1973); J. Chem. Soc, p. 426 (1942); EP-A 983 982; Synthesis, p. 852 (1986)], o ellos se pueden preparar de acuerdo con la literatura citada.

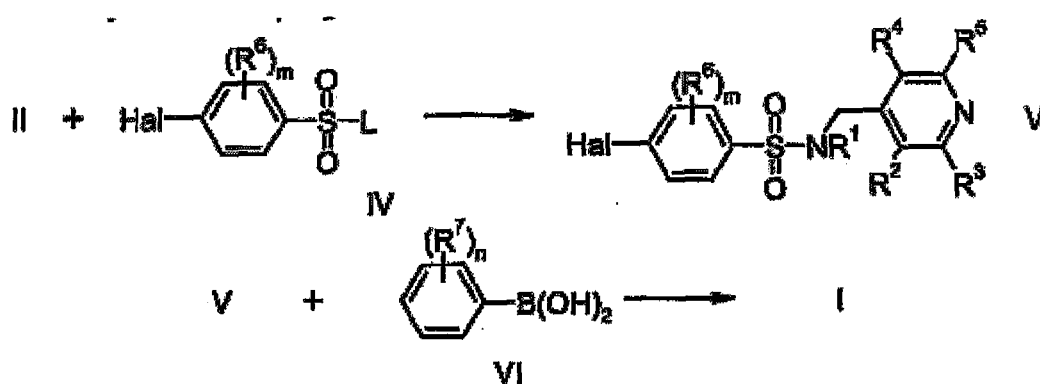
The derivados de piridina II se pueden preparar, por ejemplo, por reducción de los

25 respectivos nitrilos, oximas o amidas de ácido carbónico. La síntesis y los precursores se pueden tomar de, por ejemplo J. Org. Chem. 23, 714 (1958), J. Prakt. Chem. 336(8), 695 (1994), Chem. Pharm. Bull. 1927, 21 (1973), US

202
202

4,439,609, Houben-Weyl, Methoden der Organischen Chemie, Georg Thieme Verlag Stuttgart, Vol. 10/4 (1968) y Vol. 11/2 (1957) y Vol. E5 (1985), Compuestos heterocíclicos, Vol. 14, paret 1-4, Wiley New York 1974-1975, Methods in Science of Synthesis, Vol. 15, Tetrahedron 57, 4489 (2001), Doklady Akadem Nauk SSSR 5 164, 816 (1965), Eur. J. Org. Chem. 1371 (2001), Tetrahedron 57, 4059 (2001), US 2005/0239791, Heterocycles 65, 8 (2005), European Journal of Organic Chemistry 8, 1559-1568 (2003).

Alternativamente, los compuestos de la fórmula I también se pueden preparar al
10 hacer reaccionar un derivado de piridina de la fórmula II con un haluro de 4-halofenilsulfonilo de la fórmula IV, seguido por la construcción de la unidad fenilo. El último se puede llevar a cabo bajo condiciones de acoplamiento Stille o preferiblemente Suzuki.



En la fórmula IV, Hal y L son halógeno, L es preferiblemente cloro y "Hal" es en particular bromo o yodo.

20 La conversión en las piridilsulfonamidas de la fórmula V se lleva a cabo bajo las mismas condiciones como la condensación de los compuestos II y III.

703
603

El acoplamiento Suzuki de una piridilsulfonamida V con derivados de ácido borónico VI o un éster de estos usualmente se lleva a cabo a temperaturas de entre 20° C a 180° C, preferiblemente de 40° C a 120° C, en un disolvente orgánico inerte en la presencia de una base y un metal de platino, en particular un catalizador de paladio [cf. Synth. Commun. Vol. 11, p. 513 (1981); Acc. Chem. Res. Vol. 15, pp. 178-184 (1982); Chem. Rev. Vol. 95, pp. 2457-2483 (1995); Organic Letters Vol. 6 (16), p. 2808 (2004); WO 2002/42275].

Catalizadores adecuados son en particular tetrakis(trifenilfosfina)paladio(0); cloruro de bis(trifenilfosfina)paladio(II); cloruro de bis(acetonitrilo)paladio(II); complejo (1:1) de cloruro de [1,1'-bis(difenilfosfino)ferroceno]-paladio(II)/cloruro de metileno; bis[bis-(1,2-difenilfosfino)etano]paladio(0); cloruro de bis(bis-(1,2-difenilfosfino)butano)-paladio(II); acetato de paladio(II); cloruro de paladio(II); y complejo de acetato de paladio(II)/tri-o-tolilfosfina; tris-terc-butil-fosfina/paladio-dibencilideno acetona.

Los disolventes adecuados son hidrocarburos alifáticos, tales como pentano, hexano, ciclohexano y éter de petróleo, hidrocarburos aromáticos, tales como tolueno, o-, m-y p-xileno, éteres, tales como diisopropil éter, terc-butil metil éter, dioxano, anisol y tetrahidrofurano y dimetoxietano, cetonas, tales como acetona, metil etil cetona, dietil cetona y tert-butil metil cetona, y también dimetil sulfóxido, dimetilformamida y dimetilacetamida, particularmente preferiblemente éteres, tales como tetrahidrofurano, dioxano y dimetoxietano. También es posible utilizar mezclas de los disolventes mencionados.

25

Las bases adecuadas son, en general, compuestos inorgánicos, tales como óxidos de metal alcali y metal alcalinotérreo, tales como óxido de litio, óxido de sodio,

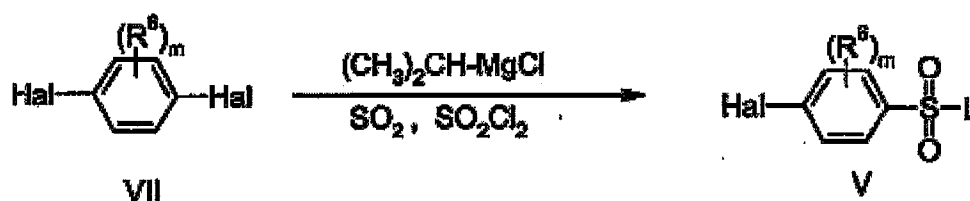
2009

óxido de calcio y óxido de magnesio, carbonatos de metal álcali y metal alcalinotérreo, tales como carbonato de litio, carbonato de sodio, carbonato de potasio y carbonato de calcio, y también bicarbonatos de metal álcali, tales como bicarbonato de sodio, alcóxidos de metal álcali y metal alcalinotérreo, tales como metóxido de sodio, etóxido de sodio, etóxido de potasio y terc-butóxido de potasio, más aún bases orgánicas, por ejemplo aminas terciarias, tales como trimetilamina, trietilamina, triisopropiletilamina y N-metilpiperidina, piridina, piridinas sustituidas, tales como colidina, lutidina y 4-dimetilaminopiridina, y también aminas cíclicas. Se da preferencia particular a bases tal como sodio carbonato, carbonato de potasio, carbonato de cesio, trietilamina y bicarbonato de sodio.

Las bases se emplean generalmente en cantidades equimolares; sin embargo, ellas pueden también ser empleadas en exceso o, si es apropiado, como disolvente.

Los materiales de partida generalmente se hacen reaccionar con otro en cantidades equimolares. En términos de rendimiento, puede ser ventajoso utilizar un exceso de VI, basado en V.

El intermediario IV se puede preparar del fenilhaluro respectivo VII mediante tratamiento con alquilmagnesiohalógenoide tal como $(\text{CH}_3)_2\text{CHMgCl}$, SO_2 y SO_2Cl_2 como se muestra en el esquema de reacción de adelante:



705
205

Los derivados de ácido borónico VI o sus ésteres se pueden obtener como se describe en Synlett 8, 1204 (2003), J. Org. Chem. 68, 3729 (2003), Synthesis, 442 (2000), J. Org. Chem. 60, 7508 (1995) o WO 2002/42275.

- 5 Las mezclas de reacción se trabajan en la forma habitual, por ejemplo al mezclar con agua, separar las fases y, si es apropiado, purificación cromatográfica de los productos crudos. Algunos de los intermediarios y los productos finales se obtienen en la forma de aceites viscosos ligeramente marrones o incoloros que se pueden purificar o liberar de componentes volátiles bajo presión reducida y en una
- 10 temperatura moderadamente elevada. Si los intermediarios y los productos finales se obtienen como sólidos, también se puede llevar a cabo purificación por recristalización o digestión.

- Los N-óxidos se pueden preparar a partir de los compuestos I de acuerdo con
- 15 métodos de oxidación convencionales, por ejemplo al tratar un bifenilsulfonamida I con un perácido orgánico tal como ácido metacloroperbenzóico [Journal de Medicinal Chemistry, 38(11), 1892-1903 (1995); La WO 03/64572] o con agentes de oxidación inorgánicos tal como peróxido de hidrógeno [cf. Journal de Heterocyclic Chemistry, 18(7), 1305-8 (1981)] o oxono [cf. Journal de the American
- 20 Chemical Society, 123(25), 5962-5973 (2001)]. La oxidación puede conducir a mono-N-óxidos puros o a una mezcla de N-óxidos diferentes en caso de un segundo anillo piridino que está presente en la molécula. Se pueden separar diferentes N-óxidos por métodos convencionales tales como cromatografía.

- 25 Si los compuestos individuales I no se pueden obtener por las rutas descritas anteriormente, ellas se pueden preparar por derivación de otros compuestos I.

206
206

Si la síntesis produce mezclas de isómeros, no se quiere generalmente una separación necesaria en razón a que en algunos casos los isómeros individuales se pueden inter convertir durante trabajo para uso o durante aplicación (por ejemplo bajo la acción de luz, ácidos o bases). Tales conversiones también
5 pueden tener lugar después de uso, por ejemplo en el tratamiento de plantas en las plantas tratadas, o en los hongos dañinos a ser controlados.

Los compuestos I son adecuados como fungicidas. Ellos se distinguen por una efectividad destacada contra un amplio espectro de hongos fitopatogénicos,
10 especialmente de las clases *Ascomycetes*, *Basidiomycetes*, *Deuteromycetes* y *Peronosporomycetes* (sinónimo *Oomycetes*). Algunos son sítalos áticamente efectivos y ellos se pueden utilizar en protección de cultivos como fungicidas foliares, fungicidas para cubrir semillas y fungicidas para el suelo.

15 Ellos son particularmente importantes en el control de una multitud de hongos en varias plantas cultivadas, tales como trigo, centeno, cebada, avena, arroz, maíz, césped, bananas, algodón, semillas de soya, café, caña de azúcar, viñedos, frutos y plantas ornamentales, y vegetales, tales como cohombro, frijol, tomate, papa y cucurbitáceas, y en las semillas de estas plantas.

20

Ellos son especialmente adecuados para controlar las siguientes enfermedades de plantas:

- Especies *Alternarias* en vegetales, colza, remolacha, frutos y arroz (por
25 ejemplo, *A. solani* o *A. alternata* en papas y tomates),
- Especies *Aphanomyces* en remolacha y vegetales,
- Especies *Ascochyta* en cereales y vegetales,

207
207

- Especies *Bipolaris* y *Drechslera* en maíz, cereales, arroz y césped (por ejemplo, *D. maydis* en maíz),
- *Blumeria graminis* (moho polvoriento) en cereales,
- *Botrytis cinerea* (moho gris) en fresas, vegetales, plantas ornamentales y viñedos,
- *Bremia lactucae* en lechuga,
- Especie *Cerospora* en maíz, semillas de soya, arroz y remolacha,
- Especie *Cochliobolus* en maíz, cereales, arroz (por ejemplo, *Cochliobolus sativus* en cereales, *Cochliobolus miyabeanus* en arroz),
- Especie *Colletotricum* en semillas de soya y algodón,
- Especies *Drechslera*, *Pyrenophora* en maíz, cereales, arroz y césped (por ejemplo, *D. teres* en cebada o *D. tritici-repentis* en trigo),
- Esca en viñedos, originado por *Phaeoacremonium chlamydosporium*, *Ph. Aleophilum* y *Formitipora punctata* (sinónimo *Phellinus punctatus*),
- Especie *Exserohilum* en maíz,
- *Erysiphe cichoracearum* y *Sphaerotheca fuliginea* en cucurbitáceas,
- Especies *Fusarium* y *Verticillium* en varias plantas (por ejemplo, *F. graminearum* o *F. culmorum* en cereales o *F. oxysporum* en varias plantas, por ejemplo tomate),
- *Gaeumanomyces graminis* en cereales,
- Especie *Gibberella* en cereales y arroz (por ejemplo, *Gibberella fujikuroi* en arroz),
- Grainstaining complex en arroz,
- Especie *Helminthosporium* en maíz y arroz,
- *Microdochium nivale* en cereales,
- Especies *Mycosphaerella* en cereales, bananas y maní (por ejemplo, *M. graminicola* en trigo o *M. fijiesis* en bananas),

208
208

- Especies *Peronospora* en plantas de repollo y cebolla (por ejemplo, *P. brassicae* en repollo o *P. destructor* en cebollas),
- *Phakopsara pachyrhizi* y *Phakopsara meibomiaae* en semillas de soya,
- Especies *Phomopsis* en semillas de soya y girasoles,
- 5 • *Phytophthora infestans* en papa y tomate,
- Especies *Phytophthora* en varias plantas (por ejemplo, *P. capsici* en paprika),
- *Plasmopara viticola* en viñedos,
- *Podosphaera leucotricha* en manzanas,
- 10 • *Pseudocercospora herpotrichoides* en cereales,
- *Pseudoperonospora* en varias plantas (por ejemplo, *P. cubensis* en cohombro o *P. humili* en lúpulo),
- Especies *Puccinia* en varias plantas (por ejemplo, *P. triticina*, *P. striformis*, *P. hordei* o *P. graminis* en cereales o *P. asparagi* en espárragos),
- 15 • *Piricularia oryzae*, *Corticium sasakii*, *Sarocladium oryzae*, *S. attenuatum*, *Entyloma oryzae* en arroz,
- *Piricularia grisea* en césped y cereales,
- *Pythium* spp. en césped, arroz, maíz, algodón, colza, girasoles, remolacha, vegetales y otras plantas (por ejemplo, *P. ultimum* en varias plantas, *P. aphanidermatum* en césped),
- 20 • Especies *Rhizoctonia* en algodón, arroz, papa, césped, maíz, colza, remolacha, vegetales y en varias plantas (por ejemplo, *R. solani* en remolacha y varias plantas),
- *Rhynchosporium secalis* en cebada, centeno y triticale,
- 25 • Especies *Sclerotinia* en colza y girasoles,
- *Septoria tritici* y *Stagonospora nodorum* en trigo,
- *Erysiphe* (sinónimo *Uncinula*) *necator* en viñedos,

209
209

- Especies *Setospaeria* en maíz y césped,
 - *Sphacelotheca reilinia* en maíz,
 - Especies *Thievaliopsis* en semillas de soya y algodón,
 - Especies *Tilletia* en cereales,
- 5 • Especies *Ustilago* en cereales, maíz y caña de azúcar (por ejemplo, *U. maydis* en maíz),
- Especies *Venturia* (cáscara) en manzanas y peras (por ejemplo, *V. inaequalis* en manzanas).
- 10 Los compuestos I también son adecuados para controlar hongos dañinos en la producción de materiales (por ejemplo, madera, papel, dispersiones de pintura, fibras o telas) y en la protección de productos almacenados. Para la protección de la madera, las siguientes hongos dañinos are son valiosos de destacar:
- 15 *Ascomycetes* tal como *Ophiostoma spp.*, *Ceratocystis spp.*, *Aureobasidium pullulans*, *Sclerophoma spp.*, *Chaetomium spp.*, *Humicola spp.*, *Petriella spp.*, *Trichurus spp.*; *Basidiomycetes* tales como *Coniophora spp.*, *Coriolus spp.*, *Gloeophyllum spp.*, *Lentinus spp.*, *Pleurotus spp.*, *Poria spp.*, *Serpula spp.* y *Tyromyces spp.*, *Deuteromycetes* tales como *Aspergillus spp.*, *Cladosporium spp.*, *Penicillium spp.*, *Trichoderma spp.*, *Alternaria spp.*, *Paecilomyces spp.* y
- 20 *Zygomycetes* tales como *Mucor spp.*, y en adición en la protección de productos almacenados los siguientes hongos de levadura son valiosos de destacar: *Candida spp.* y *Saccharomyces cerevisiae*.

Los compuestos I se emplean al tratar los hongo o las plantas, semillas, materiales

25 o el suelo a ser protegido del ataque fúngico con una cantidad fungicídamente efectiva de los compuesto activos. La aplicación se puede llevar a cabo antes y después de la infección de los materiales, plantas o semillas por el hongo.

210
210

Las composiciones fungicidas comprenden generalmente entre 0.1 y 95%, preferiblemente entre 0.5 y 90%, por peso de compuesto activo. Los compuestos activos se emplean en una pureza entre 90% a 100%, preferiblemente de 95% a 100% (de acuerdo con el espectro RMN).

10 Cuando se emplean en protección de plantas, las cantidades aplicadas están, depende iendo de la clase de efecto deseado, entre 0.01 y 2.0 kg del compuesto activo por ha. En el tratamiento de semilla, por ejemplo al convertir en polvo, o empapado de semillas, las cantidades del compuesto activo de 1 a 1000 g, preferiblemente de 5 a 100 g, por 100 kilogramo de semillas se requiere generalmente.

15 Cuando se utiliza en la protección de materiales o productos almacenados, la cantidad del compuesto activo aplicado depende e de la clase de área de aplicación y en el efecto deseado. Las cantidades aplicadas habitualmente en la protección de materiales son, por ejemplo, 0.001 g a 2 kg, preferiblemente 0.005 g a 1 kg, del compuesto activo por metro cúbico de material tratado.

20 Los compuestos de la fórmula I son adicionalmente adecuados para controlar efectivamente plagas de las clases de insectos, arácnidos y nemátodos. Ellos se pueden utilizar como pesticidas en la protección de cultivos y en los sectores de higiene y la protección de productos almacenados y el sector veterinario.

25 Ellos pueden actuar por contacto o pueden ser de acción en el estómago, o tener acción sistémica o residual. La acción por contacto significa que la plaga se muere al entrar en contacto con un compuesto I o con material que libera el compuesto I.

211

Que tiene acción en el estómago significa que la plaga se muere si esta ingiere una cantidad pesticidamente efectiva del compuesto I o material que contiene un cantidad pesticidamente efectiva del compuesto I. Acción sistémica significa que el compuesto se absorbe en los tejidos de plantas tratadas y la plaga se controla, si esta come el tejido de planta o chupa la sabia de la planta. Los compuestos I son adecuados en particular para controlar las siguientes plagas de insectos; tal como.

Insectos:

- 10 insectos del orden de *Lepidoptera*, por ejemplo *Agrotis ypsilon*, *Agrotis segetum*, *Alabama argillacea*, *Anticarsia gemmatalis*, *Argyresthia conjugella*, *Autographa gamma*, *Bupalus piniarius*, *Cacoecia murinana*, *Capua reticulana*, *Cheimatobia bru-mata*, *Choristoneura fumiferana*, *Choristoneura occidentalis*, *Cirphis unipuncta*, *Cydia pomonella*, *Dendrolimus pini*, *Diaphania nitidalis*, *Diatraea grandiosella*,
15 *Earias insulana*, *Elasmopalpus lignosellus*, *Eupoecilia ambiguella*, *Evetria bouliana*, *Feltia subterranea*, *Galleria mellonella*, *Grapholitha funebrana*, *Grapholitha molesta*, *Heliothis armigera*, *Heliothis virescens*, *Heliothis zea*, *Hellula undalis*, *Hibernia defoliaria*, *Hyphantria cunea*, *Hyponomeuta malinellus*, *Keiferia lycopersicella*, *Lambdina fiscellaria*, *Laphygma exigua*, *Leucoptera coffeella*,
20 *Leucoptera scitella*, *Lithocolletis blancardella*, *Lobesia botrana*, *Loxostege sticticalis*, *Lymantria dispar*, *Lymantria monacha*, *Lyonetia clerkella*, *Malacosoma neustria*, *Mamestra brassicae*, *Orgyia pseudotsugata*, *Ostrinia nubilalis*, *Panolis flammea*, *Pectinophora gossypiella*, *Peridroma saucia*, *Phalera bucephala*, *Phthorimaea operculella*, *Phyllocnistis citrella*, *Pieris brassicae*, *Plathypena scabra*,
25 *Plutella xylostella*, *Pseudoplusia includens*, *Rhyacionia frustrana*, *Scrobipalpula absoluta*, *Sitotroga cerealella*, *Sparganothis pillariana*, *Spodoptera eridania*,

212

Spodop-tera frugiperda, *Spodoptera littoralis*, *Spodoptera litura*, *Thaumatopoea pityocampa*, *Tortrix viridana*, *Trichoplusia ni* y *Zeiraphera canadensis*,

del orden de *Coleoptera* (escarabajos), por ejemplo *Agrilus sinuatus*, *Agriotes*

- 5 *lineatus*, *Agriotes obscurus*, *Amphimallus solstitialis*, *Anisandrus dispar*,
Anthonomus grandis, *Anthonomus pomorum*, *Atomaria linearis*, *Blastophagus*
piniperda, *Blitophaga undata*, *Bruchus rufimanus*, *Bruchus pisorum*, *Bruchus lentis*,
Byctiscus betulae, *Cassida nebulosa*, *Cerotoma trifurcata*, *Ceuthorrhynchus*
assimilis, *Ceuthorrhynchus napi*, *Chae-toenema tibialis*, *Conoderus vespertinus*,
10 *Crioceris asparagi*, *Diabrotica longicornis*, *Diabrotica 12-punctata*, *Diabrotica*
virgifera, *Epilachna varivestis*, *Epitrix hirtipennis*, *Eutinobothrus brasiliensis*,
Hylobius abietis, *Hypera brunneipennis*, *Hypera postica*, *Ips typographus*, *Lema*
bilineata, *Lema melanopus*, *Leptinotarsa decemlineata*, *Limonius californicus*,
Lissorhoptrus oryzophilus, *Melanotus communis*, *Meligethes aeneus*, *Mel-olontha*
15 *hippocastani*, *Melolontha melolontha*, *Oulema oryzae*, *Otiorrhynchus sulcatus*,
Otiorrhynchus ovatus, *Phaedon cochleariae*, *Phyllotreta chrysocephala*,
Phyllophaga sp., *Phyllopertha horticola*, *Phyllotreta nemorum*, *Phyllotreta striolata*,
Popillia japonica, *Sitona lineatus* y *Sitophilus granaria*,

- 20 del orden de *Diptera*, por ejemplo *Aedes aegypti*, *Aedes vexans*, *Anastrepha*
ludens, *Anopheles maculipennis*, *Ceratitis capitata*, *Chrysomya bezziana*,
Chrysomya hominivorax, *Chrysomya macellaria*, *Contarinia sorghicola*, *Cordylobia*
anthropophaga, *Culex pipiens*, *Dacus cucurbitae*, *Dacus oleae*, *Dasineura*
brassicae, *Fannia canicularis*, *Gasterophilus intestinalis*, *Glossina morsitans*,
25 *Haematobia irritans*, *Haplodiplosis equestris*, *Hylemyia platura*, *Hypoderma lineata*,
Liriomyza sativae, *Liriomyza trifolii*, *Lucilia caprina*, *Lucilia cuprina*, *Lucilia sericata*,
Lycoria pectoralis, *Mayetiola destructor*, *Musca domestica*, *Muscina stabulans*,

283
213

Oestrus ovis, *Oscinella frit*, *Pegomya hysocyami*, *Phorbia antiqua*, *Phorbia brassicae*, *Phorbia coarctata*, *Rhagoletis cerasi*, *Rhagoletis pomonella*, *Tabanus bovinus*, *Tipula oleracea* y *Tipula paludosa*,

- 5 del orden de *Thysanoptera* (thrips), por ejemplo *Dichromothrips* spp, *Frankliniella fusca*, *Frankliniella occidentalis*, *Frankliniella tritici*, *Scirtothrips citri*, *Thrips oryzae*, *Thrips palmi* y *Thrips tabaci*,

- hormigas, abejas, avispas, avispones del orden de (Himenóptera) por ejemplo
- 10 *Athalia rosae*, *Atta cephalotes*, *Atta cephalotes*, *Atta laevigata*, *Atta robusta*, *Atta capiguara*, *Atta sexdens*, *Atta texana*, *Crematogaster* spp., *Hoplocampa minuta*, *Hoplocampa testudinea*, *Monomorium pharaonis*, *Solenopsis geminata*, y *Solenopsis invicta*, *Solenopsis richteri*, *Solenopsis xyloni*, *Pogonomyrmex barbatus*, *Pogonomyrmex californicus*, *Pheidole megacephala*, *Dasymutilla*
- 15 *occidentalis*, *Bombus* spp. *Vespula squamosa*, *Paravespula vulgaris*, *Paravespula pennsylvanica*, *Paravespula germanica*, *Dolichovespula maculata*, *Vespa crabro*, *Polistes rubiginosa*, *Camponotus floridanus*, y *Linepithema humile*,

- del orden de Homóptera, por ejemplo *Acyrtosiphon onobrychis*, *Adelges laricis*,
- 20 *Aphidula nasturtii*, *Aphis craccivora*, *Aphis fabae*, *Aphis forbesi*, *Aphis pomi*, *Aphis gossypii*, *Aphis grossulariae*, *Aphis schneideri*, *Aphis spiraecola*, *Aphis sambuci*, *Acyrtosiphon pisum*, *Aulacorthum solani*, *Bemisa tabaci*, *Bemisa argentifolii*, *Brachycaudus cardui*, *Brachycaudus helichrysi*, *Brachycaudus persicae*, *Brachycaudus prunicola*, *Brevicoryne brassicae*, *Capitophorus horni*, *Cerosipha*
- 25 *gossypii*, *Chaetosiphon fragaefolii*, *Cryptomyzus ribis*, *Dreyfusia nordmannianae*, *Dreyfusia piceae*, *Dysaphis radicola*, *Dysaulacorthum pseudosolani*, *Dysaphis plantaginea*, *Dysaphis pyri*, *Empoasca fabae*, *Hyalopterus pruni*, *Hyperomyzus*

244
204

- lactucae*, *Macrosiphum avenae*, *Macrosiphum euphorbiae*, *Macrosiphon rosae*,
Megoura viciae, *Melanaphis pirarius*, *Metopolophium dirhodum*, *Myzodes persicae*,
Myzus ascalonicus, *Myzus cerasi*, *Myzus varians*, *Nasonovia ribis-nigri*,
Nilaparvata lugens, *Pemphigus bursarius*, *Perkinsiella saccharicida*, *Phorodon*
5 *humuli*, *Psylla mali*, *Psylla piri*, *Rhopalomyzus ascalonicus*, *Rhopalosiphum maidis*,
Rhopalosiphum padi, *Rhopalosiphum insertum*, *Sappaphis mala*, *Sappaphis mali*,
Schizaphis graminum, *Schizoneura lanuginosa*, *Sitobion avenae*, *Trialeurodes*
vaporariorum, *Toxoptera aurantiae*, y *Viteus vitifolii*,
- 10 del orden de isóptera (termitas), por ejemplo *Calotermes flavicollis*, *Heterotermes*
aureus, *Leucotermes flavipes*, *Reticulitermes flavipes*, *Reticulitermes virginicus*,
Reticulitermes lucifugus, y *Termes natalensis*, y *Coptotermes formosanus*,
- cucarachas (Blattaria -Blattodea), por ejemplo *Blattella germanica*, *Blattella*
15 *asahinae*, *Periplaneta americana*, *Periplaneta japonica*, *Periplaneta brunnea*,
Periplaneta fuligg-nosa, *Periplaneta australasiae*, y *Blatta orientalis*,
- chinche del campo (Hemiptera), por ejemplo *Acrosternum hilare*, *Blissus*
leucopterus, *Cyrtopeltis notatus*, *Dysdercus cingulatus*, *Dysdercus intermedius*,
20 *Eurygaster integriceps*, *Euschistus impictiventris*, *Leptoglossus phyllopus*, *Lygus*
lineolaris, *Lygus pratensis*, *Nezara viridula*, *Piesma quadrata*, *Solubea insularis*,
Thyanta perditor, *Acyrtosiphon onobrychis*, *Adelges laricis*, *Aphidula nasturtii*,
Aphis fabae, *Aphis forbesi*, *Aphis pomi*, *Aphis gossypii*, *Aphis grossulariae*, *Aphis*
schneideri, *Aphis spiraeicola*, *Aphis sambuci*, *Acyrtosiphon pisum*, *Aulacorthum*
25 *solani*, *Bemisia argentifolii*, *Brachycaudus cardui*, *Brachycaudus helichrysi*,
Brachycaudus persicae, *Brachycaudus prunicola*, *Brevicoryne brassicae*,
Capitophorus horni, *Cerosipha gossypii*, *Chaetosiphon fragaefolii*, *Cryptomyzus*

ribis, *Dreyfusia nordmanniana*, *Dreyfusia piceae*, *Dysaphis radicola*,
Dysaulacorthum pseudosolani, *Dysaphis plantaginea*, *Dysaphis pyri*, *Empoasca*
fabae, *Hyalopterus pruni*, *Hyperomyzus lactucae*, *Macrosiphum avenae*,
Macrosiphum euphorbiae, *Macrosiphon rosae*, *Megoura viciae*, *Melanaphis*
5 *pirarius*, *Metopolophium dirhodum*, *Myzus persicae*, *Myzus ascalonicus*, *Myzus*
cerasi, *Myzus varians*, *Nasonovia ribis-nigri*, *Nilaparvata lugens*, *Pemphigus*
bursarius, *Perkinsiella saccharicida*, *Phorodon humuli*, *Psylla mali*, *Psylla piri*,
Rhopalomyzus ascalonicus, *Rhopalosiphum maidis*, *Rhopalosiphum padi*,
Rhopalosiphum insertum, *Sappaphis mala*, *Sappaphis mali*, *Schizaphis graminum*,
10 *Schizoneura lanuginosa*, *Sitobion avenae*, *Trialeurodes vaporariorum*, *Toxoptera*
aurantiand, *Viteus vitifolii*, *Cimex lectularius*, *Cimex hemipterus*, *Reduvius senilis*,
Triatoma spp., y *Arlus critatus*,

grillos, saltamontes, cigarras del orden de (Ortóptera), por ejemplo *Acheta*
15 *domestica*, *Blatta orientalis*, *Blattella germanica*, *Calliptamus italicus*, *Chortoicetes*
terminifera, *Dociostaurus maroccanus*, *Forficula auricularia*, *Gryllotalpa gryllotalpa*,
Hieroglyphus daganensis, *Kraussaria angulifera*, *Locusta migratoria*, *Locustana*
pardalina, *Melanoplus bivittatus*, *Melanoplus femur-rubrum*, *Melanoplus*
mexicanus, *Melanoplus sanguinipes*, *Melanoplus spretus*, *Nomadacris*
20 *septemfasciata*, *Oedaleus senegalensis*, *Peñplaneta americana*, *Schistocerca*
americana, *Schistocerca peregrina*, *Schistocerca gregaria*, *Stauronotus*
maroccanus y, *Tachycines asynamorus*, *Tachycines asy-namorus*, *Zonozerus*
variegatus.

25 Los compuestos de la fórmula I y sus sales son también útiles para controlar
arácnidos (Aracnoidea), tal como acarianos (Acarina), por ejemplo de las familias
Argasidae, *Ixodidae* y *Sarcoptidae*, tal como *Amblyomma americanum*,

216

- Amblyomma variegatum*, *Ambryomma maculatum*, *Argas persicus*, *Boophilus annulatus*, *Boophilus decoloratus*, *Boophilus microplus*, *Dermacentor silvarum*, *Dermacentor andersoni*, *Dermacentor variabilis*, *Hyalomma truncatum*, *Ixodes ricinus*, *Ixodes rubicundus*, *Ixodes scapularis*, *Ixodes holocyclus*, *Ixodes pacificus*,
- 5 *Ornithodoros hermsi*, *Ornithodoros turicata*, *Ornithonyssus bacoti*, *Ornithodoros moubata*, *Otobius megnini*, *Dermanyssus gallinae*, *Psoroptes ovis*, *Rhipicephalus sanguineus*, *Rhipicephalus appendiculatus*, *Rhipicephalus evertsi*, *Sarcoptes scabiei*, y *Eriophyidae* spp. tal como *Aculus schlechtendali*, *Phyllocoptrata oleivora* y *Eriophyes she/doni*, *Tarsonemidae* spp. tal como *Phytonemus pallidus* y
- 10 *Poliphagotarsonemus latus*, *Tenuipalpidae* spp. tal como *Brevipalpus phoenicis*; *Tetranychidae* spp. tal como *Tetranychus cinnabarinus*, *Tetranychus kanzawai*, *Tetranychus pacificus*, *Tetranychus telarius* y *Tetranychus urticae*, *Panonychus ulmi*, *Panonychus citri*, y *oligonychus pratensi/s.* y *Oligonychus pratensis*, *Araneida*, por ejemplo *Latrodectus mactans*, y *Loxosceles reclusa*,
- 15 pulgas (*Siphonaptera*), por ejemplo *Ctenocephalides felis*, *Ctenocephalides canis*, *Xenopsylla cheopis*, *Pulex irritans*, *Tunga penetrans*, y *Nosopsyllus fasciatus*,
- lepidosma, lepidosma sacarina (*Thysanura*), por ejemplo *Lepisma saccharine* y
- 20 *Thermobia domestica*,
- ciempiés (*Chilopoda*), por ejemplo *Scutigera coleoptrata*,
- milpiés (*Diplopoda*), por ejemplo *Narceus* spp.,
- 25 3 tijeretas (*Dermaptera*) por ejemplo *forficula auricularia*,

2A
217

piojo (Phthiraptera), por ejemplo *Pediculus humanus capitis*, *Pediculus humanus corporis*, *Pthirus pubis*, *Haematopinus eurytenuis*, *Haematopinus suis*, *Linognathus vituli*, *Bovicola bovis*, *Menopon gallinae*, *Menacanthus stramineus* y *Solenopotes capillatus*.

5

En general, las composiciones insecticidas comprenden entre 0.01 a 95% en peso, preferiblemente de 0.1 a 90% en peso, del compuesto activo. Los compuestos activos se emplean en una pureza entre 90% a 100%, preferiblemente de 95% a 100% (de acuerdo con espectro RMN).

10

Bajo condiciones al aire libre, la proporción de aplicación del compuesto activo para controlar plagas es de 0.1 a 2.0, preferiblemente de 0.2 a 1.0, kg/ha.

15

Los compuestos I se pueden convertir en las formulaciones de habituales, por ejemplo soluciones, emulsiones, suspensiones, polvillos, polvos, pastas y gránulos. La forma de aplicación depende del propósito destinado en particular; en cada caso, se debe asegurar una distribución uniforme y fina del compuesto de acuerdo con la invención.

20

Las formulaciones se preparan en una forma conocida, por ejemplo al extender el compuesto activo con disolventes y/o portadores, si se desea utilizando emulsificadores y dispersantes. disolventes/auxiliares que son adecuados, esencialmente son:

25

- agua, disolventes aromáticos (por ejemplo productos Solvesso®, xileno), parafinas (por ejemplo fracciones de aceite mineral), alcoholes (por ejemplo metanol, butanol, pentanol, bencil alcohol), cetonas (por ejemplo ciclohexanona,

218

gama-butirolactona), pirrolidonas (N-metilpirrolidona, N-octilpirrolidona), acetatos (glicol diacetato), glicoles, dimetilamidas de ácido graso, ácidos grasos y ésteres ácido graso. En principio, mezclas de disolventes también se pueden utilizar.

- 5 - portadores tal como minerales naturales molidos (por ejemplo caolines, arcillas, talco, tiza) y minerales sintéticos molidos (por ejemplo sílice altamente dispersa, silicatos); emulsificadores tal como emulsificadores no iónicos y aniónicos (por ejemplo éteres de alcohol graso de polioxietileno, alquilsulfonatos y arilsulfonatos) y dispersantes tal como licores de consumo de lignosulfito y
- 10 metilcelulosa.

Los tensoactivos adecuados son metal álcali, metal alcalinotérreo y sales de amonio de ácidos lignosulfónico, ácido nafatalenosulfónico, ácido fenolsulfónico, ácido dibutilnafatalenosulfónico, alquilarilsulfonatos, alquil sulfatos,

15 alquilsulfonatos, sulfatos de alcohol graso, ácidos grasos y éteres de glicol alcohol graso sulfatado, adicionalmente condensados de nafataleno sulfonatado y derivados de nafataleno con formaldehído, condensados de nafataleno o de ácido nafatalenosulfónico con fenol y formaldehído, éter de octil-fenol de polioxietileno, isooctilfenol etoxilado, octilfenol, nonilfenol, éteres de poliglicol alquilfenol, éter de

20 poliglicol tributilfenilo, éter de poliglicol tristearilfenilo, alcoholes de poliéter alquilarilo, alcohol y condensados alcohol graso/óxido de etileno, aceite de ricino etoxilado, éteres de alquilo polioxietileno, polioxipropileno etoxilado, acetal éter poliglicol de alcohol laúrico, ésteres de sorbitol, licores de consumo de lignosulfito y metilcelulosa.

25

Adecuadas para la preparación de soluciones de rociado directo, emulsiones, pastas o dispersiones en aceite son fracciones de aceite mineral de medio a alto