



Industria y Comercio
SUPERINTENDENCIA

República de Colombia
Ministerio de Comercio, Industria y Turismo

PCT

Delegatura de Propiedad Industrial
División de Nuevas Creaciones

SUPERINTENDENCIA DE INDUSTRIA Y COMERCIO
INVENTARIO

SOLICITUD DE

PATENTE DE INVENCION
PCT ENTRADA EN FASE NACIONAL

10-9280

21. EXPEDIENTE No.

54. TITULO: HERBICIDAS NOVEDOSOS

52. CLASIFICACION INTERNACIONAL: C07D 213/24

71. SOLICITANTE: SYNGENTA LIMITED

DOMICILIO: European Regional Centre, Priestly Road,
Surrey Research Park, Guildford, Surrey GU2
7YH, REINO UNIDO

74. APODERADO: Dra. MARGARITA CASTELLANOS A.

22. BOGOTA, D.C.,

29 ENE. 2010

T.H.
01-03-2010



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Tra. 11 PATENTEIYII Eve: 378 FASENACIONALI
Act. 411 PRESENTACION Folios: 357**Industria y Comercio**
SUPERINTENDENCIA**LISTA DE CHEQUEO**
ADMISION A TRAMITE - NUEVAS CREACIONESPATENTE DE INVENCION ☐MODELO DE UTILIDAD ☐

Art. 33 Decisión 486/00

☐

Indicación que se solicita una patente

☐

Datos de identificación del solicitante o de la persona que presenta la solicitud

☐

Descripción de la invención

☐

Dibujos de ser estos pertinentes

☐

Comprobante de pago de las tasas establecidas (de ser el caso formato de descuento)

Completa ☐Incompleta ☐PATENTE DE INVENCION PCT ☒MODELO DE UTILIDAD PCT ☐Art. 33 Decisión 486/00
Circular Única☒

Indicación que se solicita una PCT

☒

Datos de identificación del solicitante o de la persona que presenta la solicitud

☒

Copia de la solicitud en español, tal como fue presentada inicialmente (capítulo descriptivo, reivindicatorio, resumen)

☐

Dibujos de ser estos pertinentes

☒

Comprobante de pago de las tasas establecidas (de ser el caso formato de descuento)

Completa ☒Incompleta ☐DISEÑO INDUSTRIAL ☐

(Art. 119 Decisión 486/00)

☐

Indicación que se solicita diseño industrial

☐

Datos de identificación del solicitante o de la persona que presenta la solicitud

☐

Representación gráfica y fotográfica del Diseño Industrial o muestra del material que incorpora el diseño

☐

Comprobante de pago de las tasas establecidas

Completa ☐Incompleta ☐ESQUEMA DE TRAZADO ☐

(Art. 92 Decisión 486/00)

☐

Indicación que se solicita un esquema de trazado

☐

Datos de identificación del solicitante o de la persona que presenta la solicitud

☐

Representación gráfica de un esquema de trazado

☐

Comprobante de pago de las tasas establecidas

Completa ☐Incompleta ☐

PETITORIO

SUPERINTENDENCIA DE INDUSTRIA Y COMERCIO



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radicación

Industria y Comercio
SUPERINTENDENCIA

FORMULARIO UNICO DE SOLICITUD DE PATENTES PCT ENTRADA EN FASE NACIONAL

SOLICITUD DE:

①

☒ Patente de Invención.

☐ Patente de Modelo de Utilidad.

☒ Capítulo I

☐ Capítulo II

②

SOLICITANTE (71)

Nombre: SYNGENTA LIMITED

Dirección: European Regional Centre,
Priestley Road, Surrey Research Park
Guildford, Surrey GU2 7YH
REINO UNIDO

Nacionalidad o Domicilio: Surrey - REINO UNIDO

Lugar de Constitución: REINO UNIDO

Teléfono: Fax:

E-mail:

IDENTIFICACIÓN

C.C. ☐ NIT ☐

C.E. ☐ Otro ☐

Número Cual

③

REPRESENTANTE
O APODERADO

Nombre: MARGARITA CASTELLANOS A.

Dirección: CALLE 94A No. 7A-09

Teléfono: 756 07 70 Fax: 755 01 58

E-mail: info@castellanosyco.com

IDENTIFICACIÓN

C.C. ☒ NIT ☐

C.E. ☐ Otro ☐

Número 39'779.654 TPA 70.819

④

INVENTOR (ES) (72)

CHRISTOPHER JOHN MATHEWS
Syngenta Limited
Jealotts Hill International Research Center
Bracknell, Berkshire RG42 6EY
GRAN BRETAÑA

STEPHEN CHRISTOPHER SMITH
Syngenta Limited
Jealotts Hill International Research Center
Bracknell, Berkshire RG42 6EY
GRAN BRETAÑA

STEFHANE ANDRE MARIE JEANMART
Syngenta Limited
Jealotts Hill International Research Center
Bracknell, Berkshire RG42 6EY
GRAN BRETAÑA

JOHN J. TAYLOR
Syngenta Limited
Jealotts Hill International Research Center
Bracknell, Berkshire RG42 6EY
GRAN BRETAÑA

⑤

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Fecha 05/02/2009

⑥

Título (54)

HERBICIDAS NOVEDOSOS

⑦

Clasificación Internacional (51)

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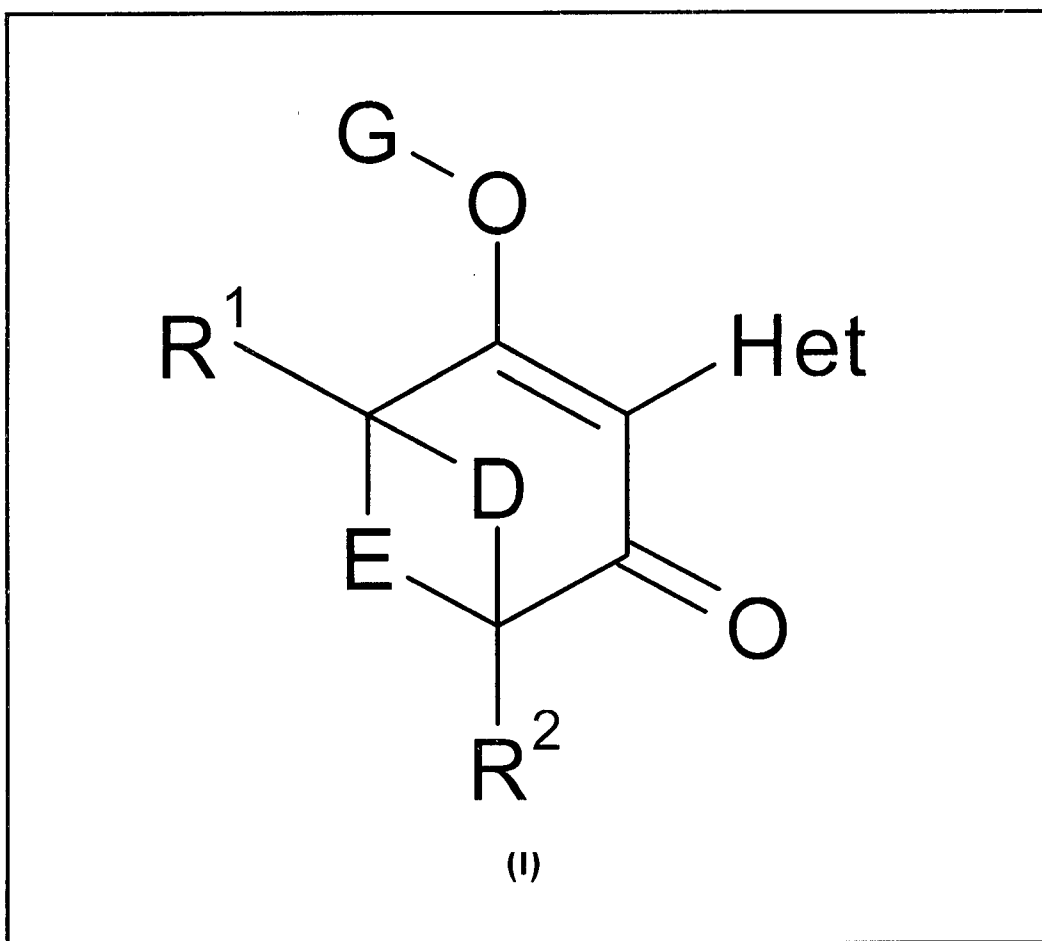
Fecha Enero 29 de 2010

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

10 Anexos

- ☒ Comprobante de pago de la tasa de presentación de la solicitud.
- ☒ Documento que acredite la existencia y representación legal cuando el solicitante sea persona jurídica.
- ☒ Poderes, si fuere el caso, junto con la sustitución del mismo a mi favor
- ☐ Documento de cesión del inventor al solicitante o a su causante.
- ☐ Declaraciones.
- ☒ Copia de la solicitud internacional (Resumen, descripción, reivindicaciones, dibujos).
- ☒ Traducción de la solicitud internacional al castellano (Resumen, descripción, reivindicaciones, dibujos).
- ☐ Copia del examen preliminar internacional efectuado por la Autoridad Internacional de Examen Preliminar (IPEA).
- ☐ Traducción del examen preliminar internacional efectuado por la IPEA.
- ☐ De ser el caso, copia del contrato de acceso.
- ☐ De ser el caso, documento que acredite la licencia o autorización de uso de conocimientos tradicionales de las comunidades indígenas.
- ☐ De ser el caso, certificado de depósito del material biológico.
- ☒ Arte final 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.
- ☐ De ser el caso, modificaciones efectuadas a la solicitud internacional.
- ☒ Otros: Tarjeta Propietario, Extracto para la publicación, Formas PCT/IB/306 de Notificación de un cambio

11 FIGURA CARACTERISTICA:



12 Solicito la concesión de la patente,

NOMBRE: MARGARITA CASTELLANOS ABONDANO

FIRMA: *Margarita Castellanos*

C.C. No. 39773.654 Usaquén - TPA 70.619 C.S.J.

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Jealotts Hill International Research Center, Bracknell,
Berkshire RG42 6EY (GB). SMITH, Steve [EE/GB];
Syngenta Limited, Jealotts Hill International Research
Center, Bracknell, Berkshire RG42 6EY (GB).

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(74) Agent: HÖLSCHER, Ingo; Syngenta Crop Protection
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(71) Applicant (for all designated States except US): SYN-
GENTA LIMITED [GB/GB]; European Regional Centre,
Priestley Road, Surrey Research Park, Guildford, Surrey
GU2 7YH (GB).

(72) Inventors; and

(75) Inventors/Applicants (for US only): JEANMART,
Stéphane André Marie [EE/GB]; Syngenta Limited,
Jealotts Hill International Research Center, Bracknell,
Berkshire RG42 6EY (GB) TAYLOR, John, J. [GB/GB];
Syngenta Limited, Jealotts Hill International Research
Center, Bracknell, Berkshire RG42 6EY (GB). MATH-
EWS, Christopher, John [BE/GB]; Syngenta Limited,

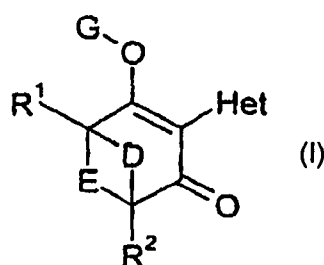
(81) Designated States (unless otherwise indicated, for every
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AQ, AT, AU, AZ, BA, BE, BG, BH, BR, BW, BY, BZ, CA,
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EG, ES, FI, GB, GD, GE, GH, GM, GT, HN, HR, HU, ID,
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MX, MY, MZ, NA, NG, NI, NO, NZ, OM, PG, PH, PL, PT,
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FR, GB, GR, HR, HU, IE, IS, IT, LT, LU, LV, MC, MT, NL,
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(54) Title: NOVEL HERBICIDES



(57) Abstract: Bicyclic dione compounds, and derivatives thereof, which are suitable for use as herbicides. formula (I)

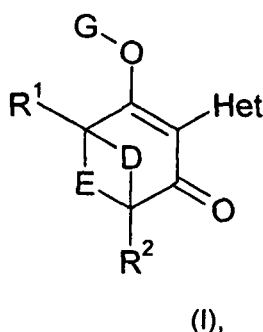
Novel herbicides

The present invention relates to novel, herbicidally active cyclic diones, and derivatives thereof, to processes for their preparation, to compositions comprising those compounds, and to their use in controlling weeds, especially in crops of useful plants, or in inhibiting plant growth.

Cyclic diones having herbicidal action are described, for example, in US 4,175,135 and US 4,209,532.

Novel bicyclic diones, and derivatives thereof, having herbicidal and growth-inhibiting properties have now been found.

The present invention accordingly relates to compounds of formula I



wherein

R¹ and R² are independently of each other hydrogen, C₁-C₆alkyl, C₂-C₆alkenyl, C₂-C₆alkynyl, C₁-C₆haloalkyl, C₂-C₆haloalkenyl, C₁-C₆alkoxy, C₃-C₆alkenyloxy, C₃-C₆haloalkenyloxy, C₃-C₆alkynyloxy, C₁-C₄alkoxyC₁-C₄alkyl, C₁-C₄alkoxyC₁-C₄alkoxy, C₁-C₄alkoxyC₁-C₄alkoxyC₁-C₄alkyl, C₁-C₆alkylthio, C₁-C₄alkylthioC₁-C₄alkyl, C₁-C₄alkylsulfinyl, C₁-C₄alkylsulfinylC₁-C₄alkyl, C₁-C₄alkylsulfonyl, C₁-C₄alkylsulfonylC₁-C₄alkyl, hydroxy-C₁-C₄alkyl, C₁-C₆haloalkoxyC₁-C₄alkyl, C₃-C₆alkenyloxyC₁-C₄alkyl, C₃-C₆haloalkenyloxyC₁-C₄alkyl, C₃-C₆alkynyloxyC₁-C₄alkyl, C₁-C₆cyanoalkyl, C₁-C₆cyanoalkoxy, C₁-C₄cyanoalkoxyC₁-C₄alkyl, hydroxy, C₁-C₆alkylcarbonyl, carboxy, C₁-C₆alkoxycarbonyl, C₁-C₆alkylaminocarbonyl, di-C₁-C₆alkylaminocarbonyl, tri(C₁-C₄alkyl)silyl or tri(C₁-C₄alkyl)silyloxy,

D is optionally substituted C₁-C₃alkylene,

E is optionally substituted C₁-C₃alkylene or optionally substituted C₂-C₆alkenylene,

Het is a an optionally substituted monocyclic or bicyclic heteroaromatic ring; and

G is hydrogen, an alkali metal, alkaline earth metal, sulfonium, ammonium or a latentating group.

- 2 -

In the substituent definitions of the compounds of the formula I, the alkyl radicals and alkyl moieties of alkoxy, alkylsulfonyl etc. having 1 to 6 carbon atoms are preferably methyl, ethyl as well as propyl, butyl, pentyl and hexyl, in form of their straight and branched isomers.

The alkenyl and alkynyl radicals having 2 to 6 carbon atoms can be straight or branched and can contain more than 1 double or triple bond. Examples are vinyl, allyl, propargyl, butenyl, butynyl, pentenyl and pentynyl.

Preferred halogens are fluorine, chlorine and bromine.

Substituted C₁-C₂alkylene and substituted C₂-C₃alkenylene units represent saturated and unsaturated carbon chains which may be substituted once or more than once by substituents such as C₁-C₆alkyl, C₁-C₆haloalkyl, C₂-C₆alkenyl, C₂-C₆haloalkenyl, C₂-C₆alkynyl, C₃-C₇cycloalkyl, C₃-C₇cycloalkylC₁-C₄alkyl, C₅-C₇cycloalkenyl, C₅-C₇cycloalkenylC₁-C₄alkyl, phenylC₁-C₄alkyl, substituted phenylC₁-C₄alkyl, heteroarylC₁-C₄alkyl and substituted heteroarylC₁-C₄alkyl, heterocyclylC₁-C₄alkyl and substituted heterocyclylC₁-C₄alkyl, C₁-C₆alkoxy, C₁-C₆haloalkoxy, C₁-C₄alkoxyC₁-C₄alkyl, C₁-C₄alkoxyC₁-C₄alkoxy, C₁-C₄alkoxyC₁-C₄alkoxyC₁-C₄alkyl, C₁-C₆alkylthio, C₁-C₄alkylthioC₁-C₄alkyl, C₁-C₄alkylsulfinyl, C₁-C₄alkylsulfinylC₁-C₄alkyl, C₁-C₄alkylsulfonyl, C₁-C₄alkylsulfonylC₁-C₄alkyl, halo, cyano, nitro, C₁-C₆cyanoalkyl, C₁-C₆cyanoalkoxy, hydroxy, C₃-C₆alkenyloxy, C₃-C₆haloalkenyloxy, C₃-C₆alkynyloxy, phenoxy, substituted phenoxy, heteroaryloxy, substituted heteroaryloxy, heterocyclyloxy, substituted heterocyclyloxy, phenylC₁-C₄alkoxy, substituted phenylC₁-C₄alkoxy, heteroarylC₁-C₄alkoxy, substituted heteroarylC₁-C₄alkoxy, heterocyclylC₁-C₄alkoxy, substituted heterocyclylC₁-C₄alkoxy, hydroxy-C₁-C₄alkyl, C₁-C₆haloalkoxyC₁-C₄alkyl, C₃-C₆alkenyloxyC₁-C₄alkyl, C₃-C₆haloalkenyloxyC₁-C₄alkyl, C₃-C₆alkynyloxyC₁-C₄alkyl, C₁-C₄alkylcarbonyloxyC₁-C₄alkyl, C₁-C₄alkoxycarbonylC₁-C₄alkyl, C₁-C₄alkylaminocarbonyloxyC₁-C₄alkyl, di-C₁-C₄alkylaminocarbonyloxyC₁-C₄alkyl, phenoxyC₁-C₄alkyl, substituted phenoxyC₁-C₄alkyl, heteroaryloxyC₁-C₄alkyl, substituted heteroaryloxyC₁-C₄alkyl, heterocyclyloxyC₁-C₄alkyl, substituted heterocyclyloxyC₁-C₄alkyl, phenylC₁-C₄alkoxyC₁-C₄alkyl, substituted phenylC₁-C₄alkoxyC₁-C₄alkyl, heteroarylC₁-C₄alkoxyC₁-C₄alkyl, substituted heteroarylC₁-C₄alkoxyC₁-C₄alkyl, heterocyclylC₁-C₄alkoxyC₁-C₄alkyl, substituted heterocyclylC₁-C₄alkoxyC₁-C₄alkyl, C₁-C₆cyanoalkoxyC₁-C₄alkyl, tri(C₁-C₄alkyl)silyloxyC₁-C₄alkyl, carboxy, C₁-C₄alkylcarbonyl, C₁-C₄alkoxycarbonyl, amidocarbonyl, C₁-C₄alkylaminocarbonyl, di-C₁-C₄alkylaminocarbonyl, phenylaminocarbonyl, substituted phenylaminocarbonyl, heteroarylaminocarbonyl, substituted heteroarylaminocarbonyl, C₁-C₄alkylcarbonyloxy, C₁-C₄alkoxycarbonyloxy, C₁-

C₆alkylaminocarbonyloxy, diC₁-C₄alkylaminocarbonyloxy, C₁-C₆alkylaminothiocarbonyloxy, phenylcarbonyloxy, substituted phenylcarbonyloxy, heteroarylcarbonyloxy, substituted heteroarylcarbonyloxy, heterocyclycarbonyloxy, substituted heterocyclycarbonyloxy, amino, C₁-C₄alkylcarbonylamino, C₁-C₄alkoxycarbonylamino, (C₁-C₄alkylthio)carbonylamino, C₁-C₄alkoxythiocarbonylamino, C₁-C₄alkyl(thiocarbonyl)amino, C₁-C₄alkylaminocarbonylamino, di-C₁-C₄alkylaminocarbonylamino, phenylcarbonylamino, substituted phenylcarbonylamino, heteroarylcarbonylamino, substituted heteroarylcarbonylamino, phenoxy carbonylamino, substituted phenoxy carbonylamino, phenylaminocarbonylamino, substituted phenylaminocarbonylamino, C₁-C₄alkylsulfonylamino, C₁-C₄haloalkylsulfonylamino, phenylsulfonylamino, substituted phenylsulfonylamino, C₁-C₄alkylcarbonylaminoC₁-C₄alkyl, C₁-C₄alkoxycarbonylaminoC₁-C₄alkyl, (C₁-C₄alkylthio)carbonylaminoC₁-C₄alkyl, C₁-C₄alkoxythiocarbonylaminoC₁-C₄alkyl, C₁-C₄alkyl(thiocarbonyl)aminoC₁-C₄alkyl, C₁-C₄alkylaminocarbonylaminoC₁-C₄alkyl, di-C₁-C₄alkylaminocarbonylaminoC₁-C₄alkyl, phenylcarbonylaminoC₁-C₄alkyl, substituted phenylcarbonylaminoC₁-C₄alkyl, heteroarylcarbonylaminoC₁-C₄alkyl, substituted heteroarylcarbonylaminoC₁-C₄alkyl, phenoxy carbonylaminoC₁-C₄alkyl, substituted phenoxy carbonylaminoC₁-C₄alkyl, phenylaminocarbonylaminoC₁-C₄alkyl, substituted phenylaminocarbonylaminoC₁-C₄alkyl, C₁-C₄alkylsulfonylaminoC₁-C₄alkyl, C₁-C₄haloalkylsulfonylaminoC₁-C₄alkyl, phenylsulfonylaminoC₁-C₄alkyl, substituted phenylsulfonylaminoC₁-C₄alkyl, tri(C₁-C₄alkyl)silyl, tri(C₁-C₄alkyl)silyloxy, phenyl and substituted phenyl, heteroaryl and substituted heteroaryl, heterocyclyl and substituted heterocyclyl. Preferably, the C₁-C₃alkylene and C₂-C₃alkenylene groups D and E are unsubstituted, or are substituted once or twice by C₁-C₄alkyl, C₁-C₄alkoxy, C₁-C₄alkoxyC₁-C₄alkyl, halogen or hydroxy.

Where two preferably adjacent substituents are present on the C₁-C₃alkylene and C₂-C₃alkenylene groups these substituents may additionally join together to form a 3-7 membered saturated ring, which may optionally contain one or more heteroatoms selected from oxygen, sulfur or nitrogen, or may form a 5-7 membered unsaturated ring, which may optionally contain one or more heteroatoms which are selected from oxygen, sulfur or nitrogen. Preferred rings which are formed are dioxolane rings, optionally substituted once or twice by C₁-C₃alkyl. Suitable examples of heteroaromatic rings are, for example, thienyl, furyl, pyrrolyl, isoxazolyl, oxazolyl, isothiazolyl, thiazolyl, pyrazolyl, imidazolyl, triazolyl, tetrazolyl, pyridyl, pyrimidinyl, pyrazinyl, pyridazinyl, triazinyl, oxadiazolyl and thiadiazolyl, and, where appropriate, N-oxides and salts thereof.

These heteroaromatic rings can be substituted by one or more substituents, where preferred substituents may be selected from C₁-C₄alkyl, C₂-C₄alkenyl, C₂-C₄alkynyl, C₁-C₄haloalkyl, C₃-C₇cycloalkyl, C₃-C₇halocycloalkyl, C₅-C₇cycloalkenyl, C₁-C₄alkoxy, C₁-C₄haloalkoxy, C₁-C₄alkylthio, C₁-C₄haloalkylthio, C₁-C₄alkylsulfinyl, C₁-C₄haloalkylsulfinyl, C₁-C₄alkylsulfonyl, C₁-C₄haloalkylsulfonyl, fluoro, chloro, bromo, iodo, cyano, nitro, hydroxy-C₁-C₄alkyl, formyl, carboxy, C₁-C₄alkylcarbonyl, C₁-C₄alkoxycarbonyl, amidocarbonyl, C₁-C₄alkylaminocarbonyl, di-C₁-C₄alkylaminocarbonyl, amino, C₁-C₄alkylcarbonylamino, C₁-C₄alkoxycarbonylamino, C₁-C₄alkylaminocarbonylamino, di-C₁-C₄alkylaminocarbonylamino, C₁-C₄alkylsulfonylamino, C₁-C₄haloalkylsulfonylamino, C₁-C₄alkylsulfonyloxy and C₁-C₄haloalkylsulfonyloxy and are preferably selected from C₁-C₄alkyl, C₁-C₄alkoxy, C₁-C₄haloalkoxy, halo, cyano and nitro, especially C₁-C₂alkyl, C₁-C₂alkoxy, C₁-C₂haloalkoxy, fluoro, chloro and cyano.

The group G denotes hydrogen, an alkali metal cation such as sodium or potassium, alkaline earth metal cation such as calcium, sulfonium cation (preferably -S(C₁-C₆alkyl)₃⁺) or ammonium cation (preferably -NH₄⁺ or -N(C₁-C₆alkyl)₄⁺), or C₁-C₈alkyl, C₃-C₈alkenyl or C₃-C₈alkynyl or a latentating group. The latentating group G is preferably selected from C₁-C₈alkyl, C₂-C₈haloalkyl, phenylC₁-C₈alkyl (wherein the phenyl may optionally be substituted by C₁-C₃alkyl, C₁-C₃haloalkyl, C₁-C₃alkoxy, C₁-C₃haloalkoxy, C₁-C₃alkylthio, C₁-C₃alkylsulfinyl, C₁-C₃alkylsulfonyl, halogen, cyano or by nitro), heteroarylC₁-C₈alkyl (wherein the heteroaryl may optionally be substituted by C₁-C₃alkyl, C₁-C₃haloalkyl, C₁-C₃alkoxy, C₁-C₃haloalkoxy, C₁-C₃alkylthio, C₁-C₃alkylsulfinyl, C₁-C₃alkylsulfonyl, halogen, cyano or by nitro), C₃-C₈alkenyl, C₃-C₈haloalkenyl, C₃-C₈alkynyl, C(X^a)-R^a, C(X^b)-X^c-R^b, C(X^d)-N(R^c)-R^d, -SO₂-R^e, -P(X^e)(R^f)-R^g or CH₂-X^f-R^h wherein X^a, X^b, X^c, X^d, X^e and X^f are independently of each other oxygen or sulfur;

R^a is H, C₁-C₁₈alkyl, C₂-C₁₈alkenyl, C₂-C₁₈alkynyl, C₁-C₁₀haloalkyl, C₁-C₁₀cyanoalkyl, C₁-C₁₀nitroalkyl, C₁-C₁₀aminoalkyl, C₁-C₅alkylaminoC₁-C₅alkyl, C₂-C₆dialkylaminoC₁-C₅alkyl, C₃-C₇cycloalkylC₁-C₅alkyl, C₁-C₅alkoxyC₁-C₅alkyl, C₃-C₅alkenyloxyC₁-C₅alkyl, C₃-C₅alkynyloxyC₁-C₅alkyl, C₁-C₅alkylthioC₁-C₅alkyl, C₁-C₅alkylsulfinylC₁-C₅alkyl, C₁-C₅alkylsulfonylC₁-C₅alkyl, C₂-C₈alkylideneaminoxyC₁-C₅alkyl, C₁-C₅alkylcarbonylC₁-C₅alkyl, C₁-C₅alkoxycarbonylC₁-C₅alkyl, aminocarbonylC₁-C₅alkyl, C₁-C₅alkylaminocarbonylC₁-C₅alkyl, C₂-C₆dialkylaminocarbonylC₁-C₅alkyl, C₁-C₅alkylcarbonylaminoC₁-C₅alkyl, N-C₁-C₅alkylcarbonyl-N-C₁-C₅alkylaminoC₁-C₅alkyl, C₃-C₆trialkylsilylC₁-C₅alkyl, phenylC₁-C₅alkyl (wherein the phenyl may optionally be substituted by C₁-C₃alkyl, C₁-C₃haloalkyl, C₁-C₃alkoxy, C₁-C₃haloalkoxy, C₁-C₃alkylthio, C₁-C₃alkylsulfinyl, C₁-C₃alkylsulfonyl, halogen, cyano, or by nitro), heteroarylC₁-C₅alkyl, (wherein the heteroaryl may optionally be substituted by C₁-C₃alkyl, C₁-C₃haloalkyl, C₁-C₃alkoxy, C₁-C₃haloalkoxy, C₁-C₃alkylthio, C₁-C₃alkylsulfinyl, C₁-C₃alkylsulfonyl, halogen, cyano, or by nitro), C₂-C₅haloalkenyl, C₃-C₆cycloalkyl, phenyl or phenyl substituted by C₁-C₃alkyl, C₁-C₃haloalkyl, C₁-C₃alkoxy, C₁-

C₃haloalkoxy, halogen, cyano or nitro, heteroaryl or heteroaryl substituted by C₁-C₃alkyl, C₁-C₃haloalkyl, C₁-C₃alkoxy, C₁-C₃haloalkoxy, halogen, cyano or nitro,

R^b is C₁-C₁₅alkyl, C₃-C₁₅alkenyl, C₃-C₁₅alkynyl, C₂-C₁₀haloalkyl, C₁-C₁₀cyanoalkyl, C₁-C₁₀nitroalkyl, C₂-C₁₀aminoalkyl, C₁-C₅alkylaminoC₁-C₅alkyl, C₂-C₈dialkylaminoC₁-C₅alkyl, C₃-C₇cycloalkylC₁-C₅alkyl, C₁-C₅alkoxyC₁-C₅alkyl, C₃-C₆alkenyloxyC₁-C₅alkyl, C₃-C₅alkynyloxyC₁-C₅alkyl, C₁-C₅alkylthioC₁-C₅alkyl, C₁-C₅alkylsulfinylC₁-C₅alkyl, C₁-C₅alkylsulfonylC₁-C₅alkyl, C₂-C₈alkylideneaminoxyC₁-C₅alkyl, C₁-C₅alkylcarbonylC₁-C₅alkyl, C₁-C₅alkoxycarbonylC₁-C₅alkyl, aminocarbonylC₁-C₅alkyl, C₁-C₅alkylaminocarbonylC₁-C₅alkyl, C₂-C₈dialkylaminocarbonylC₁-C₅alkyl, C₁-C₅alkylcarbonylaminoC₁-C₅alkyl, *N*-C₁-C₅alkylcarbonyl-*N*-C₁-C₅alkylaminoC₁-C₅alkyl, C₃-C₆trialkylsilylC₁-C₅alkyl, phenylC₁-C₅alkyl (wherein the phenyl may optionally be substituted by C₁-C₃alkyl, C₁-C₃haloalkyl, C₁-C₃alkoxy, C₁-C₃haloalkoxy, C₁-C₃alkylthio, C₁-C₃alkylsulfinyl, C₁-C₃alkylsulfonyl, halogen, cyano, or by nitro), heteroarylC₁-C₅alkyl, (wherein the heteroaryl may optionally be substituted by C₁-C₃alkyl, C₁-C₃haloalkyl, C₁-C₃alkoxy, C₁-C₃haloalkoxy, C₁-C₃alkylthio, C₁-C₃alkylsulfinyl, C₁-C₃alkylsulfonyl, halogen, cyano, or by nitro), C₃-C₅haloalkenyl, C₃-C₆cycloalkyl, phenyl or phenyl substituted by C₁-C₃alkyl, C₁-C₃haloalkyl, C₁-C₃alkoxy, C₁-C₃haloalkoxy, halogen, cyano or nitro, heteroaryl or heteroaryl substituted by C₁-C₃alkyl, C₁-C₃haloalkyl, C₁-C₃alkoxy, C₁-C₃haloalkoxy, halogen, cyano or nitro,

R^c and R^d are each independently of each other hydrogen, C₁-C₁₀alkyl, C₃-C₁₀alkenyl, C₃-C₁₀alkynyl, C₂-C₁₀haloalkyl, C₁-C₁₀cyanoalkyl, C₁-C₁₀nitroalkyl, C₁-C₁₀aminoalkyl, C₁-C₅alkylaminoC₁-C₅alkyl, C₂-C₈dialkylaminoC₁-C₅alkyl, C₃-C₇cycloalkylC₁-C₅alkyl, C₁-C₅alkoxyC₁-C₅alkyl, C₃-C₆alkenyloxyC₁-C₅alkyl, C₃-C₅alkynyloxyC₁-C₅alkyl, C₁-C₅alkylthioC₁-C₅alkyl, C₁-C₅alkylsulfinylC₁-C₅alkyl, C₁-C₅alkylsulfonylC₁-C₅alkyl, C₂-C₈alkylideneaminoxyC₁-C₅alkyl, C₁-C₅alkylcarbonylC₁-C₅alkyl, C₁-C₅alkoxycarbonylC₁-C₅alkyl, aminocarbonylC₁-C₅alkyl, C₁-C₅alkylaminocarbonylC₁-C₅alkyl, C₂-C₈dialkylaminocarbonylC₁-C₅alkyl, C₁-C₅alkylcarbonylaminoC₁-C₅alkyl, *N*-C₁-C₅alkylcarbonyl-*N*-C₂-C₅alkylaminoalkyl, C₃-C₆trialkylsilylC₁-C₅alkyl, phenylC₁-C₅alkyl (wherein the phenyl may optionally be substituted by C₁-C₃alkyl, C₁-C₃haloalkyl, C₁-C₃alkoxy, C₁-C₃haloalkoxy, C₁-C₃alkylthio, C₁-C₃alkylsulfinyl, C₁-C₃alkylsulfonyl, halogen, cyano, or by nitro), heteroarylC₁-C₅alkyl, (wherein the heteroaryl may optionally be substituted by C₁-C₃alkyl, C₁-C₃haloalkyl, C₁-C₃alkoxy, C₁-C₃haloalkoxy, C₁-C₃alkylthio, C₁-C₃alkylsulfinyl, C₁-C₃alkylsulfonyl, halogen, cyano, or by nitro), C₂-C₅haloalkenyl, C₃-C₆cycloalkyl, phenyl or phenyl substituted by C₁-C₃alkyl, C₁-C₃haloalkyl, C₁-C₃alkoxy, C₁-C₃haloalkoxy, halogen, cyano or nitro, heteroaryl or heteroaryl substituted by C₁-C₃alkyl, C₁-C₃haloalkyl, C₁-C₃alkoxy, C₁-C₃haloalkoxy, halogen, cyano or nitro, heteroarylamino or heteroarylamino substituted by C₁-C₃alkyl, C₁-C₃haloalkyl, C₁-C₃alkoxy, C₁-C₃haloalkoxy, halogen, cyano or nitro, diheteroarylamino or diheteroarylamino substituted by C₁-C₃alkyl, C₁-C₃haloalkyl, C₁-C₃alkoxy, C₁-C₃haloalkoxy, halogen, cyano or nitro, phenylamino or phenylamino

substituted by C₁-C₃alkyl, C₁-C₃haloalkyl, C₁-C₃alkoxy, C₁-C₃haloalkoxy, halogen, cyano or by nitro, diphenylamino or diphenylamino substituted by C₁-C₃alkyl, C₁-C₃haloalkyl, C₁-C₃alkoxy, C₁-C₃haloalkoxy, halogen, cyano or by nitro, amino, C₁-C₃alkylamino, C₁-C₃dialkylamino, C₁-C₃alkoxy or C₃-C₇cycloalkylamino, di-C₃-C₇cycloalkylamino or C₃-C₇cycloalkoxy or R^c and R^d may join together to form a 3-7 membered ring, optionally containing one heteroatom selected from O or S and optionally substituted by 1 or 2 C₁-C₃alkyl groups,

R^e is C₁-C₁₀alkyl, C₂-C₁₀alkenyl, C₂-C₁₀alkynyl, C₁-C₁₀haloalkyl, C₁-C₁₀cyanoalkyl, C₁-C₁₀nitroalkyl, C₁-C₁₀aminoalkyl, C₁-C₅alkylaminoC₁-C₅alkyl, C₂-C₈dialkylaminoC₁-C₅alkyl, C₃-C₇cycloalkylC₁-C₅alkyl, C₁-C₅alkoxyC₁-C₅alkyl, C₃-C₆alkenyloxyC₁-C₅alkyl, C₃-C₆alkynyloxyC₁-C₅alkyl, C₁-C₅alkylthioC₁-C₅alkyl, C₁-C₅alkylsulfinylC₁-C₅alkyl, C₁-C₅alkylsulfonylC₁-C₅alkyl, C₂-C₆alkylideneaminoxyC₁-C₅alkyl, C₁-C₅alkylcarbonylC₁-C₅alkyl, C₁-C₅alkoxycarbonylC₁-C₅alkyl, aminocarbonylC₁-C₅alkyl, C₁-C₅alkylaminocarbonylC₁-C₅alkyl, C₂-C₆dialkylaminocarbonylC₁-C₅alkyl, C₁-C₅alkylcarbonylaminoC₁-C₅alkyl, N-C₁-C₅alkylcarbonyl-N-C₁-C₅alkylaminoC₁-C₅alkyl, C₃-C₆trialkylsilylC₁-C₅alkyl, phenylC₁-C₅alkyl (wherein the phenyl may optionally be substituted by C₁-C₃alkyl, C₁-C₃haloalkyl, C₁-C₃alkoxy, C₁-C₃haloalkoxy, C₁-C₃alkylthio, C₁-C₃alkylsulfinyl, C₁-C₃alkylsulfonyl, halogen, cyano, or by nitro), heteroarylC₁-C₅alkyl (wherein the heteroaryl may optionally be substituted by C₁-C₃alkyl, C₁-C₃haloalkyl, C₁-C₃alkoxy, C₁-C₃haloalkoxy, C₁-C₃alkylthio, C₁-C₃alkylsulfinyl, C₁-C₃alkylsulfonyl, halogen, cyano, or by nitro), C₂-C₆haloalkenyl, C₃-C₆cycloalkyl, phenyl or phenyl substituted by C₁-C₃alkyl, C₁-C₃haloalkyl, C₁-C₃alkoxy, C₁-C₃haloalkoxy, halogen, cyano or nitro, heteroaryl or heteroaryl substituted by C₁-C₃alkyl, C₁-C₃haloalkyl, C₁-C₃alkoxy, C₁-C₃haloalkoxy, halogen, cyano or by nitro, diheteroaryl amino or diheteroaryl amino substituted by C₁-C₃alkyl, C₁-C₃haloalkyl, C₁-C₃alkoxy, C₁-C₃haloalkoxy, halogen, cyano or by nitro, phenylamino or phenylamino substituted by C₁-C₃alkyl, C₁-C₃haloalkyl, C₁-C₃alkoxy, C₁-C₃haloalkoxy, halogen, cyano, nitro, amino, diphenylamino, or diphenylamino substituted by C₁-C₃alkyl, C₁-C₃haloalkyl, C₁-C₃alkoxy, C₁-C₃haloalkoxy, halogen, cyano or nitro, or C₃-C₇cycloalkylamino, di-C₃-C₇cycloalkylamino or C₃-C₇cycloalkoxy, C₁-C₁₀alkoxy, C₁-C₁₀haloalkoxy, C₁-C₅alkylamino or C₂-C₆dialkylamino, R^f and R^g are each independently of each other C₁-C₁₀alkyl, C₂-C₁₀alkenyl, C₂-C₁₀alkynyl, C₁-C₁₀alkoxy, C₁-C₁₀haloalkyl, C₁-C₁₀cyanoalkyl, C₁-C₁₀nitroalkyl, C₁-C₁₀aminoalkyl, C₁-C₅alkylaminoC₁-C₅alkyl, C₂-C₆dialkylaminoC₁-C₅alkyl, C₃-C₇cycloalkylC₁-C₅alkyl, C₁-C₅alkoxyC₁-C₅alkyl, C₃-C₆alkenyloxyC₁-C₅alkyl, C₃-C₆alkynyloxyC₁-C₅alkyl, C₁-C₅alkylthioC₁-C₅alkyl, C₁-C₅alkylsulfinylC₁-C₅alkyl, C₁-C₅alkylsulfonylC₁-C₅alkyl, C₂-C₆alkylideneaminoxyC₁-C₅alkyl, C₁-C₅alkylcarbonylC₁-C₅alkyl, C₁-C₅alkoxycarbonylC₁-C₅alkyl, aminocarbonylC₁-C₅alkyl, C₁-C₅alkylaminocarbonylC₁-C₅alkyl, C₂-C₆dialkylaminocarbonylC₁-C₅alkyl, C₁-C₅alkylcarbonylaminoC₁-C₅alkyl, N-C₁-C₅alkylcarbonyl-N-C₂-C₅alkylaminoalkyl, C₃-

C_6 trialkylsilyl C_1 - C_5 alkyl, phenyl C_1 - C_5 alkyl (wherein the phenyl may optionally be substituted by C_1 - C_3 alkyl, C_1 - C_3 haloalkyl, C_1 - C_3 alkoxy, C_1 - C_3 haloalkoxy, C_1 - C_3 alkylthio, C_1 - C_3 alkylsulfinyl, C_1 - C_3 alkylsulfonyl, halogen, cyano, or by nitro), heteroaryl C_1 - C_5 alkyl (wherein the heteroaryl may optionally be substituted by C_1 - C_3 alkyl, C_1 - C_3 haloalkyl, C_1 - C_3 alkoxy, C_1 - C_3 haloalkoxy, C_1 - C_3 alkylthio, C_1 - C_3 alkylsulfinyl, C_1 - C_3 alkylsulfonyl, halogen, cyano, or by nitro), C_2 - C_5 haloalkenyl, C_3 - C_6 cycloalkyl, phenyl or phenyl substituted by C_1 - C_3 alkyl, C_1 - C_3 haloalkyl, C_1 - C_3 alkoxy, C_1 - C_3 haloalkoxy, halogen, cyano or nitro, heteroaryl or heteroaryl substituted by C_1 - C_3 alkyl, C_1 - C_3 haloalkyl, C_1 - C_3 alkoxy, C_1 - C_3 haloalkoxy, halogen, cyano or by nitro, heteroaryl amino or heteroaryl amino substituted by C_1 - C_3 alkyl, C_1 - C_3 haloalkyl, C_1 - C_3 alkoxy, C_1 - C_3 haloalkoxy, halogen, cyano or by nitro, diheteroaryl amino or diheteroaryl amino substituted by C_1 - C_3 alkyl, C_1 - C_3 haloalkyl, C_1 - C_3 alkoxy, C_1 - C_3 haloalkoxy, halogen, cyano or nitro, phenyl amino or phenyl amino substituted by C_1 - C_3 alkyl, C_1 - C_3 haloalkyl, C_1 - C_3 alkoxy, C_1 - C_3 haloalkoxy, halogen, cyano or nitro, amino, hydroxyl, diphenyl amino, or diphenyl amino substituted by C_1 - C_3 alkyl, C_1 - C_3 haloalkyl, C_1 - C_3 alkoxy, C_1 - C_3 haloalkoxy, halogen, cyano or nitro, or C_3 - C_7 cycloalkyl amino, di C_3 - C_7 cycloalkyl amino or C_3 - C_7 cycloalkoxy, C_1 - C_{10} haloalkoxy, C_1 - C_5 alkyl amino or C_2 - C_6 dialkyl amino, benzyloxy or phenoxy, wherein the benzyl and phenyl groups may in turn be substituted by C_1 - C_3 alkyl, C_1 - C_3 haloalkyl, C_1 - C_3 alkoxy, C_1 - C_3 haloalkoxy, halogen, cyano or nitro, and R^h is C_1 - C_{10} alkyl, C_3 - C_{10} alkenyl, C_3 - C_{10} alkynyl, C_1 - C_{10} haloalkyl, C_1 - C_{10} cyanoalkyl, C_1 - C_{10} nitroalkyl, C_2 - C_{10} aminoalkyl, C_1 - C_5 alkyl amino C_1 - C_5 alkyl, C_2 - C_6 dialkyl amino C_1 - C_5 alkyl, C_3 - C_7 cycloalkyl C_1 - C_5 alkyl, C_1 - C_5 alkoxy C_1 - C_5 alkyl, C_3 - C_5 alkenyl oxy C_1 - C_5 alkyl, C_3 - C_5 alkynyl oxy C_1 - C_5 alkyl, C_1 - C_5 alkylthio C_1 - C_5 alkyl, C_1 - C_5 alkylsulfinyl C_1 - C_5 alkyl, C_1 - C_5 alkylsulfonyl C_1 - C_5 alkyl, C_2 - C_6 alkylidene amino oxy C_1 - C_5 alkyl, C_1 - C_5 alkyl carbonyl C_1 - C_5 alkyl, C_1 - C_5 alkoxy carbonyl C_1 - C_5 alkyl, aminocarbonyl C_1 - C_5 alkyl, C_1 - C_5 alkyl aminocarbonyl C_1 - C_5 alkyl, C_2 - C_6 dialkyl aminocarbonyl C_1 - C_5 alkyl, C_1 - C_5 alkyl carbonyl amino C_1 - C_5 alkyl, N - C_1 - C_5 alkyl carbonyl- N - C_1 - C_5 alkyl amino C_1 - C_5 alkyl, C_3 - C_6 trialkylsilyl C_1 - C_5 alkyl, phenyl C_1 - C_5 alkyl (wherein wherein the phenyl may optionally be substituted by C_1 - C_3 alkyl, C_1 - C_3 haloalkyl, C_1 - C_3 alkoxy, C_1 - C_3 haloalkoxy, C_1 - C_3 alkylthio, C_1 - C_3 alkylsulfinyl, C_1 - C_3 alkylsulfonyl, halogen, cyano or by nitro), heteroaryl C_1 - C_5 alkyl (wherein the heteroaryl may optionally be substituted by C_1 - C_3 alkyl, C_1 - C_3 haloalkyl, C_1 - C_3 alkoxy, C_1 - C_3 haloalkoxy, C_1 - C_3 alkylthio, C_1 - C_3 alkylsulfinyl, C_1 - C_3 alkylsulfonyl, halogen, cyano or by nitro), phenoxy C_1 - C_5 alkyl (wherein wherein the phenyl may optionally be substituted by C_1 - C_3 alkyl, C_1 - C_3 haloalkyl, C_1 - C_3 alkoxy, C_1 - C_3 haloalkoxy, C_1 - C_5 alkylthio, C_1 - C_5 alkylsulfinyl, C_1 - C_3 alkylsulfonyl, halogen, cyano or by nitro), heteroaryl oxy C_1 - C_5 alkyl (wherein the heteroaryl may optionally be substituted by C_1 - C_3 alkyl, C_1 - C_3 haloalkyl, C_1 - C_3 alkoxy, C_1 - C_3 haloalkoxy, C_1 - C_3 alkylthio, C_1 - C_3 alkylsulfinyl, C_1 - C_3 alkylsulfonyl, halogen, cyano or by nitro), C_3 - C_5 haloalkenyl, C_3 - C_6 cycloalkyl, phenyl or phenyl substituted by C_1 - C_3 alkyl, C_1 - C_3 haloalkyl, C_1 - C_3 alkoxy, C_1 - C_3 haloalkoxy,

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halogen or by nitro, or heteroaryl, or heteroaryl substituted by C₁-C₃alkyl, C₁-C₃haloalkyl, C₁-C₃alkoxy, C₁-C₃haloalkoxy, halogen, cyano or by nitro.

In particular, the latentiating group G is a group -C(X^a)-R^a or -C(X^b)-X^c-R^b, and the meanings of X^a, R^a, X^b, X^c and R^b are as defined above.

Preferably, G denotes hydrogen, an alkali metal or alkaline earth metal, where hydrogen is particularly preferred.

The latentiating group G is selected to allow its removal by one or a combination of biochemical, chemical or physical processes to afford compounds of formula I where G is H before, during or following application to the treated area or plants. Examples of these processes include enzymatic cleavage, chemical hydrolysis and photolysis. Compounds bearing such groups G may offer certain advantages, such as improved penetration of the cuticula of the plants treated, increased tolerance of crops, improved compatibility or stability in formulated mixtures containing other herbicides, herbicide safeners, plant growth regulators, fungicides or insecticides, or reduced leaching in soils.

Preferably, R¹ is hydrogen, C₁-C₄ alkyl or C₁-C₄alkoxy and, more preferably, R¹ is hydrogen or methyl.

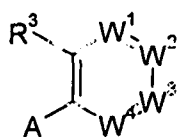
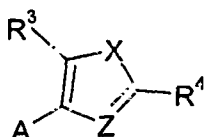
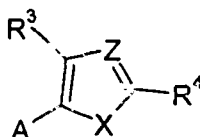
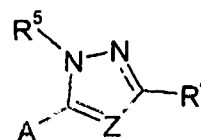
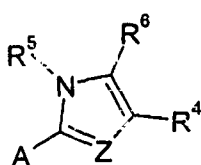
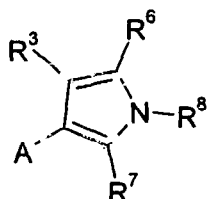
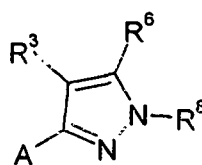
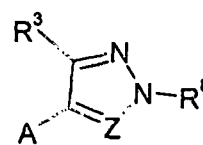
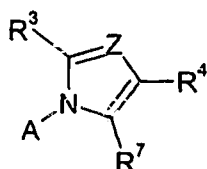
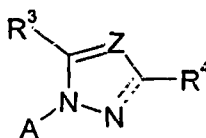
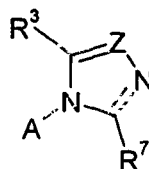
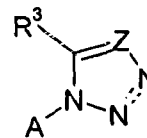
Preferably, R² is hydrogen or methyl and, more preferably, R² is hydrogen.

Preferably, D is C₁-C₂alkylene or C₁-C₂alkylene substituted by C₁-C₃ alkyl or C₁-C₃alkoxyC₁-C₃alkyl, in particular methylene or ethylene.

Preferably, E is optionally substituted C₁-C₂alkylene or optionally substituted C₂alkenylene. More preferably, E is C₁-C₂alkylene or C₁-C₂alkylene substituted by halogen, hydroxyl, cyano, C₁-C₃alkyl, C₁-C₃alkoxy or C₁-C₃alkoxyC₁-C₃alkyl, or E is C₂alkenylene or C₂alkenylene substituted by halogen, hydroxyl, cyano, C₁-C₃alkyl, C₁-C₃alkoxy or C₁-C₃alkoxyC₁-C₃alkyl, in particular, E is ethylene or ethenylene.

Het is preferably an optionally substituted monocyclic 6-membered or, preferably, 5-membered sulfur or, preferably, nitrogen containing heteroaromatic ring. More preferably, Het is a monocyclic 5-membered sulfur and nitrogen containing heteroaromatic ring, and even more preferably, Het is a group of the formula R₁ to R₁₂

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(R₁)(R₂)(R₃)(R₄)(R₅)(R₆)(R₇)(R₈)(R₉)(R₁₀)(R₁₁)(R₁₂)

wherein

A designates the point of attachment to the ketoenol moiety,

W¹ is N or CR⁶,

W² and W³ are independently of each other N or CR⁴,

W⁴ is N or CR⁷,

with the proviso that at least one of W¹, W², W³ or W⁴ is N,

X is O, S, Se, or NR⁹,

Z is N or CR¹⁰,

wherein

R³ is hydrogen, halogen, C₁-C₄alkyl, C₁-C₄haloalkyl, C₃-C₆cycloalkyl, C₃-C₆-halocycloalkyl, C₂-C₄alkenyl, C₂-C₄haloalkenyl, C₂-C₄alkynyl, C₁-C₄alkoxy, C₁-C₄haloalkoxy, C₁-C₄alkylthio, C₁-

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C₄alkylsulfinyl, C₁-C₄alkylsulfonyl, nitro or cyano, preferably halogen, C₁-C₂alkyl, C₁-C₂haloalkyl, vinyl, ethynyl, or methoxy, and even more preferably methyl or ethyl,

R⁴ is hydrogen, C₁-C₆alkyl, C₁-C₆haloalkyl, C₂-C₆alkenyl, C₂-C₆haloalkenyl, C₂-C₆alkynyl, C₃-C₆cycloalkyl, C₅-C₆cycloalkenyl, halogen, C₁-C₆alkoxy, C₁-C₆alkoxy-C₁-C₆alkyl, C₁-C₆haloalkoxy, optionally substituted aryl, optionally substituted aryloxy, optionally substituted heteroaryl or optionally substituted heteroaryloxy, preferably optionally substituted aryl or optionally substituted heteroaryl wherein the substituents are selected from halogen, C₁-C₂-alkyl, C₁-C₂-alkoxy, C₁-C₂haloalkyl, C₁-C₂haloalkoxy, cyano or nitro, and even more preferably phenyl, substituted once, twice or three times, by halogen, C₁-C₂-alkyl, C₁-C₂-alkoxy, C₁-C₂haloalkyl, C₁-C₂haloalkoxy or cyano,

R⁵ is hydrogen, C₁-C₄alkyl, C₂-C₃alkenyl, C₂-C₃alkynyl, C₁-C₄haloalkyl or C₂-C₃haloalkenyl, preferably methyl or ethyl,

R⁶ is hydrogen, methyl, halomethyl or halogen, preferably hydrogen,

R⁷ is hydrogen, halogen, C₁-C₄alkyl, C₁-C₄haloalkyl, C₂-C₄alkenyl, C₂-C₄haloalkenyl, C₂-C₄alkynyl, C₁-C₄alkoxy, C₁-C₄haloalkoxy, C₁-C₄alkylthio, C₁-C₄alkylsulfinyl, C₁-C₄alkylsulfonyl or cyano, preferably hydrogen, halogen, methyl or ethyl,

R⁸ is hydrogen, methyl, ethyl, halomethyl, haloethyl, optionally substituted aryl or optionally substituted heteroaryl, preferably optionally substituted aryl or optionally substituted heteroaryl wherein the substituents are selected from halogen, C₁-C₂-alkyl, C₁-C₂-alkoxy, C₁-C₂haloalkyl, C₁-C₂haloalkoxy, cyano or nitro, even more preferably phenyl, substituted once, twice or three times, by halogen, C₁-C₂-alkyl, C₁-C₂-alkoxy, C₁-C₂haloalkyl, C₁-C₂haloalkoxy or cyano,

R⁹ is hydrogen, methyl, ethyl or halomethyl, and

R¹⁰ is hydrogen, methyl, ethyl, halomethyl, haloethyl, halogen, cyano or nitro.

More preferably, Het is a group of the formula (R₂), wherein X is S and Z is N or CR¹⁰ and R³, R⁴ and R¹⁰ are as defined above.

The invention relates also to the salts which the compounds of formula I are able to form with amines, alkali metal and alkaline earth metal bases or quaternary ammonium bases.

Among the alkali metal and alkaline earth metal hydroxides as salt formers, special mention should be made of the hydroxides of lithium, sodium, potassium, magnesium and calcium, but especially the hydroxides of sodium and potassium. The compounds of formula I according to the invention also include hydrates which may be formed during the salt formation.

Examples of amines suitable for ammonium salt formation include ammonia as well as primary, secondary and tertiary C₁-C₁₈alkylamines, C₁-C₄hydroxyalkylamines and C₂-C₄-alkoxyalkylamines, for example methylamine, ethylamine, n-propylamine, isopropylamine, the four butylamine isomers, n-amylamine, isoamylamine, hexylamine, heptylamine, octylamine, nonylamine, decylamine, pentadecylamine, hexadecylamine, heptadecylamine, octadecylamine, methylethylamine, methylisopropylamine, methylhexylamine, methylnonylamine, methylpentadecylamine, methyloctadecylamine, ethylbutylamine, ethylheptylamine, ethyloctylamine, hexylheptylamine, hexyloctylamine, dimethylamine, diethylamine, di-n-propylamine, diisopropylamine, di-n-butylamine, di-n-amylamine, diisoamylamine, dihexylamine, diheptylamine, dioctylamine, ethanolamine, n-propanolamine, isopropanolamine, N,N-diethanolamine, N-ethylpropanolamine, N-butylethanolamine, allylamine, n-but-2-enylamine, n-pent-2-enylamine, 2,3-dimethylbut-2-enylamine, dibut-2-enylamine, n-hex-2-enylamine, propylenediamine, trimethylamine, triethylamine, tri-n-propylamine, triisopropylamine, tri-n-butylamine, triisobutylamine, tri-sec-butylamine, tri-n-amylamine, methoxyethylamine and ethoxyethylamine; heterocyclic amines, for example pyridine, quinoline, isoquinoline, morpholine, piperidine, pyrrolidine, indoline, quinuclidine and azepine; primary arylamines, for example anilines, methoxyanilines, ethoxyanilines, o-, m- and p-toluidines, phenylenediamines, benzidines, naphthylamines and o-, m- and p-chloroanilines; but especially triethylamine, isopropylamine and diisopropylamine.

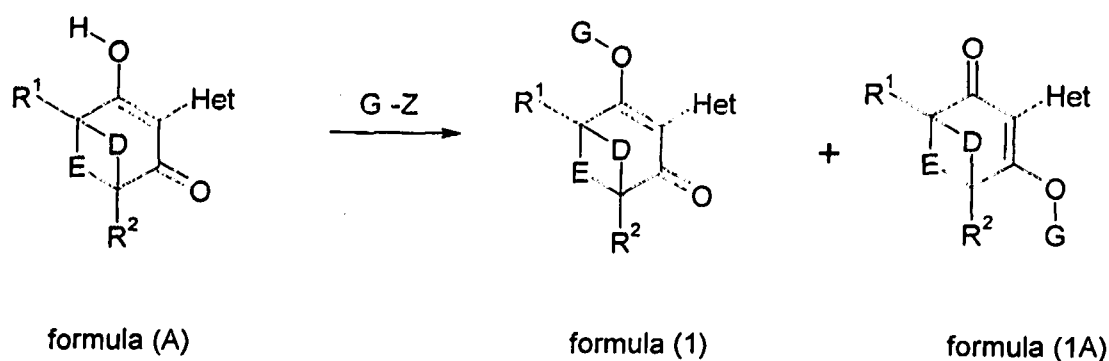
Preferred quaternary ammonium bases suitable for salt formation correspond, for example, to the formula [N(R'_a R'_b R'_c R'_d)]OH wherein R'_a, R'_b, R'_c and R'_d are each independently of the others C₁-C₄alkyl. Further suitable tetraalkylammonium bases with other anions can be obtained, for example, by anion exchange reactions.

Depending on the nature of the substituents, compounds of formula I may exist in different isomeric forms. When G is hydrogen, for example, compounds of formula I may exist in different tautomeric forms. This invention covers all such isomers and tautomers and mixtures thereof in all proportions. Also, when substituents contain double bonds, cis- and trans-isomers can exist. These isomers, too, are within the scope of the claimed compounds of the formula I.

A compound of formula I wherein G is C₁-C₈ alkyl, C₂-C₈ haloalkyl, phenylC₁-C₈alkyl (wherein the phenyl may optionally be substituted by C₁-C₃alkyl, C₁-C₃haloalkyl, C₁-C₃alkoxy, C₁-C₃haloalkoxy, C₁-C₃alkylthio, C₁-C₃alkylsufinyl, C₁-C₃ alkylsulfonfyl, halogen, cyano or by nitro), heteroarylC₁-C₈alkyl (wherein the heteroaryl may optionally be substituted by C₁-C₃alkyl, C₁-C₃haloalkyl, C₁-C₃alkoxy, C₁-C₃haloalkoxy, C₁-C₃alkylthio, C₁-C₃alkylsufinyl, C₁-C₃ alkylsulfonfyl,

halogen, cyano or by nitro), C₃-C₈ alkenyl, C₃-C₈ haloalkenyl, C₃-C₈ alkynyl, C(X^a)-R^a, C(X^b)-X^c-R^b, C(X^d)-N(R^c)-R^d, -SO₂-R^e, -P(X^e)(R^f)-R^g or CH₂-X^f-R^h where X^a, X^b, X^c, X^d, X^e, X^f, R^a, R^b, R^c, R^d, R^e, R^f, R^g and R^h are as defined above may be prepared by treating a compound of formula (A), which is a compound of formula I wherein G is H, with a reagent G-Z, wherein G-Z is alkylating agent such as an alkyl halide (the definition of alkyl halides includes simple C₁-C₈ alkyl halides such as methyl iodide and ethyl iodide, substituted alkyl halides such as chloromethyl alkyl ethers, Cl-CH₂-X^f-R^h, wherein X^f is oxygen, and chloromethyl alkyl sulfides Cl-CH₂-X^f-R^h, wherein X^f is sulfur), a C₁-C₈ alkyl sulfonate, or a di-C₁-C₈-alkyl sulfate, or with a C₃-C₈ alkenyl halide, or with a C₃-C₈ alkynyl halide, or with an acylating agent such as a carboxylic acid, HO-C(X^a)R^a, wherein X^a is oxygen, an acid chloride, Cl-C(X^a)R^a, wherein X^a is oxygen, or acid anhydride, [R^aC(X^a)]₂O, wherein X^a is oxygen, or an isocyanate, R^cN=C=O, or a carbamoyl chloride, Cl-C(X^d)-N(R^c)-R^d (wherein X^d is oxygen and with the proviso that neither R^c or R^d is hydrogen), or a thiocarbamoyl chloride Cl-C(X^d)-N(R^c)-R^d (wherein X^d is sulfur and with the proviso that neither R^c or R^d is hydrogen) or a chloroformate, Cl-C(X^b)-X^c-R^b, (wherein X^b and X^c are oxygen), or a chlorothioformate Cl-C(X^b)-X^c-R^b (wherein X^b is oxygen and X^c is sulfur), or a chlorodithioformate Cl-C(X^b)-X^c-R^b, (wherein X^b and X^c are sulfur), or an isothiocyanate, R^cN=C=S, or by sequential treatment with carbon disulfide and an alkylating agent, or with a phosphorylating agent such as a phosphoryl chloride, Cl-P(X^e)(R^f)-R^g or with a sulfonylating agent such as a sulfonyl chloride Cl-SO₂-R^e, preferably in the presence of at least one equivalent of base.

Where substituents R¹ and R² are different, these reactions may produce, in addition to a compound of formula I, a second compound of formula IA. This invention covers both a compound of formula I and a compound of formula IA, together with mixtures of these compounds in any ratio.



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The O-alkylation of cyclic 1,3-diones is known; suitable methods are described, for example, in US4436666. Alternative procedures have been reported by M. T. Pizzorno and S. M. Albonico, *Chem. Ind. (London)*, (1972), 425-426; H. Born *et al.*, *J. Chem. Soc.*, (1953), 1779-1782; M. G. Constantino *et al.*, *Synth. Commun.*, (1992), 22 (19), 2859-2864; Y. Tian *et al.*, *Synth. Commun.*, (1997), 27 (9), 1577-1582; S. Chandra Roy *et al.*, *Chem. Letters*, (2006), 35 (1), 16-17; P. K. Zubaidha *et al.*, *Tetrahedron Lett.*, (2004), 45, 7187-7188.

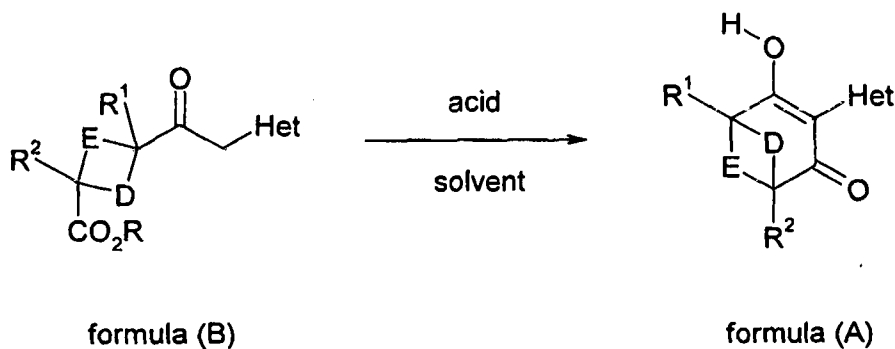
The O-acylation of cyclic 1,3-diones may be effected by procedures similar to those described, for example, in US4175135, US4422870, US4659372 and US4436666. Typically diones of formula (A) may be treated with the acylating agent in the presence of at least one equivalent of a suitable base, optionally in the presence of a suitable solvent. The base may be inorganic, such as an alkali metal carbonate or hydroxide, or a metal hydride, or an organic base such as a tertiary amine or metal alkoxide. Examples of suitable inorganic bases include sodium carbonate, sodium or potassium hydroxide, sodium hydride, and suitable organic bases include trialkylamines, such as trimethylamine and triethylamine, pyridines or other amine bases such as 1,4-diazobicyclo[2.2.2]octane and 1,8-diazabicyclo[5.4.0]undec-7-ene. Preferred bases include triethylamine and pyridine. Suitable solvents for this reaction are selected to be compatible with the reagents and include ethers such as tetrahydrofuran and 1,2-dimethoxyethane and halogenated solvents such as dichloromethane and chloroform. Certain bases, such as pyridine and triethylamine, may be employed successfully as both base and solvent. For cases where the acylating agent is a carboxylic acid, acylation is preferably effected in the presence of a coupling agent such as 2-chloro-1-methylpyridinium iodide, *N,N'*-dicyclohexylcarbodiimide, 1-(3-dimethylaminopropyl)-3-ethylcarbodiimide and *N,N'*-carbodiimidazole, and optionally a base such as triethylamine or pyridine in a suitable solvent such as tetrahydrofuran, dichloromethane or acetonitrile. Suitable procedures are described, for example, by W. Zhang and G. Pugh, *Tetrahedron Lett.*, (1999), 40 (43), 7595-7598 and T. Isobe and T. Ishikawa, *J. Org. Chem.*, (1999), 64 (19), 6984.

Phosphorylation of cyclic 1,3-diones may be effected using a phosphoryl halide or thiophosphoryl halide and a base by procedures analogous to those described in US4409153.

Sulfonylation of a compound of formula (A) may be achieved using an alkyl or aryl sulfonyl halide, preferably in the presence of at least one equivalent of base, for example by the procedure of C. J. Kowalski and K. W. Fields, *J. Org. Chem.*, (1981), 46, 197.

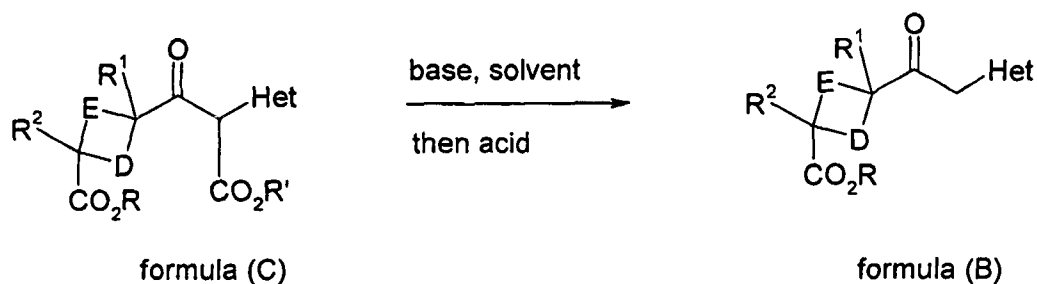
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Compounds of formula (A) may be prepared via the cyclisation of compounds of formula (B), preferably in the presence of an acid or base, and optionally in the presence of a suitable solvent, by analogous methods to those described in US4209532. The compounds of the formula (B) have been particularly designed as intermediates in the synthesis of the compounds of the formula I. Compounds of formula (B) wherein R is hydrogen may be cyclised under acidic conditions, preferably in the presence of a strong acid such as sulfuric acid, polyphosphoric acid or Eaton's reagent, optionally in the presence of a suitable solvent such as acetic acid, toluene or dichloromethane.



Compounds of formula (B) wherein R is alkyl (preferably methyl or ethyl) may be cyclised under acidic or basic conditions, preferably in the presence of at least one equivalent of a strong base such as potassium *tert*-butoxide, lithium diisopropylamide or sodium hydride and in a solvent such as tetrahydrofuran, toluene, dimethylsulfoxide or *N,N*-dimethylformamide.

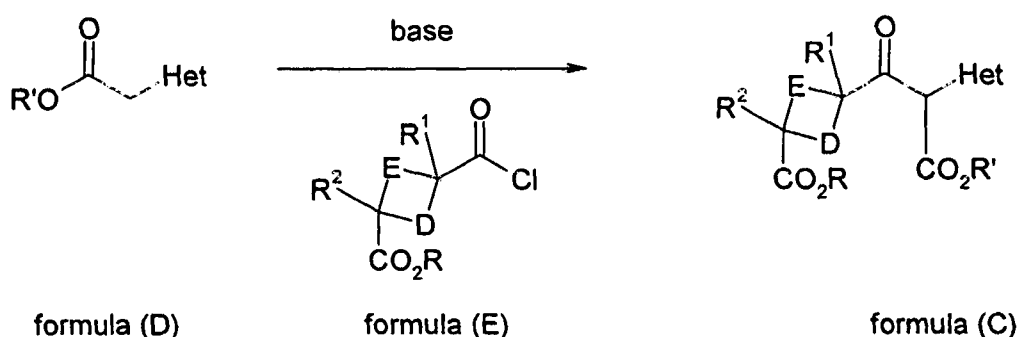
Compounds of formula (B), wherein R is H may be prepared by saponification of compounds of formula (C) wherein R' is alkyl (preferably methyl or ethyl) under standard conditions, followed by acidification of the reaction mixture to effect decarboxylation, by similar processes to those described, for example, in US4209532:



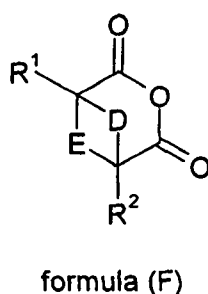
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Compounds of formula (B), wherein R is H may be esterified to compounds of formula (B), wherein R is alkyl, under standard conditions.

Compounds of formula (C) wherein R is alkyl may be prepared by treating compounds of formula (D) with suitable carboxylic acid chlorides of formula (E) wherein R is alkyl under basic conditions. Suitable bases include potassium *tert*-butoxide, sodium bis(trimethylsilyl)amide and lithium diisopropylamide and the reaction is preferably conducted in a suitable solvent (such as tetrahydrofuran or toluene) at a temperature of between -80°C and 30°C :



Alternatively, compounds of formula (C), wherein R is H, may be prepared by treating compounds of formula (D) with a suitable base (such as potassium *tert*-butoxide, sodium bis(trimethylsilyl)amide and lithium diisopropylamide) in a suitable solvent (such as tetrahydrofuran or toluene) at a suitable temperature (between -80°C and 0°C) and reacting the resulting anion with a suitable anhydride of formula (F):

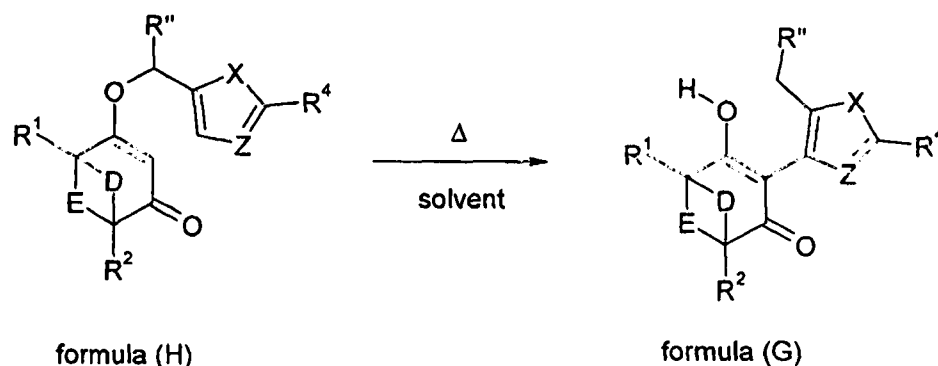


Compounds of formula (D) are known, or may be made by known methods from known compounds (see, for example, E. Bellur and P. Langer, *Synthesis* (2006), 3, 480-488; E. Bellur and P. Langer, *Eur. J. Org. Chem.*, (2005), 10, 2074-2090; G. Bartolo *et al.*, *J. Org. Chem.*, (1999), 64 (21), 7693-7699; R. Kranich *et al.*, *J. Med. Chem.*, (2007), 50 (6), 1101-1115; I. Freifeld *et al.*, *J. Org. Chem.*, (2006) 71 (13), 4965-4968; S. Hermann *et al.*, WO2006/087120; R. Fischer *et al.* WO96/16061; H. A. Staab and G. A. Schwalbach, *Justus Liebigs Annalen der Chemie*, (1968), 715, 128-34; J-L Brayer *et al.*, EP402246; P. Chemla *et al.*, WO99/32464; A.

Dornow and G. Petsch, Chem. Berichte, (1953), 86, 1404-1407; E. Y-H Chao *et al.*, WO2001/000603; D. B. Lowe *et al.*, WO2003/011842; R. Fischer *et al.*, WO2001/096333; J. Ackermann *et al.*, WO2005/049572; B. Li *et al.*, Bioorg. Med. Chem. Lett., (2002), 12, 2141-2144, G. P. Rizzi, J. Org. Chem., (1968), 33 (4) 13333-13337; M. Okitsu and K. Yoshida, JP63230670; F. Bohlmann *et al.*, Chem. Ber., (1955), 88, 1831-1838; R. Fischer *et al.*, WO2003/035463; R. Fischer *et al.*, WO2005/005428; D O'Mant, GB1226981).

Compounds of formula (E) and formula (F) are known (see, for example, K. Crowley, J. Am. Chem. Soc., (1964), Vol. 86, No. 24, 5692-5693; E. Bercot and T. Rovis, J. Am. Chem. Soc., 2005, 127, 247-254; R. McDonald and R. Reitz, J. Am. Chem. Soc., (1976), Vol. 98, No. 25, 8144-8155; A. Smith III *et al.*, J. Org. Chem., (1974), Vol. 39, No. 12, 1607-1612; J. Baldwin and M. Lusch, J. Org. Chem., (1979), Vol. 44, No. 12, 1923-1927; R. Carlson and K. May, Tetrahedron Lett., (1975), Vol. 16, No. 11, 947-950; A. Börner *et al.*, Tetrahedron Asymmetry (2002), 13, 1615-1620) or may be made by similar methods from commercially available starting materials.

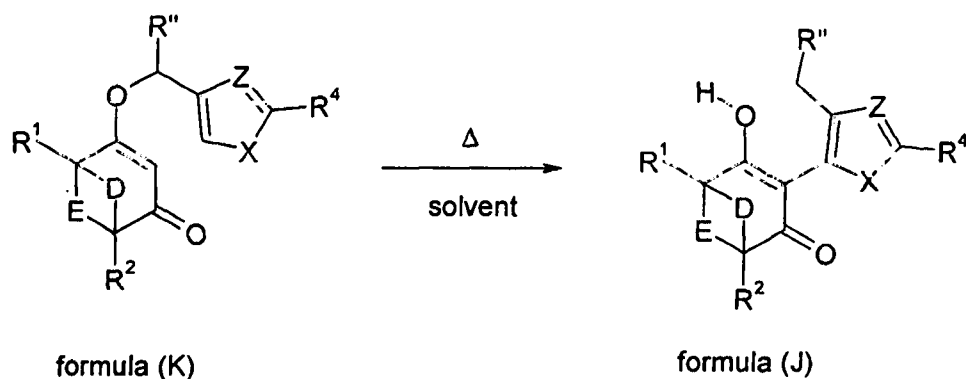
In a further approach to compounds of formula (A), a compound of formula (G), which is a compound of formula (A) wherein Het is (R₂) when R³ is CH₂R'' and R'' is hydrogen, alkyl or halogenoalkyl (preferably hydrogen, methyl or trifluoromethyl), may be prepared by thermal rearrangement of a compound of formula (H), optionally in the presence of a suitable solvent and optionally under microwave irradiation.



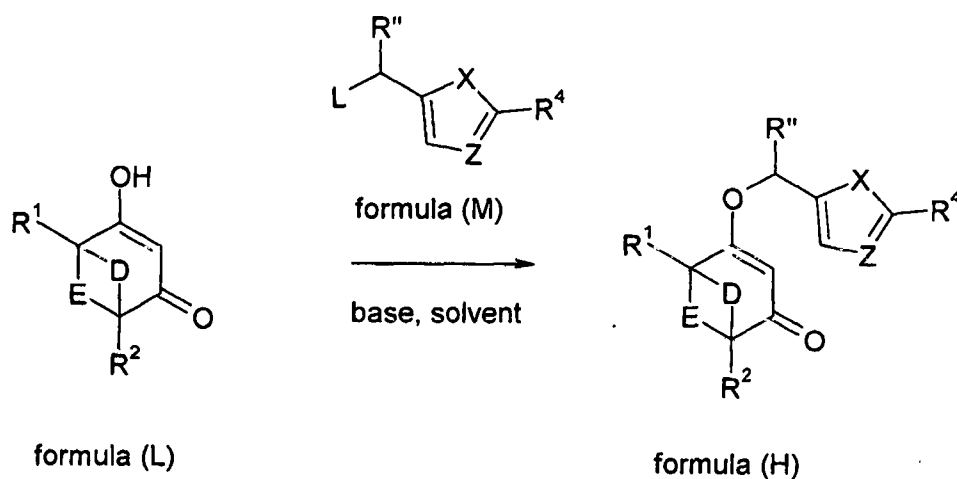
Preferably, the rearrangement is effected by heating a compound of formula (H) at temperatures of between 120-300 °C, optionally in a suitable solvent such as 1,2-dimethoxyethane, diethylene glycol dimethyl ether, triethylene glycol dimethyl ether, tetraethylene glycol dimethyl ether, xylene, mesitylene or Dowtherm®, and optionally under microwave irradiation.

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Similarly, a compound of formula (J), which is a compound of formula (A) wherein Het is (R₃) when R³ is CH₂R'' and R'' is hydrogen, alkyl or halogenoalkyl (preferably hydrogen, methyl or trifluoromethyl), may be prepared from a compound of formula (K) using similar methods.

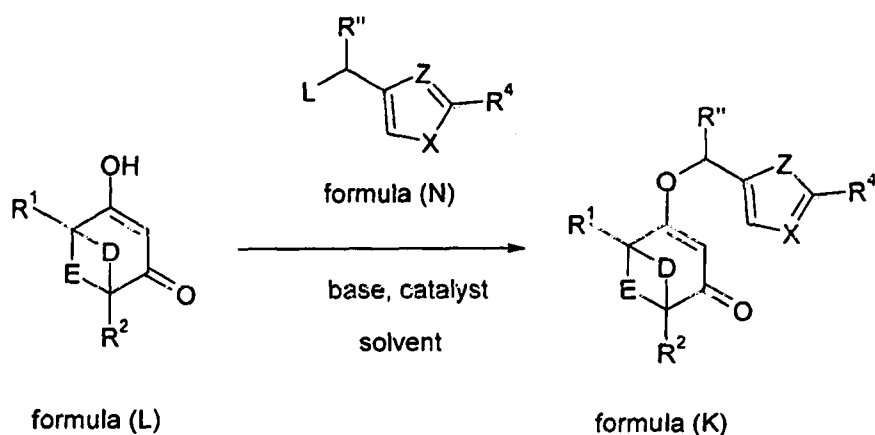


A compound of formula (H) may be prepared from a compound of formula (L) by alkylation with a compound of formula (M), wherein L is a suitable leaving group such as a halogen or an alkyl- or aryl-sulfonate, optionally in the presence of a suitable base and optionally in a suitable solvent as described above for the alkylation of compounds of formula (A)

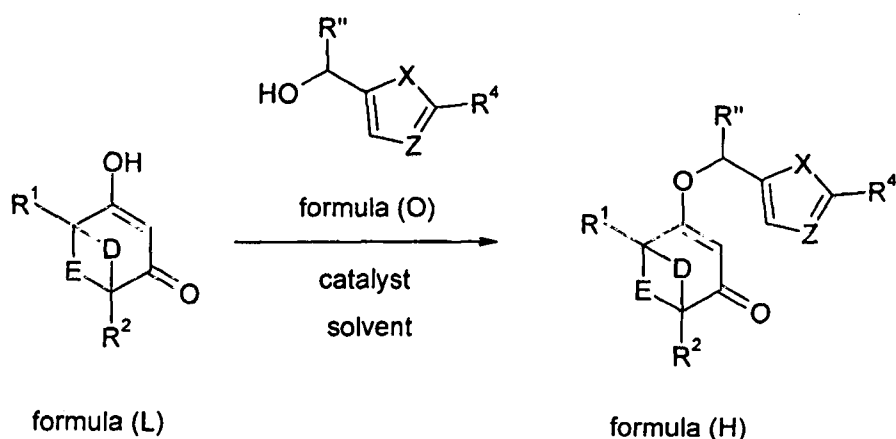


Similarly, a compound of formula (K) may be prepared from a compound of formula (L) by alkylation with a compound of formula (N), wherein L is a suitable leaving group such as a halogen or an alkyl- or aryl-sulfonate, under similar conditions.

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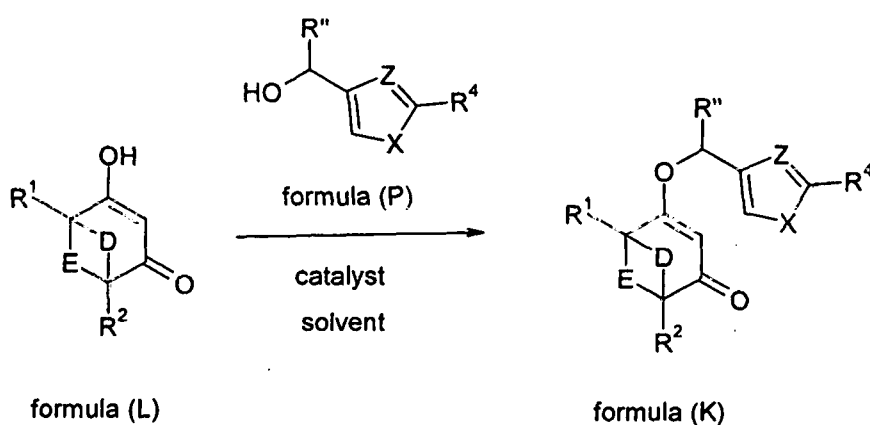
In an alternative approach, a compound of formula (H) may be prepared from a compound of formula (L) by condensation with an alcohol of formula (O), optionally in the presence of a suitable acid catalyst such as p-toluenesulfonic acid, or a Lewis acid catalyst, for example, ytterbium (III) trifluoromethanesulfonate, lanthanum (III) trifluoromethanesulfonate, sodium tetrachloroaurate (III) dihydrate, titanium (IV) chloride, indium (III) chloride or aluminium chloride, and optionally in a suitable solvent. Suitable solvents are selected to be compatible with the reagents used, and include, for example, toluene, ethanol or acetonitrile. Similar approaches have been described by, for example, M. Curini; F. Epifano, S. Genovese, *Tetrahedron Lett.*, (2006), 47, 4697-700; A. Arcadi, G. Bianchi, S. Di Giuseppe, and by F. Marinelli, *Green Chemistry*, (2003), 5, 64-7.



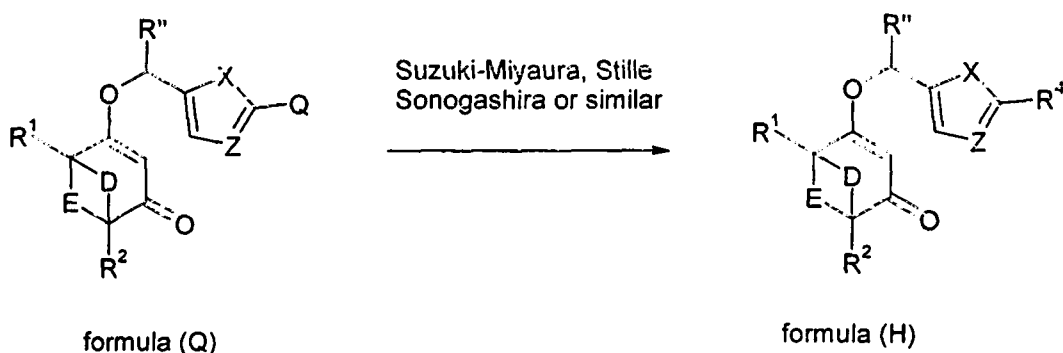
Alternatively, the condensation may be effected in the presence of suitable coupling agents such as 2-chloro-1-methylpyridinium iodide, N,N'-dicyclohexylcarbodiimide, 1,3-dimethylaminopropyl-3-ethylcarbodiimide and N,N-carbodiimidazole and optionally a suitable base such as triethylamine or pyridine in a suitable solvent such as tetrahydrofuran, acetonitrile or

dichloromethane, or in the presence of a triarylphosphine (such as triphenylphosphine) and a dialkyl azidodicarboxylate (preferably diethyl azidodicarboxylate or diisopropyl azidodicarboxylate) and in a suitable solvent such as diethyl ether, tetrahydrofuran or 1,4-dioxane as described, for example, by O. Mitsunobu, *Synthesis* (1981), 1, 1-28.

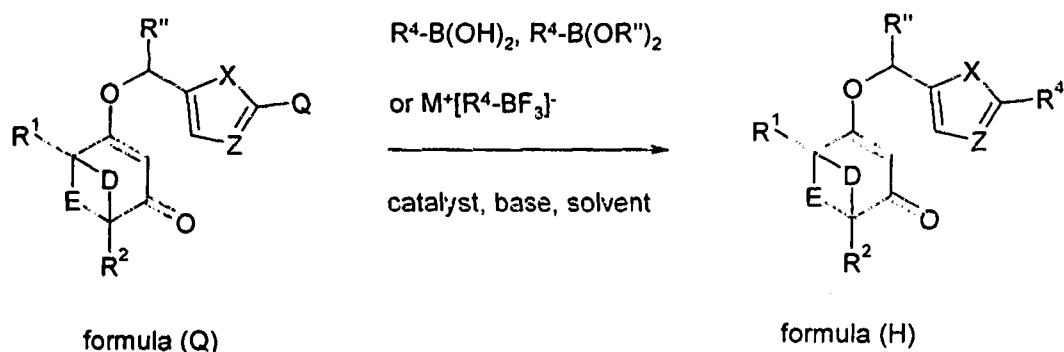
Using similar processes, a compound of formula (K) may be prepared by reaction of a compound of formula (L) with a compound of formula (P).



Additional compounds of formula (H) wherein R^4 is an aromatic or heteroaromatic moiety, or is an alkyl, alkenyl or alkynyl group, may be prepared by the reaction of a compound of formula (Q), wherein Q is an atom or group suitable for undergoing cross-coupling reactions (for example Q is chlorine, bromine or iodine, or a haloalkylsulfonate such as trifluoromethanesulfonate), and R'' is as defined for a compound of formula (G), with a suitable coupling partner under conditions described in the literature for Suzuki-Miyaura, Sonogashira, Stille and related cross-coupling reactions.



For example, a compound of formula (Q) may be treated with an aryl-, heteroaryl-, alkyl-, alkenyl- or alkynylboronic acid, $R^4\text{-B(OH)}_2$, boronate ester, $R^4\text{-B(OR}'')_2$, wherein R'' is $C_1\text{-C}_6$ alkyl or $R^4\text{-B(OR}'')_2$ represents a cyclic boronate ester derived from a $C_1\text{-C}_6$ diol (especially preferred are cyclic boronate esters derived from pinacol), or a metal (especially potassium) aryl-, heteroaryl-, alkyl-, alkenyl- and alkynyltrifluoroborate salt, $M^+[R^4\text{-BF}_3]^-$ in the presence of a suitable palladium catalyst, a suitable ligand and a suitable base in the presence of a suitable solvent, under Suzuki-Miyaura conditions (see, for example K. Billingsley and S. Buchwald, *J. Am. Chem. Soc.*, (2007), 129, 3358-3366; H. Stefani, R. Cella and A. Vieira, *Tetrahedron*, (2007), 63, 3623-3658; N. Kudo, M. Perseghini and G. Fu, *Angew. Chem. Int. Ed.*, (2006), 45, 1282-1284; A. Roglans, A. Pla-Quintana and M. Moreno-Mañas, *Chem. Rev.*, (2006), 106, 4622-4643; J-H Li, Q-M Zhu and Y-X Xie, *Tetrahedron* (2006), 10888-10895; S. Nolan *et al.*, *J. Org. Chem.*, (2006), 71, 685-692; M. Lysén and K. Köhler, *Synthesis*, (2006), 4, 692-698; K. Anderson and S. Buchwald, *Angew. Chem. Int. Ed.*, (2005), 44, 6173-6177; Y. Wang and D. Sauer, *Org. Lett.*, (2004), 6 (16), 2793-2796; I. Kondolff, H. Doucet and M. Santelli, *Tetrahedron*, (2004), 60, 3813-3818; F. Bellina, A. Carpita and R. Rossi, *Synthesis* (2004), 15, 2419-2440; H. Stefani, G. Molander, C-S Yun, M. Ribagorda and B. Biolatto, *J. Org. Chem.*, (2003), 68, 5534-5539; A. Suzuki, *Journal of Organometallic Chemistry*, (2002), 653, 83; G. Molander and C-S Yun, *Tetrahedron*, (2002), 58, 1465-1470; G. Zou, Y. K. Reddy and J. Falck, *Tetrahedron Lett.*, (2001), 42, 4213-7215; S. Darses, G. Michaud and J-P, Genêt, *Eur. J. Org. Chem.*, (1999), 1877-1883).

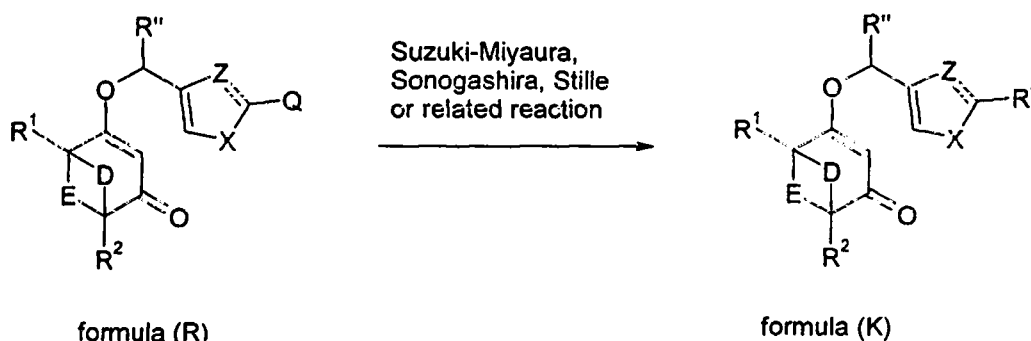


Alternatively, a compound of formula (H), wherein R^4 is an optionally substituted acetylene, may be prepared from a compound of formula (Q) by reacting with a terminal alkyne, $R^4\text{-H}$, in the presence of a suitable palladium catalyst and optionally in the presence of a suitable copper co-catalyst, a suitable ligand, a suitable base and a suitable additive under conditions known to effect the Sonogashira coupling (see, for example, U. Sorenson and E Pombo-Villar,

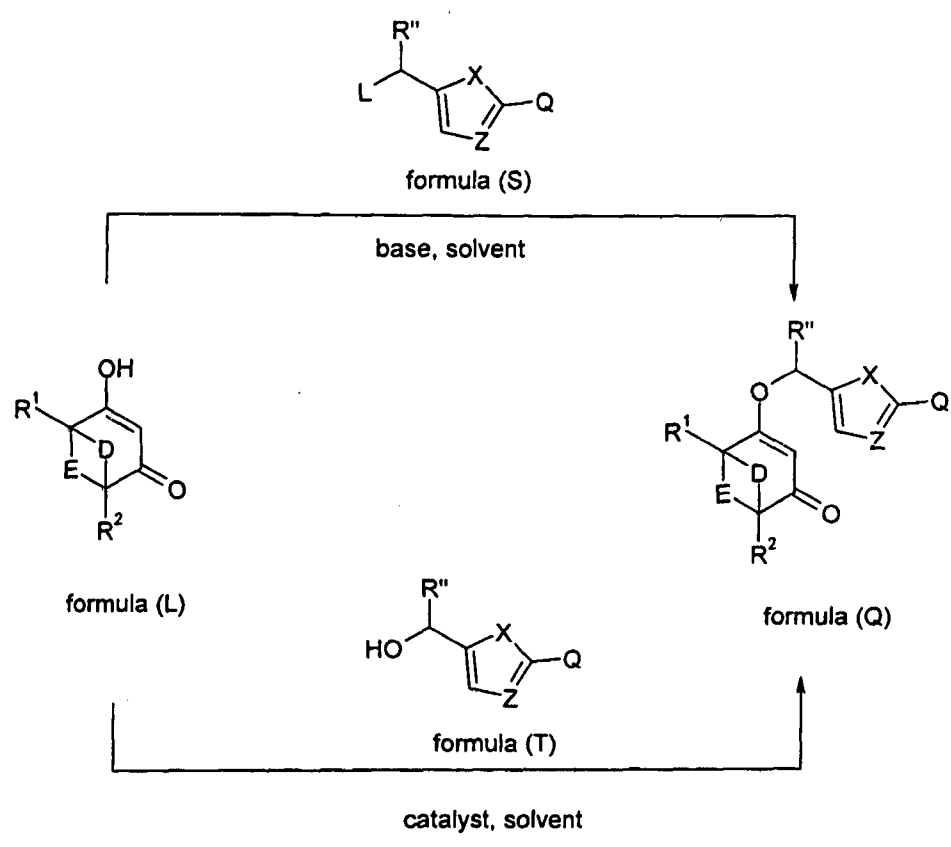
Tetrahedron, (2005), 2697-2703; N. Leadbeater and B. Tominack, Tetrahedron Lett., (2003), 44, 8653-8656; K. Sonogashira, J. Organomet. Chem., (2002), 653, 46-49).

In a further approach, a compound of formula (H), wherein R^4 is alkyl, optionally substituted vinyl, optionally substituted ethynyl, optionally substituted aryl or optionally substituted heteroaryl, may be prepared from a compound of formula (Q) by reaction with a suitable organostannane under Stille conditions (see, for example, R. Bedford, C. Cazin and S. Hazlewood, (2002), 22, 2608-2609; S. Ley *et al.*, Chem. Commun., (2002), 10, 1134-1135; G. Grasa and S. Nolan, Org. Lett., (2001), 3 (1), 119-122; T. Weskamp, V. Boehm, J. Organomet. Chem., (1999), 585 (2), 348-352; A. Littke and G. Fu, Angew. Chem. Int. Ed., (1999), 38 (16), 2411-2413; J. Stille *et al.*, Org. Synth., (1992), 71, 97).

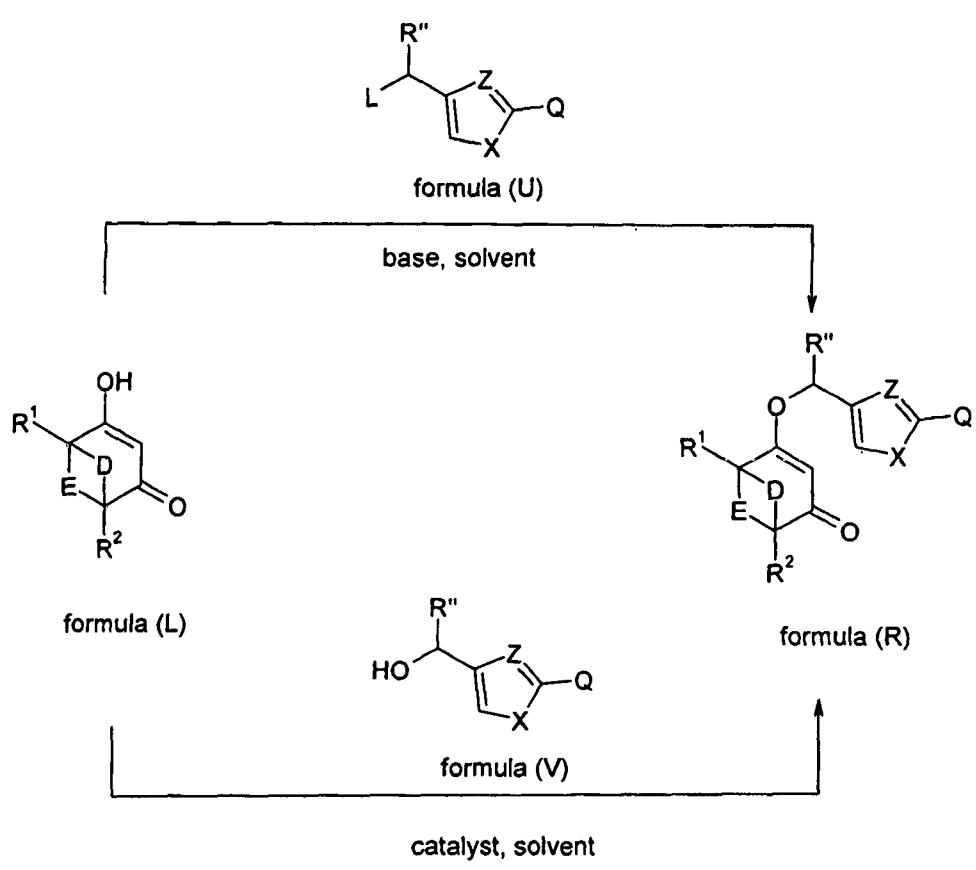
A compound of formula (K) may be prepared from a compound of formula (R), wherein Q and R'' are as defined for a compound of formula (Q), by analogous methods using appropriate starting materials.



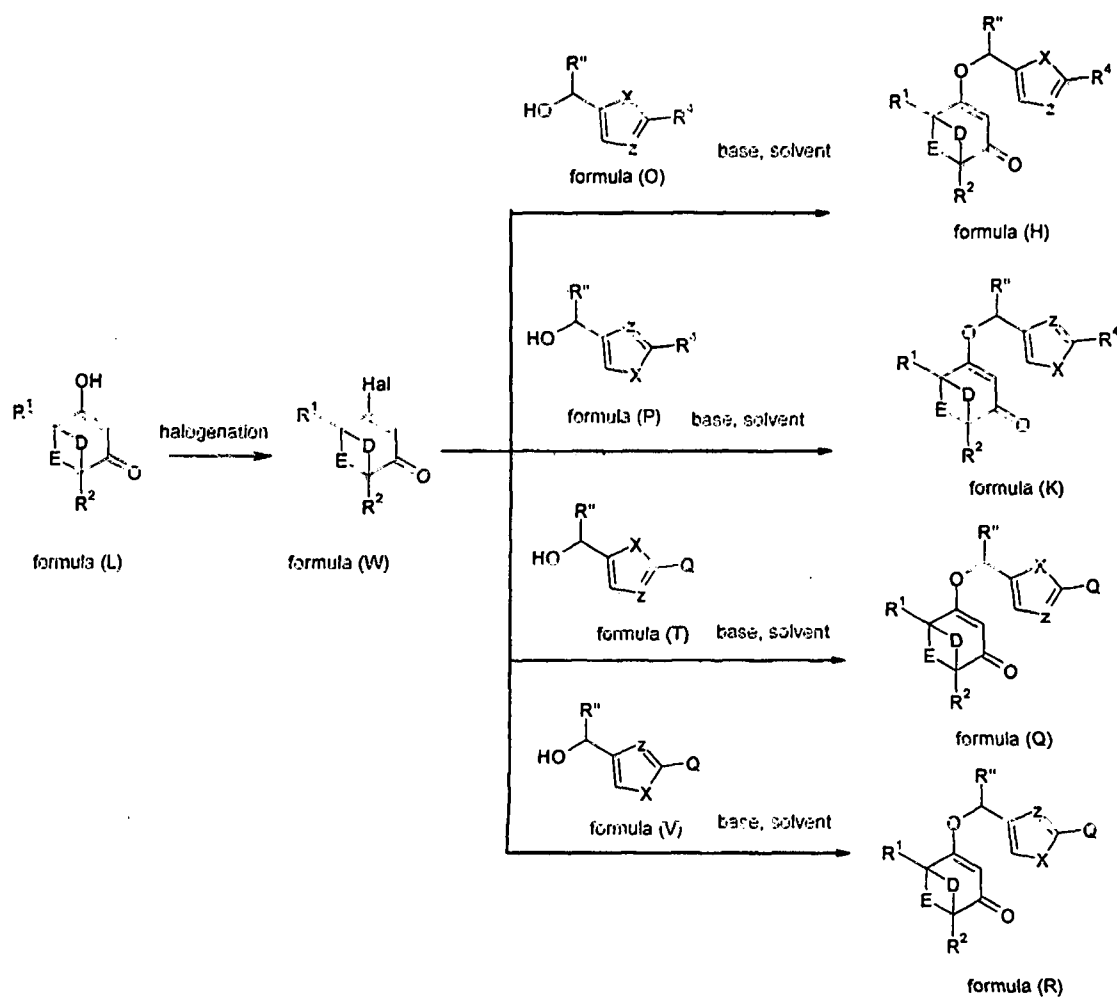
A compound of formula (Q) may be prepared from a compound of formula (L), by reaction with a compound of formula (S) wherein L is a suitable leaving group such as a halogen or an alkyl- or aryl-sulfonate, by processes analogous to those described above for the preparation of a compound of formula (H) from a compound of formula (L). Alternatively, a compound of formula (Q) may be prepared by reaction of a compound of formula (L) with a compound of formula (T) by processes analogous to those described above for the preparation of a compound of formula (H) from a compound of formula (L).



By analogous processes to those described above, a compound of formula (R) may be prepared from a compound of formula (L) by alkylation with a compound of formula (U), wherein L is a suitable leaving group such as a halogen or an alkyl- or aryl-sulfonate, or by alkylation with a compound of formula (V).



In an alternative approach, a compound of formula (L) may be treated with a halogenating agent such as phosphorus oxychloride, phosphorus pentachloride, phosphorus pentabromide, phosphorus oxybromide, oxalyl chloride or oxalyl bromide, optionally in a suitable solvent such as toluene, chloroform, dichloromethane and optionally in the presence of *N,N*-dimethylformamide, and the resulting vinyl halide of formula (W), wherein Hal is chlorine or bromine may be converted by reaction with an alcohol of formula (O), or of formula (P), or of formula (T) or of formula (V) optionally in the presence of a suitable base such as sodium hydride, sodium *tert*-butoxide, potassium *tert*-butoxide and optionally in a suitable solvent such as tetrahydrofuran, 1,4-dioxane, diethylene glycol dimethyl ether to give a compound of formula (H), formula (K), formula (Q) and formula (R) respectively:

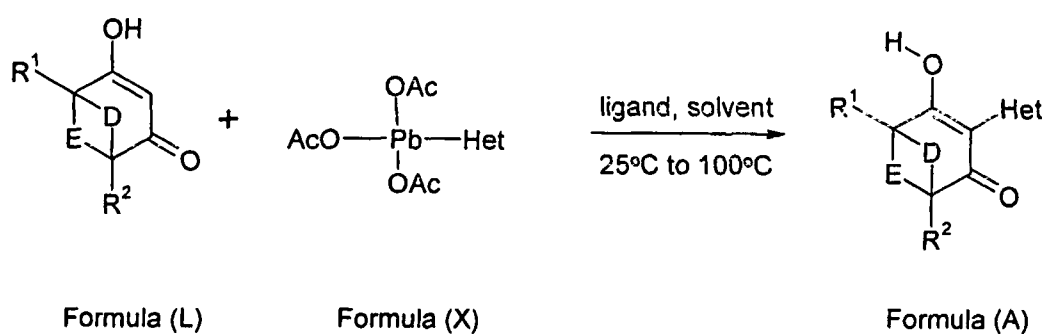


Compounds of formula (L) are known compounds or may be prepared by routes analogous to those described in the literature (see, for example, S. Spessard and B. Stoltz, *Organic Letters*, (2002), Vol. 4, No. 11, 1943-1946; F. Effenberger *et al.*, *Chem. Ber.*, (1984), 117, 3280-3296; W. Childers, Jr. *et al.*, *Tetrahedron Lett.*, (2006), 2217-2218; H. Schneider and C. Luethy, EP1352890; D. Jackson, A. Edmunds, M. Bowden and B. Brockbank, WO2005105745 and WO2005105717; R. Beaudegnies, C. Luethy, A. Edmunds, J. Schaetzer and S. Wendeborn, WO2005123667; J.-C. Beloeil, J.-Y. Lallemand, T. Prange, *Tetrahedron*, (1986), Vol. 42, No. 13, 3491-3502).

Compounds of formula (M), formula (N), formula (O), formula (P), formula (S), formula (T), formula (U) and formula (V) are known or may be prepared by known methods from known compounds (see, for example T. T. Denton, X. Zhang, J. R. Cashman, *J. Med. Chem.*, (2005), 48, 224-239; J. Reinhard, W. E. Hull, C.-W. von der Lieth, U. Eichhorn, H.-C. Kliem, *J. Med. Chem.*, (2001), 44, 4050-4061; H. Kraus and H. Fiege, DE19547076; M. L. Boys, L. A.

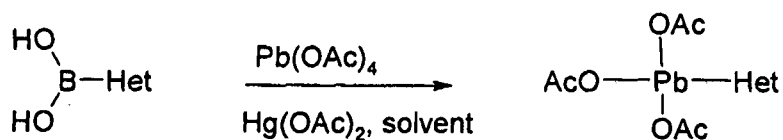
Schretzman, N. S. Chandrakumar, M. B. Tollefson, S. B. Mohler, V. L. Downs, T. D. Penning, M. A. Russell, J. A. Wendt, B. B. Chen, H. G. Stenmark, H. Wu, D. P. Spangler, M. Clare, B. N. Desai, I. K. Khanna, M. N. Nguyen, T. Duffin, V. W. Engleman, M. B. Finn, S. K. Freeman, M. L. Hanneke, J. L. Keene, J. A. Klover, G. A. Nickols, M. A. Nickols, C. N. Steininger, M. Westlin, W. Westlin, Y. X. Yu, Y. Wang, C. R. Dalton, S. A. Norring, *Bioorg. Med. Chem. Lett.*, (2006), 16, 839-844; A. Silberg, A. Benko, G. Csavassy, *Chem. Ber.*, (1964), 97, 1684-1687; K. Brown and R. Newbury, *Tetrahedron Lett.*, (1969), 2797; A. Jansen and M. Szelke, *J. Chem. Soc.*, (1961), 405; R. Diaz-Cortes, A. Silva and L. Maldonado, *Tetrahedron Lett.*, (1997), 38(13), 2007-2210; M. Friedrich, A. Waechtler and A. De Meijure, *Synlett.*, (2002), 4, 619-621; F. Kerdesky and L. Seif, *Synth. Commun.*, (1995), 25 (17), 2639-2645; Z. Zhao, G. Scarlato and R. Armstrong, *Tetrahedron Lett.*, (1991), 32 (13), 1609-1612; K-T. Kang and S. Jong, *Synth. Commun.* (1995), 25 (17), 2647-2653; M. Altamura and E. Perrotta, *J. Org. Chem.*, (1993), 58 (1), 272-274).

In a further approach, a compound of formula (A) may be prepared by reaction of a compound of formula (L) with a heteroaryl lead tricarboxylate under conditions described in the literature (for example see, J. T. Pinhey, B. A. Rowe, *Aust. J. Chem.*, (1979), 32, 1561-6; J. Morgan, J. T. Pinhey, *J. Chem. Soc. Perkin Trans. 1*, (1990), 3, 715-20; J. T. Pinhey, Roche, E. G. *J. Chem. Soc. Perkin Trans. 1*, (1988), 2415-21). Preferably the heteroaryl lead tricarboxylate is a heteroaryl triacetate of formula (X) and the reaction is conducted in the presence of a suitable ligand (for example *N,N*-dimethylaminopyridine, pyridine, imidazole, bipyridine, and 1,10-phenanthroline, preferably one to ten equivalents of *N,N*-dimethylaminopyridine with respect to compound (L)) and in a suitable solvent (for example chloroform, dichloromethane and toluene, preferably chloroform and optionally in the presence of a co-solvent such as toluene) at 25°C to 100°C (preferably 60-90°C).



A compound of formula (X) may be prepared from a compound of formula (Y) by treatment with lead tetraacetate in a suitable solvent (for example chloroform) at 25°C to 100°C (preferably 25-50°C), optionally in the presence of a catalyst such as mercury diacetate, according to

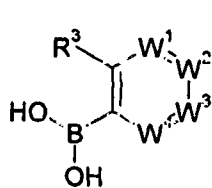
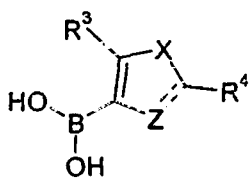
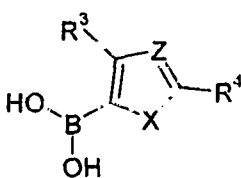
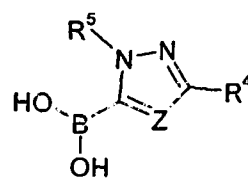
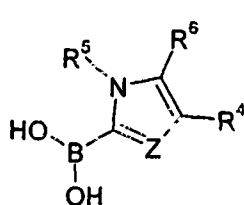
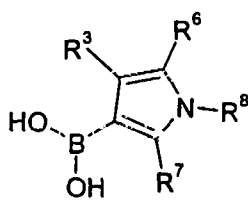
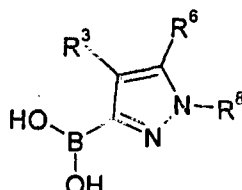
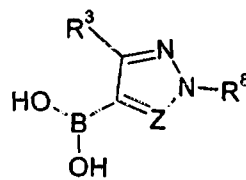
procedures described in the literature (for example see, K. Shimi, G. Boyer, J-P. Finet and J-P. Galy, Letters in Organic Chemistry, (2005), 2, 407-409; J. Morgan and J. T. Pinhey, J. Chem. Soc. Perkin Trans. 1; (1990), 3, 715-20).



Formula (Y)

Formula (X)

Suitable boronic acids include heteroarylboronic acids, (Y₁) to (Y₈), wherein R³, R⁴, R⁵, R⁶, R⁷, R⁸, X, W¹, W², W³, W⁴ and Z are as defined above.

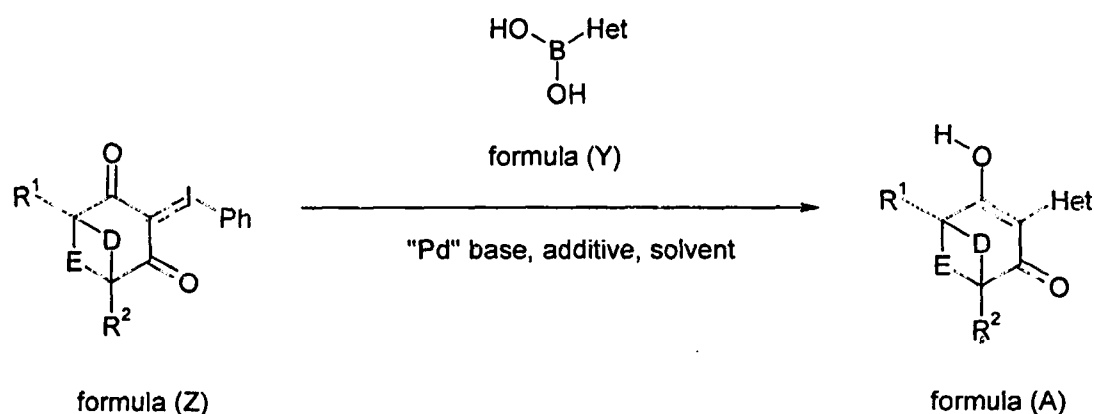
(Y₁)(Y₂)(Y₃)(Y₄)(Y₅)(Y₆)(Y₇)(Y₈)

Heteroarylboronic acids of formula (Y) are known compounds, or may be prepared from known compounds by known methods (see for example A. Voisin *et al.*, Tetrahedron (2005); 1417-1421; A. Thompson *et al.*, Tetrahedron (2005), 61, 5131-5135; K. Billingsley and S. Buchwald, J. Am. Chem. Soc., (2007), 129, 3358-3366; N. Kudo, M. Pauro and G. Fu, Angew. Chem. Int. Ed., (2006), 45, 1282-1284; A. Ivachtchenko *et al.*, J. Heterocyclic Chem., (2004), 41(6), 931-939; H. Matondo *et al.*, Synth. Commun., (2003), 33 (5) 795-800; A. Bouillon *et al.*, Tetrahedron, (2003), 59, 10043-10049; W. Li *et al.*, J. Org. Chem., (2002), 67, 5394-5397; C. Enguehard *et al.*, J. Org.

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Chem. (2000), 65, 6572-6575; H-N Nguyen, X. Huang and S. Buchwald, J. Am. Chem. Soc., (2003), 125, 11818-11819, and references therein).

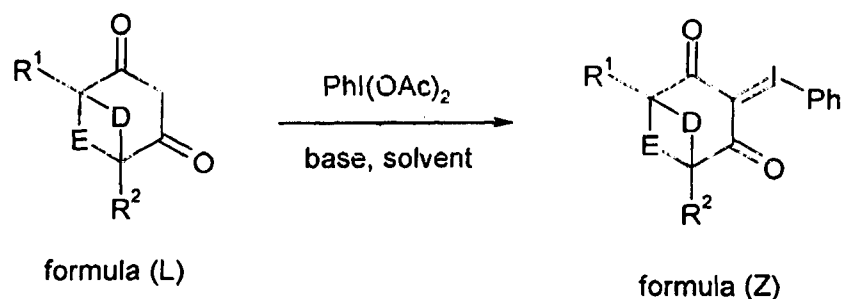
In a further approach, a compound of formula (A) may be prepared from compounds of formula (Z) by reaction with a heteroaryl boronic acid of formula (Y), in the presence of a suitable palladium catalyst and a base, and preferably in a suitable solvent.



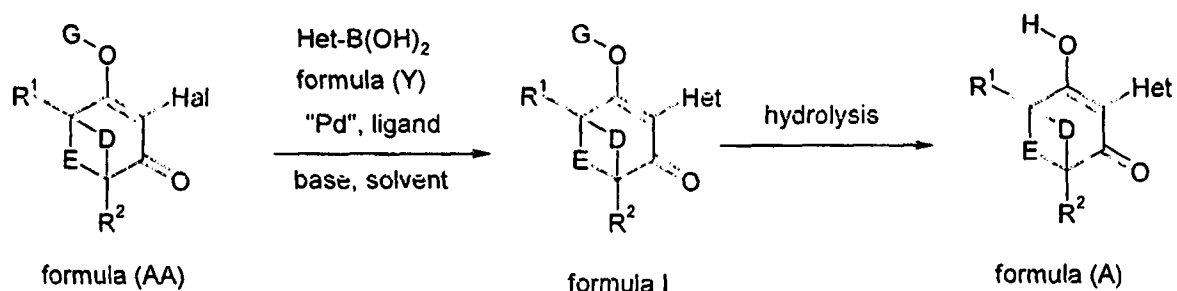
Suitable palladium catalysts are generally palladium(II) or palladium(0) complexes, for example palladium(II) dihalides, palladium(II) acetate, palladium(II) sulfate, bis(triphenylphosphine)palladium(II) dichloride, bis(tricyclopentylphosphine)palladium(II) dichloride, bis(tricyclohexylphosphine)palladium(II) dichloride, bis(dibenzylideneacetone)palladium(0) or tetrakis(triphenylphosphine)palladium(0). The palladium catalyst can also be prepared "in situ" from palladium(II) or palladium(0) compounds by complexing with the desired ligands, by, for example, combining the palladium(II) salt to be complexed, for example palladium(II) dichloride (PdCl₂) or palladium(II) acetate (Pd(OAc)₂), together with the desired ligand, for example triphenylphosphine (PPh₃), tricyclopentylphosphine or tricyclohexylphosphine and the selected solvent, with a compound of formula (Z), a heteroaromatic boronic acid of formula (Y) and a base. Also suitable are bidendate ligands, for example 1,1'-bis(diphenylphosphino)ferrocene or 1,2-bis(diphenylphosphino)ethane. By heating the reaction medium, the palladium(II) complex or palladium(0) complex desired for the C-C coupling reaction is thus formed "in situ", and then initiates the C-C coupling reaction. The palladium catalysts are used in an amount of from 0.001 to 50 mol %, preferably in an amount of from 0.1 to 15 mol %, based on the compound of formula (Z). More preferably the palladium source is palladium acetate, the base is lithium hydroxide and the solvent is a mixture of 1,2-dimethoxyethane and water in a ratio of 4:1 to 1:4. The reaction may also be carried out in the

presence of other additives, such as tetralkylammonium salts, for example, tetrabutylammonium bromide:

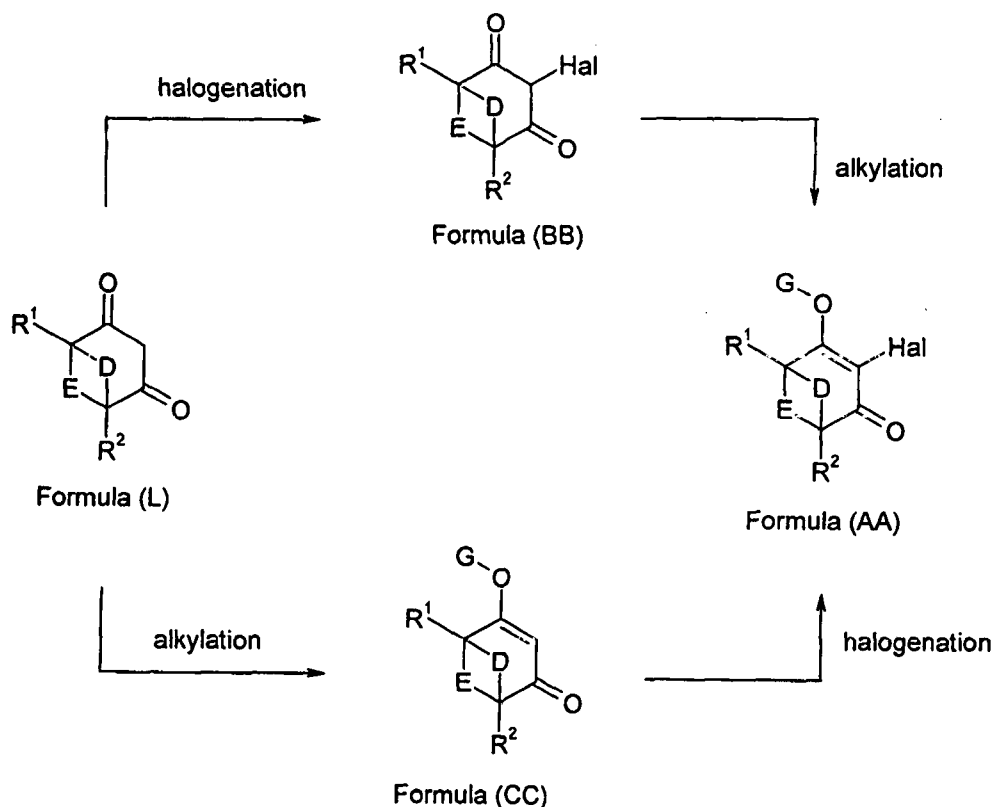
A compound of formula (Z) may be prepared from a compound of formula (L) by treatment with (diacetoxy)iodobenzene according to the procedures of K. Schank and C. Lick, *Synthesis*, (1983), 392, or of Z Yang et al., *Org. Lett.*, (2002), 4 (19), 3333:



In a further approach, a compound of formula (A) may be prepared from a compound of formula I or IA (wherein G is C₁₋₄ alkyl) by hydrolysis, preferably in the presence of an acid catalyst such as hydrochloric acid and optionally in the presence of a suitable solvent such as tetrahydrofuran. A compound of formula I (wherein G is C₁₋₄ alkyl) may be prepared by reacting a compound of formula (AA) (wherein G is C₁₋₄ alkyl, and Hal is a halogen, preferably bromine or iodine), with a heteroaryl boronic acid, Het-B(OH)₂, of formula (Y) in the presence of a suitable palladium catalyst (for example 0.001-50% palladium(II) acetate with respect to compound (AA)) and a base (for example 1 to 10 equivalents potassium phosphate with respect to compound (AA)) and preferably in the presence of a suitable ligand (for example 0.001-50% (2-dicyclohexylphosphino)-2',6'-dimethoxybiphenyl with respect to compound (AA)), and in a suitable solvent (for example toluene), preferably between 25°C and 200°C. Similar couplings are known in the literature (see for example, Y. S. Song, B. T. Kim and J.-N. Heo, *Tetrahedron Letters* (2005), 46(36), 5987-5990).



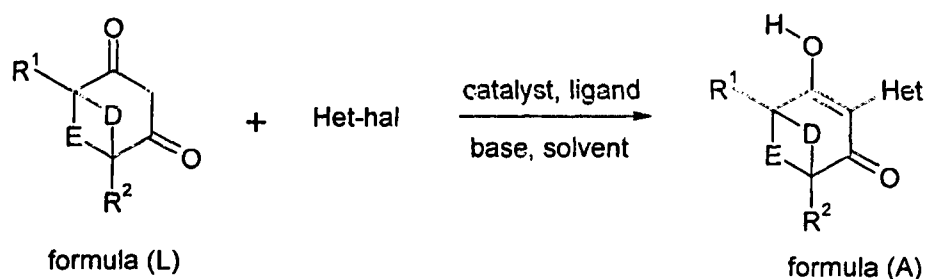
A compound of formula (AA) may be prepared by halogenating a compound of formula (L), followed by alkylation of the resulting halide of formula (BB) with a C₁₋₄ alkyl halide or tri-C₁₋₄-alkylorthoformate under known conditions, for example by the procedures of R. G. Shepherd and A. C. White (J. Chem. Soc. Perkin Trans. 1 (1987), 2153-2155) and Y.-L. Lin et al. (Bioorg. Med. Chem. (2002), 10, 685-690). Alternatively, a compound of formula (AA) may be prepared by alkylating a compound of formula (L) with a C₁₋₄ alkyl halide or a tri-C₁₋₄-alkylorthoformate, and halogenating the resulting enone of formula (CC) under known conditions (see for example Y. S. Song, B. T. Kim and J.-N. Heo, Tetrahedron Letters (2005), 46(36), 5987-5990).



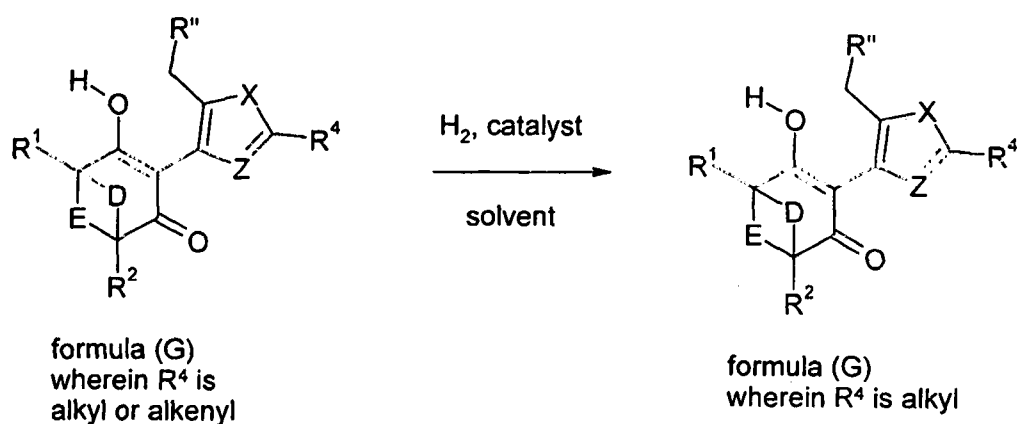
In a further approach, a compound of formula (A) may be prepared by reacting a compound of formula (L) with a suitable heteroaryl halide (such as an iodide or bromide), Het-hal, in the presence of a suitable palladium catalyst (for example 0.001-50% palladium(II) acetate with respect to compound (L)) and a base (for example 1 to 10 equivalents potassium phosphate with respect to compound (L)) and preferably in the presence of a suitable ligand (for example 0.001-50% (2-dicyclohexylphosphino)-2',4',6'-triisopropylbiphenyl with respect to compound (L)), and in a suitable solvent (for example dioxane), preferably between 25°C and 200°C. Similar couplings are known in the literature (see for example, J. M. Fox, X. Huang, A. Chieffi, and S. L. Buchwald, J. Am. Chem. Soc. (2000), 122, 1360-1370; B. Hong et al. WO 2005/000233). Alternatively, a

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compound of formula (A) may be prepared by reacting a compound of formula (L) with a suitable heteroaryl halide (such as an iodide or bromide), Het-hal, in the presence of a suitable copper catalyst (for example 0.001-50% copper(I) iodide with respect to compound (L)) and a base (for example 1 to 10 equivalents potassium carbonate with respect to compound (L)) and preferably in the presence of a suitable ligand (for example 0.001-50% L-proline with respect to compound (L)), and in a suitable solvent (for example dimethylsulfoxide), preferably between 25°C and 200°C. Similar couplings are known in the literature for aryl halides (see for example, Y. Jiang, N. Wu, H. Wu, and M. He, *Synlett*, (2005), 18, 2731-2734).

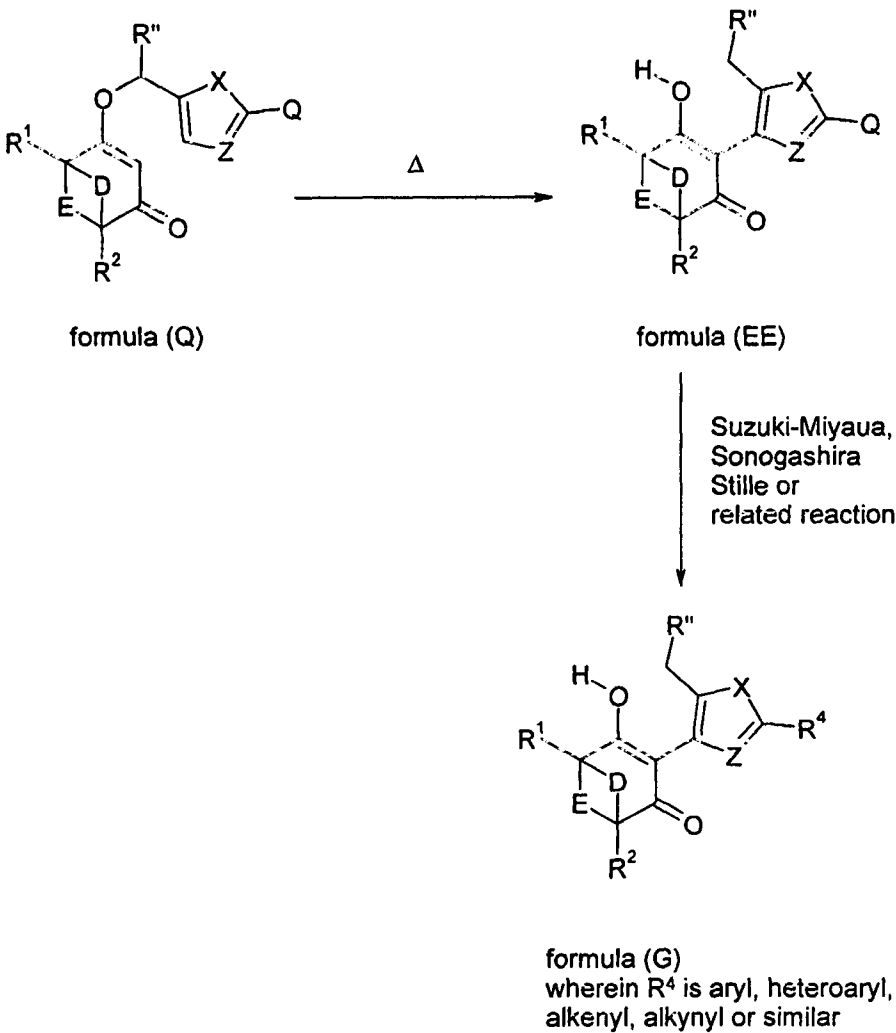


Those skilled in the art will appreciate that compounds of formula I may contain a heteroaromatic moiety bearing one or more substituents capable of being transformed into alternative substituents under known conditions, and that these compounds may themselves serve as intermediates in the preparation of additional compounds of formula I. For example, a heterocycle of formula (G) wherein R^4 is alkenyl or alkynyl, may be reduced to a compound of formula (G) wherein R^4 is alkyl under known conditions.



Furthermore, a compound of formula (EE) wherein Q is an atom or group suitable for cross-coupling chemistry (such as a halogen or a haloalkylsulfonate) may undergo Suzuki-Miyaura, Stille, Sonogashira and related reactions under known conditions to give additional compounds

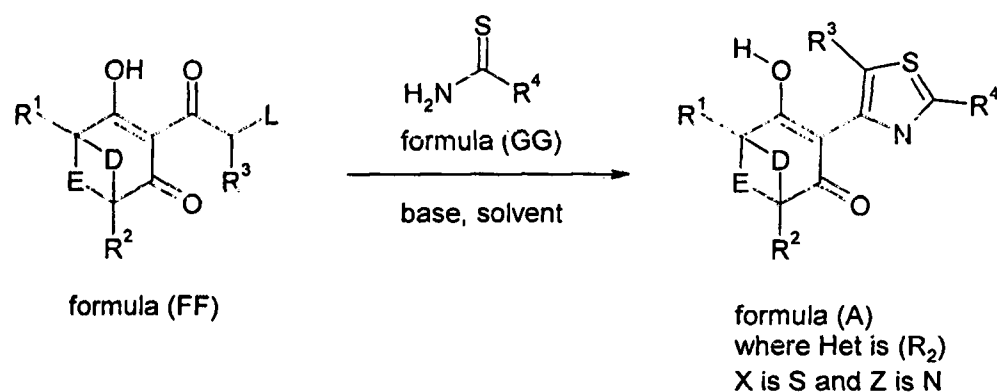
of formula G. A compound of formula (EE) may be prepared by rearranging a compound of formula (Q) under conditions similar to those used to convert a compound of formula (H) to a compound of formula (G):



Those skilled in the art will appreciate that transformations of this type are not restricted to compounds of formula (EE), but may in general be applied to any compound of formula I where Het is a heterocycle substituted by an atom or group suitable for further derivatisation.

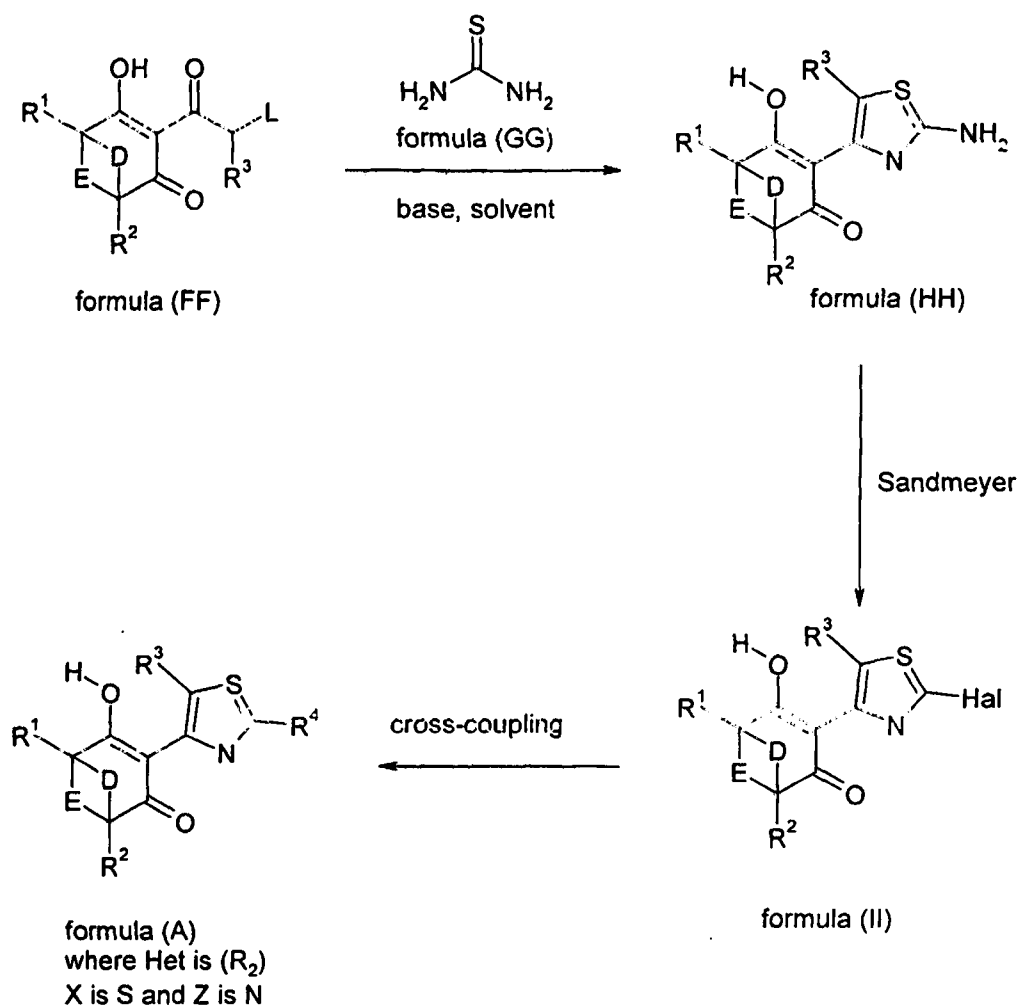
In a further approach to compounds of formula (A), wherein Het is a group of formula (R₂), X is S, and Y is N, a compound of formula (FF) wherein L is a suitable leaving group such as a halogen or an alkyl- or haloalkylsulfonate, may be treated with a compound of formula (GG), optionally in the presence of a suitable base (such as triethylamine or pyridine), and optionally in a suitable solvent (such as water, toluene, acetone, ethanol or isopropanol) according to known

procedures, (see, for example, E. Knott, J. Chem. Soc., (1945), 455; H. Brederick, R. Gompper, Chem. Ber. (1960), 93, 723; B. Friedman, M. Sparks and R. Adams, J. Am. Chem. Soc., (1937), 59, 2262).



Alternatively, a compound of formula (FF) may be treated with thiourea, by known procedures (see, for example, V. Pshenichniya, O. Gulyakevich and V. Kripach, Chemistry of Heterocyclic Compounds, (1990), 10, 1409-1412), and the resulting product of formula (HH) may be converted into additional compounds of formula (A) by conversion to a halide of formula (II), wherein Hal is chlorine, bromine or iodine, under Sandmeyer conditions, and a compound of formula (II) may be converted to compounds of formula (A) by cross-coupling under known conditions for the Suzuki-Miyaura, Sonogashira, Stille and related reactions, as described previously.

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A compound of formula (FF) may be prepared from a compound of formula (L) under known conditions (see, for example, V. Pshenichniya, O. Gulyakevich and V. Kripach, *Chemistry of Heterocyclic Compounds*, (1990), 10, 1409-1412; V. Pshenichniya, O. Gulyakevich and V. Kripach, *Russian Journal of Organic Chemistry*, (1989), 25 (9), 1882-1888).

The compounds of formula I according to the invention can be used as herbicides in unmodified form, as obtained in the synthesis, but they are generally formulated into herbicidal compositions in a variety of ways using formulation adjuvants, such as carriers, solvents and surface-active substances. The formulations can be in various physical forms, for example in the form of dusting powders, gels, wettable powders, water-dispersible granules, water-dispersible tablets, effervescent compressed tablets, emulsifiable concentrates, microemulsifiable concentrates, oil-in-water emulsions, oil flowables, aqueous dispersions, oily dispersions, suspoemulsions, capsule suspensions, emulsifiable granules, soluble liquids, water-soluble concentrates (with water or a water-miscible organic solvent as carrier), impregnated polymer films or in other forms

known, for example, from the Manual on Development and Use of FAO Specifications for Plant Protection Products, 5th Edition, 1999. Such formulations can either be used directly or are diluted prior to use. Diluted formulations can be prepared, for example, with water, liquid fertilisers, micronutrients, biological organisms, oil or solvents.

The formulations can be prepared, for example, by mixing the active ingredient with formulation adjuvants in order to obtain compositions in the form of finely divided solids, granules, solutions, dispersions or emulsions. The active ingredients can also be formulated with other adjuvants, for example finely divided solids, mineral oils, vegetable oils, modified vegetable oils, organic solvents, water, surface-active substances or combinations thereof. The active ingredients can also be contained in very fine microcapsules consisting of a polymer. Microcapsules contain the active ingredients in a porous carrier. This enables the active ingredients to be released into their surroundings in controlled amounts (e.g. slow release). Microcapsules usually have a diameter of from 0.1 to 500 microns. They contain active ingredients in an amount of about from 25 to 95 % by weight of the capsule weight. The active ingredients can be present in the form of a monolithic solid, in the form of fine particles in solid or liquid dispersion or in the form of a suitable solution. The encapsulating membranes comprise, for example, natural and synthetic gums, cellulose, styrene-butadiene copolymers, polyacrylonitrile, polyacrylate, polyester, polyamides, polyureas, polyurethane or chemically modified polymers and starch xanthates or other polymers that are known to the person skilled in the art in this connection. Alternatively it is possible for very fine microcapsules to be formed wherein the active ingredient is present in the form of finely divided particles in a solid matrix of a base substance, but in that case the microcapsule is not encapsulated.

The formulation adjuvants suitable for the preparation of the compositions according to the invention are known *per se*. As liquid carriers there may be used: water, toluene, xylene, petroleum ether, vegetable oils, acetone, methyl ethyl ketone, cyclohexanone, acid anhydrides, acetonitrile, acetophenone, amyl acetate, 2-butanone, butylenes carbonate, chlorobenzene, cyclohexane, cyclohexanol, alkyl esters of acetic acid, diacetone alcohol, 1,2-dichloropropane, diethanolamine, p-diethylbenzene, diethylene glycol, diethylene glycol abietate, diethylene glycol butyl ether, diethylene glycol ethyl ether, diethylene glycol methyl ether, N,N-dimethylformamide, dimethyl sulfoxide, 1,4-dioxane, dipropylene glycol, dipropylene glycol methyl ether, dipropylene glycol dibenzoate, diproxitol, alkylpyrrolidone, ethyl acetate, 2-ethyl hexanol, ethylene carbonate, 1,1,1-trichloroethane, 2-heptanone, alpha-pinene, d-limonene, ethyl lactate, ethylene glycol, ethylene glycol butyl ether, ethylene glycol methyl ether, gamma-butyrolactone, glycerol, glycerol acetate, glycerol diacetate, glycerol triacetate, hexadecane, hexylene glycol, isoamyl acetate,

isobornyl acetate, isooctane, isophorone, isopropylbenzene, isopropyl myristate, lactic acid, laurylamine, mesityl oxide, methoxypropanol, methyl isoamyl ketone, methyl isobutyl ketone, methyl laurate, methyl octanoate, methyl oleate, methylene chloride, m-xylene, n-hexane, n-octylamine, octadecanoic acid, octylamine acetate, oleic acid, oleylamine, o-xylene, phenol, polyethylene glycol (PEG 400), propionic acid, propyl lactate, propylene carbonate, propylene glycol, propylene glycol methyl ether, p-xylene, toluene, triethyl phosphate, triethylene glycol, xylenesulfonic acid, paraffin, mineral oil, trichloroethylene, perchloroethylene, ethyl acetate, amyl acetate, butyl acetate, propylene glycol methyl ether, diethylene glycol methyl ether, methanol, ethanol, isopropanol, and higher molecular weight alcohols, such as amyl alcohol, tetrahydrofurfuryl alcohol, hexanol, octanol, ethylene glycol, propylene glycol, glycerol, N-methyl-2-pyrrolidone and the like. Water is generally the carrier of choice for the dilution of the concentrates. Suitable solid carriers are, for example, talc, titanium dioxide, pyrophyllite clay, silica, attapulgit clay, kieselguhr, limestone, calcium carbonate, bentonite, calcium montmorillonite, cottonseed husks, wheatmeal, soybean flour, pumice, wood flour, ground walnut shells, lignin and similar materials, as described, for example, in CFR 180.1001. (c) & (d).

A large number of surface-active substances can advantageously be used both in solid and in liquid formulations, especially in those formulations which can be diluted with a carrier prior to use. Surface-active substances may be anionic, cationic, non-ionic or polymeric and they may be used as emulsifying, wetting or suspending agents or for other purposes. Typical surface-active substances include, for example, salts of alkyl sulfates, such as diethanolammonium lauryl sulfate; salts of alkylarylsulfonates, such as calcium dodecylbenzenesulfonate; alkylphenol-alkylene oxide addition products, such as nonylphenol ethoxylate; alcohol-alkylene oxide addition products, such as tridecyl alcohol ethoxylate; soaps, such as sodium stearate; salts of alkylnaphthalenesulfonates, such as sodium dibutylnaphthalenesulfonate; dialkyl esters of sulfosuccinate salts, such as sodium di(2-ethylhexyl)sulfosuccinate; sorbitol esters, such as sorbitol oleate; quaternary amines, such as lauryl trimethylammonium chloride, polyethylene glycol esters of fatty acids, such as polyethylene glycol stearate; block copolymers of ethylene oxide and propylene oxide; and salts of mono- and di-alkyl phosphate esters; and also further substances described e.g. in "McCutcheon's Detergents and Emulsifiers Annual", MC Publishing Corp., Ridgewood, New Jersey, 1981.

Further adjuvants which can usually be used in pesticidal formulations include crystallisation inhibitors, viscosity-modifying substances, suspending agents, dyes, anti-oxidants, foaming agents, light absorbers, mixing aids, anti-foams, complexing agents, neutralising or pH-modifying substances and buffers, corrosion-inhibitors, fragrances, wetting agents, absorption improvers,

micronutrients, plasticisers, glidants, lubricants, dispersants, thickeners, anti-freezes, microbiocides, and also liquid and solid fertilisers.

The formulations may also comprise additional active substances, for example further herbicides, herbicide safeners, plant growth regulators, fungicides or insecticides.

The compositions according to the invention can additionally include an additive comprising an oil of vegetable or animal origin, a mineral oil, alkyl esters of such oils or mixtures of such oils and oil derivatives. The amount of oil additive used in the composition according to the invention is generally from 0.01 to 10 %, based on the spray mixture. For example, the oil additive can be added to the spray tank in the desired concentration after the spray mixture has been prepared. Preferred oil additives comprise mineral oils or an oil of vegetable origin, for example rapeseed oil, olive oil or sunflower oil, emulsified vegetable oil, such as AMIGO® (Rhône-Poulenc Canada Inc.), alkyl esters of oils of vegetable origin, for example the methyl derivatives, or an oil of animal origin, such as fish oil or beef tallow. A preferred additive contains, for example, as active components essentially 80 % by weight alkyl esters of fish oils and 15 % by weight methylated rapeseed oil, and also 5 % by weight of customary emulsifiers and pH modifiers. Especially preferred oil additives comprise alkyl esters of C₈-C₂₂ fatty acids, especially the methyl derivatives of C₁₂-C₁₈ fatty acids, for example the methyl esters of lauric acid, palmitic acid and oleic acid, being important. Those esters are known as methyl laurate (CAS-111-82-0), methyl palmitate (CAS-112-39-0) and methyl oleate (CAS-112-62-9). A preferred fatty acid methyl ester derivative is Emery® 2230 and 2231 (Cognis GmbH). Those and other oil derivatives are also known from the Compendium of Herbicide Adjuvants, 5th Edition, Southern Illinois University, 2000. Another preferred adjuvant is Adigor® (Syngenta AG) which is a methylated rapeseed oil-based adjuvant.

The application and action of the oil additives can be further improved by combining them with surface-active substances, such as non-ionic, anionic or cationic surfactants. Examples of suitable anionic, non-ionic and cationic surfactants are listed on pages 7 and 8 of WO 97/34485. Preferred surface-active substances are anionic surfactants of the dodecylbenzylsulfonate type, especially the calcium salts thereof, and also non-ionic surfactants of the fatty alcohol ethoxylate type. Special preference is given to ethoxylated C₁₂-C₂₂ fatty alcohols having a degree of ethoxylation of from 5 to 40. Examples of commercially available surfactants are the Genapol types (Clariant AG). Also preferred are silicone surfactants, especially polyalkyl-oxide-modified heptamethyltrisiloxanes, which are commercially available e.g. as Silwet L-77®, and also perfluorinated surfactants. The concentration of surface-active substances in relation to the total additive is generally from 1 to 30 % by weight. Examples of oil additives that consist of mixtures

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of oils or mineral oils or derivatives thereof with surfactants are Edenor ME SU[®], Turbocharge[®] (Syngenta AG, CH) and Actipron[®] (BP Oil UK Limited, GB).

The said surface-active substances may also be used in the formulations alone, that is to say without oil additives.

Furthermore, the addition of an organic solvent to the oil additive/surfactant mixture can contribute to a further enhancement of action. Suitable solvents are, for example, Solvesso[®] (ESSO) and Aromatic Solvent[®] (Exxon Corporation). The concentration of such solvents can be from 10 to 80 % by weight of the total weight. Such oil additives, which may be in admixture with solvents, are described, for example, in US-A-4 834 908. A commercially available oil additive disclosed therein is known by the name MERGE[®] (BASF Corporation). A further oil additive that is preferred according to the invention is SCORE[®] (Syngenta Crop Protection Canada.)

In addition to the oil additives listed above, in order to enhance the activity of the compositions according to the invention it is also possible for formulations of alkylpyrrolidones, (e.g. Agrimax[®]) to be added to the spray mixture. Formulations of synthetic lattices, such as, for example, polyacrylamide, polyvinyl compounds or poly-1-p-menthene (e.g. Bond[®], Courier[®] or Emerald[®]) can also be used. Solutions that contain propionic acid, for example Eurokem Pen-e-trate[®], can also be mixed into the spray mixture as activity-enhancing agents.

The herbicidal formulations generally contain from 0.1 to 99 % by weight, especially from 0.1 to 95 % by weight, of a compound of formula I and from 1 to 99.9 % by weight of a formulation adjuvant, which preferably includes from 0 to 25 % by weight of a surface-active substance. Whereas commercial products will preferably be formulated as concentrates, the end user will normally employ dilute formulations.

The rate of application of the compounds of formula I may vary within wide limits and depends upon the nature of the soil, the method of application (pre- or post-emergence; seed dressing; application to the seed furrow; no tillage application etc.), the crop plant, the weed or grass to be controlled, the prevailing climatic conditions, and other factors governed by the method of application, the time of application and the target crop. The compounds of formula I according to the invention are generally applied at a rate of 1 to 4000 g / ha, especially from 5 to 1000 g/ha. Preferred formulations have especially the following compositions:
(% = percent by weight):

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Emulsifiable concentrates:

active ingredient:	1 to 95 %, preferably 60 to 90 %
surface-active agent:	1 to 30 %, preferably 5 to 20 %
liquid carrier:	1 to 80 %, preferably 1 to 35 %

Dusts:

active ingredient:	0.1 to 10 %, preferably 0.1 to 5 %
solid carrier:	99.9 to 90 %, preferably 99.9 to 99 %

Suspension concentrates:

active ingredient:	5 to 75 %, preferably 10 to 50 %
water:	94 to 24 %, preferably 88 to 30 %
surface-active agent:	1 to 40 %, preferably 2 to 30 %

Wettable powders:

active ingredient:	0.5 to 90 %, preferably 1 to 80 %
surface-active agent:	0.5 to 20 %, preferably 1 to 15 %
solid carrier:	5 to 95 %, preferably 15 to 90 %

Granules:

active ingredient:	0.1 to 30 %, preferably 0.1 to 15 %
solid carrier:	99.5 to 70 %, preferably 97 to 85 %

The following Examples further illustrate, but do not limit, the invention.

<u>F1. Emulsifiable concentrates</u>	a)	b)	c)	d)
active ingredient	5 %	10 %	25 %	50 %
calcium dodecylbenzene-sulfonate	6 %	8 %	6 %	8 %
castor oil polyglycol ether (36 mol of ethylene oxide)	4 %	-	4 %	4 %
octylphenol polyglycol ether (7-8 mol of ethylene oxide)	-	4 %	-	2 %
NMP	-	-	10 %	20 %
arom. hydrocarbon mixture C ₉ -C ₁₂	85 %	78 %	55 %	16 %

Emulsions of any desired concentration can be prepared from such concentrates by dilution with water.

<u>F2. Solutions</u>	a)	b)	c)	d)
active ingredient	5 %	10 %	50 %	90 %
1-methoxy-3-(3-methoxy-propoxy)-propane	-	20 %	20 %	-
polyethylene glycol MW 400	20 %	10 %	-	-
NMP	-	-	30 %	10 %
arom. hydrocarbon mixture C ₉ -C ₁₂	75 %	60 %	-	-

The solutions are suitable for application in the form of microdrops.

<u>F3. Wettable powders</u>	a)	b)	c)	d)
active ingredient	5 %	25 %	50 %	80 %
sodium lignosulfonate	4 %	-	3 %	-
sodium lauryl sulfate	2 %	3 %	-	4 %
sodium diisobutylphenylene-sulfonate	-	6 %	5 %	6 %
octylphenol polyglycol ether (7-8 mol of ethylene oxide)	-	1 %	2 %	-
highly disperse silicic acid	1 %	3 %	5 %	10 %
kaolin	88 %	62 %	35 %	-

The active ingredient is thoroughly mixed with the adjuvants and the mixture is thoroughly ground in a suitable mill, yielding wettable powders which can be diluted with water to give suspensions of any desired concentration.

<u>F4. Coated granules</u>	a)	b)	c)
active ingredient	0.1 %	5 %	15 %
highly disperse silicic acid	0.9 %	2 %	2 %
inorg. carrier	99.0 %	93 %	83 %
(diameter 0.1 - 1 mm)			
e.g. CaCO ₃ or SiO ₂			

The active ingredient is dissolved in methylene chloride, the solution is sprayed onto the carrier and the solvent is subsequently evaporated off *in vacuo*.

<u>F5. Coated granules</u>	a)	b)	c)
active ingredient	0.1 %	5 %	15 %
polyethylene glycol MW 200	1.0 %	2 %	3 %
highly disperse silicic acid	0.9 %	1 %	2 %
inorg. carrier	98.0 %	92 %	80 %
(diameter 0.1 - 1 mm)			

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e.g. CaCO_3 or SiO_2

The finely ground active ingredient is applied uniformly, in a mixer, to the carrier moistened with polyethylene glycol. Non-dusty coated granules are obtained in this manner.

<u>F6. Extruder granules</u>	a)	b)	c)	d)
active ingredient	0.1 %	3 %	5 %	15 %
sodium lignosulfonate	1.5 %	2 %	3 %	4 %
carboxymethylcellulose	1.4 %	2 %	2 %	2 %
kaolin	97.0 %	93 %	90 %	79 %

The active ingredient is mixed and ground with the adjuvants and the mixture is moistened with water. The resulting mixture is extruded and then dried in a stream of air.

<u>F7. Dusts</u>	a)	b)	c)
active ingredient	0.1 %	1 %	5 %
talcum	39.9 %	49 %	35 %
kaolin	60.0 %	50 %	60 %

Ready-to-use dusts are obtained by mixing the active ingredient with the carriers and grinding the mixture in a suitable mill.

<u>F8. Suspension concentrates</u>	a)	b)	c)	d)
active ingredient	3 %	10 %	25 %	50 %
ethylene glycol	5 %	5 %	5 %	5 %
nonylphenol polyglycol ether (15 mol of ethylene oxide)	-	1 %	2 %	-
sodium lignosulfonate	3 %	3 %	4 %	5 %
carboxymethylcellulose	1 %	1 %	1 %	1 %
37 % aqueous formaldehyde solution	0.2 %	0.2 %	0.2 %	0.2 %
silicone oil emulsion	0.8 %	0.8 %	0.8 %	0.8 %
water	87 %	79 %	62 %	36 %

The finely ground active ingredient is intimately mixed with the adjuvants, yielding a suspension concentrate from which suspensions of any desired concentration can be prepared by dilution with water.

The invention relates also to a method for the selective control of grasses and weeds in crops of useful plants, which comprises treating the useful plants or the area under cultivation or the locus thereof with a compound of formula I.

Crops of useful plants in which the compositions according to the invention can be used include especially cereals, cotton, soybeans, sugar beet, sugar cane, plantation crops, rape, maize and rice, and for non-selective weed control. The term "crops" is to be understood as also including crops that have been rendered tolerant to herbicides or classes of herbicides (for example ALS, GS, EPSPS, PPO, ACCase and HPPD inhibitors) as a result of conventional methods of breeding or genetic engineering. An example of a crop that has been rendered tolerant e.g. to imidazolinones, such as imazamox, by conventional methods of breeding is Clearfield® summer rape (Canola). Examples of crops that have been rendered tolerant to herbicides by genetic engineering methods include e.g. glyphosate- and glufosinate-resistant maize varieties commercially available under the trade names RoundupReady® and LibertyLink®. The weeds to be controlled may be both monocotyledonous and dicotyledonous weeds, such as, for example, *Stellaria*, *Nasturtium*, *Agrostis*, *Digitaria*, *Avena*, *Setaria*, *Sinapis*, *Lolium*, *Solanum*, *Echinochloa*, *Scirpus*, *Monochoria*, *Sagittaria*, *Bromus*, *Alopecurus*, *Sorghum*, *Rottboellia*, *Cyperus*, *Abutilon*, *Sida*, *Xanthium*, *Amaranthus*, *Chenopodium*, *Ipomoea*, *Chrysanthemum*, *Galium*, *Viola* and *Veronica*.

Crops are also to be understood as being those which have been rendered resistant to harmful insects by genetic engineering methods, for example Bt maize (resistant to European corn borer), Bt cotton (resistant to cotton boll weevil) and also Bt potatoes (resistant to Colorado beetle). Examples of Bt maize are the Bt-176 maize hybrids of NK® (Syngenta Seeds). The Bt toxin is a protein that is formed naturally by *Bacillus thuringiensis* soil bacteria. Examples of toxins and transgenic plants able to synthesise such toxins are described in EP-A-451 878, EP-A-374 753, WO 93/07278, WO 95/34656, WO 03/052073 and EP-A-427 529. Examples of transgenic plants that contain one or more genes which code for an insecticidal resistance and express one or more toxins are KnockOut® (maize), Yield Gard® (maize), NuCOTIN33B® (cotton), Bollgard® (cotton), NewLeaf® (potatoes), NatureGard® and Protexcta®. Plant crops and their seed material can be resistant to herbicides and at the same time also to insect feeding ("stacked" transgenic events). Seed can, for example, have the ability to express an insecticidally active Cry3 protein and at the same time be glyphosate-tolerant. The term "crops" is to be understood as also including crops obtained as a result of conventional methods of breeding or genetic engineering which contain so-called output traits (e.g. improved flavour, storage stability, nutritional content).

Areas under cultivation are to be understood as including land where the crop plants are already growing as well as land intended for the cultivation of those crop plants.

The compounds of formula I according to the invention can also be used in combination with other herbicides. The following mixtures of the compound of formula I are especially important. Preferably, in these mixtures, the compound of the formula I is one of those compounds listed in Tables 1 to 204 below:

compound of formula I + acetochlor, compound of formula I + acifluorfen, compound of formula I + acifluorfen-sodium, compound of formula I + aclonifen, compound of formula I + acrolein, compound of formula I + alachlor, compound of formula I + alloxydim, compound of formula I + allyl alcohol, compound of formula I + ametryn, compound of formula I + amicarbazone, compound of formula I + amidosulfuron, compound of formula I + aminopyralid, compound of formula I + amitrole, compound of formula I + ammonium sulfamate, compound of formula I + anilofos, compound of formula I + asulam, compound of formula I + atrazine, formula I + aviglycine, formula I + azafenidin, compound of formula I + azimsulfuron, compound of formula I + BCPC, compound of formula I + beflubutamid, compound of formula I + benazolin, formula I + bencarbazone, compound of formula I + benfluralin, compound of formula I + benfuresate, compound of formula I + bensulfuron, compound of formula I + bensulfuron-methyl, compound of formula I + bensulide, compound of formula I + bentazone, compound of formula I + benzfendizone, compound of formula I + benzobicyclon, compound of formula I + benzofenap, compound of formula I + bifenox, compound of formula I + bilanafos, compound of formula I + bispyribac, compound of formula I + bispyribac-sodium, compound of formula I + borax, compound of formula I + bromacil, compound of formula I + bromobutide, formula I + bromophenoxim, compound of formula I + bromoxynil, compound of formula I + butachlor, compound of formula I + butafenacil, compound of formula I + butamifos, compound of formula I + butralin, compound of formula I + butroxydim, compound of formula I + butylate, compound of formula I + cacodylic acid, compound of formula I + calcium chlorate, compound of formula I + cafenstrole, compound of formula I + carbetamide, compound of formula I + carfentrazone, compound of formula I + carfentrazone-ethyl, compound of formula I + CDEA, compound of formula I + CEPC, compound of formula I + chlorflurenol, compound of formula I + chlorflurenol-methyl, compound of formula I + chloridazon, compound of formula I + chlorimuron, compound of formula I + chlorimuron-ethyl, compound of formula I + chloroacetic acid, compound of formula I + chlorotoluron, compound of formula I + chlorpropham, compound of formula I + chlorsulfuron, compound of formula I + chlorthal, compound of formula I + chlorthal-dimethyl, compound of formula I + cinidon-ethyl, compound of formula I + cinmethylin, compound of formula I + cinosulfuron, compound of formula I + cisanilide, compound of formula I + clethodim, compound of formula I + clodinafop, compound of formula I + clodinafop-propargyl, compound of formula I + clomazone, compound of formula I + clomeprop, compound of formula I + clopyralid, compound of formula I + cloransulam, compound of formula I + cloransulam-methyl, compound of formula I

+ CMA, compound of formula I + 4-CPB, compound of formula I + CPMF, compound of formula I + 4-CPP, compound of formula I + CPPC, compound of formula I + cresol, compound of formula I + cumyluron, compound of formula I + cyanamide, compound of formula I + cyanazine, compound of formula I + cycloate, compound of formula I + cyclosulfamuron, compound of formula I + cycloxydim, compound of formula I + cyhalofop, compound of formula I + cyhalofop-butyl, compound of formula I + 2,4-D, compound of formula I + 3,4-DA, compound of formula I + daimuron, compound of formula I + dalapon, compound of formula I + dazomet, compound of formula I + 2,4-DB, compound of formula I + 3,4-DB, compound of formula I + 2,4-DEB, compound of formula I + desmedipham, formula I + desmetryn, compound of formula I + dicamba, compound of formula I + dichlobenil, compound of formula I + ortho-dichlorobenzene, compound of formula I + para-dichlorobenzene, compound of formula I + dichlorprop, compound of formula I + dichlorprop-P, compound of formula I + diclofop, compound of formula I + diclofop-methyl, compound of formula I + diclosulam, compound of formula I + difenzoquat, compound of formula I + difenzoquat metilsulfate, compound of formula I + diflufenican, compound of formula I + diflufenzopyr, compound of formula I + dimefuron, compound of formula I + dimepiperate, compound of formula I + dimethachlor, compound of formula I + dimethametryn, compound of formula I + dimethenamid, compound of formula I + dimethenamid-P, compound of formula I + dimethipin, compound of formula I + dimethylarsinic acid, compound of formula I + dinitramine, compound of formula I + dinoterb, compound of formula I + diphenamid, formula I + dipropetryn, compound of formula I + diquat, compound of formula I + diquat dibromide, compound of formula I + dithiopyr, compound of formula I + diuron, compound of formula I + DNOC, compound of formula I + 3,4-DP, compound of formula I + DSMA, compound of formula I + EBEP, compound of formula I + endothal, compound of formula I + EPTC, compound of formula I + esprocarb, compound of formula I + ethalfluralin, compound of formula I + ethametsulfuron, compound of formula I + ethametsulfuron-methyl, formula I + ethephon, compound of formula I + ethofumesate, compound of formula I + ethoxyfen, compound of formula I + ethoxysulfuron, compound of formula I + etobenzanid, compound of formula I + fenoxaprop-P, compound of formula I + fenoxaprop-P-ethyl, compound of formula I + fentrazamide, compound of formula I + ferrous sulfate, compound of formula I + flamprop-M, compound of formula I + flazasulfuron, compound of formula I + florasulam, compound of formula I + fluazifop, compound of formula I + fluazifop-butyl, compound of formula I + fluazifop-P, compound of formula I + fluazifop-P-butyl, formula I + fluazolate, compound of formula I + flucarbazone, compound of formula I + flucarbazone-sodium, compound of formula I + flucetosulfuron, compound of formula I + fluchloralin, compound of formula I + flufenacet, compound of formula I + flufenpyr, compound of formula I + flufenpyr-ethyl, formula I + flumetralin, compound of formula I + flumetsulam, compound of formula I + flumiclorac, compound of formula I + flumiclorac-pentyl, compound of

formula I + flumioxazin, formula I + flumipropin, compound of formula I + fluometuron, compound of formula I + fluoroglycofen, compound of formula I + fluoroglycofen-ethyl, formula I + fluoxaprop, formula I + flupoxam, formula I + flupropacil, compound of formula I + flupropanate, compound of formula I + flupyrsulfuron, compound of formula I + flupyrsulfuron-methyl-sodium, compound of formula I + flurenol, compound of formula I + fluridone, compound of formula I + flurochloridone, compound of formula I + fluroxypyr, compound of formula I + flurtamone, compound of formula I + fluthiacet, compound of formula I + fluthiacet-methyl, compound of formula I + fomesafen, compound of formula I + foramsulfuron, compound of formula I + fosamine, compound of formula I + glufosinate, compound of formula I + glufosinate-ammonium, compound of formula I + glyphosate, compound of formula I + halosulfuron, compound of formula I + halosulfuron-methyl, compound of formula I + haloxyfop, compound of formula I + haloxyfop-P, compound of formula I + HC-252, compound of formula I + hexazinone, compound of formula I + imazamethabenz, compound of formula I + imazamethabenz-methyl, compound of formula I + imazamox, compound of formula I + imazapic, compound of formula I + imazapyr, compound of formula I + imazaquin, compound of formula I + imazethapyr, compound of formula I + imazosulfuron, compound of formula I + indanofan, compound of formula I + iodomethane, compound of formula I + iodosulfuron, compound of formula I + iodosulfuron-methyl-sodium, compound of formula I + ioxynil, compound of formula I + isoproturon, compound of formula I + isouron, compound of formula I + isoxaben, compound of formula I + isoxachlortole, compound of formula I + isoxaflutole, formula I + isoxapyrifop, compound of formula I + karbutilate, compound of formula I + lactofen, compound of formula I + lenacil, compound of formula I + linuron, compound of formula I + MAA, compound of formula I + MAMA, compound of formula I + MCPA, compound of formula I + MCPA-thioethyl, compound of formula I + MCPB, compound of formula I + mecoprop, compound of formula I + mecoprop-P, compound of formula I + mefenacet, compound of formula I + mefluidide, compound of formula I + mesosulfuron, compound of formula I + mesosulfuron-methyl, compound of formula I + mesotrione, compound of formula I + metam, compound of formula I + metamifop, compound of formula I + metamitron, compound of formula I + metazachlor, compound of formula I + methabenzthiazuron, formula I + methazole, compound of formula I + methylarsonic acid, compound of formula I + methylidymron, compound of formula I + methyl isothiocyanate, compound of formula I + metobenzuron, formula I + metobromuron, compound of formula I + metolachlor, compound of formula I + S-metolachlor, compound of formula I + metosulam, compound of formula I + metoxuron, compound of formula I + metribuzin, compound of formula I + metsulfuron, compound of formula I + metsulfuron-methyl, compound of formula I + MK-616, compound of formula I + molinate, compound of formula I + monolinuron, compound of formula I + MSMA, compound of formula I + naproanilide, compound of formula I + napropamide, compound of formula I + naptalam, formula I + NDA-402989,

compound of formula I + neburon, compound of formula I + nicosulfuron, formula I + nipyraclufen, formula I + n-methyl glyphosate, compound of formula I + nonanoic acid, compound of formula I + norflurazon, compound of formula I + oleic acid (fatty acids), compound of formula I + orbencarb, compound of formula I + orthosulfamuron, compound of formula I + oryzalin, compound of formula I + oxadiargyl, compound of formula I + oxadiazon, compound of formula I + oxasulfuron, compound of formula I + oxaziclomefone, compound of formula I + oxyfluorfen, compound of formula I + paraquat, compound of formula I + paraquat dichloride, compound of formula I + pebulate, compound of formula I + pendimethalin, compound of formula I + penoxsulam, compound of formula I + pentachlorophenol, compound of formula I + pentanochlor, compound of formula I + pentoxazone, compound of formula I + pethoxamid, compound of formula I + petroleum oils, compound of formula I + phenmedipham, compound of formula I + phenmedipham-ethyl, compound of formula I + picloram, compound of formula I + picolinafen, compound of formula I + pinoxaden, compound of formula I + piperophos, compound of formula I + potassium arsenite, compound of formula I + potassium azide, compound of formula I + pretilachlor, compound of formula I + primisulfuron, compound of formula I + primisulfuron-methyl, compound of formula I + prodiamine, compound of formula I + profluzol, compound of formula I + profoxydim, formula I + prohexadione-calcium, compound of formula I + prometon, compound of formula I + prometryn, compound of formula I + propachlor, compound of formula I + propanil, compound of formula I + propaquizafop, compound of formula I + propazine, compound of formula I + propham, compound of formula I + propisochlor, compound of formula I + propoxycarbazone, compound of formula I + propoxycarbazone-sodium, compound of formula I + propyzamide, compound of formula I + prosulfocarb, compound of formula I + prosulfuron, compound of formula I + pyraclonil, compound of formula I + pyraflufen, compound of formula I + pyraflufen-ethyl, formula I + pyrasulfotole, compound of formula I + pyrazolynate, compound of formula I + pyrazosulfuron, compound of formula I + pyrazosulfuron-ethyl, compound of formula I + pyrazoxyfen, compound of formula I + pyribenzoxim, compound of formula I + pyributicarb, compound of formula I + pyridafol, compound of formula I + pyridate, compound of formula I + pyriftalid, compound of formula I + pyriminobac, compound of formula I + pyriminobac-methyl, compound of formula I + pyrimisulfan, compound of formula I + pyriithobac, compound of formula I + pyriithobac-sodium, formula I + pyroxasulfone (KIH-485), formula I + pyroxulam, compound of formula I + quinclorac, compound of formula I + quinmerac, compound of formula I + quinoclamine, compound of formula I + quizalofop, compound of formula I + quizalofop-P, compound of formula I + rimsulfuron, compound of formula I + sethoxydim, compound of formula I + siduron, compound of formula I + simazine, compound of formula I + simetryn, compound of formula I + SMA, compound of formula I + sodium arsenite, compound of formula I + sodium azide, compound of formula I + sodium chlorate, compound of

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formula I + sulcotrione, compound of formula I + sulfentrazone, compound of formula I + sulfometuron, compound of formula I + sulfometuron-methyl, compound of formula I + sulfosate, compound of formula I + sulfosulfuron, compound of formula I + sulfuric acid, compound of formula I + tar oils, compound of formula I + 2,3,6-TBA, compound of formula I + TCA, compound of formula I + TCA-sodium, formula I + tebutam, compound of formula I + tebuthiuron, formula I + tefuryltrione, compound of formula I + tembotrione, compound of formula I + tepraloxym, compound of formula I + terbacil, compound of formula I + terbutylazone, compound of formula I + terbutylazine, compound of formula I + terbutryn, compound of formula I + thenylchlor, compound of formula I + thiazafuron, compound of formula I + thiazopyr, compound of formula I + thifensulfuron, compound of formula I + thiencarbazone, compound of formula I + thifensulfuron-methyl, compound of formula I + thiobencarb, compound of formula I + tiocarbazil, compound of formula I + topramezone, compound of formula I + tralkoxydim, compound of formula I + tri-allate, compound of formula I + triasulfuron, compound of formula I + triaziflam, compound of formula I + tribenuron, compound of formula I + tribenuron-methyl, compound of formula I + tricamba, compound of formula I + triclopyr, compound of formula I + trietazine, compound of formula I + trifloxysulfuron, compound of formula I + trifloxysulfuron-sodium, compound of formula I + trifluralin, compound of formula I + triflusulfuron, compound of formula I + triflusulfuron-methyl, compound of formula I + trihydroxytriazine, compound of formula I + trinexapac-ethyl, compound of formula I + tritosulfuron, compound of formula I + [3-[2-chloro-4-fluoro-5-(1-methyl-6-trifluoromethyl-2,4-dioxo-1,2,3,4-tetrahydropyrimidin-3-yl)phenoxy]-2-pyridyloxy]acetic acid ethyl ester (CAS RN 353292-31-6), compound of formula I + 4-hydroxy-3-[[2-[(2-methoxyethoxy)methyl]-6-(trifluoromethyl)-3-pyridinyl]carbonyl]-bicyclo[3.2.1]oct-3-en-2-one (CAS RN 352010-68-5), and compound of formula I + 4-hydroxy-3-[[2-(3-methoxypropyl)-6-(difluoromethyl)-3-pyridinyl]carbonyl]-bicyclo[3.2.1]oct-3-en-2-one.

The mixing partners of the compound of formula I may also be in the form of esters or salts, as mentioned e.g. in The Pesticide Manual, Twelfth Edition, British Crop Protection Council, 2000.

The mixing ratio of the compound of formula I to the mixing partner is preferably from 1: 100 to 1000:1.

The mixtures can advantageously be used in the above-mentioned formulations (in which case "active ingredient" relates to the respective mixture of compound of formula I with the mixing partner).

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The compounds of formula I according to the invention can also be used in combination with safeners. Preferably, in these mixtures, the compound of the formula I is one of those compounds listed in Tables 1 to 204 below. The following mixtures with safeners, especially, come into consideration:

compound of formula I + cloquintocet-mexyl, compound of formula I + cloquintocet acid and salts thereof, compound of formula I + fenchlorazole-ethyl, compound of formula I + fenchlorazole acid and salts thereof, compound of formula I + mefenpyr-diethyl, compound of formula I + mefenpyr diacid, compound of formula I + isoxadifen-ethyl, compound of formula I + isoxadifen acid, compound of formula I + furilazole, compound of formula I + furilazole R isomer, compound of formula I + benoxacor, compound of formula I + dichlormid, compound of formula I + AD-67, compound of formula I + oxabetrinil, compound of formula I + cyometrinil, compound of formula I + cyometrinil Z-isomer, compound of formula I + fenclorim, compound of formula I + cyprosulfamide, compound of formula I + naphthalic anhydride, compound of formula I + flurazole, compound of formula I + CL 304,415, compound of formula I + dicyclonon, compound of formula I + fluxofenim, compound of formula I + DKA-24, compound of formula I + R-29148 and compound of formula I + PPG-1292. A safening effect can also be observed for the mixtures compound of the formula I + dymron, compound of the formula I + MCPA, compound of the formula I + mecoprop and compound of the formula I + mecoprop-P.

The above-mentioned safeners and herbicides are described, for example, in the Pesticide Manual, Twelfth Edition, British Crop Protection Council, 2000. R-29148 is described, for example by P.B. Goldsbrough et al., Plant Physiology, (2002), Vol. 130 pp. 1497-1505 and references therein and PPG-1292 is known from WO9211761.

The rate of application of safener relative to the herbicide is largely dependent upon the mode of application. In the case of field treatment, generally from 0.001 to 5.0 kg of safener/ha, preferably from 0.001 to 0.5 kg of safener/ha, and generally from 0.001 to 2 kg of herbicide/ha, but preferably from 0.005 to 1 kg/ha, are applied.

The herbicidal compositions according to the invention are suitable for all methods of application customary in agriculture, such as, for example, pre-emergence application, post-emergence application and seed dressing. Depending upon the intended use, the safeners can be used for pretreating the seed material of the crop plant (dressing the seed or seedlings) or introduced into the soil before or after sowing, followed by the application of the (unsafened) compound of the formula I, optionally in combination with a co-herbicide. It can, however, also be applied alone or together with the herbicide before or after emergence of the plants. The treatment of the plants or

the seed material with the safener can therefore take place in principle independently of the time of application of the herbicide. The treatment of the plant by simultaneous application of herbicide and safener (e.g. in the form of a tank mixture) is generally preferred. The rate of application of safener relative to herbicide is largely dependent upon the mode of application. In the case of field treatment, generally from 0.001 to 5.0 kg of safener/ha, preferably from 0.001 to 0.5 kg of safener/ha, are applied. In the case of seed dressing, generally from 0.001 to 10 g of safener/kg of seed, preferably from 0.05 to 2 g of safener/kg of seed, are applied. When the safener is applied in liquid form, with seed soaking, shortly before sowing, it is advantageous to use safener solutions which contain the active ingredient in a concentration of from 1 to 10 000 ppm, preferably from 100 to 1000 ppm.

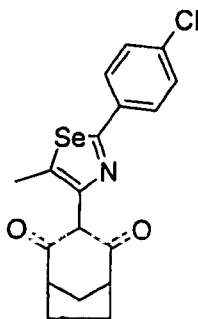
The mixtures can advantageously be used in the above-mentioned formulations (in which case "active ingredient" relates to the respective mixture of compound of formula I with the mixing partner).

The following examples illustrate the invention further but do not limit the invention.

Preparation Examples:

Example 1

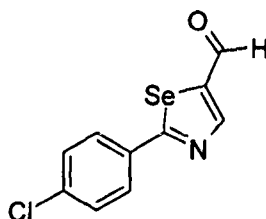
Preparation of 3-[2-(4-chlorophenyl)-5-methylselenazol-4-yl]bicyclo[3.2.1]octane-2,4-dione



Step 1

Preparation of 2-(4-chlorophenyl)selenazole-5-carbaldehyde

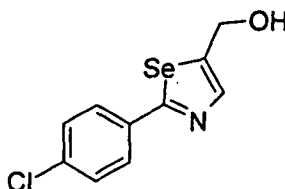
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To a suspension of 4-chloroselenobenzamide (219 mg, 1 mmol) and 2-chloromalonaldehyde (160 mg, 1.5 mmol) in 1,2-dimethoxyethane (1.5 ml) is added magnesium carbonate (42 mg, 0.5 mmol) and the resulting mixture is stirred at 60 °C under an atmosphere of nitrogen for 3 hours. The crude reaction mixture is then filtered through a plug of silica and washed with ethyl acetate, and filtrate is concentrated to give a brown solid. The crude product is purified by flash chromatography on silica gel to give 2-(4-chlorophenyl)selenazole-5-carbaldehyde (162 mg).

Step 2

Preparation of [2-(4-chlorophenyl)selenazol-5-yl]methanol

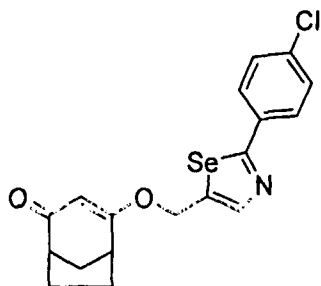


To a suspension of 2-(4-chlorophenyl)selenazole-5-carbaldehyde (130 mg, 0.48 mmol) in methanol (5 ml) is added sodium borohydride (19 mg, 0.5 mmol) at 0 °C. The reaction mixture is stirred at 0 °C for 0.5 hour. The reaction mixture is quenched with saturated aqueous ammonium chloride solution (10 ml), and extracted with dichloromethane (3 x 25 ml). The combined organic extracts are dried over anhydrous magnesium sulfate, filtered and the filtrate is evaporated to dryness to give [2-(4-chlorophenyl)selenazol-5-yl]methanol (127 mg).

Step 3

Preparation of 4-[2-(4-chlorophenyl)selenazol-5-yl]methoxy]bicyclo[3.2.1]oct-3-en-2-one

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To a solution of [2-(4-chlorophenyl)selenazol-5-yl]methanol (300 mg, 1.1 mmol) in dry tetrahydrofuran (5 ml) is added, in one portion, sodium hydride (60% dispersion in mineral oil, 44 mg, 1.1 mmol). The reaction mixture is stirred for 5 minutes at room temperature and 4-chlorobicyclo[3.2.1]oct-3-en-2-one (172 mg, 1.1 mmol) is added in one-portion. The reaction mixture is stirred at room temperature overnight. Silica gel is added to the crude reaction mixture, the solvent is evaporated under reduced pressure and the residue is purified by flash chromatography on silica gel to give 4-[2-(4-chlorophenyl)selenazol-5-ylmethoxy]bicyclo[3.2.1]oct-3-en-2-one (275 mg).

Step 4

Preparation of 3-[2-(4-chlorophenyl)-5-methylselenazol-4-yl]bicyclo[3.2.1]octane-2,4-dione



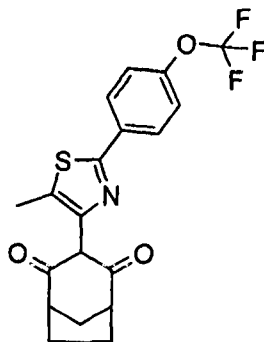
4-[2-(4-Chlorophenyl)selenazol-5-ylmethoxy]bicyclo[3.2.1]oct-3-en-2-one (260 mg, 0.66 mmol) is placed in a microwave vial and dissolved in diethylene glycol dimethyl ether (8 ml). 1-Butyl-3-methylimidazolium bis(trifluoromethylsulfonyl)imide (0.1 ml) is added and the reaction mixture is heated at 210 °C for 30 minutes under microwave irradiation. Silica gel is added to the crude

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reaction mixture, the solvent is evaporated under reduced pressure and the residue is purified by flash chromatography on silica gel to give 3-[2-(4-chlorophenyl)-5-methylselenazol-4-yl]bicyclo[3.2.1]octane-2,4-dione (108 mg).

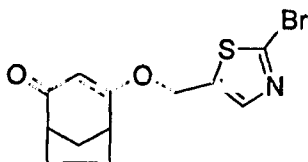
Example 2

Preparation of 3-[5-methyl-2-(4-trifluoromethoxyphenyl)thiazol-4-yl]bicyclo[3.2.1]octane-2,4-dione



Step 1

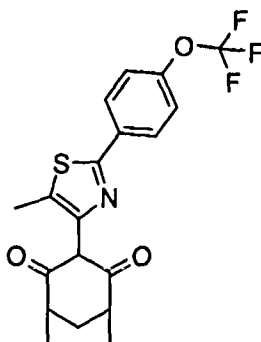
Preparation of 4-(2-bromothiazol-5-ylmethoxy)bicyclo[3.2.1]oct-3-en-2-one



To a solution of (2-bromothiazol-5-yl)methanol (4.85 g, 25 mmol) and 4-chloro-bicyclo[3.2.1]oct-3-en-2-one (3.92 g, 25 mmol) in anhydrous tetrahydrofuran (100 ml) is added, in small portions, sodium hydride (60% dispersion in oil, 1.00 g, 25 mmol) at 0 °C. The reaction mixture is stirred overnight and allowed to warm to room temperature. Silica gel is added to the crude reaction mixture, the solvent is evaporated under reduced pressure and the residue is purified by flash chromatography on silica gel to give 4-(2-bromothiazol-5-ylmethoxy)bicyclo[3.2.1]oct-3-en-2-one (6.43 g)

Step 2

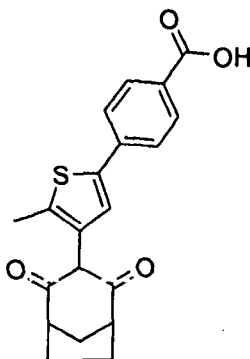
Preparation of 3-[5-methyl-2-(4-trifluoromethoxyphenyl)thiazol-4-yl]-bicyclo[3.2.1]octane-2,4-dione



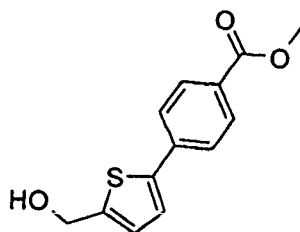
4-(2-Bromothiazol-5-ylmethoxy)bicyclo[3.2.1]oct-3-en-2-one (157, 0.5 mmol), 4-trifluoromethoxyphenyl boronic acid (206 mg, 1 mmol), toluene (2 ml), caesium carbonate (183 mg, 0.6 mmol), and PEPPSITM catalyst (51 mg, 0.15 mmol) are mixed together in a microwave vial and heated to 150 °C for 30 minutes under microwave irradiation. The crude product is filtered, and the filtrate is evaporated under reduced pressure. The residue is dissolved in diglyme (5 ml), 1-butyl-3-methylimidazolium bis(trifluoromethylsulfonyl)imide (0.1 ml) is added and the reaction mixture is heated at 230 °C for 30 minutes under microwave irradiation. Silica gel is added to the crude reaction mixture, the solvent is evaporated under reduced pressure and the residue is purified by flash chromatography on silica gel to give 3-[5-methyl-2-(4-trifluoromethoxy-phenyl)thiazol-4-yl]bicyclo[3.2.1]octane-2,4-dione (84 mg)

Example 3

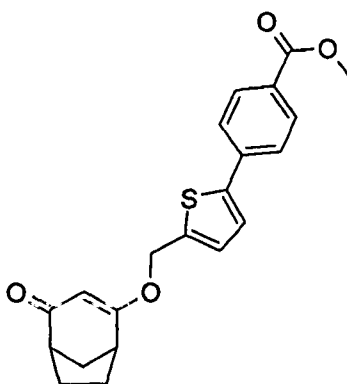
Preparation of 4-[4-(2,4-dioxobicyclo[3.2.1]oct-3-yl)-5-methylthiophen-2-yl]benzoic acid



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Step 1Preparation of 4-(5-hydroxymethylthiophen-2-yl)benzoic acid methyl ester

Sodium borohydride (155 mg, 4.1 mmol) is added to a solution of 4-(5-formylthiophen-2-yl)benzoic acid methyl ester (1.01 g, 4.1 mmol) in methanol / dichloromethane (16 ml / 4 ml) and the resulting solution is stirred for 90 minutes at room temperature. The reaction is quenched by the addition of saturated aqueous ammonium chloride solution (100 ml) and extracted with dichloromethane (100 ml). The organic layer is washed with brine, dried over magnesium sulphate, filtered and concentrated *in vacuo* to give 4-(5-hydroxymethyl-thiophen-2-yl)benzoic acid methyl ester (800 mg)

Step 2Preparation of 4-[5-(4-oxobicyclo[3.2.1]oct-2-en-2-yloxy)methyl]thiophen-2-yl]benzoic acid methyl ester

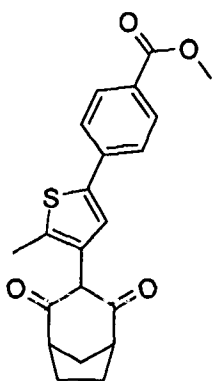
To a solution of 4-(5-hydroxymethylthiophen-2-yl)benzoic acid methyl ester (223 mg, 0.9 mmol) in dry tetrahydrofuran (5 ml) is added, in one portion, sodium hydride (60% dispersion in mineral oil, 36 mg, 0.9 mmol). The reaction mixture is stirred for 5 minutes at room temperature and then

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4-chlorobicyclo[3.2.1]oct-3-en-2-one (157 mg, 1.0 mmol) is added in one portion. The reaction mixture is stirred at room temperature overnight. Silica gel is added to the crude reaction mixture, the solvent is evaporated under reduced pressure and the residue is purified by flash chromatography on silica gel to give 4-[5-(4-oxo-bicyclo[3.2.1]oct-2-en-2-yloxymethyl)thiophen-2-yl]benzoic acid methyl ester (264 mg).

Step 3

Preparation of 4-[4-(2,4-dioxobicyclo[3.2.1]oct-3-yl)-5-methylthiophen-2-yl]benzoic acid methyl ester

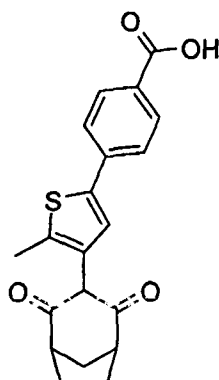


4-[5-(4-oxo-bicyclo[3.2.1]oct-2-en-2-yloxymethyl)thiophen-2-yl]benzoic acid methyl ester (264mg, 0.71 mmol) is placed in a microwave vial and dissolved in diethylene glycol dimethyl ether (3 ml). 1-Butyl-3-methylimidazolium bis(trifluoromethylsulfonyl)imide (0.1 ml) is added and the reaction mixture is heated at 190 °C for 30 minutes under microwave irradiation. Silica gel is added to the crude reaction mixture, the solvent is evaporated under reduced pressure and the residue is purified by flash chromatography on silica gel to give 4-[4-(2,4-dioxobicyclo[3.2.1]oct-3-yl)-5-methylthiophen-2-yl]benzoic acid methyl ester (213 mg).

Step 4

Preparation of 4-[4-(2,4-dioxo-bicyclo[3.2.1]oct-3-yl)-5-methylthiophen-2-yl]benzoic acid

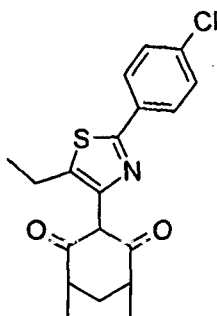
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To a solution of 4-[4-(2,4-dioxobicyclo[3.2.1]oct-3-yl)-5-methylthiophen-2-yl]benzoic acid methyl ester (60 mg, 0.16 mmol) in methanol (2 ml) is added 1N aqueous lithium hydroxide solution (2 ml) and the reaction stirred at room temperature for 72 hours. The reaction is acidified with 2N aqueous hydrochloric acid (25 ml) and extracted with dichloromethane (25 ml). The organic layer is washed with brine, dried over magnesium sulphate, filtered and the filtrate is concentrated *in vacuo* to give 4-[4-(2,4-dioxobicyclo[3.2.1]oct-3-yl)-5-methylthiophen-2-yl]benzoic acid (44 mg).

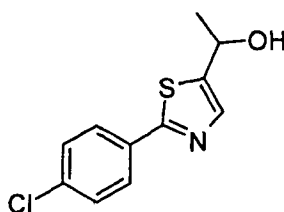
Example 4

Preparation of 3-[2-(4-chlorophenyl)-5-ethylthiazol-4-yl]bicyclo[3.2.1]octane-2,4-dione



Step 1

Preparation of 1-[2-(4-chloro-phenyl)thiazol-5-yl]ethanol

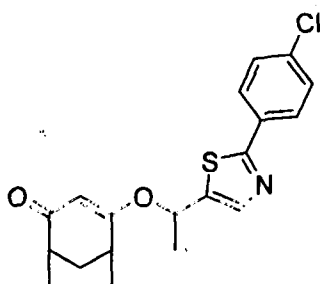


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To a suspension of 1-[2-(4-chlorophenyl)thiazol-5-yl]ethanone (5 g, 21 mmol) in methanol (100 ml) is added sodium borohydride (832 mg, 22 mmol) at room temperature. The reaction mixture is stirred at room temperature for 1 hour. The reaction mixture is quenched with saturated aqueous ammonium chloride solution (100 ml) and extracted with dichloromethane (2 x 150 ml). The combined organic extracts are dried over anhydrous magnesium sulfate, filtered and evaporated to dryness to give 1-[2-(4-chlorophenyl)thiazol-5-yl]ethanol (4.88 g).

Step 2

Preparation of 4-{1-[2-(4-chlorophenyl)thiazol-5-yl]ethoxy}bicyclo[3.2.1]oct-3-en-2-one

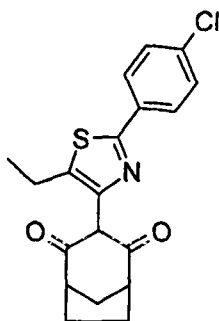


To a solution of 1-[2-(4-chlorophenyl)thiazol-5-yl]ethanol (1.1g, 4.6 mmol) in anhydrous tetrahydrofuran (20 ml) is added, in one portion, sodium hydride (60% dispersion in mineral oil, 184 mg, 4.6 mmol). The reaction mixture is stirred for 5 minutes at room temperature and 4-chlorobicyclo[3.2.1]oct-3-en-2-one (720 mg, 4.6 mmol) is added in one portion. The reaction mixture is stirred at room temperature overnight. Silica gel is added to the crude reaction mixture, the solvent is evaporated under reduced pressure and the residue is purified by flash chromatography on silica gel to give 4-{1-[2-(4-chlorophenyl)thiazol-5-yl]ethoxy}bicyclo[3.2.1]oct-3-en-2-one (1.24 g)

Step 3

Preparation of 3-[2-(4-chlorophenyl)-5-ethylthiazol-4-yl]bicyclo[3.2.1]octane-2,4-dione

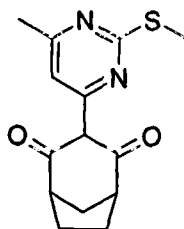
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4-[1-[2-(4-chlorophenyl)thiazol-5-yl]ethoxy]bicyclo[3.2.1]oct-3-en-2-one (1.25 g, 3.47 mmol) is placed in a microwave vial and dissolved in diethylene glycol dimethyl ether (15 ml). 1-Butyl-3-methylimidazolium bis(trifluoromethylsulfonyl)imide (0.1 ml) is added and the reaction mixture is heated at 230 °C for 30 minutes under microwave irradiation. Silica gel is added to the crude reaction mixture, the solvent is evaporated under reduced pressure and the residue is purified by flash chromatography on silica gel to give 3-[2-(4-chlorophenyl)-5-ethyl-thiazol-4-yl]bicyclo[3.2.1]octane-2,4-dione (660 mg).

Example 5

Preparation of 3-(6-methyl-2-methylsulfanyl-pyrimidin-4-yl)-bicyclo[3.2.1]octane-2,4-dione

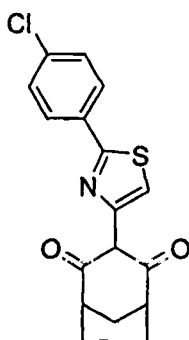


A microwave vial is charged with 4-chloro-2-methyl-6-thiomethylpyrimidine (174 mg, 1 mmol), 2,2,6,6-tetramethyl-pyran-3,5-dione (170 mg, 1 mmol), palladium acetate (12 mg, 0.05 mmol), X-Phos (48 mg, 0.1 mmol) and potassium phosphate (424 mg, 2 mmol). 1,2-dimethoxyethane (3 ml) is added and the reaction heated to 140 °C, with stirring, for 30 minutes. Silica gel is added to the crude reaction mixture, the solvent is evaporated under reduced pressure and the residue is purified by flash chromatography on silica gel to give 4-[2-(4-Chloro-phenyl)-5-methyl-pyrimidin-4-yl]-2,2,6,6-tetramethyl-pyran-3,5-dione (191 mg).

Example 6

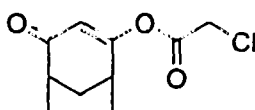
Preparation of 3-[2-(4-chloro-phenyl)thiazol-4-yl]bicyclo[3.2.1]octane-2,4-dione

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Step 1

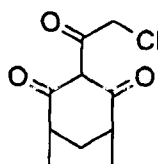
Preparation of chloro-acetic acid 4-oxo-bicyclo[3.2.1]oct-2-en-2-yl ester



Into a 250 ml round bottom flask containing the bicyclo[3.2.1]octane-2,4-dione (10 g, 72 mmol) is added dry dichloromethane (100 ml) and pyridine (6.28 g, 87 mmol). To the stirred mixture is added dropwise over a period of 15 minutes the chloroacetyl chloride (9.82 g, 72 mmol) diluted in dichloromethane (100 ml). The reaction mixture is therefore stirred for 2 hours at room temperature. The reaction mixture is therefore poured into 100 ml of aqueous 2N HCl and extracted with ethyl acetate (2 x 100 ml). The combined organic extracts are dried over magnesium sulphate, filtered and evaporated under reduced pressure to give an orange oil. The crude product is distilled under reduced pressure to give chloro-acetic acid 4-oxo-bicyclo[3.2.1]oct-2-en-2-yl ester (13.4 g).

Step 2

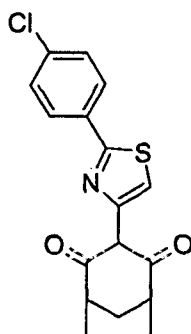
Preparation of 3-(2-chloro-acetyl)bicyclo[3.2.1]octane-2,4-dione

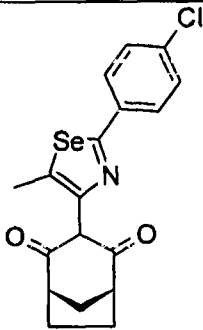
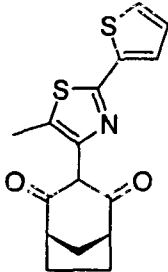
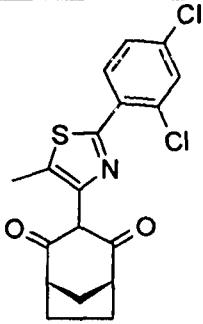
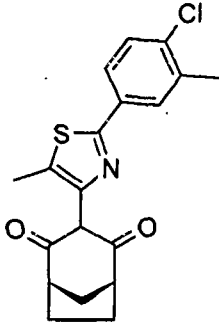


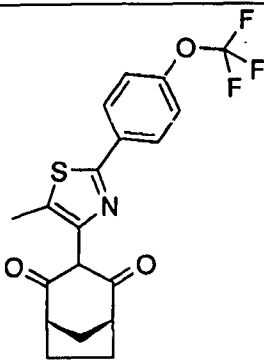
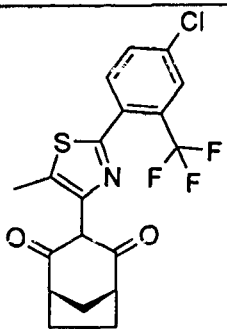
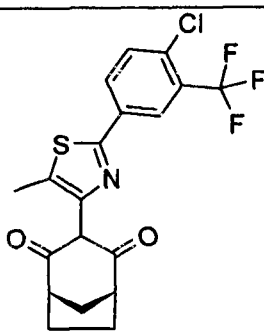
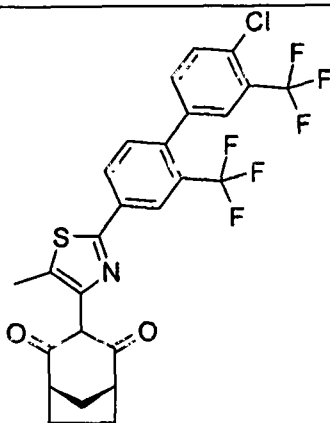
Into a 250 ml round bottom flask containing a suspension of anhydrous aluminium chloride (10 g, 75 mmol) in 1,2-dichloroethane (80 ml) is added dropwise over 20 minutes chloro-acetic acid 4-oxo-bicyclo[3.2.1]oct-2-en-2-yl ester (6 g, 28 mmol) diluted in 1,2-dichloroethane (20 ml). The resultant reaction mixture is stirred overnight at room temperature. The reaction is therefore poured into a mix of ice and aqueous 2N HCl (100 ml) and extracted with dichloromethane (3 x 50 ml). The combined organic layers are dried over magnesium sulphate, filtered and evaporated under reduced pressure to give a crude mixture 3-(2-chloro-acetyl)bicyclo[3.2.1]octane-2,4-dione (4.2g).

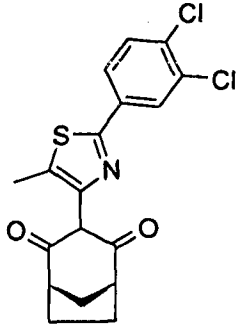
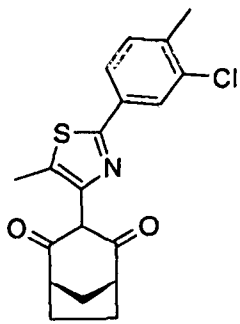
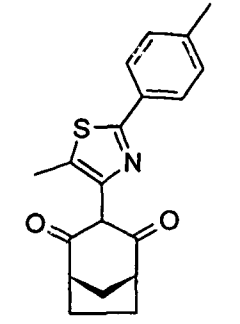
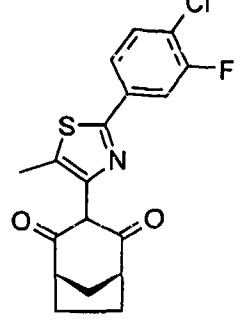
Step 3

Preparation of 3-[2-(4-chloro-phenyl)thiazol-4-yl]bicyclo[3.2.1]octane-2,4-dione

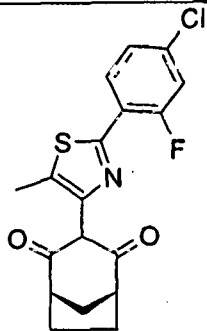
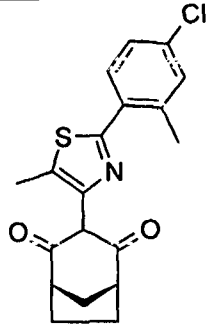
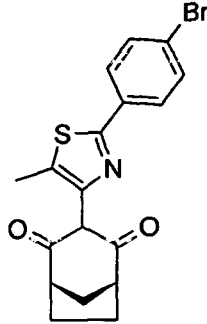
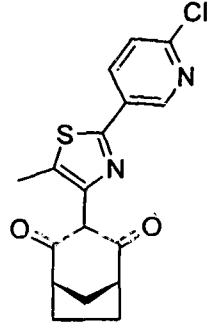


Compound Number	Structure	¹ H nmr (CDCl ₃ unless stated) or other physical data
T1		δ ppm 1.59 (m, 1H), 1.84 (m, 2H), 2.11-2.18 (m, 3H), 2.49 (t, 3H), 3.06 (m, 2H), 7.39 (d, 2H), 7.69 (d, 2H)
T2		δ ppm 1.59 (m, 1H), 1.80 - 1.91 (m, 2H), 2.08 - 2.20 (m, 3H), 2.42 (s, 3H), 3.01 - 3.08 (m, 2H), 7.07 (t, 1H), 7.38 (d, 1H), 7.43 (d, 1H)
T3		δ ppm 1.60 (m, 1H), 1.85 (m, 2H), 2.15 (m, 3H), 2.45 (s, 3H), 3.05 (m, 2H), 7.23 (d, 1H), 7.28 (d, 1H), 7.89 (s, 1H)
T4		δ ppm 1.60 (m, 1H), 1.89 (m, 2H), 2.14 (m, 3H), 2.43 (s, 3H), 2.45 (s, 3H), 3.06 (s, 2H), 7.39 (d, 1H), 7.57 (d, 1H), 7.67 (s, 1H)

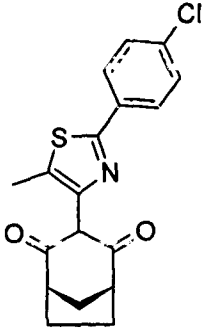
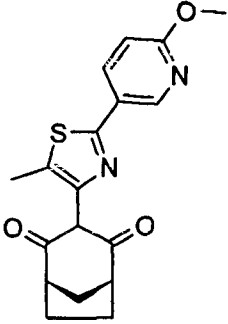
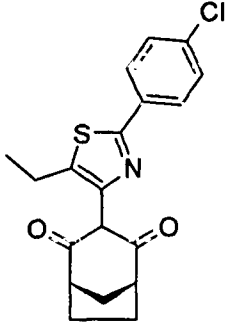
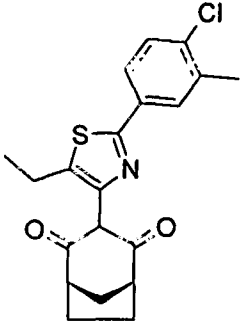
T5		δ ppm 1.60 (m, 1H), 1.85 (m, 2H), 2.15 (m, 3H), 2.46 (s, 3H), 3.06 (s, 2H), 7.28 (d, 2H), 7.84 (d, 2H)
T6		δ ppm 1.60 (m, 1H), 1.82 (m, 2H), 2.11-2.19 (m, 3H), 2.47 (s, 3H), 3.09 (m, 2H), 7.52 (d, 1H), 7.60 (dd, 1H), 7.81 (d, 1H)
T7		δ ppm 1.61 (m, 1H), 1.86 (m, 2H), 2.11-2.19 (m, 3H), 2.46 (s, 3H), 3.06 (m, 2H), 7.57 (d, 1H), 7.90 (dd, 1H), 8.09 (d, 1H)
T8		δ ppm 1.63 (m, 1H), 1.87 (m, 2H), 2.17-2.24 (m, 3H), 2.49 (s, 3H), 3.19 (m, 2H), 7.42 (d, 1H), 7.47 (dd, 1H), 7.59 (d, 1H), 7.68 (d, 1H), 8.03 (dd, 1H), 8.18 (d, 1H)

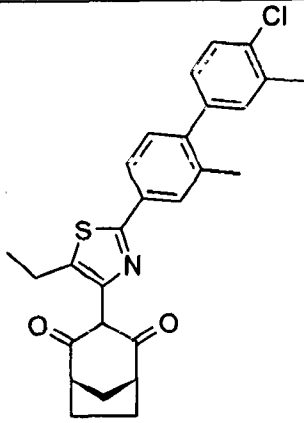
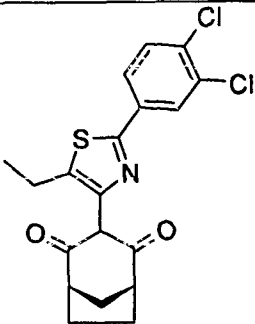
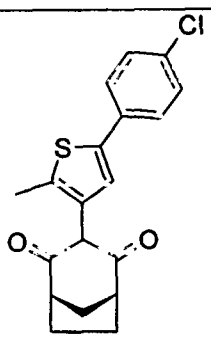
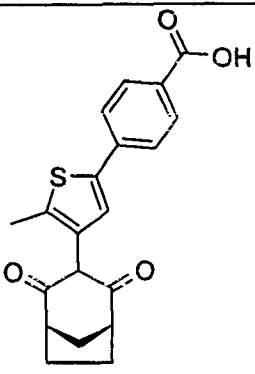
T9		δ ppm 1.60 (m, 1H), 1.79 - 1.92 (m, 2H), 2.06 - 2.23 (m, 3H), 2.45 (s, 3H), 2.97 - 3.13 (m, 2H), 7.50 (d, 1H), 7.62 (dd, 1H), 7.89 (d, 1H)
T10		δ ppm 1.60 (m, 1H), 1.85 (m, 2H), 2.15 (m, 3H), 2.4 (s, 3H), 2.45 (s, 3H), 3.05 (m, 2H), 7.23 (d, 2H), 7.58 (d, 2H), 7.8 (d, 1H)
T11		δ ppm 1.60 (m, 1H), 1.85 (m, 2H), 2.15 (m, 3H), 2.40 (s, 3H), 2.45 (s, 3H), 3.05 (m, 2H), 7.23 (d, 2H), 7.68 (d, 2H)
T12		δ ppm 1.61 (m, 1H), 1.79 - 1.92 (m, 2H), 2.10 - 2.24 (m, 3H), 2.45 (s, 3H), 3.03 - 3.11 (m, 2H), 7.41 - 7.49 (m, 1H), 7.53 (dd, 1H), 7.60 (dd, 1H)

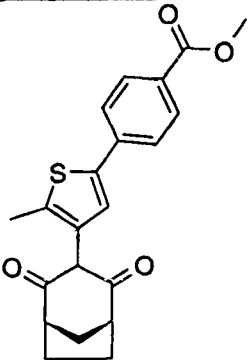
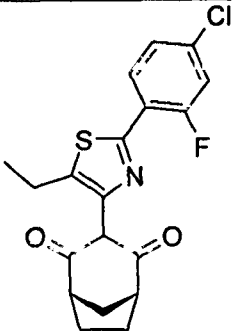
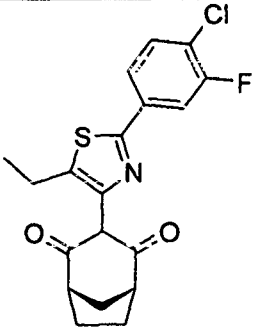
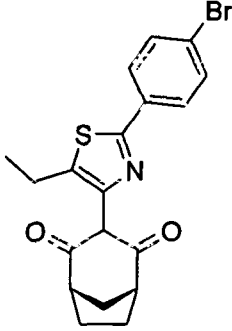
- 63 -

T13		δ ppm 1.61 (m, 1H), 1.85 (m, 2H), 2.07 - 2.22 (m, 3H), 2.47 (s, 3H), 3.02 - 3.12 (m, 2H), 7.20 - 7.29 (m, 2H), 7.91 - 7.99 (m, 1H)
T14		δ ppm 1.64 (m, 1H), 1.87 (m, 2H), 2.14-2.21 (m, 3H), 2.52 (s, 3H), 2.58 (s, 3H), 3.10 (m, 2H), 7.29 (dd, 1H), 7.35 (d, 1H), 7.61 (d, 1H)
T15		δ ppm 1.60 (m, 1H), 1.81 - 1.90 (m, 2H), 2.08 - 2.22 (m, 3H), 2.45 (s, 3H), 3.02 - 3.14 (m, 2H), 7.53 - 7.62 (m, 2H), 7.65 - 7.73 (m, 2H)
T16		δ ppm 1.56 - 1.67 (m, 3H), 2.08 - 2.23 (m, 3H), 2.47 (s, 3H), 3.03 - 3.13 (m, 2H), 7.42 (dd, 1H), 8.06 (dd, 1H), 8.82 (dd, 1H)

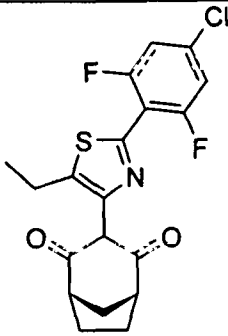
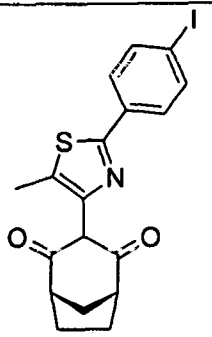
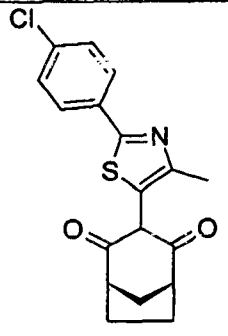
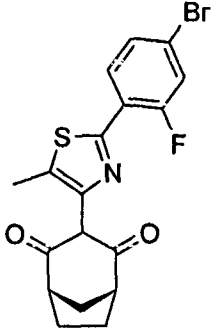
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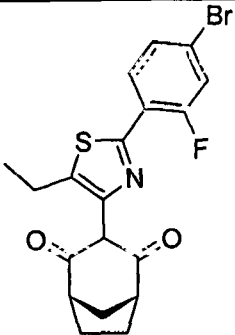
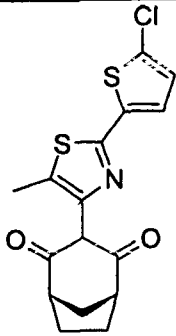
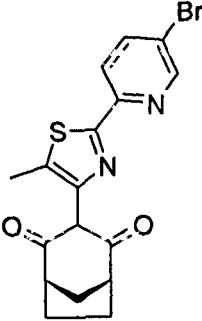
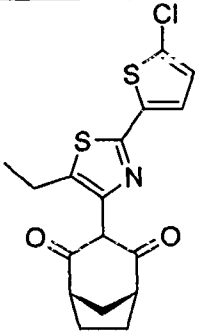
T17		δ ppm 1.60 (m, 1 H), 1.79 - 1.90 (m, 2H), 2.07 - 2.21 (m, 3H), 2.45 (s, 3H), 3.02 - 3.11 (m, 2H), 7.41 (d, 2H), 7.75 (d, 2H)
T18		δ ppm 1.61(m, 1H), 1.84 (m, 2H), 2.12-2.19 (m, 3H), 2.42 (s, 3H), 3.11 (m, 2H), 4.01 (s, 3H), 6.85 (d, 1H), 8.03 (dd, 1H), 8.64 (d, 1H)
T19		δ ppm 1.31 (t, 3H), 1.60 (m, 1H), 1.84 (m, 2H), 2.07 - 2.21 (m, 3H), 2.88 (q, 2H), 3.06 (m, br, 2H), 7.41 (d, 2H), 7.76 (d, 2H)
T20		δ ppm 1.3 (t, 3H), 1.58 (m, 1H), 1.85 (m, 2H), 2.15 (m, 3H), 2.4 (s, 3H), 2.88 (q, 2H), 3.05 (m, 2H), 7.38 (d, 1H), 7.58 (d, 1H), 7.7 (s, 1H)

T21		δ ppm 1.3 (t, 3H), 1.6 (m, 1H), 1.85 (m, 2H), 2.18 (m, 3H), 2.3 (s, 3H), 2.4 (s, 3H), 2.84 (q, 2H), 3.10 (m, 2H), 7.1 (d, 2H) 7.20 (d, 1H), 7.30 (d, 1H), 7.4 (d, 1H), 7.68 (d, 1H), 7.7 (s, 1H)
T22		δ ppm 1.3 (t, 3H), 1.60 (m, 1H), 1.85 (m, 2H), 2.15 (m, 3H), 2.88 (m, 2H), 3.05 (m, 2H), 7.50 (d, 1H), 7.65 (d, 1H), 7.9 (s, 1H).
T23		(CD ₃ OD) δ ppm 1.71 (m, 1H), 1.84 (d, 2H), 2.20 (s, 3H), 2.15 - 2.30 (m, 3H), 2.98 - 3.07 (m, 2H), 7.01 (s, 1H), 7.35 (d, 2H), 7.55 (d, 2H)
T24		(CD ₃ OD) δ ppm 1.70 (m, 1H), 1.85 (m, 2H), 2.23 (m, 6H) 3.03 (m, 2H), 7.16 (s, 1H), 7.67 (d, 2H), 8.00 (d, 2H)

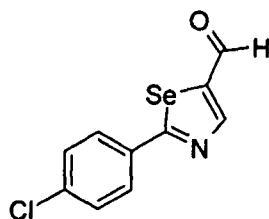
T25		δ ppm 1.40 - 1.70 (m, 8H), 2.31 (s, 3H), 3.92 (s, 3H), 7.15 (s, 1H), 7.59 (d, 2H), 8.01 (d, 2H)
T26		δ ppm 1.32 (t, 3H), 1.61 (m, 1H), 1.80 (m, 2H), 2.14 (m, 3H), 2.92 (q, 2H), 3.06 (m, 2H), 7.23 (m, 2H), 7.96 (t, 1H)
T27		δ ppm 1.31 (t, 3H), 1.60 (m, 1H), 1.81 (m, 2H), 2.16 (m, 3H), 2.89 (q, 2H), 3.06 (m, 2H), 7.46 (m, 1H), 7.55 (m, 1H), 7.62 (dd, 1H)
T28		δ ppm 1.31 (t, 3H), 1.60 (m, 1H), 1.81 (m, 2H), 2.15 (m, 3H), 2.88 (q, 2H), 3.06 (m, 2H), 7.57 (m, 2H), 7.69 (m, 2H)

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T29		δ ppm 1.32 (t, 3H), 1.59 (dt, 1H), 1.83 (m, 2H), 2.14 (m, 3H), 2.97 (q, 2H), 3.06 (m, 2H), 7.11 (m, 2 H)
T30		δ ppm 1.60 (m, 1H), 1.84 (m, 2H), 2.15 (m, 3H), 2.45 (s, 3H), 3.05 (m, 2H), 7.53 (d, 2H), 7.77 (d, 2H)
T31		δ ppm 1.70 (m, 1H), 1.8 (d, 2H), 2.1 (s, 3H), 2.20 (m, 3H), 3.00 (m, 2H), 7.45 (d, 2H), 7.85 (m 2H)
T32		δ ppm 1.60 (m, 1H), 1.87 (m, 2H), 2.16 (m, 3H), 2.47 (s, 3H), 3.07 (m, 2H), 7.39 (m, 1H), 7.42 (m, 1H), 7.88 (m, 1H)

T33		δ ppm 1.36 (t, 3H), 1.64 (m, 1H), 1.89 (m, 2H), 2.18 (m, 3H), 2.96 (q, 2H), 3.11 (m, 2H), 7.42 (m, 1H), 7.45 (m, 1H), 7.93 (t, 1 H)
T34		δ ppm 1.59 (dt, 1H), 1.83 (m, 2H), 2.13 (m, 3H), 2.41 (s, 3H), 3.04 (m, 2H), 6.89 (d, 1H), 7.19 (d, 1H)
T35		δ ppm 1.61 (m, 1H), 1.85 (m, 2H), 2.15 (m, 3H), 2.46 (s, 3H), 3.05 (m, 2H), 7.89 (m, 2H), 8.63 (s, 1H)
T36		δ ppm 1.28 (t, 3H), 1.58 (dt, 1H), 1.85 (m, 2H), 2.14 (m, 3H), 2.84 (m, 2H), 3.05 (m, 2H), 6.89 (d, 1H), 7.20 (d, 1H)

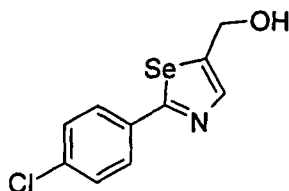
- 49 -



To a suspension of 4-chloroselenobenzamide (219 mg, 1 mmol) and 2-chloromalonaldehyde (160 mg, 1.5 mmol) in 1,2-dimethoxyethane (1.5 ml) is added magnesium carbonate (42 mg, 0.5 mmol) and the resulting mixture is stirred at 60 °C under an atmosphere of nitrogen for 3 hours. The crude reaction mixture is then filtered through a plug of silica and washed with ethyl acetate, and filtrate is concentrated to give a brown solid. The crude product is purified by flash chromatography on silica gel to give 2-(4-chlorophenyl)selenazole-5-carbaldehyde (162 mg).

Step 2

Preparation of [2-(4-chlorophenyl)selenazol-5-yl]methanol

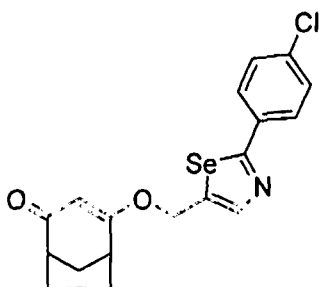


To a suspension of 2-(4-chlorophenyl)selenazole-5-carbaldehyde (130 mg, 0.48 mmol) in methanol (5 ml) is added sodium borohydride (19 mg, 0.5 mmol) at 0 °C. The reaction mixture is stirred at 0 °C for 0.5 hour. The reaction mixture is quenched with saturated aqueous ammonium chloride solution (10 ml), and extracted with dichloromethane (3 x 25 ml). The combined organic extracts are dried over anhydrous magnesium sulfate, filtered and the filtrate is evaporated to dryness to give [2-(4-chlorophenyl)selenazol-5-yl]methanol (127 mg).

Step 3

Preparation of 4-[2-(4-chlorophenyl)selenazol-5-ylmethoxy]bicyclo[3.2.1]oct-3-en-2-one

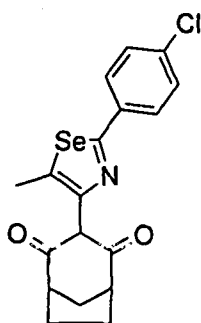
- 50 -



To a solution of [2-(4-chlorophenyl)selenazol-5-yl]methanol (300 mg, 1.1 mmol) in dry tetrahydrofuran (5 ml) is added, in one portion, sodium hydride (60% dispersion in mineral oil, 44 mg, 1.1 mmol). The reaction mixture is stirred for 5 minutes at room temperature and 4-chlorobicyclo[3.2.1]oct-3-en-2-one (172 mg, 1.1 mmol) is added in one-portion. The reaction mixture is stirred at room temperature overnight. Silica gel is added to the crude reaction mixture, the solvent is evaporated under reduced pressure and the residue is purified by flash chromatography on silica gel to give 4-[2-(4-chlorophenyl)selenazol-5-ylmethoxy]bicyclo[3.2.1]oct-3-en-2-one (275 mg).

Step 4

Preparation of 3-[2-(4-chlorophenyl)-5-methylselenazol-4-yl]bicyclo[3.2.1]octane-2,4-dione



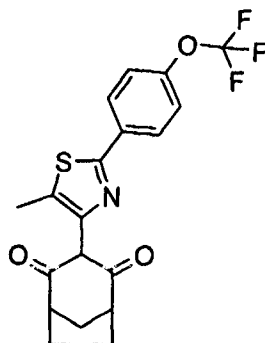
4-[2-(4-Chlorophenyl)selenazol-5-ylmethoxy]bicyclo[3.2.1]oct-3-en-2-one (260 mg, 0.66 mmol) is placed in a microwave vial and dissolved in diethylene glycol dimethyl ether (8 ml). 1-Butyl-3-methylimidazolium bis(trifluoromethylsulfonyl)imide (0.1 ml) is added and the reaction mixture is heated at 210 °C for 30 minutes under microwave irradiation. Silica gel is added to the crude

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reaction mixture, the solvent is evaporated under reduced pressure and the residue is purified by flash chromatography on silica gel to give 3-[2-(4-chlorophenyl)-5-methylselenazol-4-yl]bicyclo[3.2.1]octane-2,4-dione (108 mg).

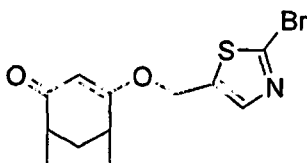
Example 2

Preparation of 3-[5-methyl-2-(4-trifluoromethoxyphenyl)thiazol-4-yl]bicyclo[3.2.1]octane-2,4-dione

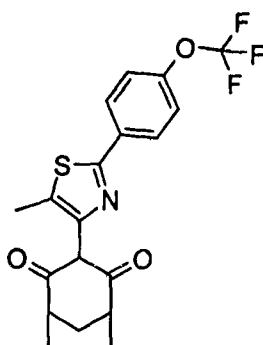


Step 1

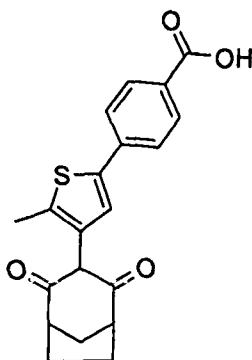
Preparation of 4-(2-bromothiazol-5-ylmethoxy)bicyclo[3.2.1]oct-3-en-2-one



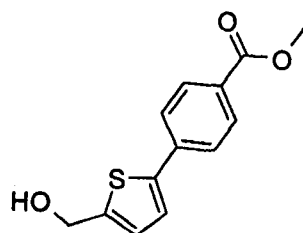
To a solution of (2-bromothiazol-5-yl)methanol (4.85 g, 25 mmol) and 4-chloro-bicyclo[3.2.1]oct-3-en-2-one (3.92 g, 25 mmol) in anhydrous tetrahydrofuran (100 ml) is added, in small portions, sodium hydride (60% dispersion in oil, 1.00 g, 25 mmol) at 0 °C. The reaction mixture is stirred overnight and allowed to warm to room temperature. Silica gel is added to the crude reaction mixture, the solvent is evaporated under reduced pressure and the residue is purified by flash chromatography on silica gel to give 4-(2-bromothiazol-5-ylmethoxy)bicyclo[3.2.1]oct-3-en-2-one (6.43 g)

Step 2Preparation of 3-[5-methyl-2-(4-trifluoromethoxyphenyl)thiazol-4-yl]bicyclo[3.2.1]octane-2,4-dione

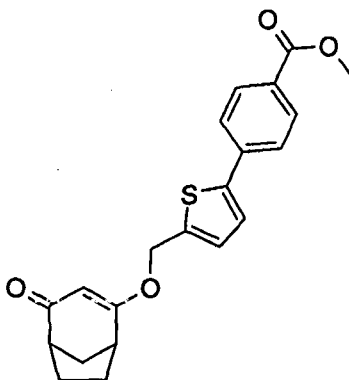
4-(2-Bromothiazol-5-ylmethoxy)bicyclo[3.2.1]oct-3-en-2-one (157, 0.5 mmol), 4-trifluoromethoxyphenyl boronic acid (206 mg, 1 mmol), toluene (2 ml), caesium carbonate (183 mg, 0.6 mmol), and PEPPSITM catalyst (51 mg, 0.15 mmol) are mixed together in a microwave vial and heated to 150 °C for 30 minutes under microwave irradiation. The crude product is filtered, and the filtrate is evaporated under reduced pressure. The residue is dissolved in diglyme (5 ml), 1-butyl-3-methylimidazolium bis(trifluoromethylsulfonyl)imide (0.1 ml) is added and the reaction mixture is heated at 230 °C for 30 minutes under microwave irradiation. Silica gel is added to the crude reaction mixture, the solvent is evaporated under reduced pressure and the residue is purified by flash chromatography on silica gel to give 3-[5-methyl-2-(4-trifluoromethoxy-phenyl)thiazol-4-yl]bicyclo[3.2.1]octane-2,4-dione (84 mg)

Example 3Preparation of 4-[4-(2,4-dioxobicyclo[3.2.1]oct-3-yl)-5-methylthiophen-2-yl]benzoic acid

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Step 1Preparation of 4-(5-hydroxymethylthiophen-2-yl)benzoic acid methyl ester

Sodium borohydride (155 mg, 4.1 mmol) is added to a solution of 4-(5-formylthiophen-2-yl)benzoic acid methyl ester (1.01 g, 4.1 mmol) in methanol / dichloromethane (16 ml / 4 ml) and the resulting solution is stirred for 90 minutes at room temperature. The reaction is quenched by the addition of saturated aqueous ammonium chloride solution (100 ml) and extracted with dichloromethane (100 ml). The organic layer is washed with brine, dried over magnesium sulphate, filtered and concentrated *in vacuo* to give 4-(5-hydroxymethyl-thiophen-2-yl)benzoic acid methyl ester (800 mg)

Step 2Preparation of 4-[5-(4-oxobicyclo[3.2.1]oct-2-en-2-yloxy)methyl]thiophen-2-yl]benzoic acid methyl ester

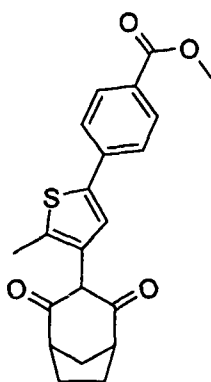
To a solution of 4-(5-hydroxymethylthiophen-2-yl)benzoic acid methyl ester (223 mg, 0.9 mmol) in dry tetrahydrofuran (5 ml) is added, in one portion, sodium hydride (60% dispersion in mineral oil, 36 mg, 0.9 mmol). The reaction mixture is stirred for 5 minutes at room temperature and then

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4-chlorobicyclo[3.2.1]oct-3-en-2-one (157 mg, 1.0 mmol) is added in one portion. The reaction mixture is stirred at room temperature overnight. Silica gel is added to the crude reaction mixture, the solvent is evaporated under reduced pressure and the residue is purified by flash chromatography on silica gel to give 4-[5-(4-oxo-bicyclo[3.2.1]oct-2-en-2-yloxymethyl)thiophen-2-yl]benzoic acid methyl ester (264 mg).

Step 3

Preparation of 4-[4-(2,4-dioxobicyclo[3.2.1]oct-3-yl)-5-methylthiophen-2-yl]benzoic acid methyl ester

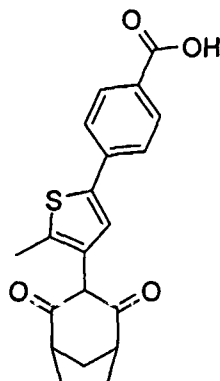


4-[5-(4-oxo-bicyclo[3.2.1]oct-2-en-2-yloxymethyl)thiophen-2-yl]benzoic acid methyl ester (264mg, 0.71 mmol) is placed in a microwave vial and dissolved in diethylene glycol dimethyl ether (3 ml). 1-Butyl-3-methylimidazolium bis(trifluoromethylsulfonyl)imide (0.1 ml) is added and the reaction mixture is heated at 190 °C for 30 minutes under microwave irradiation. Silica gel is added to the crude reaction mixture, the solvent is evaporated under reduced pressure and the residue is purified by flash chromatography on silica gel to give 4-[4-(2,4-dioxobicyclo[3.2.1]oct-3-yl)-5-methylthiophen-2-yl]benzoic acid methyl ester (213 mg).

Step 4

Preparation of 4-[4-(2,4-dioxo-bicyclo[3.2.1]oct-3-yl)-5-methylthiophen-2-yl]benzoic acid

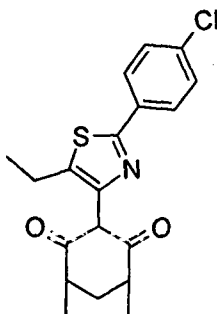
- 55 -



To a solution of 4-[4-(2,4-dioxobicyclo[3.2.1]oct-3-yl)-5-methylthiophen-2-yl]benzoic acid methyl ester (60 mg, 0.16 mmol) in methanol (2 ml) is added 1N aqueous lithium hydroxide solution (2 ml) and the reaction stirred at room temperature for 72 hours. The reaction is acidified with 2N aqueous hydrochloric acid (25 ml) and extracted with dichloromethane (25 ml). The organic layer is washed with brine, dried over magnesium sulphate, filtered and the filtrate is concentrated *in vacuo* to give 4-[4-(2,4-dioxobicyclo[3.2.1]oct-3-yl)-5-methylthiophen-2-yl]benzoic acid (44 mg).

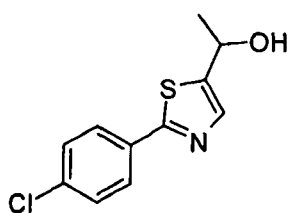
Example 4

Preparation of 3-[2-(4-chlorophenyl)-5-ethylthiazol-4-yl]bicyclo[3.2.1]octane-2,4-dione



Step 1

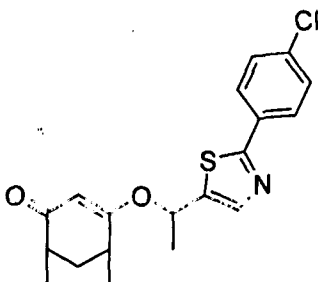
Preparation of 1-[2-(4-chloro-phenyl)thiazol-5-yl]ethanol



To a suspension of 1-[2-(4-chlorophenyl)thiazol-5-yl]ethanone (5 g, 21 mmol) in methanol (100 ml) is added sodium borohydride (832 mg, 22 mmol) at room temperature. The reaction mixture is stirred at room temperature for 1 hour. The reaction mixture is quenched with saturated aqueous ammonium chloride solution (100 ml) and extracted with dichloromethane (2 x 150 ml). The combined organic extracts are dried over anhydrous magnesium sulfate, filtered and evaporated to dryness to give 1-[2-(4-chlorophenyl)thiazol-5-yl]ethanol (4.88 g).

Step 2

Preparation of 4-{1-[2-(4-chlorophenyl)thiazol-5-yl]ethoxy}bicyclo[3.2.1]oct-3-en-2-one

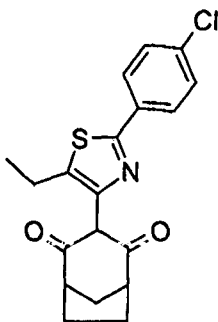


To a solution of 1-[2-(4-chlorophenyl)thiazol-5-yl]ethanol (1.1g, 4.6 mmol) in anhydrous tetrahydrofuran (20 ml) is added, in one portion, sodium hydride (60% dispersion in mineral oil, 184 mg, 4.6 mmol). The reaction mixture is stirred for 5 minutes at room temperature and 4-chlorobicyclo[3.2.1]oct-3-en-2-one (720 mg, 4.6 mmol) is added in one portion. The reaction mixture is stirred at room temperature overnight. Silica gel is added to the crude reaction mixture, the solvent is evaporated under reduced pressure and the residue is purified by flash chromatography on silica gel to give 4-{1-[2-(4-chlorophenyl)thiazol-5-yl]ethoxy}bicyclo[3.2.1]oct-3-en-2-one (1.24 g)

Step 3

Preparation of 3-[2-(4-chlorophenyl)-5-ethylthiazol-4-yl]bicyclo[3.2.1]octane-2,4-dione

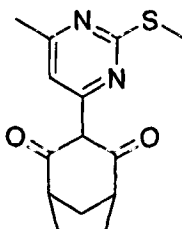
- 57 -



4-{1-[2-(4-chlorophenyl)thiazol-5-yl]ethoxy}bicyclo[3.2.1]oct-3-en-2-one (1.25 g, 3.47 mmol) is placed in a microwave vial and dissolved in diethylene glycol dimethyl ether (15 ml). 1-Butyl-3-methylimidazolium bis(trifluoromethylsulfonyl)imide (0.1 ml) is added and the reaction mixture is heated at 230 °C for 30 minutes under microwave irradiation. Silica gel is added to the crude reaction mixture, the solvent is evaporated under reduced pressure and the residue is purified by flash chromatography on silica gel to give 3-[2-(4-chlorophenyl)-5-ethyl-thiazol-4-yl]bicyclo[3.2.1]octane-2,4-dione (660 mg).

Example 5

Preparation of 3-(6-methyl-2-methylsulfanyl-pyrimidin-4-yl)-bicyclo[3.2.1]octane-2,4-dione

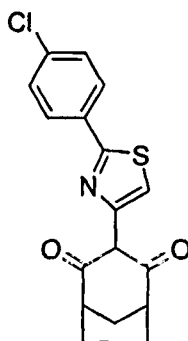


A microwave vial is charged with 4-chloro-2-methyl-6-thiomethylpyrimidine (174 mg, 1 mmol), 2,2,6,6-tetramethyl-pyran-3,5-dione (170 mg, 1 mmol), palladium acetate (12 mg, 0.05 mmol), X-Phos (48 mg, 0.1 mmol) and potassium phosphate (424 mg, 2 mmol). 1,2-dimethoxyethane (3 ml) is added and the reaction heated to 140 °C, with stirring, for 30 minutes. Silica gel is added to the crude reaction mixture, the solvent is evaporated under reduced pressure and the residue is purified by flash chromatography on silica gel to give 4-[2-(4-Chloro-phenyl)-5-methyl-pyrimidin-4-yl]-2,2,6,6-tetramethyl-pyran-3,5-dione (191 mg).

Example 6

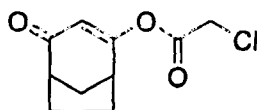
Preparation of 3-[2-(4-chloro-phenyl)thiazol-4-yl]bicyclo[3.2.1]octane-2,4-dione

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Step 1

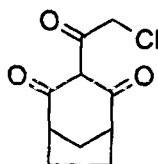
Preparation of chloro-acetic acid 4-oxo-bicyclo[3.2.1]oct-2-en-2-yl ester

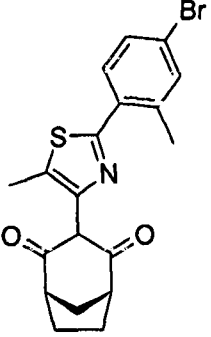
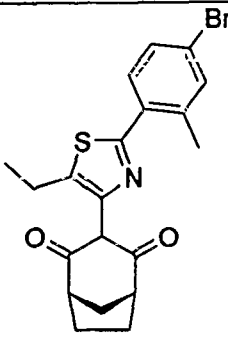
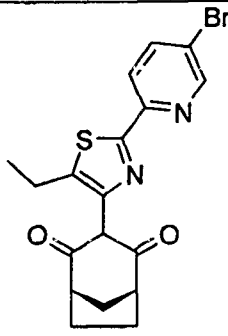
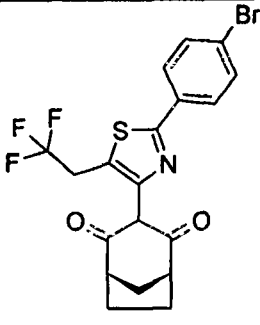


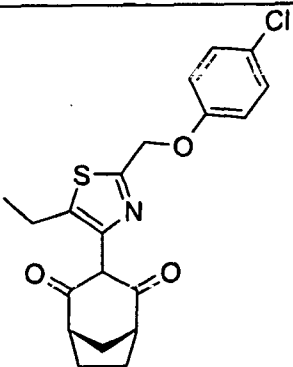
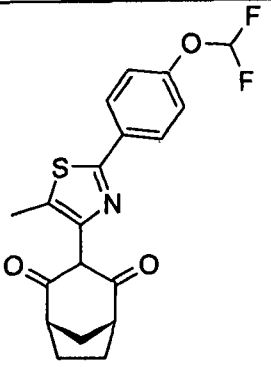
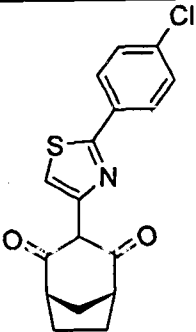
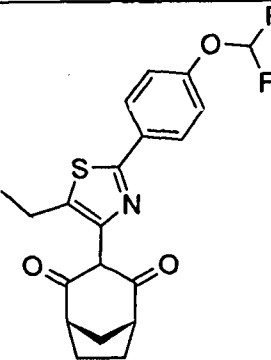
Into a 250 ml round bottom flask containing the bicyclo[3.2.1]octane-2,4-dione (10 g, 72 mmol) is added dry dichloromethane (100 ml) and pyridine (6.28 g, 87 mmol). To the stirred mixture is added dropwise over a period of 15 minutes the chloroacetyl chloride (9.82 g, 72 mmol) diluted in dichloromethane (100 ml). The reaction mixture is therefore stirred for 2 hours at room temperature. The reaction mixture is therefore poured into 100 ml of aqueous 2N HCl and extracted with ethyl acetate (2 x 100 ml). The combined organic extracts are dried over magnesium sulphate, filtered and evaporated under reduced pressure to give an orange oil. The crude product is distilled under reduced pressure to give chloro-acetic acid 4-oxo-bicyclo[3.2.1]oct-2-en-2-yl ester (13.4 g).

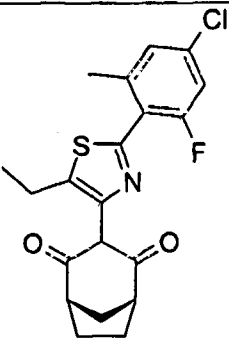
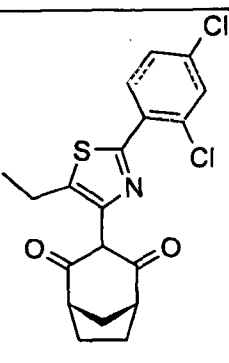
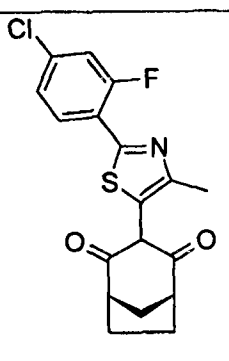
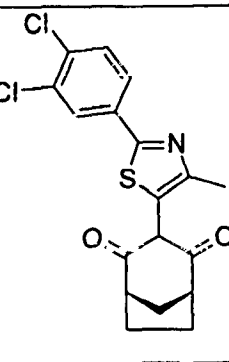
Step 2

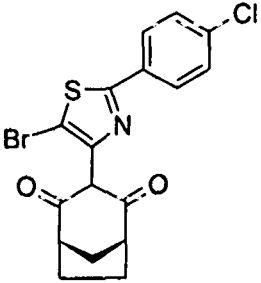
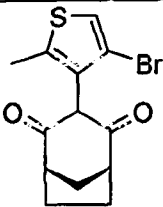
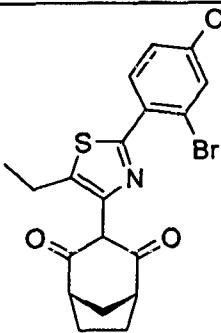
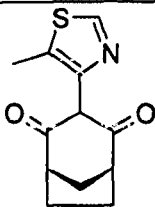
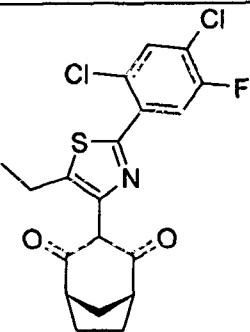
Preparation of 3-(2-chloro-acetyl)bicyclo[3.2.1]octane-2,4-dione



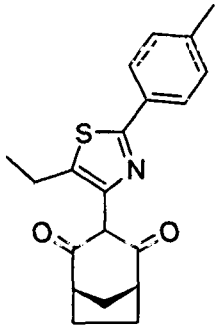
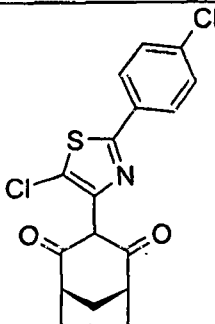
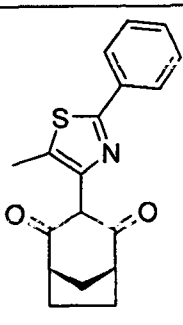
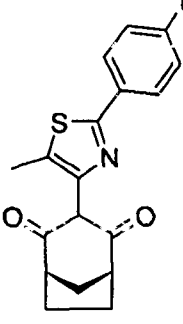
T37		δ ppm 1.60 (m, 1H), 1.83 (m, 2H), 2.15 (m, 3H), 2.47 (s, 3H), 2.54 (s, 3H), 3.09 (m, 2H), 7.40 (dd, 1H), 7.49 (m, 2H)
T38		δ ppm 1.32 (t, 3H), 1.59 (m, 1H), 1.84 (m, 2H), 2.13 (m, 3H), 2.54 (s, 3H), 2.91 (q, 2H), 3.09 (m, 2H), 7.40 (dd, 1H), 7.50 (m, 2H)
T39		δ ppm 1.32 (t, 3H), 1.59 (m, 1H), 1.84 (m, 2H), 2.15 (m, 3H), 2.87 (q, 2H), 3.06 (m, 2H), 7.89 (m, 2H), 8.64 (s, 1H)
T40		δ ppm 1.60 (m, 1H), 1.84 (m, 2H), 2.16 (m, 3H), 3.08 (m, 2H), 4.01 (q, 2H), 7.60 (d, 2H), 7.72 (d, 2H)

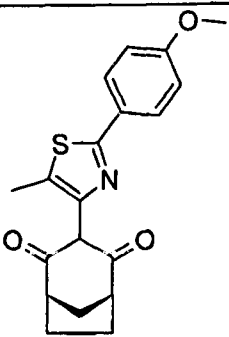
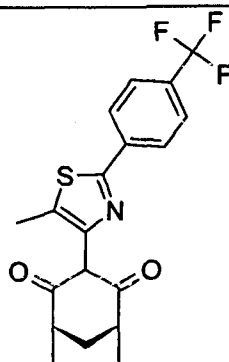
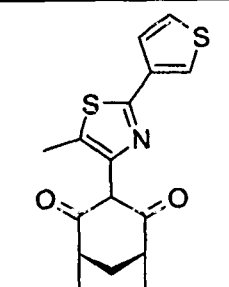
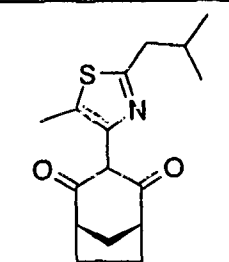
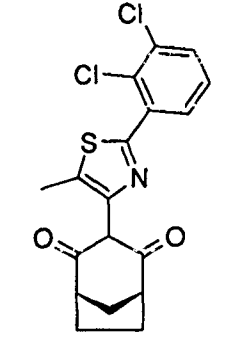
T41		δ ppm 1.27 (t, 3H), 1.58 (dt, 1H), 1.81 (m, 2H), 2.12 (m, 3H), 2.84 (q, 2H), 3.03 (m, 2H), 5.25 (s, 2H), 6.91 (m, 2H), 7.27 (m, 2H)
T42		δ ppm 1.60 (dt, 1H), 1.85 (m, 2H), 2.15 (m, 3H), 2.45 (s, 3H), 3.06 (m, 2H), 6.56 (t, 1H), 7.18 (m, 2H), 7.82 (m, 2H)
T43		δ ppm 1.60 (m, 1H), 1.8 (m, 2H), 2.15 (m, 3H), 3.05 (m, 2H), 7.42 (d 2H), 7.80 (m, 2H), 8.3 (s, 1H), 14.4 (s, 1H)
T44		δ ppm 1.31 (t, 3H), 1.60 (dt, 1H), 1.85 (m, 2H), 2.14 (m, 3H), 2.89 (m, 2H), 3.06 (m, 2H), 6.57 (t, 1H), 7.18 (m, 2H), 7.83 (m, 2H)

T45		δ ppm 1.31 (t, 3H), 1.57 (dt, 1H), 1.80 (m, 2H), 2.12 (m, 3H), 2.37 (s, 3H), 2.91 (m, 2H), 3.03 (m, 2H), 7.05 (m, 1H), 7.10 (m, 1H)
T46		δ ppm 1.31 (t, 3H), 1.61 (dt, 1H), 1.81 (m, 2H), 2.15 (m, 3H), 2.85 (q, 2H), 3.06 (m, 2H), 7.51 (d, 1H), 7.65 (dd, 1H), 7.92 (d, 1H)
T47		δ ppm 1.70 (m, 1H), 1.80 (d, 2H), 2.10 (s, 3H), 2.20 (m, 3H), 3.1 (m, 2H), 7.18 (s, 1H), 7.20 (m, 1H), 7.95 (m, 1H)
T48		δ ppm 1.72 (m, 1H), 1.85 (d, 2H), 2.1 (s, 3H), 2.20 (m, 3H), 3.1 (m, 2H), 7.20 (d, 1H), 7.20 (m, 1H), 7.95 (m, 1H)

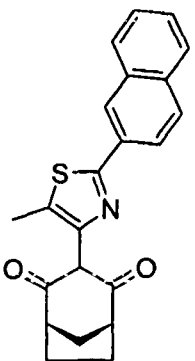
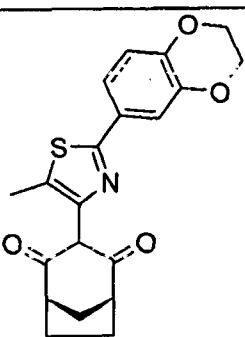
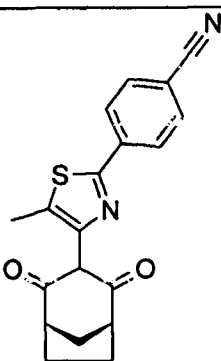
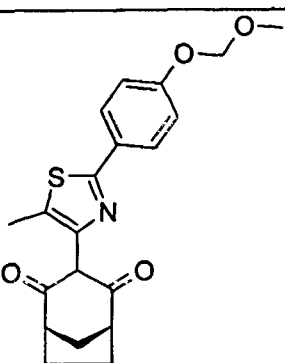
T49		δ ppm 1.55 (m, 1H), 1.60 (m, 1H), 1.75 (m, 1H), 2.00 (m, 1H), 2.15 (m, 2H), 3.05 (m, 2H), 7.40 (d, 2H), 7.70 (d, 2H), 11.0 (s, 1H)
T50		δ ppm 1.71 (m, 1H), 1.93 (m, 2H), 2.16 (m, 3H), 2.28 (d, 3H), 3.06 (m, 2H), 5.77 (d, 1H), 7.16 (d, 1H)
T51		δ ppm 1.33 (t, 3H), 1.60 (m, 1H) 1.83 (m, 2H), 2.14 (m, 3H), 2.91 (q, 2H), 3.06 (m, 2H), 7.38 (dd, 1H), 7.73 (m, 2H)
T52		δ ppm 1.56 (m, 1H), 1.79 (m, 2H), 2.11 (m, 3H), 2.44 (s, 3H), 3.03 (q, 2H), 8.63 (s, 1H)
T53		δ ppm 1.33 (t, 3H), 1.61 (m, 1H), 1.97 (m, 2H), 2.14 (m, 3H), 2.91 (q, 2H), 3.07 (m, 2H), 7.58 (d, 1H), 7.80 (d, 1H)

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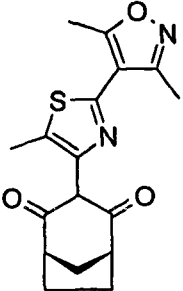
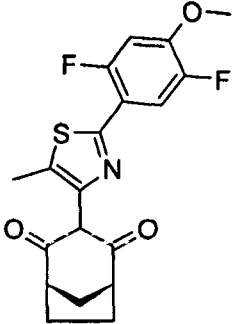
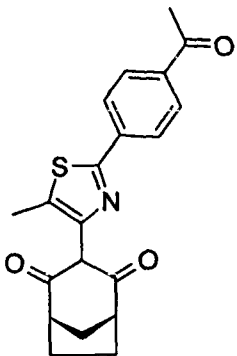
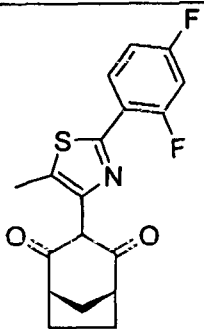
T54		δ ppm 1.30 (t, 3H), 1.50 (m, 1H), 1.80 (d, 2H), 2.10 (m 3H), 2.40 (s, 3H), 2.90 (q, 2H), 3.00 (m, 2H), 7.20 (d, 2H), 7.70 (d, 2H)
T55		δ ppm 1.55 (m, 1H), 1.60 (m, 2H), 2.80 (m, 1H), 2.15 (m, 2H), 3.10 (m, 2H), 7.45 (d, 2H), 7.70 (d, 2H), 11.2 (s, 1H)
T56		δ ppm 1.61 (m, 1H), 1.85 (m, 2H), 2.16 (m, 3H), 2.44 (s, 3H), 3.07 (m, 2H), 7.44 (m, 3H), 7.82 (m, 2H)
T57		δ ppm 1.60 (m, 1H), 1.84 (m, 2H), 2.15 (m, 3H), 2.44 (s, 3H), 3.07 (m, 2H), 7.13 (m, 2H), 7.80 (m, 2H)

T58		δ ppm 1.59 (m, 1H), 1.84 (m, 2H), 2.14 (m, 3H), 2.41 (s, 3H), 3.06 (m, 2H), 6.95 (d, 2H), 7.75 (d, 2H)
T59		δ ppm 1.61 (m, 1H), 1.85 (m, 2H), 2.16 (m, 3H), 2.47 (s, 3H), 3.07 (m, 2H), 7.69 (d, 2H), 7.92 (d, 2H)
T60		δ ppm 1.58 (m, 1H), 1.83 (m, 2H), 2.13 (m, 3H), 2.42 (s, 3H), 3.05 (m, 2H), 7.39 (m, 1H), 7.45 (m, 1H), 7.76 (m, 1H)
T61		δ ppm 1.01 (d, 6H), 1.57 (m, 1H), 1.81 (m, 2H), 2.04-2.13 (m, 4H), 2.33 (s, 3H), 2.92 (d, 2H), 3.07 (m, 2H), 6.93 (s (br), 1H)
T62		δ ppm 1.61 (m, 1H), 1.86 (m, 2H), 2.16 (m, 3H), 2.52 (s, 3H), 3.08 (m, 2H), 7.29 (m, 1H), 7.56 (m, 1H), 7.81 (m, 1H)

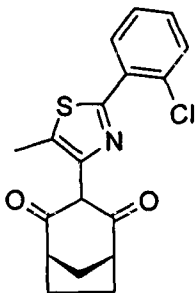
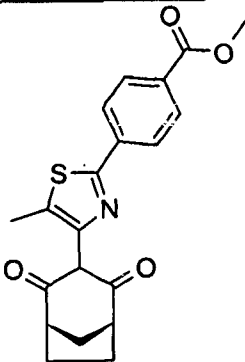
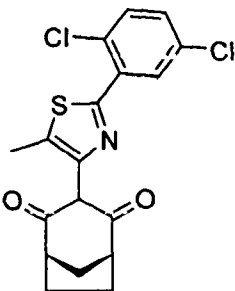
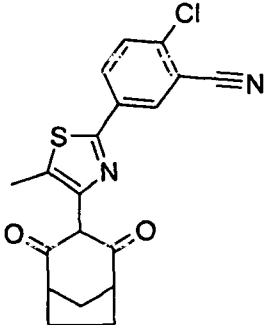
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T63		δ ppm 1.62 (m, 1H), 1.87 (m, 2H), 2.15 (m, 3H), 2.48 (s, 3H), 3.08 (m, 2H), 6.40 (s (br), 1H), 7.53 (m, 2H), 7.83-7.92 (m, 4H), 8.28 (s, 1H)
T64		δ ppm 1.62 (m, 1H), 1.87 (m, 2H), 2.17 (m, 3H), 2.47 (s, 3H), 3.09 (m, 2H), 4.34 (s, 4H), 6.94 (d, 1H) 7.36 (m, 2H)
T65		δ ppm 1.61 (m, 1H), 1.86 (m, 2H), 2.15 (m, 3H), 2.48 (s, 3H), 3.07 (m, 2H), 7.72 (d, 2H), 7.92 (d, 2H)
T66		δ ppm 1.60 (m, 1H), 1.84 (m, 2H), 2.14 (m, 3H), 2.41 (s, 3H), 3.07 (m, 2H), 3.49 (s, 3H), 5.22 (s, 2H), 7.09 (d, 2H), 7.74 (d, 2H)

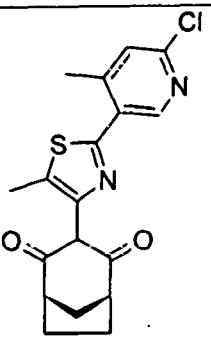
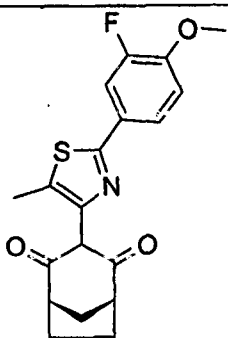
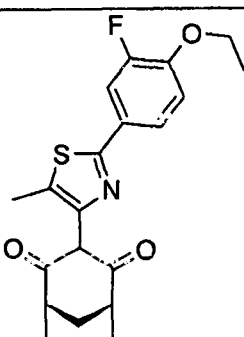
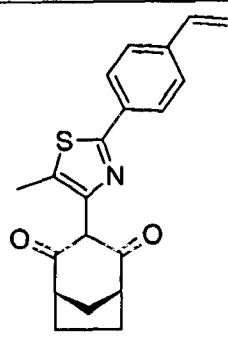
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T67		δ ppm 1.60 (m, 1H), 1.83 (m, 2H), 2.15 (m, 3H), 2.46 (s, 3H), 2.50 (s, 3H), 2.65 (s, 3H), 3.06 (m, 2H)
T68		δ ppm 1.65 (m, 1H), 1.89 (m, 2H), 2.19 (m, 3H), 2.49 (s, 3H), 3.10 (m, 2H), 3.97 (s, 3H), 6.84 (m, 1H), 7.76 (m, 1H)
T69		δ ppm 1.61 (m, 1H), 1.85 (m, 2H), 2.15 (m, 3H), 2.48 (s, 3H), 2.64 (s, 3H), 3.07 (m, 2H), 7.90 (d, 2H), 8.02 (d, 2H)
T70		δ ppm 1.60 (m, 1H), 1.84 (m, 2H), 2.15 (m, 3H), 2.47 (s, 3H), 3.06 (m, 2H), 6.97 (m, 2H), 7.99 (m, 1H)

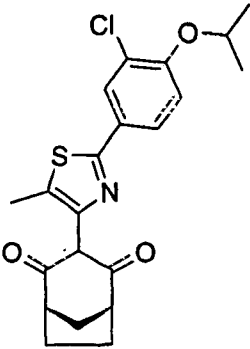
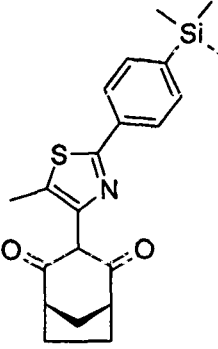
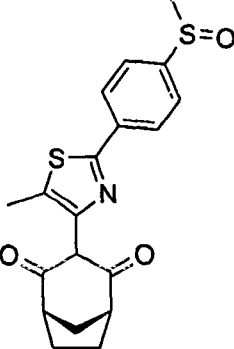
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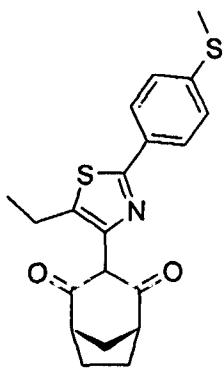
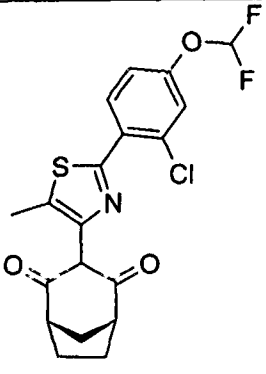
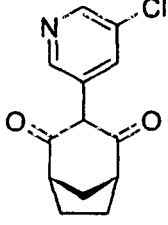
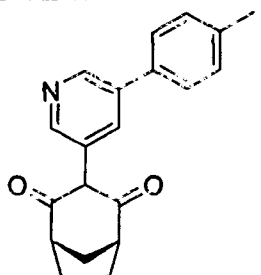
T71		δ ppm 1.60 (m, 1H), 1.83 (m, 2H), 2.15 (m, 3H), 2.49 (s, 3H), 3.05 (m, 2H), 7.35 (m, 2H), 7.50 (m, 1H), 7.89 (m, 1H)
T72		δ ppm 1.61 (m, 1H), 1.85 (m, 2H), 2.16 (m, 3H), 2.48 (s, 3H), 3.06 (m, 2H), 3.95 (s, 3H), 7.88 (d, 2H), 8.10 (d, 2H)
T73		δ ppm 1.61 (m, 1H), 1.86 (m, 2H), 2.15 (m, 3H), 2.49 (s, 3H), 3.07 (m, 2H), 7.31 (dd, 1H), 7.43 (d, 1H), 7.92 (d, 1H)
T74		δ ppm 1.62 (m, 1H), 1.85 (m, 2H), 2.16 (m, 3H), 2.46 (s, 3H), 3.07 (m, 2H), 7.59 (d, 1H), 7.96 (dd, 1H), 8.11 (d, 1H)

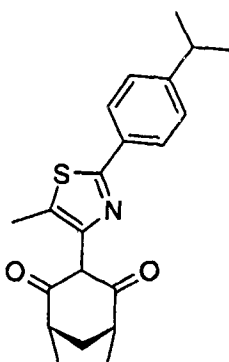
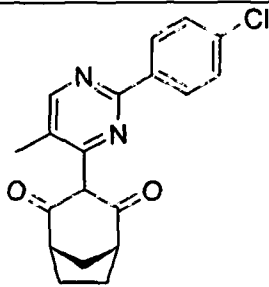
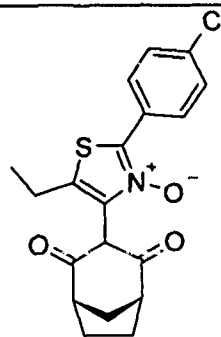
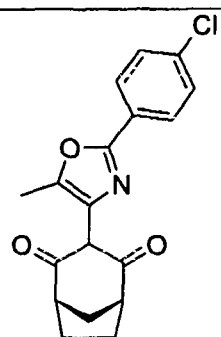
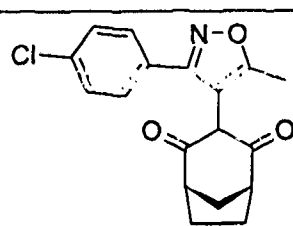
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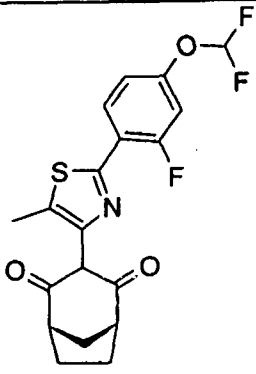
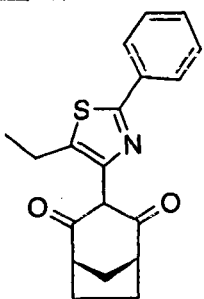
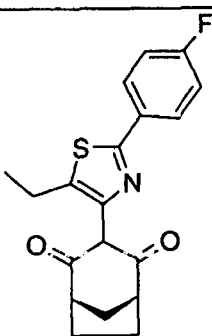
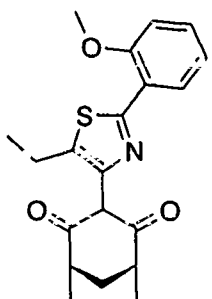
T75		δ ppm 1.62 (m, 1H), 1.83 (m, 2H), 2.15 (m, 3H), 2.48 (s, 3H), 2.56 (s, 3H), 3.07 (m, 2H), 7.30 (s, 1H), 8.60 (s, 1H)
T76		δ ppm 1.60 (m, 1H), 1.85 (m, 2H), 2.15 (m, 3H), 2.42 (s, 3H), 3.07 (m, 2H), 3.94 (s, 3H), 7.00 (t, 1H), 7.54 (m, 2H)
T77		δ ppm 1.48 (t, 3H), 1.60 (m, 1H), 1.84 (m, 2H), 2.14 (m, 3H), 2.43 (s, 3H), 3.05 (m, 2H), 4.16 (q, 3H), 6.98 (t, 1H), 7.53 (m, 2H)
T78		δ ppm 1.60 (m, 1H), 1.84 (m, 2H), 2.14 (m, 3H), 2.45 (s, 3H), 3.06 (m, 2H), 5.35 (d, 1H), 5.83 (d, 1H), 6.74 (dd, 1H), 7.47 (d, 2H), 7.78 (d, 2H)

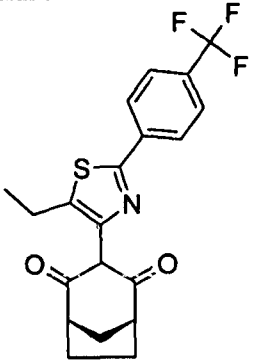
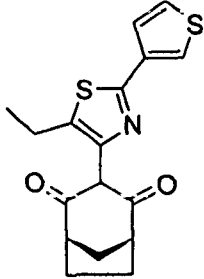
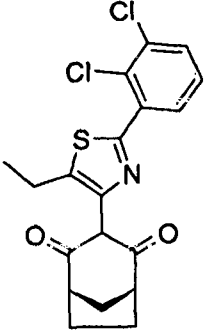
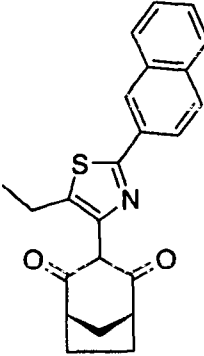
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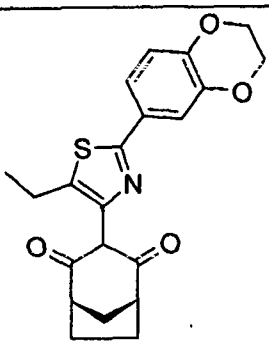
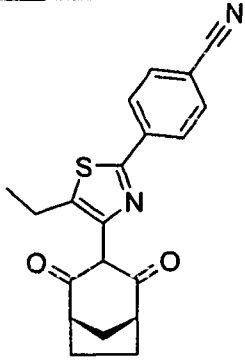
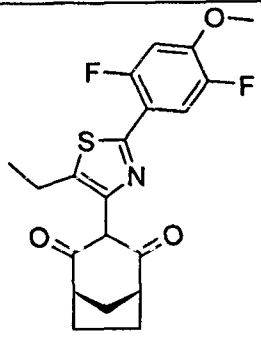
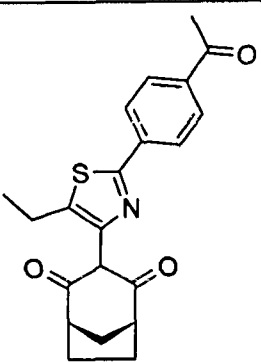
T79		δ ppm 1.41 (d, 6H), 1.61 (m, 1H), 1.86 (m, 2H), 2.14 (m, 3H), 2.43 (s, 3H), 3.09 (m, 2H), 4.63 (sept, 1H), 6.97 (d, 1H), 7.63 (dd, 1H) 7.82 (d, 1H)
T80		δ ppm 0.30 (s, 9H), 1.61 (m, 1H), 1.85 (m, 2H), 2.15 (m, 3H), 2.46 (s, 3H), 3.06 (m, 2H), 7.60 (d, 2H), 7.77 (d, 2H)
T81		δ ppm 1.59 (m, 1H), 1.85 (m, 2H), 2.14 (m, 3H), 2.45 (s, 3H), 2.52 (s, 3H), 3.05 (m, 2H), 7.27 (m, 2H), 7.72 (m, 2H)
T82		δ ppm 1.62 (m, 1 H), 1.86 (m, 2H), 2.14 (m, 3H), 2.48 (s, 3H), 2.77 (s, 3H), 3.08 (m, 2H), 7.72 (m, 2H), 7.97 (m, 2H)

T83		δ ppm 1.30 (t, 3H), 1.59 (dt, 1H), 1.84 (m, 2H), 2.14 (m, 3H), 2.52 (s, 3H), 2.88 (q, 2H), 3.06 (m, 2H), 7.27 (m, 2H), 7.74 (m, 2H)
T84		δ ppm 1.60 (dt, 1H), 1.86 (m, 1H), 2.15 (m, 3H), 2.49 (s, 3H), 3.06 - 3.09 (m, 2H), 6.57 (t, 1H), 7.14 (dd, 1H), 7.30 (d, 1H), 7.93 (d, 1H)
T85		δ ppm 1.66 (m, 1H), 1.89 (m, 2H), 2.19 (m, 3H), 3.09 (m, 2H), 7.84 (m, 1H), 8.13 (m, 1H), 8.37 (m, 1H)
T86		δ ppm 1.61 (m, 1H), 1.90 (m, 2H), 2.17 (m, 3H), 2.37 (s, 3H), 3.07 (m, 2H), 7.19 (m, 2H), 7.35 (m, 2H), 8.15 (m, 1H), 8.31 (m, 1H), 8.59 (m, 1H)

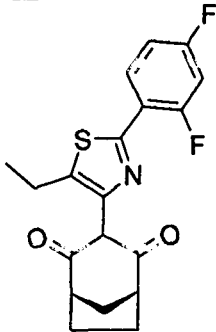
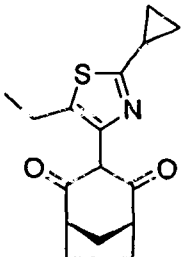
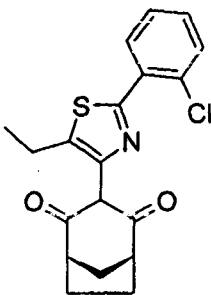
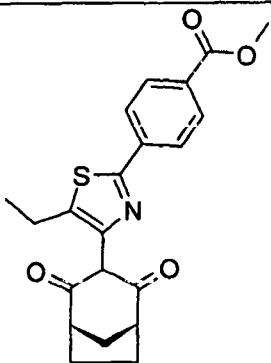
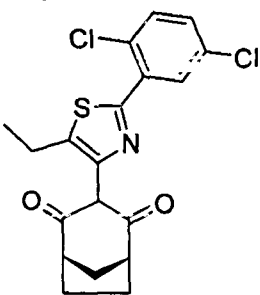
T87		δ ppm 1.27 (d, 6H), 1.58 (m, 1H), 1.84 (m, 2H), 2.14 (m, 3H), 2.45 (s, 3H), 2.95 (sept, 1H), 3.05 (q, 2H), 7.29 (d, 2H), 7.73 (d, 2H)
T88		δ ppm 1.66 (dt, 1H), 1.87 (m, 2H), 2.19 (m, 3H), 2.24 (s, 3H), 3.05 (m, 2H), 7.51 (m, 2H), 8.20 (m, 2H), 8.57 (s, 1H)
T89		δ ppm 1.30 (t, 3H), 1.90 (m, 3H), 2.05 (m, 3H), 3.00 (q, 2H), 3.30 (m, 2H), 7.40 (d, 2H), 7.70 (d, 2H)
T90		δ ppm 1.57 (m, 1H), 1.82 (m, 2H), 2.10-2.30 (m, 3H), 2.94 (t, 1H), 3.30 (s, 3H), 3.42 (t, 1H), 7.54 (d, 2H), 7.94 (d, 2H)
T91		δ ppm 1.90 (d, 2H), 2.20 (s, 3H), 3.00 (s, 2H), 3.56 (d, 2H), 3.63 (d, 2H), 7.30 (d, 2H), 7.40 (d, 2H)

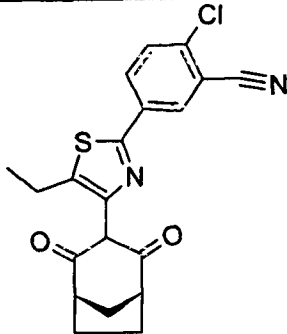
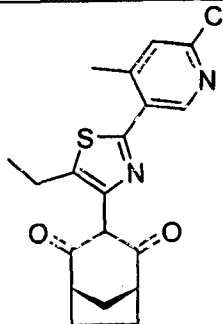
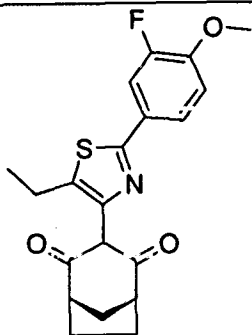
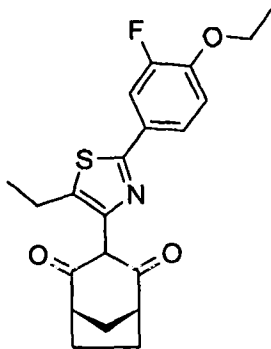
T92		δ ppm 1.60 (m, 1H), 1.89 (m, 1H), 2.15 (m, 3H), 2.47 (s, 3H), 3.06 (m, 2H), 6.51 (t, 1H), 7.01 (m, 2H), 8.00 (t, 1H)
T93		δ ppm 1.30 (t, 3H), 1.60 (m, 1H), 1.85 (m, 2H), 2.15 (m, 3H), 2.9 (q, 2H), 3.05 (m, 2H), 7.40 (m, 3H), 7.80 (m, 2H)
T94		δ ppm 1.30 (t, 3H), 1.60 (m, 1H), 1.89 (m, 2H), 2.20 (m, 3H), 2.90 (q, 2H), 3.05 (m, 2H), 7.20 (m, 2H), 7.80 (m, 2H)
T95		δ ppm 1.30 (t, 3H), 1.60 (m, 1H), 1.85 (m, 2H), 2.15 (m, 3H), 2.90 (q, 2H), 3.05 (m, 2H), 4.00 (s, 3H), 7.10 (m, 2H), 7.45 (m, 1H), 8.00 (m, 1H)

T96		δ ppm 1.32 (t, 3H), 1.62 (m, 1H), 1.90 (m, 2H), 2.20 (m, 3H), 2.90 (q, 2H), 3.10 (m, 2H), 7.7 (d, 2H), 7.95 (d, 2H)
T97		δ ppm 1.30 (t, 3H), 1.60 (m, 1H), 1.85 (m, 2H), 2.15 (m, 3H), 2.90 (q, 2H), 3.05 (m, 2H), 7.40 (m, 1H), 7.5 (m, 1H), 7.80 (m, 1H)
T98		δ ppm 1.30 (t, 3H), 1.60 (m, 1H), 1.85 (m, 2H), 2.15 (m, 3H), 2.90 (q, 2H), 3.05 (m, 2H), 7.30 (m, 1H), 7.50 (m, 1H), 7.80 (m, 1H)
T99		δ ppm 1.30 (t, 3H), 1.60 (m, 1H), 1.85 (m, 2H), 2.15 (m, 3H), 2.90 (q, 2H), 3.05 (m, 2H), 7.50 (m, 2H), 7.80 (m, 4H), 8.30 (s, 1H)

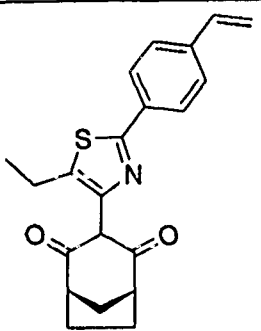
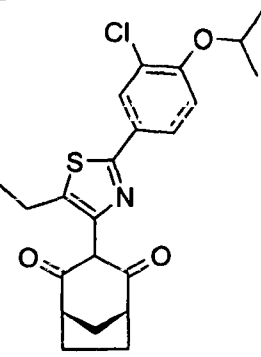
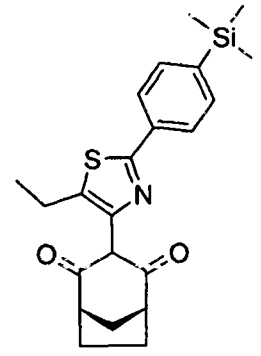
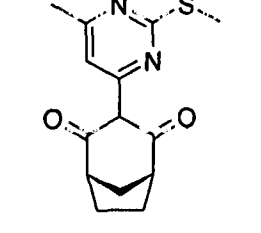
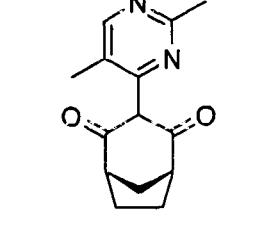
T100		δ ppm 1.30 (t, 3H), 1.60 (m, 1H), 1.85 (m, 2H), 2.15 (m, 3H), 2.90 (q, 2H), 3.05 (m, 2H), 4.30 (m, 4H), 6.90 (m, 1H), 7.30 (m, 2H)
T101		δ ppm 1.40 (t, 3H), 1.60 (m, 1H), 1.85 (m, 2H), 2.20 (m, 3H), 2.90 (q, 2H), 3.05 (m, 2H), 7.80 (d, 2H), 8.00 (d, 2H)
T102		δ ppm 1.30 (t, 3H), 1.60 (m, 1H), 1.85 (m, 2H), 2.20 (m, 3H), 2.90 (q, 2H), 3.05 (m, 2H), 3.95 (s, 3H), 6.80 (m, 1H), 7.70 (m, 1H)
T103		δ ppm 1.40 (t, 3H), 1.60 (m, 1H), 1.85 (m, 2H), 2.20 (m, 3H), 2.60 (s, 3H), 2.90 (q, 2H), 3.05 (m, 2H), 7.90 (d, 2H), 8.10 (d, 2H)

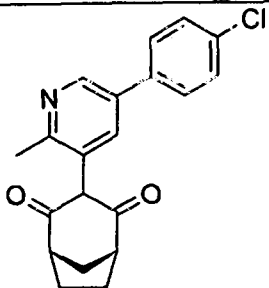
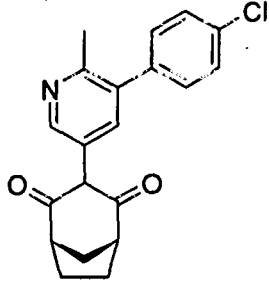
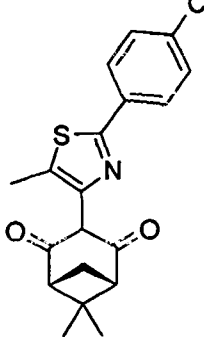
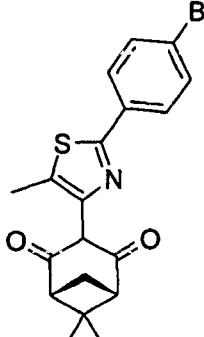
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T104		δ ppm 1.35 (t, 3H), 1.60 (m, 1H), 1.90 (m, 2H), 2.20 (m, 3H), 2.90 (q, 2H), 3.10 (m, 2H), 7.00 (m, 2H), 8.0 (m, 1H)
T105		δ ppm 1.10 (m, 2H), 1.20 (d, 2H), 1.30 (t, 3H), 1.60 (m, 1H), 1.85 (m, 2H), 2.20 (m, 3H), 2.40 (m, 1H), 2.80 (q, 2H), 3.05 (m, 2H)
T106		δ ppm 1.40 (t, 3H), 1.60 (m, 1H), 1.80 (m, 2H), 2.20 (m, 3H), 2.90 (q, 2H), 3.05 (m, 2H), 7.40 (m, 2H), 7.55 (m, 1H), 7.95 (m, 1H)
T107		δ ppm 1.35 (t, 3H), 1.60 (m, 1H), 1.80 (m, 2H), 2.20 (m, 3H), 2.90 (q, 2H), 3.05 (m, 2H), 4.00 (s, 3H), 7.90 (d, 2H), 8.10 (d, 2H)
T108		δ ppm 1.30 (t, 3H), 1.60 (m, 1H), 1.85 (m, 2H), 2.20 (m, 3H), 2.90 (q, 2H), 3.10 (m, 2H), 7.30 (d, 1H), 7.4 (d, 1H), 7.90 (d, 1H)

T109		δ ppm 1.40 (t, 3H), 1.60 (m, 1H), 1.85 (m, 2H), 2.20 (m, 3H), 2.90 (q, 2H), 3.05 (m, 2H), 7.60 (m, 1H), 7.90 (m, 1H), 8.10 (m, 1H)
T110		δ ppm 1.40 (t, 3H), 1.60 (m, 1H), 1.80 (m, 2H), 2.20 (m, 3H), 2.70 (s 3H), 2.90 (q, 2H), 3.05 (m, 2H), 7.30 (s, 1H), 8.60 (s, 1H)
T111		δ ppm 1.30 (t, 3H), 1.60 (m, 1H), 1.85 (m, 2H), 2.15 (m, 3H), 2.85 (q, 2H), 3.05 (m, 2H), 3.90 (s 3H), 7.00 (m, 1H), 7.6 (m, 2H)
T112		δ ppm 1.35 (t, 3H), 1.50 (t, 3H), 1.60 (m, 1H), 1.85 (m, 2H), 2.20 (m, 3H), 2.90 (q, 2H), 3.10 (m, 2H), 4.20 (q, 2H), 7.00 (t, 1H), 7.70 (m, 2H)

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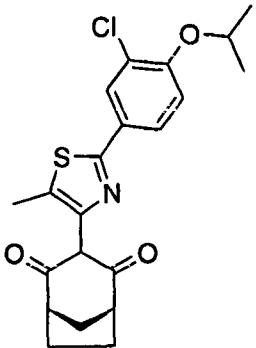
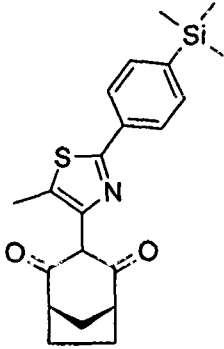
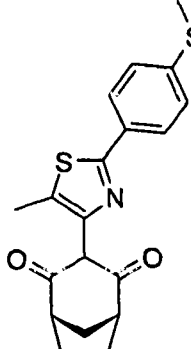
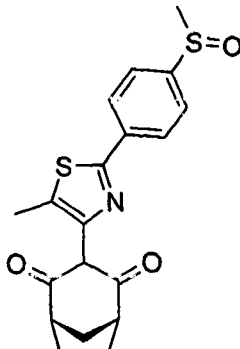
T113		δ ppm 1.35 (t, 3H), 1.65 (m, 1H), 1.80 (m, 2H), 2.20 (m, 3H), 2.90 (q, 2H), 3.10 (m, 2H), 5.40 (d, 1H), 5.90 (d, 1H), 6.80 (m, 1H), 7.50 (d, 2H), 7.80 (d, 2H)
T114		δ ppm 1.30 (t, 3H), 1.40 (s, 6H), 1.60 (m, 1H), 1.85 (m, 2H), 2.10 (m, 3H), 2.90 (q, 2H), 3.05 (m, 2H), 4.60 (m, 1H), 6.90 (d, 1H), 7.60 (d, 1H), 7.80 (s, 1H)
T115		δ ppm 0.30 (s, 9H), 1.30 (t, 3H), 1.60 (m, 1H), 1.85 (m, 2H), 2.10 (m, 3H), 2.90 (q, 2H), 3.05 (m, 2H), 7.60 (d, 2H), 7.80 (d, 2H)
T116		(CD_3OD) δ ppm 1.66 (dt, 1H), 1.76 (ddd, 2H), 2.13 (m, 3H), 2.45 (s, 3H), 2.68 (s, 3H), 2.92 (dd, 2H), 8.34 (s, 1H)
T117		δ ppm 1.63 (dt, 1H), 1.73 (m, 2H), 2.14 (m, 6H), 2.68 (s, 3H), 2.99 (dd, 2H), 8.46 (s, 1H)

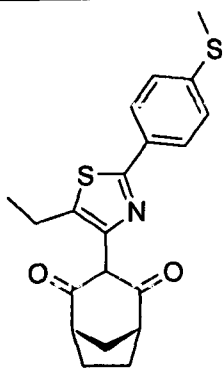
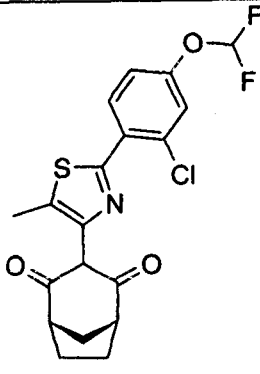
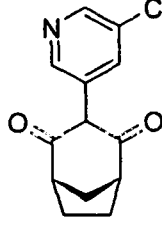
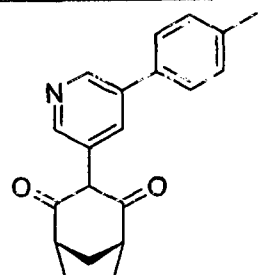
T118		δ ppm 1.68 (dt, 1H), 1.89 (m, 2H), 2.20 (m, 3H), 2.79 (s, 3H), 3.11 (m, 2H), 7.35 (d, 2H), 7.53 (d, 2H), 8.13 (d, 1H), 8.62 (s, 1H)
T119		δ ppm 1.70 (m, 1H), 1.90 (m, 2H), 2.14 (m, 3H), 2.63 (s, 3H), 3.10 (m, 2H), 7.37 (m, 2H), 7.80 (d, 1H), 8.68 (d, 1H)
T120		δ ppm 1.15 (s, 3H), 1.55 (s, 3H), 2.30 (d, 1H), 2.55 (s, 3H), 2.72 (d, 1H), 2.88 (m, 1H), 2.92 (m, 1H), 7.40 (d, 2H), 7.70 (d, 2H)
T121		δ ppm 1.18 (s, 3H), 1.57 (s, 3H), 2.30 (d, 1H), 2.55 (s, 3H), 2.72 (d, 1H), 2.88 (m, 1H), 2.92 (m, 1H), 7.58 (d, 2H), 7.68 (d, 2H)

Example 7

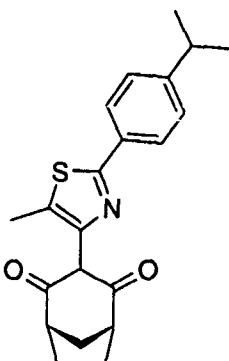
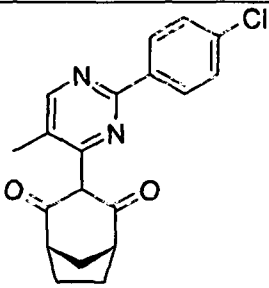
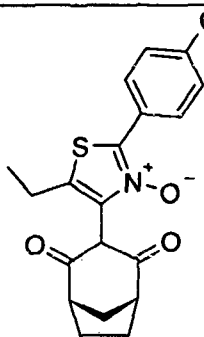
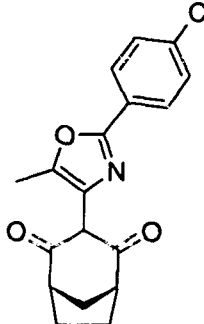
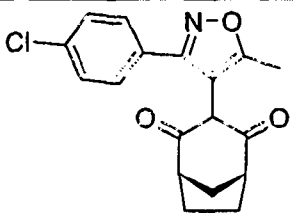
Preparation of 2,2-dimethylpropionic acid 3-[2-(4-chloro-phenyl)-5-ethylthiazol-4-yl]-4-oxobicyclo[3.2.1]oct-2-en-2-yl ester

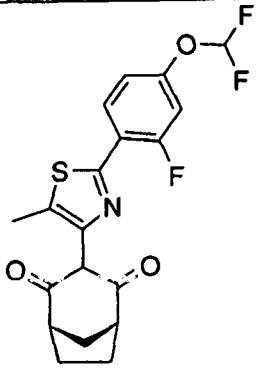
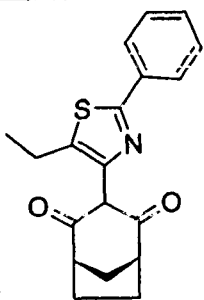
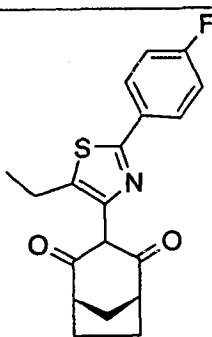
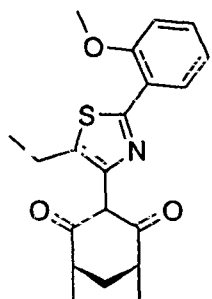
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T79		δ ppm 1.41 (d, 6H), 1.61 (m, 1H), 1.86 (m, 2H), 2.14 (m, 3H), 2.43 (s, 3H), 3.09 (m, 2H), 4.63 (sept, 1H), 6.97 (d, 1H), 7.63 (dd, 1H) 7.82 (d, 1H)
T80		δ ppm 0.30 (s, 9H), 1.61 (m, 1H), 1.85 (m, 2H), 2.15 (m, 3H), 2.46 (s, 3H), 3.06 (m, 2H), 7.60 (d, 2H), 7.77 (d, 2H)
T81		δ ppm 1.59 (m, 1H), 1.85 (m, 2H), 2.14 (m, 3H), 2.45 (s, 3H), 2.52 (s, 3H), 3.05 (m, 2H), 7.27 (m, 2H), 7.72 (m, 2H)
T82		δ ppm 1.62 (m, 1H), 1.86 (m, 2H), 2.14 (m, 3H), 2.48 (s, 3H), 2.77 (s, 3H), 3.08 (m, 2H), 7.72 (m, 2H), 7.97 (m, 2H)

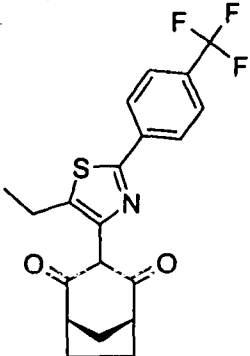
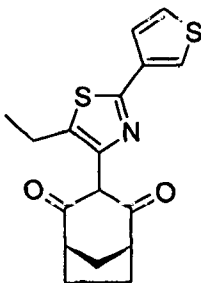
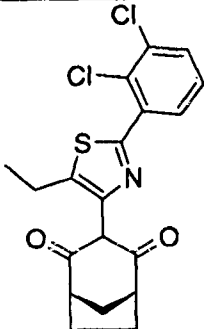
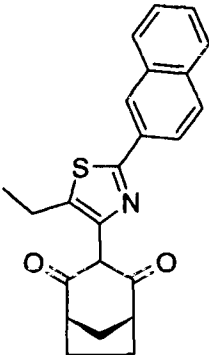
T83		δ ppm 1.30 (t, 3H), 1.59 (dt, 1H), 1.84 (m, 2H), 2.14 (m, 3H), 2.52 (s, 3H), 2.88 (q, 2H), 3.06 (m, 2H), 7.27 (m, 2H), 7.74 (m, 2H)
T84		δ ppm 1.60 (dt, 1H), 1.86 (m, 1H), 2.15 (m, 3H), 2.49 (s, 3H), 3.06 - 3.09 (m, 2H), 6.57 (t, 1H), 7.14 (dd, 1H), 7.30 (d, 1H), 7.93 (d, 1H)
T85		δ ppm 1.66 (m, 1H), 1.89 (m, 2H), 2.19 (m, 3H), 3.09 (m, 2H), 7.84 (m, 1H), 8.13 (m, 1H), 8.37 (m, 1H)
T86		δ ppm 1.61 (m, 1H), 1.90 (m, 2H), 2.17 (m, 3H), 2.37 (s, 3H), 3.07 (m, 2H), 7.19 (m, 2H), 7.35 (m, 2H), 8.15 (m, 1H), 8.31 (m, 1H), 8.59 (m, 1H)

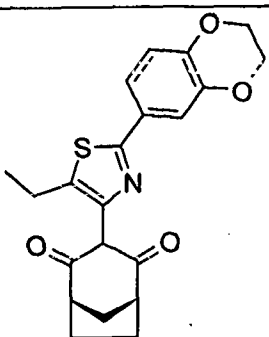
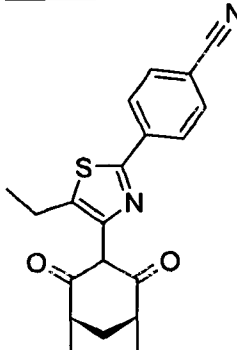
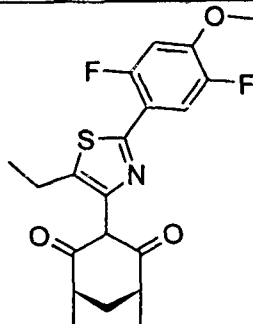
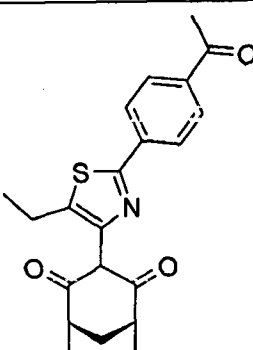
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T87		δ ppm 1.27 (d, 6H), 1.58 (m, 1H), 1.84 (m, 2H), 2.14 (m, 3H), 2.45 (s, 3H), 2.95 (sept, 1H), 3.05 (q, 2H), 7.29 (d, 2H), 7.73 (d, 2H)
T88		δ ppm 1.66 (dt, 1H), 1.87 (m, 2H), 2.19 (m, 3H), 2.24 (s, 3H), 3.05 (m, 2H), 7.51 (m, 2H), 8.20 (m, 2H), 8.57 (s, 1H)
T89		δ ppm 1.30 (t, 3H), 1.90 (m, 3H), 2.05 (m, 3H), 3.00 (q, 2H), 3.30 (m, 2H), 7.40 (d, 2H), 7.70 (d, 2H)
T90		δ ppm 1.57 (m, 1H), 1.82 (m, 2H), 2.10-2.30 (m, 3H), 2.94 (t, 1H), 3.30 (s, 3H), 3.42 (t, 1H), 7.54 (d, 2H), 7.94 (d, 2H)
T91		δ ppm 1.90 (d, 2H), 2.20 (s, 3H), 3.00 (s, 2H), 3.56 (d, 2H), 3.63 (d, 2H), 7.30 (d, 2H), 7.40 (d, 2H)

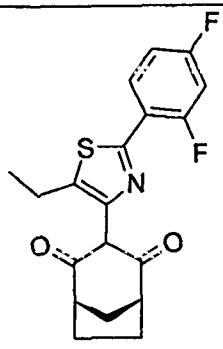
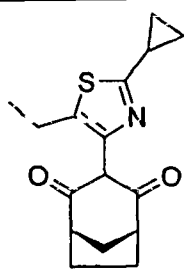
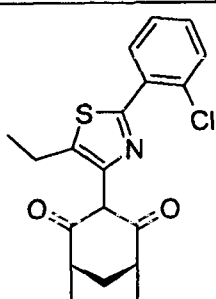
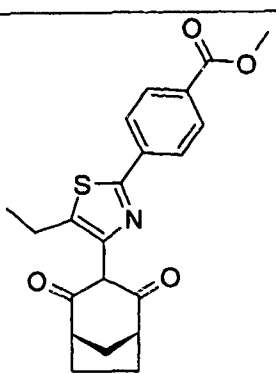
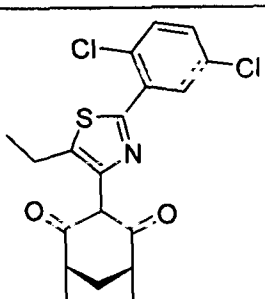
T92		δ ppm 1.60 (m, 1H), 1.89 (m, 1H), 2.15 (m, 3H), 2.47 (s, 3H), 3.06 (m, 2H), 6.51 (t, 1H), 7.01 (m, 2H), 8.00 (t, 1H)
T93		δ ppm 1.30 (t, 3H), 1.60 (m, 1H), 1.85 (m, 2H), 2.15 (m, 3H), 2.9 (q, 2H), 3.05 (m, 2H), 7.40 (m, 3H), 7.80 (m, 2H)
T94		δ ppm 1.30 (t, 3H), 1.60 (m, 1H), 1.89 (m, 2H), 2.20 (m, 3H), 2.90 (q, 2H), 3.05 (m, 2H), 7.20 (m, 2H), 7.80 (m, 2H)
T95		δ ppm 1.30 (t, 3H), 1.60 (m, 1H), 1.85 (m, 2H), 2.15 (m, 3H), 2.90 (q, 2H), 3.05 (m, 2H), 4.00 (s, 3H), 7.10 (m, 2H), 7.45 (m, 1H), 8.00 (m, 1H)

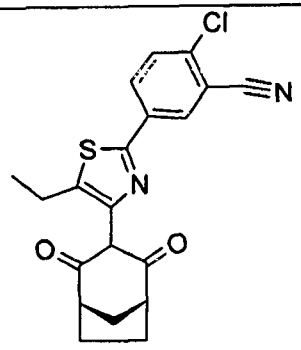
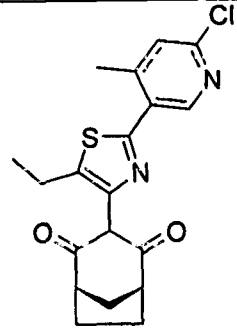
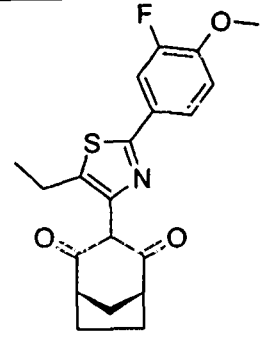
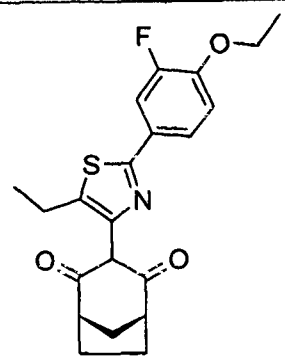
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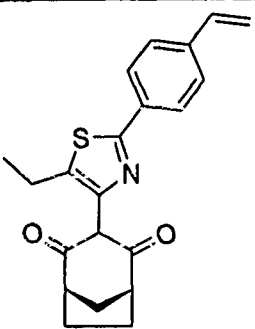
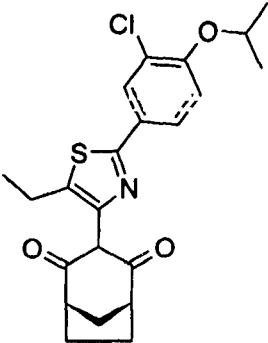
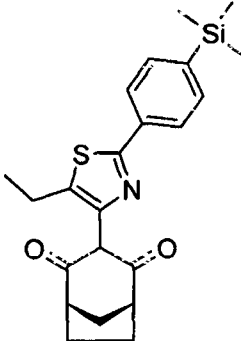
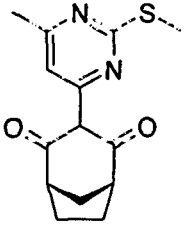
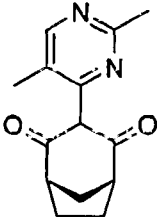
T96		δ ppm 1.32 (t, 3H), 1.62 (m, 1H), 1.90 (m, 2H), 2.20 (m, 3H), 2.90 (q, 2H), 3.10 (m, 2H), 7.7 (d, 2H), 7.95 (d, 2H)
T97		δ ppm 1.30 (t, 3H), 1.60 (m, 1H), 1.85 (m, 2H), 2.15 (m, 3H), 2.90 (q, 2H), 3.05 (m, 2H), 7.40 (m, 1H), 7.5 (m, 1H), 7.80 (m, 1H)
T98		δ ppm 1.30 (t, 3H), 1.60 (m, 1H), 1.85 (m, 2H), 2.15 (m, 3H), 2.90 (q, 2H), 3.05 (m, 2H), 7.30 (m, 1H), 7.50 (m, 1H), 7.80 (m, 1H)
T99		δ ppm 1.30 (t, 3H), 1.60 (m, 1H), 1.85 (m, 2H), 2.15 (m, 3H), 2.90 (q, 2H), 3.05 (m, 2H), 7.50 (m, 2H), 7.80 (m, 4H), 8.30 (s, 1H)

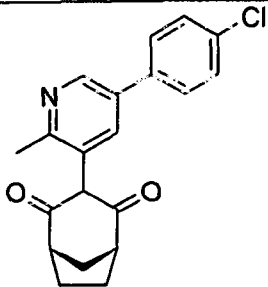
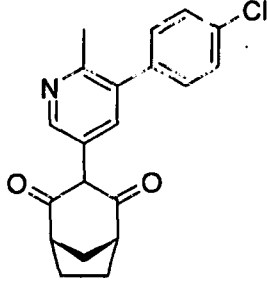
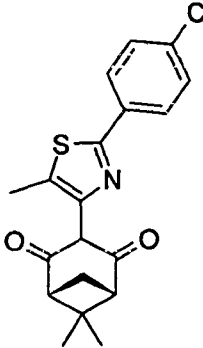
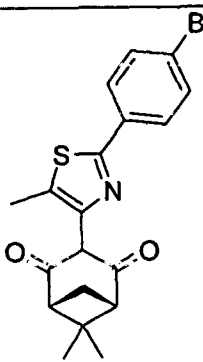
T100		δ ppm 1.30 (t, 3H), 1.60 (m, 1H), 1.85 (m, 2H), 2.15 (m, 3H), 2.90 (q, 2H), 3.05 (m, 2H), 4.30 (m, 4H), 6.90 (m, 1H), 7.30 (m, 2H)
T101		δ ppm 1.40 (t, 3H), 1.60 (m, 1H), 1.85 (m, 2H), 2.20 (m, 3H), 2.90 (q, 2H), 3.05 (m, 2H), 7.80 (d, 2H), 8.00 (d, 2H)
T102		δ ppm 1.30 (t, 3H), 1.60 (m, 1H), 1.85 (m, 2H), 2.20 (m, 3H), 2.90 (q, 2H), 3.05 (m, 2H), 3.95 (s, 3H), 6.80 (m, 1H), 7.70 (m, 1H)
T103		δ ppm 1.40 (t, 3H), 1.60 (m, 1H), 1.85 (m, 2H), 2.20 (m, 3H), 2.60 (s, 3H), 2.90 (q, 2H), 3.05 (m, 2H), 7.90 (d, 2H), 8.10 (d, 2H)

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T104		δ ppm 1.35 (t, 3H), 1.60 (m, 1H), 1.90 (m, 2H), 2.20 (m, 3H), 2.90 (q, 2H), 3.10 (m, 2H), 7.00 (m, 2H), 8.0 (m, 1H)
T105		δ ppm 1.10 (m, 2H), 1.20 (d, 2H), 1.30 (t, 3H), 1.60 (m, 1H), 1.85 (m, 2H), 2.20 (m, 3H), 2.40 (m, 1H), 2.80 (q, 2H), 3.05 (m, 2H)
T106		δ ppm 1.40 (t, 3H), 1.60 (m, 1H), 1.80 (m, 2H), 2.20 (m, 3H), 2.90 (q, 2H), 3.05 (m, 2H), 7.40 (m, 2H), 7.55 (m, 1H), 7.95 (m, 1H)
T107		δ ppm 1.35 (t, 3H), 1.60 (m, 1H), 1.80 (m, 2H), 2.20 (m, 3H), 2.90 (q, 2H), 3.05 (m, 2H), 4.00 (s, 3H), 7.90 (d, 2H), 8.10 (d, 2H)
T108		δ ppm 1.30 (t, 3H), 1.60 (m, 1H), 1.85 (m, 2H), 2.20 (m, 3H), 2.90 (q, 2H), 3.10 (m, 2H), 7.30 (d, 1H), 7.4 (d, 1H), 7.90 (d, 1H)

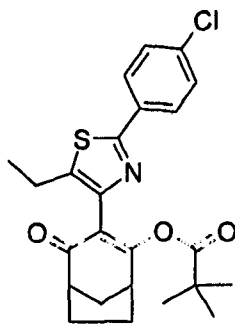
T109		δ ppm 1.40 (t, 3H), 1.60 (m, 1H), 1.85 (m, 2H), 2.20 (m, 3H), 2.90 (q, 2H), 3.05 (m, 2H), 7.60 (m, 1H), 7.90 (m, 1H), 8.10 (m, 1H)
T110		δ ppm 1.40 (t, 3H), 1.60 (m, 1H), 1.80 (m, 2H), 2.20 (m, 3H), 2.70 (s 3H), 2.90 (q, 2H), 3.05 (m, 2H), 7.30 (s, 1H), 8.60 (s, 1H)
T111		δ ppm 1.30 (t, 3H), 1.60 (m, 1H), 1.85 (m, 2H), 2.15 (m, 3H), 2.85 (q, 2H), 3.05 (m, 2H), 3.90 (s 3H), 7.00 (m, 1H), 7.6 (m, 2H)
T112		δ ppm 1.35 (t, 3H), 1.50 (t, 3H), 1.60 (m, 1H), 1.85 (m, 2H), 2.20 (m, 3H), 2.90 (q, 2H), 3.10 (m, 2H), 4.20 (q, 2H), 7.00 (t, 1H), 7.70 (m, 2H)

T113		δ ppm 1.35 (t, 3H), 1.65 (m, 1H) 1.80 (m, 2H), 2.20 (m, 3H), 2.90 (q, 2H), 3.10 (m, 2H), 5.40 (d, 1H), 5.90 (d, 1H), 6.80 (m, 1H), 7.50 (d, 2H), 7.80 (d, 2H)
T114		δ ppm 1.30 (t, 3H), 1.40 (s, 6H), 1.60 (m, 1H), 1.85 (m, 2H), 2.10 (m, 3H), 2.90 (q, 2H), 3.05 (m, 2H), 4.60 (m, 1H), 6.90 (d, 1H), 7.60 (d, 1H), 7.80 (s, 1H)
T115		δ ppm 0.30 (s, 9H), 1.30 (t, 3H), 1.60 (m, 1H), 1.85 (m, 2H), 2.10 (m, 3H) 2.90 (q, 2H), 3.05 (m, 2H), 7.60 (d, 2H), 7.80 (d, 2H)
T116		(CD ₃ OD) δ ppm 1.66 (dt, 1H), 1.76 (ddd, 2H), 2.13 (m, 3H), 2.45 (s, 3H), 2.68 (s, 3H), 2.92 (dd, 2H), 8.34 (s, 1H)
T117		δ ppm 1.63 (dt, 1H), 1.73 (m, 2H), 2.14 (m, 6H), 2.68 (s, 3H), 2.99 (dd, 2H), 8.46 (s, 1H)

T118		δ ppm 1.68 (dt, 1H), 1.89 (m, 2H), 2.20 (m, 3H), 2.79 (s, 3H), 3.11 (m, 2H), 7.35 (d, 2H), 7.53 (d, 2H), 8.13 (d, 1H), 8.62 (s, 1H)
T119		δ ppm 1.70 (m, 1H), 1.90 (m, 2H), 2.14 (m, 3H), 2.63 (s, 3H), 3.10 (m, 2H), 7.37 (m, 2H), 7.80 (d, 1H), 8.68 (d, 1H)
T120		δ ppm 1.15 (s, 3H), 1.55 (s, 3H), 2.30 (d, 1H), 2.55 (s, 3H), 2.72 (d, 1H), 2.88 (m, 1H), 2.92 (m, 1H), 7.40 (d, 2H), 7.70 (d, 2H)
T121		δ ppm 1.18 (s, 3H), 1.57 (s, 3H), 2.30 (d, 1H), 2.55 (s, 3H), 2.72 (d, 1H), 2.88 (m, 1H), 2.92 (m, 1H), 7.58 (d, 2H), 7.68 (d, 2H)

Example 7

Preparation of 2,2-dimethylpropionic acid 3-[2-(4-chloro-phenyl)-5-ethylthiazol-4-yl]-4-oxobicyclo[3.2.1]oct-2-en-2-yl ester



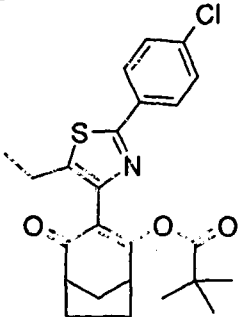
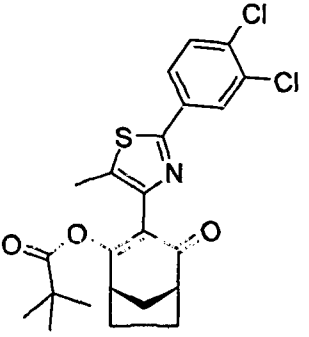
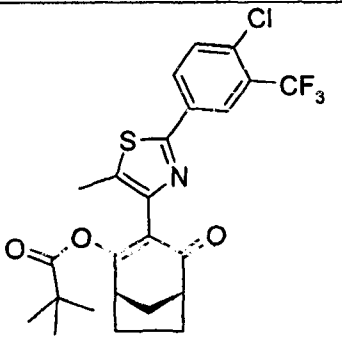
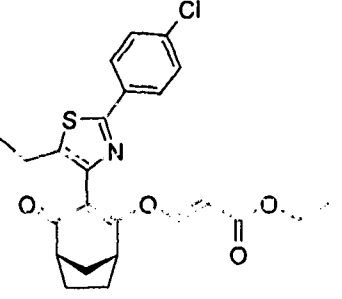
To a solution of the 3-[2-(4-chlorophenyl)-5-ethylthiazol-4-yl]bicyclo[3.2.1]octane-2,4-dione (100 mg, 0.28 mmol) in dichloromethane (5 ml) and triethylamine (140 μ l, 1 mmol) is added pivaloyl chloride (123 μ l, 1 mmol) at room temperature. The reaction mixture is stirred overnight at room temperature. Silica gel is added to the crude reaction mixture, the solvent is evaporated under reduced pressure and the residue is purified by flash chromatography on silica gel to give 2,2-dimethylpropionic acid 3-[2-(4-chlorophenyl)-5-ethylthiazol-4-yl]-4-oxobicyclo[3.2.1]oct-2-en-2-yl ester (101 mg)

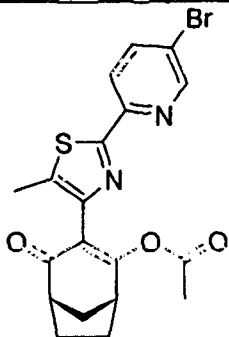
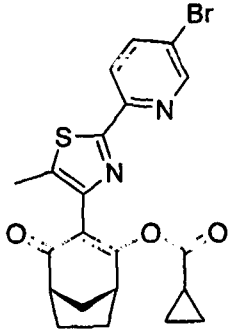
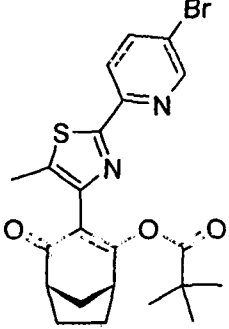
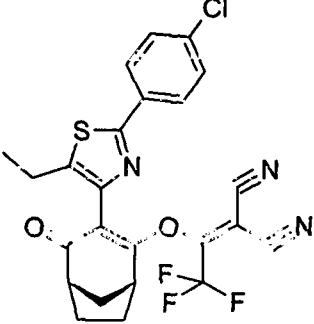
Additional compounds in Table P1 below are prepared by similar methods using appropriate starting materials.

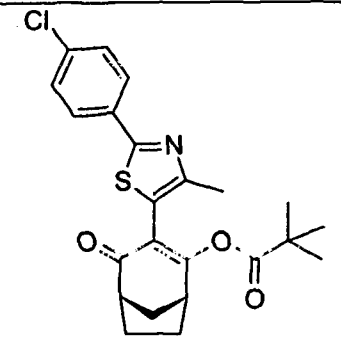
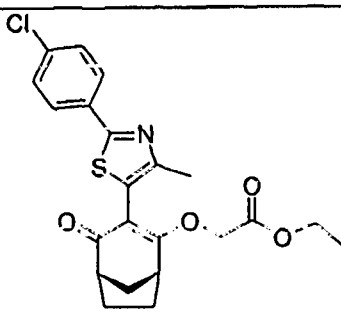
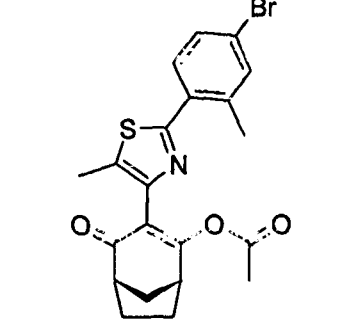
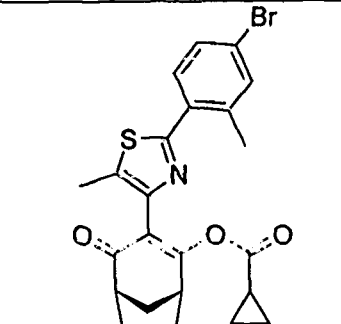
Where more than one tautomer or rotational conformer is observed in the proton NMR spectrum, the data shown below are for the mixture of isomers and conformers.

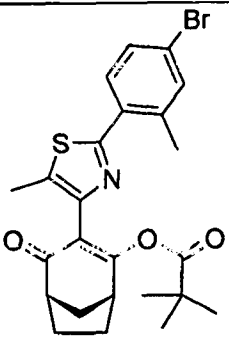
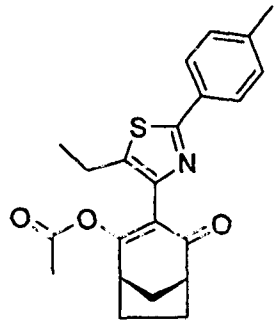
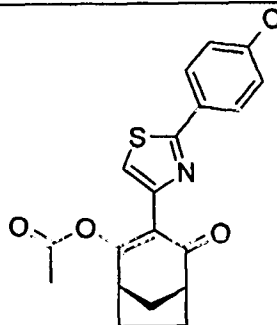
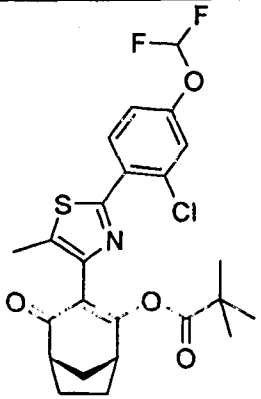
Table P1

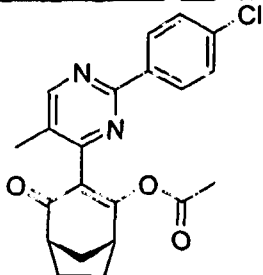
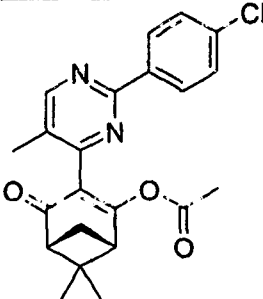
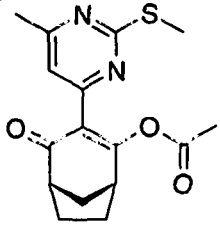
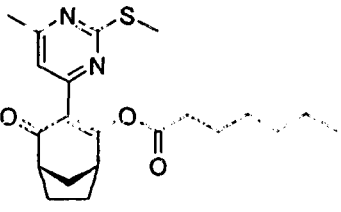
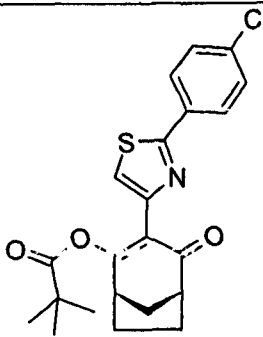
Compound Number	Structure	¹ H nmr (CDCl ₃ unless stated) or other physical data
P1		δ ppm 0.81 (m, 3H), 0.94 (m, 1H), 1.25 (t, 3H), 1.56 (m, 1H), 1.73 (m, 1H), 1.81 (m, 1H), 2.07 (m, 1H), 2.21 (m, 2H), 2.42 (d, 1H), 2.56 (m, 2H), 3.15-3.08 (m, 2H), 7.35 (m, 2H), 7.81 (m, 2H)

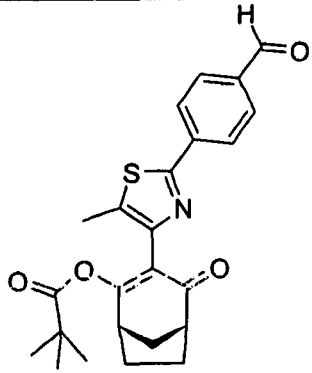
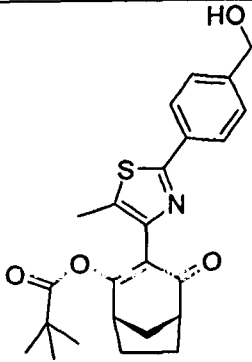
P2		δ ppm 1.02 (s, 9H), 1.24 (t, 3H), 1.73 (m, 1H), 1.82 (m, 1H), 2.07 (m, 1H), 2.24 (m, 2H), 2.45 (m, 1H), 2.57 (q, 2H), 3.03 (m, 1H), 3.14 (m, 1H), 7.36 (m, 2H), 7.77 (m, 2H)
P3		δ ppm 1.05 (s, 9H), 1.60 (m, 1H), 1.85 (m, 2H), 2.15 (m, 3H), 2.45 (s, 3H), 3.05 (m, 2H), 7.50 (d, 1H), 7.60 (d, 1H), 7.89 (s, 1H)
P4		δ ppm 1.05 (s, 9H), 1.72 (m, 1H), 1.85 (m, 2H), 2.15 (m, 3H), 2.30 (s, 3H), 3.05 (m, 2H), 7.50 (d, 1H), 7.90 (d, 1H), 8.15 (s, 1H)
P5		δ ppm 1.10 (t, 3H), 1.30 (t, 3H), 1.80 (dt, 1H), 1.91 (m, 1H), 2.07 (m, 1H), 2.18-2.38 (m, 3H), 2.59 (q, 2H), 3.20 (m, 2H), 4.01 (m, 2H), 5.47 (d, 1H), 7.36 (d, 1H), 7.40 (d, 2H), 7.83 (d, 2H)

P6		δ ppm 1.74 (m, 1H), 1.82 (m, 1H), 2.01 (s, 3H), 2.08 (m, 1H), 2.15-2.30 (m, 5H), 2.43 (d, 1H), 3.09 (t, 1H), 3.15 (t, 1H), 7.84 (dd, 1H), 7.98 (d, 1H), 8.60 (d, 1H)
P7		δ ppm 0.77-1.00 (m, 4H), 1.43 (m, 1H), 1.73 (dt, 1H), 1.82 (m, 1H), 2.08 (m, 1H), 2.15-2.30 (m, 5H), 2.41 (d, 1H), 3.10 (t, 1H), 3.15 (t, 1H), 7.84 (dd, 1H), 8.01 (d, 1H), 8.60 (d, 1H)
P8		δ ppm 1.03 (m, 9H), 1.74 (m, 1H), 1.82 (m, 1H), 2.08 (m, 1H), 2.15-2.30 (m, 5H), 2.43 (d, 1H), 3.09 (t, 1H), 3.15 (t, 1H), 7.84 (dd, 1H), 7.98 (d, 1H), 8.60 (d, 1H)
P9		δ ppm 1.33 (t, 3H), 1.63 (m, 1H), 1.86 (m, 2H), 2.17 (m, 2H), 2.45 (m, 1H), 2.84 (q, 2H), 3.08 (m, 2H), 7.47 (d, 2H), 7.77 (d, 2H)

P10		δ ppm 1.10 (s, 9H), 1.72 (m, 1H), 1.85 (m, 2H), 2.15 (m, 3H), 2.30 (s, 3H), 3.05 (m, 2H), 7.40 (d, 2H), 7.80 (d, 2H)
P11		δ ppm 1.30 (t, 3H), 1.72 (m, 1H), 1.85 (m, 2H), 2.15 (m, 3H), 2.30 (s, 3H), 3.05 (m, 2H), 4.20 (q, 2H), 4.60 (s, 2H), 7.38 (d, 2H), 7.80 (d, 2H)
P12		δ ppm 1.72 (m, 1H), 1.81 (m, 1H), 2.02 (s, 3H), 2.07 (m, 1H), 2.15-2.30 (m, 5H), 2.42 (d, 1H), 2.51 (s, 3H), 3.07 (t, 1H), 3.14 (t, 1H), 7.34 (dd, 1H), 7.40 (d, 1H), 7.51 (d, 1H)
P13		δ ppm 0.8-1.0 (m, 4H), 1.59 (m, 1H), 1.73 (m, 1H), 1.82 (m, 1H), 2.07 (m, 1H), 2.15-2.30 (m, 5H), 2.40 (d, 1H), 2.53 (s, 3H), 3.09 (t, 1H), 3.14 (t, 1H), 7.34 (dd, 1H), 7.40 (d, 1H), 7.51 (d, 1H)

P14		δ ppm 1.05 (s, 9H), 1.74 (m, 1H), 1.84 (m, 1H), 2.08 (m, 1H), 2.15-2.30 (m, 5H), 2.44 (d, 1H), 2.51 (s, 3H), 3.03 (t, 1H), 3.14 (t, 1H), 7.34 (dd, 1H), 7.40 (d, 1H), 7.52 (d, 1H)
P15		δ ppm 1.30 (t, 3H), 1.33 (s, 3H), 1.60 (m, 1H), 1.82 (m, 1H), 2.20 (m, 1H), 2.24 (m, 2H), 2.40 (s, 3H), 2.45 (m, 1H), 2.9 (q, 2H), 3.05 (m, 1H), 3.14 (m, 1H), 7.20 (m, 2H), 7.70 (m, 2H)
P16		δ ppm 1.30 (t, 3H), 1.33 (s, 3H), 1.60 (m, 1H), 1.82 (m, 1H), 2.20 (m, 1H), 2.24 (m, 2H), 2.45 (m, 1H), 2.90 (q, 2H), 3.05 (m, 1H), 3.14 (m, 1H), 7.40 (m, 2H), 7.80 (m, 2H), 8.3 (s, 1H)
P17		δ ppm 1.03 (s, 9H), 1.57 (m, 1H), 1.74 (m, 1H), 1.84 (m, 1H), 2.08 (m, 1H), 2.21-2.31 (m, 1H), 2.45 (m, 1H), 3.02 (m, 1H), 3.16 (m, 1H), 6.54 (t, 1H), 7.07 (dd, 1H), 7.23 (d, 1H), 8.18 (d, 1H)

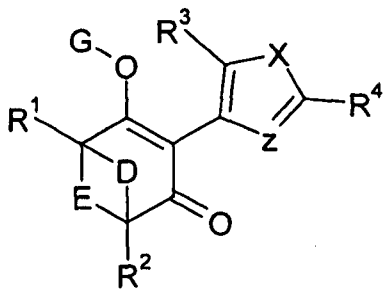
P18		δ ppm 1.75-1.96 (m, 5H), 2.05-2.56 (m, 7H), 3.15 (m, 2H), 7.42 (d, 2H), 8.33 (d, 2H), 8.58 (s, 1H)
P19		δ ppm 1.56 (s, 3H), 1.62 (s, 3H), 1.98 (s, 3H), 2.17-2.30 (m, 4H), 2.84 (dt, 2H), 3.04 (m, 1H), 7.42 (d, 2H), 8.33 (d, 2H), 8.58 (s, 1H)
P20		δ ppm 1.57 (s, 3H), 1.62 (m, 1H), 1.77 (m, 1H), 1.87 (m, 1H), 2.46 (s, 3H), 2.66 (s, 3H), 2.98 (m, 2H), 8.40 (s, 1H)
P21		δ ppm 0.88 (t, 3H), 1.25 (m, 4H), 1.52-1.63 (m, 5H), 1.17 (m, 1H), 1.79 (m, 1H), 2.03-2.23 (m, 3H), 2.36 (m, 2H), 2.45 (s, 3H), 2.51 (s, 3H), 3.03 (m, 1H), 3.14 (m, 1H), 6.81 (s, 1H)
P22		δ ppm 1.10 (s, 9H), 1.72 (m, 1H), 1.85 (m, 2H), 2.15 (m, 3H), 3.05 (m, 2H), 7.40 (d, 2H), 7.80 (d, 2H), 8.4 (s, 1H)

P23		δ ppm 1.10 (s, 9H), 1.72 (m, 1H), 1.85 (m, 2H), 2.15 (m, 3H), 2.30 (s, 3H), 3.05 (m, 1H), 3.15 (m, 1H), 7.90 (d, 2H), 8.0 (d, 2H), 10.0 (s, 1H)
P24		δ ppm 1.10 (s, 9H), 1.72 (m, 1H), 1.85 (m, 2H), 2.00 (m, 2H), 2.15 (m, 3H), 2.30 (s, 3H), 3.05 (m, 2H), 7.40 (d, 2H), 7.80 (d, 2H)

Specific examples of the compounds of the invention include those compounds detailed in Tables 1 to 204.

Table 1:

This table covers 180 compounds of the structural type T-1:



T-1

wherein X is S, Z is C-H, D is CH₂, E is CH₂, G is hydrogen, R¹ and R² are hydrogen and R³ and R⁴ are as defined below:

Compound Number	R ³	R ⁴

Compound Number	R ³	R ⁴
1.001	CH ₃	H
1.002	CH ₃	CH ₃
1.003	CH ₃	CH ₃ CH ₂
1.004	CH ₃	(CH ₃) ₂ CH
1.005	CH ₃	(CH ₃) ₃ C
1.006	CH ₃ CH ₂	H
1.007	CH ₃ CH ₂	CH ₃
1.008	CH ₃ CH ₂	CH ₃ CH ₂
1.009	CH ₃ CH ₂	(CH ₃) ₂ CH
1.010	CH ₃ CH ₂	(CH ₃) ₃ C
1.011	CH ₃	Phenyl
1.012	CH ₃	2-fluorophenyl
1.013	CH ₃	3-fluorophenyl
1.014	CH ₃	4-fluorophenyl
1.015	CH ₃	2-chlorophenyl
1.016	CH ₃	3-chlorophenyl
1.017	CH ₃	4-chlorophenyl
1.018	CH ₃	2-bromophenyl
1.019	CH ₃	3-bromophenyl
1.020	CH ₃	4-bromophenyl
1.021	CH ₃	2-iodophenyl
1.022	CH ₃	3-iodophenyl
1.023	CH ₃	4-iodophenyl
1.024	CH ₃	2-methylphenyl
1.025	CH ₃	3-methylphenyl
1.026	CH ₃	4-methylphenyl
1.027	CH ₃	2-cyanophenyl
1.028	CH ₃	3-cyanophenyl
1.029	CH ₃	4-cyanophenyl
1.030	CH ₃	2-methoxyphenyl
1.031	CH ₃	3-methoxyphenyl
1.032	CH ₃	4-methoxyphenyl
1.033	CH ₃	2-trifluoromethylphenyl

Compound Number	R ³	R ⁴
1.034	CH ₃	3-trifluoromethylphenyl
1.035	CH ₃	4-trifluoromethylphenyl
1.036	CH ₃	4-trifluoromethoxyphenyl
1.037	CH ₃	4-difluoromethoxyphenyl
1.038	CH ₃	4-methylthiophenyl
1.039	CH ₃	4-methylsulfinylphenyl
1.040	CH ₃	4-methylsulfonylphenyl
1.041	CH ₃	4-trifluoromethylthiophenyl
1.042	CH ₃	4-trifluoromethylsulfinylphenyl
1.043	CH ₃	4-trifluoromethylsulfonylphenyl
1.044	CH ₃	2,3-difluorophenyl
1.045	CH ₃	2,4-difluorophenyl
1.046	CH ₃	2,5-difluorophenyl
1.047	CH ₃	2,6-difluorophenyl
1.048	CH ₃	3,4-difluorophenyl
1.049	CH ₃	3,5-difluorophenyl
1.050	CH ₃	2,3-dichlorophenyl
1.051	CH ₃	2,4-dichlorophenyl
1.052	CH ₃	2,5-dichlorophenyl
1.053	CH ₃	2,6-dichlorophenyl
1.054	CH ₃	3,4-dichlorophenyl
1.055	CH ₃	3,5-dichlorophenyl
1.056	CH ₃	4-bromo-2-fluorophenyl
1.057	CH ₃	4-bromo-3-fluorophenyl
1.058	CH ₃	4-bromo-2-chlorophenyl
1.059	CH ₃	4-bromo-3-chlorophenyl
1.060	CH ₃	4-chloro-2-cyanophenyl
1.061	CH ₃	4-chloro-3-cyanophenyl
1.062	CH ₃	4-chloro-2-fluorophenyl
1.063	CH ₃	4-chloro-3-fluorophenyl
1.064	CH ₃	4-chloro-2-methoxyphenyl
1.065	CH ₃	4-chloro-3-methoxyphenyl
1.066	CH ₃	4-chloro-2-methylphenyl

Compound Number	R ³	R ⁴
1.067	CH ₃	4-chloro-3-methylphenyl
1.068	CH ₃	4-chloro-2-trifluoromethylphenyl
1.069	CH ₃	4-chloro-3-trifluoromethylphenyl
1.070	CH ₃	2-chloro-4-methoxyphenyl
1.071	CH ₃	3-chloro-4-methoxyphenyl
1.072	CH ₃	2-chloro-4-methylphenyl
1.073	CH ₃	3-chloro-4-methylphenyl
1.074	CH ₃	2-fluoro-4-methoxyphenyl
1.075	CH ₃	3-fluoro-4-methoxyphenyl
1.076	CH ₃	2-fluoro-4-methylphenyl
1.077	CH ₃	2-fluoro-4-methylphenyl
1.078	CH ₃	2-fluoro-4-trifluoromethylphenyl
1.079	CH ₃	3-fluoro-4-trifluoromethylphenyl
1.080	CH ₃	2-chloropyridin-5-yl
1.081	CH ₃	3-chloropyridinyl-5-yl
1.082	CH ₃	2-methoxypyridin-5-yl
1.083	CH ₃	3-methoxypyridinyl-5-yl
1.084	CH ₃	2-methylpyridin-5-yl
1.085	CH ₃	3-methylpyridinyl-5-yl
1.086	CH ₃	2-trifluoromethylpyridin-5-yl
1.087	CH ₃	3-trifluoromethylpyridin-5-yl
1.088	CH ₃	2,6-dichloropyridin-3-yl
1.089	CH ₃	4-chloropyrazol-1-yl
1.090	CH ₃	2-thiophenyl
1.091	CH ₃	5-chlorothiophen-2-yl
1.092	CH ₃	5-bromothiophen-2-yl
1.093	CH ₃	3-thiophenyl
1.094	CH ₃	5-chlorothiophen-3-yl
1.095	CH ₃	5-bromothiophen-3-yl
1.096	CH ₃ CH ₂	phenyl
1.097	CH ₃ CH ₂	2-fluorophenyl
1.098	CH ₃ CH ₂	3-fluorophenyl
1.099	CH ₃ CH ₂	4-fluorophenyl

Compound Number	R ³	R ⁴
1.100	CH ₃ CH ₂	2-chlorophenyl
1.101	CH ₃ CH ₂	3-chlorophenyl
1.102	CH ₃ CH ₂	4-chlorophenyl
1.103	CH ₃ CH ₂	2-bromophenyl
1.104	CH ₃ CH ₂	3-bromophenyl
1.105	CH ₃ CH ₂	4-bromophenyl
1.106	CH ₃ CH ₂	2-iodophenyl
1.107	CH ₃ CH ₂	3-iodophenyl
1.108	CH ₃ CH ₂	4-iodophenyl
1.109	CH ₃ CH ₂	2-methylphenyl
1.110	CH ₃ CH ₂	3-methylphenyl
1.111	CH ₃ CH ₂	4-methylphenyl
1.112	CH ₃ CH ₂	2-cyanophenyl
1.113	CH ₃ CH ₂	3-cyanophenyl
1.114	CH ₃ CH ₂	4-cyanophenyl
1.115	CH ₃ CH ₂	2-methoxyphenyl
1.116	CH ₃ CH ₂	3-methoxyphenyl
1.117	CH ₃ CH ₂	4-methoxyphenyl
1.118	CH ₃ CH ₂	2-trifluoromethylphenyl
1.119	CH ₃ CH ₂	3-trifluoromethylphenyl
1.120	CH ₃ CH ₂	4-trifluoromethylphenyl
1.121	CH ₃ CH ₂	4-trifluoromethoxyphenyl
1.122	CH ₃ CH ₂	4-difluoromethoxyphenyl
1.123	CH ₃ CH ₂	4-methylthiophenyl
1.124	CH ₃ CH ₂	4-methylsulfinylphenyl
1.125	CH ₃ CH ₂	4-methylsulfonylphenyl
1.126	CH ₃ CH ₂	4-trifluoromethylthiophenyl
1.127	CH ₃ CH ₂	4-trifluoromethylsulfinylphenyl
1.128	CH ₃ CH ₂	4-trifluoromethylsulfonylphenyl
1.129	CH ₃ CH ₂	2,3-difluorophenyl
1.130	CH ₃ CH ₂	2,4-difluorophenyl
1.131	CH ₃ CH ₂	2,5-difluorophenyl
1.132	CH ₃ CH ₂	2,6-difluorophenyl

Compound Number	R ³	R ⁴
1.133	CH ₃ CH ₂	3,4-difluorophenyl
1.134	CH ₃ CH ₂	3,5-difluorophenyl
1.135	CH ₃ CH ₂	2,3-dichlorophenyl
1.136	CH ₃ CH ₂	2,4-dichlorophenyl
1.137	CH ₃ CH ₂	2,5-dichlorophenyl
1.138	CH ₃ CH ₂	2,6-dichlorophenyl
1.139	CH ₃ CH ₂	3,4-dichlorophenyl
1.140	CH ₃ CH ₂	3,5-dichlorophenyl
1.141	CH ₃ CH ₂	4-bromo-2-fluorophenyl
1.142	CH ₃ CH ₂	4-bromo-3-fluorophenyl
1.143	CH ₃ CH ₂	4-bromo-2-chlorophenyl
1.144	CH ₃ CH ₂	4-bromo-3-chlorophenyl
1.145	CH ₃ CH ₂	4-chloro-2-cyanophenyl
1.146	CH ₃ CH ₂	4-chloro-3-cyanophenyl
1.147	CH ₃ CH ₂	4-chloro-2-fluorophenyl
1.148	CH ₃ CH ₂	4-chloro-3-fluorophenyl
1.149	CH ₃ CH ₂	4-chloro-2-methoxyphenyl
1.150	CH ₃ CH ₂	4-chloro-3-methoxyphenyl
1.151	CH ₃ CH ₂	4-chloro-2-methylphenyl
1.152	CH ₃ CH ₂	4-chloro-3-methylphenyl
1.153	CH ₃ CH ₂	4-chloro-2-trifluoromethylphenyl
1.154	CH ₃ CH ₂	4-chloro-3-trifluoromethylphenyl
1.155	CH ₃ CH ₂	2-chloro-4-methoxyphenyl
1.156	CH ₃ CH ₂	3-chloro-4-methoxyphenyl
1.157	CH ₃ CH ₂	2-chloro-4-methylphenyl
1.158	CH ₃ CH ₂	3-chloro-4-methylphenyl
1.159	CH ₃ CH ₂	2-fluoro-4-methoxyphenyl
1.160	CH ₃ CH ₂	3-fluoro-4-methoxyphenyl
1.161	CH ₃ CH ₂	2-fluoro-4-methylphenyl
1.162	CH ₃ CH ₂	3-fluoro-4-methylphenyl
1.163	CH ₃ CH ₂	2-fluoro-4-trifluoromethylphenyl
1.164	CH ₃ CH ₂	3-fluoro-4-trifluoromethylphenyl
1.165	CH ₃ CH ₂	2-chloropyridin-5-yl

Compound Number	R ³	R ⁴
1.166	CH ₃ CH ₂	3-chloropyridinyl-5-yl
1.167	CH ₃ CH ₂	2-methoxypyridin-5-yl
1.168	CH ₃ CH ₂	3-methoxypyridinyl-5-yl
1.169	CH ₃ CH ₂	2-methylpyridin-5-yl
1.170	CH ₃ CH ₂	3-methylpyridinyl-5-yl
1.171	CH ₃ CH ₂	2-trifluoromethylpyridin-5-yl
1.172	CH ₃ CH ₂	3-trifluoromethylpyridin-5-yl
1.173	CH ₃ CH ₂	2,6-dichloropyridin-3-yl
1.174	CH ₃ CH ₂	4-chloropyrazol-1-yl
1.175	CH ₃ CH ₂	2-thiophenyl
1.176	CH ₃ CH ₂	5-chlorothiophen-2-yl
1.177	CH ₃ CH ₂	5-bromothiophen-2-yl
1.178	CH ₃ CH ₂	3-thiophenyl
1.179	CH ₃ CH ₂	5-chlorothiophen-3-yl
1.180	CH ₃ CH ₂	5-bromothiophen-3-yl

Table 2:

This table covers 180 compounds of the structural type T-1, wherein X is S, Z is C-H, D is CH₂, E is CH(CH₃), G is hydrogen, R¹ and R² are hydrogen and R³ and R⁴ are as defined in Table 1.

Table 3:

This table covers 180 compounds of the structural type T-1, wherein X is S, Z is C-H, D is CH₂, E is C(CH₃)₂, G is hydrogen, R¹ and R² are hydrogen and R³ and R⁴ are as defined in Table 1.

Table 4:

This table covers 180 compounds of the structural type T-1, wherein X is S, Z is C-H, D is CH₂, E is CH₂, G is hydrogen, R¹ is hydrogen, R² is methyl and R³ and R⁴ are as defined in Table 1.

Table 5:

This table covers 180 compounds of the structural type T-1, wherein X is S, Z is C-H, D is CH₂, E is CH₂, G is hydrogen, R¹ and R² are methyl and R³ and R⁴ are as defined in Table 1.

Table 6:

This table covers 180 compounds of the structural type T-1, wherein X is S, Z is C-H, D is CH₂, E is -CH=CH-, G is hydrogen, R¹ and R² are hydrogen and R³ and R⁴ are as defined in Table 1.

Table 7:

This table covers 180 compounds of the structural type T-1, wherein X is S, Z is C-H, D is CH₂, E is -CH₂-CH₂-, G is hydrogen, R¹ and R² are hydrogen and R³ and R⁴ are as defined in Table 1.

Table 8:

This table covers 180 compounds of the structural type T-1, wherein X is S, Z is C-H, D is $C(CH_3)_2$, E is $-CH=CH-$, G is hydrogen, R^1 and R^2 are hydrogen and R^3 and R^4 are as defined in Table 1.

Table 9:

This table covers 180 compounds of the structural type T-1, wherein X is S, Z is C-H, D is $C(CH_3)_2$, E is $-CH_2-CH_2-$, G is hydrogen, R^1 and R^2 are hydrogen and R^3 and R^4 are as defined in Table 1.

Table 10:

This table covers 180 compounds of the structural type T-1, wherein X is S, Z is C-H, D is CH_2 , E is $-CH=CH-$, G is hydrogen, R^1 is hydrogen, R^2 is methyl and R^3 and R^4 are as defined in Table 1.

Table 11:

This table covers 180 compounds of the structural type T-1, wherein X is S, Z is C-H, D is CH_2 , E is $-CH_2-CH_2-$, G is hydrogen, R^1 is hydrogen, R^2 is methyl and R^3 and R^4 are as defined in Table 1.

Table 12:

This table covers 180 compounds of the structural type T-1, wherein X is S, Z is C-H, D is CH_2 , E is $-CH=CH-$, G is hydrogen, R^1 and R^2 are methyl and R^3 and R^4 are as defined in Table 1.

Table 13:

This table covers 180 compounds of the structural type T-1, wherein X is S, Z is C-H, D is CH_2 , E is $-CH_2-CH_2-$, G is hydrogen, R^1 and R^2 are methyl and R^3 and R^4 are as defined in Table 1.

Table 14:

This table covers 180 compounds of the structural type T-1, wherein X is S, Z is C-H, D is $C(CH_3)_2$, E is $-CH=CH-$, G is hydrogen, R^1 is methyl, R^2 is hydrogen and R^3 and R^4 are as defined in Table 1.

Table 15:

This table covers 180 compounds of the structural type T-1, wherein X is S, Z is C-H, D is $C(CH_3)_2$, E is $-CH_2-CH_2-$, G is hydrogen, R^1 is methyl, R^2 is hydrogen and R^3 and R^4 are as defined in Table 1.

Table 16:

This table covers 180 compounds of the structural type T-1, wherein X is S, Z is C-H, D is $-CH_2CH_2-$, E is $-CH=CH-$, G is hydrogen, R^1 and R^2 are hydrogen and R^3 and R^4 are as defined in Table 1.

Table 17:

This table covers 180 compounds of the structural type T-1, wherein X is S, Z is C-H, D is $-\text{CH}_2-$, CH_2- , E is $-\text{CH}_2-\text{CH}_2-$, G is hydrogen, R^1 and R^2 are hydrogen and R^3 and R^4 are as defined in Table 1.

Table 18:

This table covers 180 compounds of the structural type T-1, wherein X is S, Z is N, D is CH_2 , E is CH_2 , G is hydrogen, R^1 and R^2 are hydrogen and R^3 and R^4 are as defined in Table 1.

Table 19:

This table covers 180 compounds of the structural type T-1, wherein X is S, Z is N, D is CH_2 , E is $\text{CH}(\text{CH}_3)$, G is hydrogen, R^1 and R^2 are hydrogen and R^3 and R^4 are as defined in Table 1.

Table 20:

This table covers 180 compounds of the structural type T-1, wherein X is S, Z is N, D is CH_2 , E is $\text{C}(\text{CH}_3)_2$, G is hydrogen, R^1 and R^2 are hydrogen and R^3 and R^4 are as defined in Table 1.

Table 21:

This table covers 180 compounds of the structural type T-1, wherein X is S, Z is N, D is CH_2 , E is CH_2 , G is hydrogen, R^1 is hydrogen, R^2 is methyl and R^3 and R^4 are as defined in Table 1.

Table 22:

This table covers 180 compounds of the structural type T-1, wherein X is S, Z is N, D is CH_2 , E is CH_2 , G is hydrogen, R^1 and R^2 are methyl and R^3 and R^4 are as defined in Table 1.

Table 23:

This table covers 180 compounds of the structural type T-1, wherein X is S, Z is N, D is CH_2 , E is $-\text{CH}=\text{CH}-$, G is hydrogen, R^1 and R^2 are hydrogen and R^3 and R^4 are as defined in Table 1.

Table 24:

This table covers 180 compounds of the structural type T-1, wherein X is S, Z is N, D is CH_2 , E is $-\text{CH}_2-\text{CH}_2-$, G is hydrogen, R^1 and R^2 are hydrogen and R^3 and R^4 are as defined in Table 1.

Table 25:

This table covers 180 compounds of the structural type T-1, wherein X is S, Z is N, D is $\text{C}(\text{CH}_3)_2$, E is $-\text{CH}=\text{CH}-$, G is hydrogen, R^1 and R^2 are hydrogen and R^3 and R^4 are as defined in Table 1.

Table 26:

This table covers 180 compounds of the structural type T-1, wherein X is S, Z is N, D is $\text{C}(\text{CH}_2)_2$, E is $-\text{CH}_2-\text{CH}_2-$, G is hydrogen, R^1 and R^2 are hydrogen and R^3 and R^4 are as defined in Table 1.

Table 27:

This table covers 180 compounds of the structural type T-1, wherein X is S, Z is N, D is CH_2 , E is $-\text{CH}=\text{CH}-$, G is hydrogen, R^1 is hydrogen, R^2 is methyl and R^3 and R^4 are as defined in Table 1.

Table 28:

This table covers 180 compounds of the structural type T-1, wherein X is S, Z is N, D is CH_2 , E is $-\text{CH}_2-\text{CH}_2-$, G is hydrogen, R^1 is hydrogen, R^2 is methyl and R^3 and R^4 are as defined in Table 1.

Table 29:

This table covers 180 compounds of the structural type T-1, wherein X is S, Z is N, D is CH₂, E is -CH=CH-, G is hydrogen, R¹ and R² are methyl and R³ and R⁴ are as defined in Table 1.

Table 30:

This table covers 180 compounds of the structural type T-1, wherein X is S, Z is N, D is CH₂, E is -CH₂-CH₂-, G is hydrogen, R¹ and R² are methyl and R³ and R⁴ are as defined in Table 1.

Table 31:

This table covers 180 compounds of the structural type T-1, wherein X is S, Z is N, D is C(CH₃)₂, E is -CH=CH-, G is hydrogen, R¹ is methyl, R² is hydrogen and R³ and R⁴ are as defined in Table 1.

Table 32:

This table covers 180 compounds of the structural type T-1, wherein X is S, Z is N, D is C(CH₃)₂, E is -CH₂-CH₂-, G is hydrogen, R¹ is methyl, R² is hydrogen and R³ and R⁴ are as defined in Table 1.

Table 33:

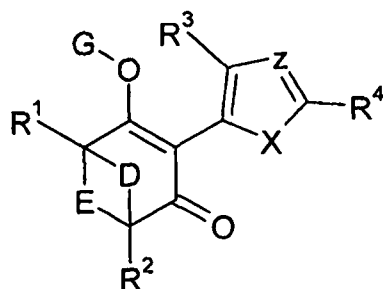
This table covers 180 compounds of the structural type T-1, wherein X is S, Z is N, D is -CH₂CH₂-, E is -CH=CH-, G is hydrogen, R¹ and R² are hydrogen and R³ and R⁴ are as defined in Table 1.

Table 34:

This table covers 180 compounds of the structural type T-1, wherein X is S, Z is N, D is -CH₂-CH₂-, E is -CH₂-CH₂-, G is hydrogen, R¹ and R² are hydrogen and R³ and R⁴ are as defined in Table 1.

Table 35:

This table covers 180 compounds of the structural type T-2:



T-2

wherein X is S, Z is C-H, D is CH₂, E is CH₂, G is hydrogen, R¹ and R² are hydrogen and R³ and R⁴ are as defined in Table 1.

Table 36:

This table covers 180 compounds of the structural type T-2, wherein X is S, Z is C-H, D is CH₂, E is CH(CH₃), G is hydrogen, R¹ and R² are hydrogen and R³ and R⁴ are as defined in Table 1.

Table 37:

This table covers 180 compounds of the structural type T-2, wherein X is S, Z is C-H, D is CH₂, E is C(CH₃)₂, G is hydrogen, R¹ and R² are hydrogen and R³ and R⁴ are as defined in Table 1.

Table 38:

This table covers 180 compounds of the structural type T-2, wherein X is S, Z is C-H, D is CH₂, E is CH₂, G is hydrogen, R¹ is hydrogen, R² is methyl and R³ and R⁴ are as defined in Table 1.

Table 39:

This table covers 180 compounds of the structural type T-2, wherein X is S, Z is C-H, D is CH₂, E is CH₂, G is hydrogen, R¹ and R² are methyl and R³ and R⁴ are as defined in Table 1.

Table 40:

This table covers 180 compounds of the structural type T-2, wherein X is S, Z is C-H, D is CH₂, E is -CH=CH-, G is hydrogen, R¹ and R² are hydrogen and R³ and R⁴ are as defined in Table 1.

Table 41:

This table covers 180 compounds of the structural type T-2, wherein X is S, Z is C-H, D is CH₂, E is -CH₂-CH₂-, G is hydrogen, R¹ and R² are hydrogen and R³ and R⁴ are as defined in Table 1.

Table 42:

This table covers 180 compounds of the structural type T-2, wherein X is S, Z is C-H, D is C(CH₃)₂, E is -CH=CH-, G is hydrogen, R¹ and R² are hydrogen and R³ and R⁴ are as defined in Table 1.

Table 43:

This table covers 180 compounds of the structural type T-2, wherein X is S, Z is C-H, D is C(CH₃)₂, E is -CH₂-CH₂-, G is hydrogen, R¹ and R² are hydrogen and R³ and R⁴ are as defined in Table 1.

Table 44:

This table covers 180 compounds of the structural type T-2, wherein X is S, Z is C-H, D is CH₂, E is -CH=CH-, G is hydrogen, R¹ is hydrogen, R² is methyl and R³ and R⁴ are as defined in Table 1.

Table 45:

This table covers 180 compounds of the structural type T-2, wherein X is S, Z is C-H, D is CH₂, E is -CH₂-CH₂-, G is hydrogen, R¹ is hydrogen, R² is methyl and R³ and R⁴ are as defined in Table 1.

Table 46:

This table covers 180 compounds of the structural type T-2, wherein X is S, Z is C-H, D is CH₂, E is -CH=CH-, G is hydrogen, R¹ and R² are methyl and R³ and R⁴ are as defined in Table 1.

Table 47:

This table covers 180 compounds of the structural type T-2, wherein X is S, Z is C-H, D is CH₂, E is -CH₂-CH₂-, G is hydrogen, R¹ and R² are methyl and R³ and R⁴ are as defined in Table 1.

Table 48:

This table covers 180 compounds of the structural type T-2, wherein X is S, Z is C-H, D is C(CH₃)₂, E is -CH=CH-, G is hydrogen, R¹ is methyl, R² is hydrogen and R³ and R⁴ are as defined in Table 1.

Table 49:

This table covers 180 compounds of the structural type T-2, wherein X is S, Z is C-H, D is C(CH₃)₂, E is -CH₂-CH₂-, G is hydrogen, R¹ is methyl, R² is hydrogen and R³ and R⁴ are as defined in Table 1.

Table 50:

This table covers 180 compounds of the structural type T-2, wherein X is S, Z is C-H, D is -CH₂CH₂-, E is -CH=CH-, G is hydrogen, R¹ and R² are hydrogen and R³ and R⁴ are as defined in Table 1.

Table 51:

This table covers 180 compounds of the structural type T-2, wherein X is S, Z is C-H, D is -CH₂-CH₂-, E is -CH₂-CH₂-, G is hydrogen, R¹ and R² are hydrogen and R³ and R⁴ are as defined in Table 1.

Table 52:

This table covers 180 compounds of the structural type T-2, wherein X is S, Z is N, D is CH₂, E is CH₂, G is hydrogen, R¹ and R² are hydrogen and R³ and R⁴ are as defined in Table 1.

Table 53:

This table covers 180 compounds of the structural type T-2, wherein X is S, Z is N, D is CH₂, E is CH(CH₃), G is hydrogen, R¹ and R² are hydrogen and R³ and R⁴ are as defined in Table 1.

Table 54:

This table covers 180 compounds of the structural type T-2, wherein X is S, Z is N, D is CH₂, E is C(CH₃)₂, G is hydrogen, R¹ and R² are hydrogen and R³ and R⁴ are as defined in Table 1.

Table 55:

This table covers 180 compounds of the structural type T-2, wherein X is S, Z is N, D is CH₂, E is CH₂, G is hydrogen, R¹ is hydrogen, R² is methyl and R³ and R⁴ are as defined in Table 1.

Table 56:

This table covers 180 compounds of the structural type T-2, wherein X is S, Z is N, D is CH₂, E is CH₂, G is hydrogen, R¹ and R² are methyl and R³ and R⁴ are as defined in Table 1.

Table 57:

This table covers 180 compounds of the structural type T-2, wherein X is S, Z is N, D is CH₂, E is -CH=CH-, G is hydrogen, R¹ and R² are hydrogen and R³ and R⁴ are as defined in Table 1.

Table 58:

This table covers 180 compounds of the structural type T-2, wherein X is S, Z is N, D is CH₂, E is -CH₂-CH₂-, G is hydrogen, R¹ and R² are hydrogen and R³ and R⁴ are as defined in Table 1.

Table 59:

This table covers 180 compounds of the structural type T-2, wherein X is S, Z is N, D is C(CH₃)₂, E is -CH=CH-, G is hydrogen, R¹ and R² are hydrogen and R³ and R⁴ are as defined in Table 1.

Table 60:

This table covers 180 compounds of the structural type T-2, wherein X is S, Z is N, D is C(CH₃)₂, E is -CH₂-CH₂-, G is hydrogen, R¹ and R² are hydrogen and R³ and R⁴ are as defined in Table 1.

Table 61:

This table covers 180 compounds of the structural type T-2, wherein X is S, Z is N, D is CH₂, E is -CH=CH-, G is hydrogen, R¹ is hydrogen, R² is methyl and R³ and R⁴ are as defined in Table 1.

Table 62:

This table covers 180 compounds of the structural type T-2, wherein X is S, Z is N, D is CH₂, E is -CH₂-CH₂-, G is hydrogen, R¹ is hydrogen, R² is methyl and R³ and R⁴ are as defined in Table 1.

Table 63:

This table covers 180 compounds of the structural type T-2, wherein X is S, Z is N, D is CH₂, E is -CH=CH-, G is hydrogen, R¹ and R² are methyl and R³ and R⁴ are as defined in Table 1.

Table 64:

This table covers 180 compounds of the structural type T-2, wherein X is S, Z is N, D is CH₂, E is -CH₂-CH₂-, G is hydrogen, R¹ and R² are methyl and R³ and R⁴ are as defined in Table 1.

Table 65:

This table covers 180 compounds of the structural type T-2, wherein X is S, Z is N, D is C(CH₃)₂, E is -CH=CH-, G is hydrogen, R¹ is methyl, R² is hydrogen and R³ and R⁴ are as defined in Table 1.

Table 66:

This table covers 180 compounds of the structural type T-2, wherein X is S, Z is N, D is C(CH₃)₂, E is -CH₂-CH₂-, G is hydrogen, R¹ is methyl, R² is hydrogen and R³ and R⁴ are as defined in Table 1.

Table 67:

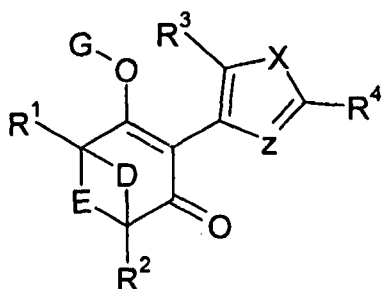
This table covers 180 compounds of the structural type T-2, wherein X is S, Z is N, D is -CH₂CH₂-, E is -CH=CH-, G is hydrogen, R¹ and R² are hydrogen and R³ and R⁴ are as defined in Table 1.

Table 68:

This table covers 180 compounds of the structural type T-2, wherein X is S, Z is N, D is $-\text{CH}_2-\text{CH}_2-$, E is $-\text{CH}_2-\text{CH}_2-$, G is hydrogen, R^1 and R^2 are hydrogen and R^3 and R^4 are as defined in Table 1.

Table 69:

This table covers 180 compounds of the structural type T-1:



T-1

wherein X is Se, Z is C-H, D is CH_2 , E is CH_2 , G is hydrogen, R^1 and R^2 are hydrogen and R^3 and R^4 are as defined in Table T1.

Table 70:

This table covers 180 compounds of the structural type T-1, wherein X is Se, Z is C-H, D is CH_2 , E is $\text{CH}(\text{CH}_3)$, G is hydrogen, R^1 and R^2 are hydrogen and R^3 and R^4 are as defined in Table 1.

Table 71:

This table covers 180 compounds of the structural type T-1, wherein X is Se, Z is C-H, D is CH_2 , E is $\text{C}(\text{CH}_3)_2$, G is hydrogen, R^1 and R^2 are hydrogen and R^3 and R^4 are as defined in Table 1.

Table 72:

This table covers 180 compounds of the structural type T-1, wherein X is Se, Z is C-H, D is CH_2 , E is CH_2 , G is hydrogen, R^1 is hydrogen, R^2 is methyl and R^3 and R^4 are as defined in Table 1.

Table 73:

This table covers 180 compounds of the structural type T-1, wherein X is Se, Z is C-H, D is CH_2 , E is CH_2 , G is hydrogen, R^1 and R^2 are methyl and R^3 and R^4 are as defined in Table 1.

Table 74:

This table covers 180 compounds of the structural type T-1, wherein X is Se, Z is C-H, D is CH_2 , E is $-\text{CH}=\text{CH}-$, G is hydrogen, R^1 and R^2 are hydrogen and R^3 and R^4 are as defined in Table 1.

Table 75:

This table covers 180 compounds of the structural type T-1, wherein X is Se, Z is C-H, D is CH_2 , E is $-\text{CH}_2-\text{CH}_2-$, G is hydrogen, R^1 and R^2 are hydrogen and R^3 and R^4 are as defined in Table 1.

Table 76:

This table covers 180 compounds of the structural type T-1, wherein X is Se, Z is C-H, D is $C(CH_3)_2$, E is $-CH=CH-$, G is hydrogen, R^1 and R^2 are hydrogen and R^3 and R^4 are as defined in Table 1.

Table 77:

This table covers 180 compounds of the structural type T-1, wherein X is Se, Z is C-H, D is $C(CH_3)_2$, E is $-CH_2-CH_2-$, G is hydrogen, R^1 and R^2 are hydrogen and R^3 and R^4 are as defined in Table 1.

Table 78:

This table covers 180 compounds of the structural type T-1, wherein X is Se, Z is C-H, D is CH_2 , E is $-CH=CH-$, G is hydrogen, R^1 is hydrogen, R^2 is methyl and R^3 and R^4 are as defined in Table 1.

Table 79:

This table covers 180 compounds of the structural type T-1, wherein X is Se, Z is C-H, D is CH_2 , E is $-CH_2-CH_2-$, G is hydrogen, R^1 is hydrogen, R^2 is methyl and R^3 and R^4 are as defined in Table 1.

Table 80:

This table covers 180 compounds of the structural type T-1, wherein X is Se, Z is C-H, D is CH_2 , E is $-CH=CH-$, G is hydrogen, R^1 and R^2 are methyl and R^3 and R^4 are as defined in Table 1.

Table 81:

This table covers 180 compounds of the structural type T-1, wherein X is Se, Z is C-H, D is CH_2 , E is $-CH_2-CH_2-$, G is hydrogen, R^1 and R^2 are methyl and R^3 and R^4 are as defined in Table 1.

Table 82:

This table covers 180 compounds of the structural type T-1, wherein X is Se, Z is C-H, D is $C(CH_3)_2$, E is $-CH=CH-$, G is hydrogen, R^1 is methyl, R^2 is hydrogen and R^3 and R^4 are as defined in Table 1.

Table 83:

This table covers 180 compounds of the structural type T-1, wherein X is Se, Z is C-H, D is $C(CH_3)_2$, E is $-CH_2-CH_2-$, G is hydrogen, R^1 is methyl, R^2 is hydrogen and R^3 and R^4 are as defined in Table 1.

Table 84:

This table covers 180 compounds of the structural type T-1, wherein X is Se, Z is C-H, D is $-CH_2CH_2-$, E is $-CH=CH-$, G is hydrogen, R^1 and R^2 are hydrogen and R^3 and R^4 are as defined in Table 1.

Table 85:

This table covers 180 compounds of the structural type T-1, wherein X is Se, Z is C-H, D is $-\text{CH}_2-\text{CH}_2-$, E is $-\text{CH}_2-\text{CH}_2-$, G is hydrogen, R^1 and R^2 are hydrogen and R^3 and R^4 are as defined in Table 1.

Table 86:

This table covers 180 compounds of the structural type T-1, wherein X is Se, Z is N, D is CH_2 , E is CH_2 , G is hydrogen, R^1 and R^2 are hydrogen and R^3 and R^4 are as defined in Table 1.

Table 87:

This table covers 180 compounds of the structural type T-1, wherein X is Se, Z is N, D is CH_2 , E is $\text{CH}(\text{CH}_3)$, G is hydrogen, R^1 and R^2 are hydrogen and R^3 and R^4 are as defined in Table 1.

Table 88:

This table covers 180 compounds of the structural type T-1, wherein X is Se, Z is N, D is CH_2 , E is $\text{C}(\text{CH}_3)_2$, G is hydrogen, R^1 and R^2 are hydrogen and R^3 and R^4 are as defined in Table 1.

Table 89:

This table covers 180 compounds of the structural type T-1, wherein X is Se, Z is N, D is CH_2 , E is CH_2 , G is hydrogen, R^1 is hydrogen, R^2 is methyl and R^3 and R^4 are as defined in Table 1.

Table 90:

This table covers 180 compounds of the structural type T-1, wherein X is Se, Z is N, D is CH_2 , E is CH_2 , G is hydrogen, R^1 and R^2 are methyl and R^3 and R^4 are as defined in Table 1.

Table 91:

This table covers 180 compounds of the structural type T-1, wherein X is Se, Z is N, D is CH_2 , E is $-\text{CH}=\text{CH}-$, G is hydrogen, R^1 and R^2 are hydrogen and R^3 and R^4 are as defined in Table 1.

Table 92:

This table covers 180 compounds of the structural type T-1, wherein X is Se, Z is N, D is CH_2 , E is $-\text{CH}_2-\text{CH}_2-$, G is hydrogen, R^1 and R^2 are hydrogen and R^3 and R^4 are as defined in Table 1.

Table 93:

This table covers 180 compounds of the structural type T-1, wherein X is Se, Z is N, D is $\text{C}(\text{CH}_3)_2$, E is $-\text{CH}=\text{CH}-$, G is hydrogen, R^1 and R^2 are hydrogen and R^3 and R^4 are as defined in Table 1.

Table 94:

This table covers 180 compounds of the structural type T-1, wherein X is Se, Z is N, D is $\text{C}(\text{CH}_3)_2$, E is $-\text{CH}_2-\text{CH}_2-$, G is hydrogen, R^1 and R^2 are hydrogen and R^3 and R^4 are as defined in Table 1.

Table 95:

This table covers 180 compounds of the structural type T-1, wherein X is Se, Z is N, D is CH_2 , E is $-\text{CH}=\text{CH}-$, G is hydrogen, R^1 is hydrogen, R^2 is methyl and R^3 and R^4 are as defined in Table 1.

Table 96:

This table covers 180 compounds of the structural type T-1, wherein X is Se, Z is N, D is CH₂, E is -CH₂-CH₂-, G is hydrogen, R¹ is hydrogen, R² is methyl and R³ and R⁴ are as defined in Table 1.

Table 97:

This table covers 180 compounds of the structural type T-1, wherein X is Se, Z is N, D is CH₂, E is -CH=CH-, G is hydrogen, R¹ and R² are methyl and R³ and R⁴ are as defined in Table 1.

Table 98:

This table covers 180 compounds of the structural type T-1, wherein X is Se, Z is N, D is CH₂, E is -CH₂-CH₂-, G is hydrogen, R¹ and R² are methyl and R³ and R⁴ are as defined in Table 1.

Table 99:

This table covers 180 compounds of the structural type T-1, wherein X is Se, Z is N, D is C(CH₃)₂, E is -CH=CH-, G is hydrogen, R¹ is methyl, R² is hydrogen and R³ and R⁴ are as defined in Table 1.

Table 100:

This table covers 180 compounds of the structural type T-1, wherein X is Se, Z is N, D is C(CH₃)₂, E is -CH₂-CH₂-, G is hydrogen, R¹ is methyl, R² is hydrogen and R³ and R⁴ are as defined in Table 1.

Table 101:

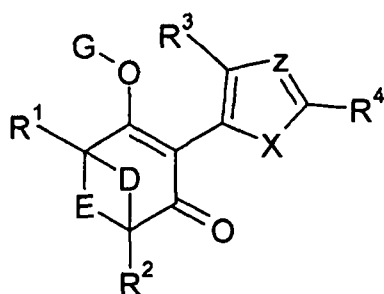
This table covers 180 compounds of the structural type T-1, wherein X is Se, Z is N, D is -CH₂CH₂-, E is -CH=CH-, G is hydrogen, R¹ and R² are hydrogen and R³ and R⁴ are as defined in Table 1.

Table 102:

This table covers 180 compounds of the structural type T-1, wherein X is Se, Z is N, D is -CH₂-CH₂-, E is -CH₂-CH₂-, G is hydrogen, R¹ and R² are hydrogen and R³ and R⁴ are as defined in Table 1.

Table 103:

This table covers 180 compounds of the structural type T-2:



T-2

wherein X is Se, Z is C-H, D is CH₂, E is CH₂, G is hydrogen, R¹ and R² are hydrogen and R³ and R⁴ are as defined in Table 1.

Table 104:

This table covers 180 compounds of the structural type T-2, wherein X is Se, Z is C-H, D is CH₂, E is CH(CH₃), G is hydrogen, R¹ and R² are hydrogen and R³ and R⁴ are as defined in Table 1.

Table 105:

This table covers 180 compounds of the structural type T-2, wherein X is Se, Z is C-H, D is CH₂, E is C(CH₃)₂, G is hydrogen, R¹ and R² are hydrogen and R³ and R⁴ are as defined in Table 1.

Table 106:

This table covers 180 compounds of the structural type T-2, wherein X is Se, Z is C-H, D is CH₂, E is CH₂, G is hydrogen, R¹ is hydrogen, R² is methyl and R³ and R⁴ are as defined in Table 1.

Table 107:

This table covers 180 compounds of the structural type T-2, wherein X is Se, Z is C-H, D is CH₂, E is CH₂, G is hydrogen, R¹ and R² are methyl and R³ and R⁴ are as defined in Table 1.

Table 108:

This table covers 180 compounds of the structural type T-2, wherein X is Se, Z is C-H, D is CH₂, E is -CH=CH-, G is hydrogen, R¹ and R² are hydrogen and R³ and R⁴ are as defined in Table 1.

Table 109:

This table covers 180 compounds of the structural type T-2, wherein X is Se, Z is C-H, D is CH₂, E is -CH₂-CH₂-, G is hydrogen, R¹ and R² are hydrogen and R³ and R⁴ are as defined in Table 1.

Table 110:

This table covers 180 compounds of the structural type T-2, wherein X is Se, Z is C-H, D is C(CH₃)₂, E is -CH=CH-, G is hydrogen, R¹ and R² are hydrogen and R³ and R⁴ are as defined in Table 1.

Table 111:

This table covers 180 compounds of the structural type T-2, wherein X is Se, Z is C-H, D is C(CH₃)₂, E is -CH₂-CH₂-, G is hydrogen, R¹ and R² are hydrogen and R³ and R⁴ are as defined in Table 1.

Table 112:

This table covers 180 compounds of the structural type T-2, wherein X is Se, Z is C-H, D is CH₂, E is -CH=CH-, G is hydrogen, R¹ is hydrogen, R² is methyl and R³ and R⁴ are as defined in Table 1.

Table 113:

This table covers 180 compounds of the structural type T-2, wherein X is Se, Z is C-H, D is CH₂, E is -CH₂-CH₂-, G is hydrogen, R¹ is hydrogen, R² is methyl and R³ and R⁴ are as defined in Table 1.

Table 114:

This table covers 180 compounds of the structural type T-2, wherein X is Se, Z is C-H, D is CH₂, E is -CH=CH-, G is hydrogen, R¹ and R² are methyl and R³ and R⁴ are as defined in Table 1.

Table 115:

This table covers 180 compounds of the structural type T-2, wherein X is Se, Z is C-H, D is CH₂, E is -CH₂-CH₂-, G is hydrogen, R¹ and R² are methyl and R³ and R⁴ are as defined in Table 1.

Table 116:

This table covers 180 compounds of the structural type T-2, wherein X is Se, Z is C-H, D is C(CH₃)₂, E is -CH=CH-, G is hydrogen, R¹ is methyl, R² is hydrogen and R³ and R⁴ are as defined in Table 1.

Table 117:

This table covers 180 compounds of the structural type T-2, wherein X is Se, Z is C-H, D is C(CH₃)₂, E is -CH₂-CH₂-, G is hydrogen, R¹ is methyl, R² is hydrogen and R³ and R⁴ are as defined in Table 1.

Table 118:

This table covers 180 compounds of the structural type T-2, wherein X is Se, Z is C-H, D is -CH₂CH₂-, E is -CH=CH-, G is hydrogen, R¹ and R² are hydrogen and R³ and R⁴ are as defined in Table 1.

Table 119:

This table covers 180 compounds of the structural type T-2, wherein X is Se, Z is C-H, D is -CH₂-CH₂-, E is -CH₂-CH₂-, G is hydrogen, R¹ and R² are hydrogen and R³ and R⁴ are as defined in Table 1.

Table 120:

This table covers 180 compounds of the structural type T-2, wherein X is Se, Z is N, D is CH₂, E is CH₂, G is hydrogen, R¹ and R² are hydrogen and R³ and R⁴ are as defined in Table 1.

Table 121:

This table covers 180 compounds of the structural type T-2, wherein X is Se, Z is N, D is CH₂, E is CH(CH₃), G is hydrogen, R¹ and R² are hydrogen and R³ and R⁴ are as defined in Table 1.

Table 122:

This table covers 180 compounds of the structural type T-2, wherein X is Se, Z is N, D is CH₂, E is C(CH₃)₂, G is hydrogen, R¹ and R² are hydrogen and R³ and R⁴ are as defined in Table 1.

Table 123:

This table covers 180 compounds of the structural type T-2, wherein X is Se, Z is N, D is CH₂, E is CH₂, G is hydrogen, R¹ is hydrogen, R² is methyl and R³ and R⁴ are as defined in Table 1.

Table 124:

This table covers 180 compounds of the structural type T-2, wherein X is Se, Z is N, D is CH₂, E is CH₂, G is hydrogen, R¹ and R² are methyl and R³ and R⁴ are as defined in Table 1.

Table 125:

This table covers 180 compounds of the structural type T-2, wherein X is Se, Z is N, D is CH₂, E is -CH=CH-, G is hydrogen, R¹ and R² are hydrogen and R³ and R⁴ are as defined in Table 1.

Table 126:

This table covers 180 compounds of the structural type T-2, wherein X is Se, Z is N, D is CH₂, E is -CH₂-CH₂-, G is hydrogen, R¹ and R² are hydrogen and R³ and R⁴ are as defined in Table 1.

Table 127:

This table covers 180 compounds of the structural type T-2, wherein X is Se, Z is N, D is C(CH₃)₂, E is -CH=CH-, G is hydrogen, R¹ and R² are hydrogen and R³ and R⁴ are as defined in Table 1.

Table 128:

This table covers 180 compounds of the structural type T-2, wherein X is Se, Z is N, D is C(CH₃)₂, E is -CH₂-CH₂-, G is hydrogen, R¹ and R² are hydrogen and R³ and R⁴ are as defined in Table 1.

Table 129:

This table covers 180 compounds of the structural type T-2, wherein X is Se, Z is N, D is CH₂, E is -CH=CH-, G is hydrogen, R¹ is hydrogen, R² is methyl and R³ and R⁴ are as defined in Table 1.

Table 130:

This table covers 180 compounds of the structural type T-2, wherein X is Se, Z is N, D is CH₂, E is -CH₂-CH₂-, G is hydrogen, R¹ is hydrogen, R² is methyl and R³ and R⁴ are as defined in Table 1.

Table 131:

This table covers 180 compounds of the structural type T-2, wherein X is Se, Z is N, D is CH₂, E is -CH=CH-, G is hydrogen, R¹ and R² are methyl and R³ and R⁴ are as defined in Table 1.

Table 132:

This table covers 180 compounds of the structural type T-2, wherein X is Se, Z is N, D is CH₂, E is -CH₂-CH₂-, G is hydrogen, R¹ and R² are methyl and R³ and R⁴ are as defined in Table 1.

Table 133:

This table covers 180 compounds of the structural type T-2, wherein X is Se, Z is N, D is C(CH₃)₂, E is -CH=CH-, G is hydrogen, R¹ is methyl, R² is hydrogen and R³ and R⁴ are as defined in Table 1.

Table 134:

This table covers 180 compounds of the structural type T-2, wherein X is Se, Z is N, D is C(CH₃)₂, E is -CH₂-CH₂-, G is hydrogen, R¹ is methyl, R² is hydrogen and R³ and R⁴ are as defined in Table 1.

Table 135:

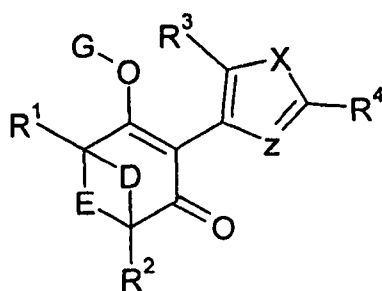
This table covers 180 compounds of the structural type T-2, wherein X is Se, Z is N, D is -CH₂CH₂-, E is -CH=CH-, G is hydrogen, R¹ and R² are hydrogen and R³ and R⁴ are as defined in Table 1.

Table 136:

This table covers 180 compounds of the structural type T-2, wherein X is Se, Z is N, D is -CH₂-CH₂-, E is -CH₂-CH₂-, G is hydrogen, R¹ and R² are hydrogen and R³ and R⁴ are as defined in Table 1.

Table 137:

This table covers 180 compounds of the structural type T-1:



T-1

wherein X is O, Z is C-H, D is CH₂, E is CH₂, G is hydrogen, R¹ and R² are hydrogen and R³ and R⁴ are as defined in Table T1.

Table 138:

This table covers 180 compounds of the structural type T-1, wherein X is O, Z is C-H, D is CH₂, E is CH(CH₃), G is hydrogen, R¹ and R² are hydrogen and R³ and R⁴ are as defined in Table 1.

Table 139:

This table covers 180 compounds of the structural type T-1, wherein X is O, Z is C-H, D is CH₂, E is C(CH₃)₂, G is hydrogen, R¹ and R² are hydrogen and R³ and R⁴ are as defined in Table 1.

Table 140:

This table covers 180 compounds of the structural type T-1, wherein X is O, Z is C-H, D is CH₂, E is CH₂, G is hydrogen, R¹ is hydrogen, R² is methyl and R³ and R⁴ are as defined in Table 1.

Table 141:

This table covers 180 compounds of the structural type T-1, wherein X is O, Z is C-H, D is CH₂, E is CH₂, G is hydrogen, R¹ and R² are methyl and R³ and R⁴ are as defined in Table 1.

Table 142:

This table covers 180 compounds of the structural type T-1, wherein X is O, Z is C-H, D is CH₂, E is -CH=CH-, G is hydrogen, R¹ and R² are hydrogen and R³ and R⁴ are as defined in Table 1.

Table 143:

This table covers 180 compounds of the structural type T-1, wherein X is O, Z is C-H, D is CH₂, E is -CH₂-CH₂-, G is hydrogen, R¹ and R² are hydrogen and R³ and R⁴ are as defined in Table 1.

Table 144:

This table covers 180 compounds of the structural type T-1, wherein X is O, Z is C-H, D is C(CH₃)₂, E is -CH=CH-, G is hydrogen, R¹ and R² are hydrogen and R³ and R⁴ are as defined in Table 1.

Table 145:

This table covers 180 compounds of the structural type T-1, wherein X is O, Z is C-H, D is C(CH₃)₂, E is -CH₂-CH₂-, G is hydrogen, R¹ and R² are hydrogen and R³ and R⁴ are as defined in Table 1.

Table 146:

This table covers 180 compounds of the structural type T-1, wherein X is O, Z is C-H, D is CH₂, E is -CH=CH-, G is hydrogen, R¹ is hydrogen, R² is methyl and R³ and R⁴ are as defined in Table 1.

Table 147:

This table covers 180 compounds of the structural type T-1, wherein X is O, Z is C-H, D is CH₂, E is -CH₂-CH₂-, G is hydrogen, R¹ is hydrogen, R² is methyl and R³ and R⁴ are as defined in Table 1.

Table 148:

This table covers 180 compounds of the structural type T-1, wherein X is O, Z is C-H, D is CH₂, E is -CH=CH-, G is hydrogen, R¹ and R² are methyl and R³ and R⁴ are as defined in Table 1.

Table 149:

This table covers 180 compounds of the structural type T-1, wherein X is O, Z is C-H, D is CH₂, E is -CH₂-CH₂-, G is hydrogen, R¹ and R² are methyl and R³ and R⁴ are as defined in Table 1.

Table 150:

This table covers 180 compounds of the structural type T-1, wherein X is O, Z is C-H, D is $C(CH_3)_2$, E is $-CH=CH-$, G is hydrogen, R^1 is methyl, R^2 is hydrogen and R^3 and R^4 are as defined in Table 1.

Table 151:

This table covers 180 compounds of the structural type T-1, wherein X is O, Z is C-H, D is $C(CH_3)_2$, E is $-CH_2-CH_2-$, G is hydrogen, R^1 is methyl, R^2 is hydrogen and R^3 and R^4 are as defined in Table 1.

Table 152:

This table covers 180 compounds of the structural type T-1, wherein X is O, Z is C-H, D is $-CH_2CH_2-$, E is $-CH=CH-$, G is hydrogen, R^1 and R^2 are hydrogen and R^3 and R^4 are as defined in Table 1.

Table 153:

This table covers 180 compounds of the structural type T-1, wherein X is O, Z is C-H, D is $-CH_2-CH_2-$, E is $-CH_2-CH_2-$, G is hydrogen, R^1 and R^2 are hydrogen and R^3 and R^4 are as defined in Table 1.

Table 154:

This table covers 180 compounds of the structural type T-1, wherein X is O, Z is N, D is CH_2 , E is CH_2 , G is hydrogen, R^1 and R^2 are hydrogen and R^3 and R^4 are as defined in Table 1.

Table 155:

This table covers 180 compounds of the structural type T-1, wherein X is O, Z is N, D is CH_2 , E is $CH(CH_3)$, G is hydrogen, R^1 and R^2 are hydrogen and R^3 and R^4 are as defined in Table 1.

Table 156:

This table covers 180 compounds of the structural type T-1, wherein X is O, Z is N, D is CH_2 , E is $C(CH_3)_2$, G is hydrogen, R^1 and R^2 are hydrogen and R^3 and R^4 are as defined in Table 1.

Table 157:

This table covers 180 compounds of the structural type T-1, wherein X is O, Z is N, D is CH_2 , E is CH_2 , G is hydrogen, R^1 is hydrogen, R^2 is methyl and R^3 and R^4 are as defined in Table 1.

Table 158:

This table covers 180 compounds of the structural type T-1, wherein X is O, Z is N, D is CH_2 , E is CH_2 , G is hydrogen, R^1 and R^2 are methyl and R^3 and R^4 are as defined in Table 1.

Table 159:

This table covers 180 compounds of the structural type T-1, wherein X is O, Z is N, D is CH_2 , E is $-CH=CH-$, G is hydrogen, R^1 and R^2 are hydrogen and R^3 and R^4 are as defined in Table 1.

Table 160:

This table covers 180 compounds of the structural type T-1, wherein X is O, Z is N, D is CH₂, E is -CH₂-CH₂-, G is hydrogen, R¹ and R² are hydrogen and R³ and R⁴ are as defined in Table 1.

Table 161:

This table covers 180 compounds of the structural type T-1, wherein X is O, Z is N, D is C(CH₃)₂, E is -CH=CH-, G is hydrogen, R¹ and R² are hydrogen and R³ and R⁴ are as defined in Table 1.

Table 162:

This table covers 180 compounds of the structural type T-1, wherein X is O, Z is N, D is C(CH₃)₂, E is -CH₂-CH₂-, G is hydrogen, R¹ and R² are hydrogen and R³ and R⁴ are as defined in Table 1.

Table 163:

This table covers 180 compounds of the structural type T-1, wherein X is O, Z is N, D is CH₂, E is -CH=CH-, G is hydrogen, R¹ is hydrogen, R² is methyl and R³ and R⁴ are as defined in Table 1.

Table 164:

This table covers 180 compounds of the structural type T-1, wherein X is O, Z is N, D is CH₂, E is -CH₂-CH₂-, G is hydrogen, R¹ is hydrogen, R² is methyl and R³ and R⁴ are as defined in Table 1.

Table 165:

This table covers 180 compounds of the structural type T-1, wherein X is O, Z is N, D is CH₂, E is -CH=CH-, G is hydrogen, R¹ and R² are methyl and R³ and R⁴ are as defined in Table 1.

Table 166:

This table covers 180 compounds of the structural type T-1, wherein X is O, Z is N, D is CH₂, E is -CH₂-CH₂-, G is hydrogen, R¹ and R² are methyl and R³ and R⁴ are as defined in Table 1.

Table 167:

This table covers 180 compounds of the structural type T-1, wherein X is O, Z is N, D is C(CH₃)₂, E is -CH=CH-, G is hydrogen, R¹ is methyl, R² is hydrogen and R³ and R⁴ are as defined in Table 1.

Table 168:

This table covers 180 compounds of the structural type T-1, wherein X is O, Z is N, D is C(CH₃)₂, E is -CH₂-CH₂-, G is hydrogen, R¹ is methyl, R² is hydrogen and R³ and R⁴ are as defined in Table 1.

Table 169:

This table covers 180 compounds of the structural type T-1, wherein X is O, Z is N, D is -CH₂CH₂-, E is -CH=CH-, G is hydrogen, R¹ and R² are hydrogen and R³ and R⁴ are as defined in Table 1.

Table 170:

This table covers 180 compounds of the structural type T-1, wherein X is O, Z is N, D is -CH₂-CH₂-, E is -CH₂-CH₂-, G is hydrogen, R¹ and R² are hydrogen and R³ and R⁴ are as defined in Table 1.

This table covers 180 compounds of the structural type T-1, wherein X is Se, Z is C-H, D is $C(CH_3)_2$, E is $-CH=CH-$, G is hydrogen, R^1 and R^2 are hydrogen and R^3 and R^4 are as defined in Table 1.

Table 77:

This table covers 180 compounds of the structural type T-1, wherein X is Se, Z is C-H, D is $C(CH_3)_2$, E is $-CH_2-CH_2-$, G is hydrogen, R^1 and R^2 are hydrogen and R^3 and R^4 are as defined in Table 1.

Table 78:

This table covers 180 compounds of the structural type T-1, wherein X is Se, Z is C-H, D is CH_2 , E is $-CH=CH-$, G is hydrogen, R^1 is hydrogen, R^2 is methyl and R^3 and R^4 are as defined in Table 1.

Table 79:

This table covers 180 compounds of the structural type T-1, wherein X is Se, Z is C-H, D is CH_2 , E is $-CH_2-CH_2-$, G is hydrogen, R^1 is hydrogen, R^2 is methyl and R^3 and R^4 are as defined in Table 1.

Table 80:

This table covers 180 compounds of the structural type T-1, wherein X is Se, Z is C-H, D is CH_2 , E is $-CH=CH-$, G is hydrogen, R^1 and R^2 are methyl and R^3 and R^4 are as defined in Table 1.

Table 81:

This table covers 180 compounds of the structural type T-1, wherein X is Se, Z is C-H, D is CH_2 , E is $-CH_2-CH_2-$, G is hydrogen, R^1 and R^2 are methyl and R^3 and R^4 are as defined in Table 1.

Table 82:

This table covers 180 compounds of the structural type T-1, wherein X is Se, Z is C-H, D is $C(CH_3)_2$, E is $-CH=CH-$, G is hydrogen, R^1 is methyl, R^2 is hydrogen and R^3 and R^4 are as defined in Table 1.

Table 83:

This table covers 180 compounds of the structural type T-1, wherein X is Se, Z is C-H, D is $C(CH_3)_2$, E is $-CH_2-CH_2-$, G is hydrogen, R^1 is methyl, R^2 is hydrogen and R^3 and R^4 are as defined in Table 1.

Table 84:

This table covers 180 compounds of the structural type T-1, wherein X is Se, Z is C-H, D is $-CH_2CH_2-$, E is $-CH=CH-$, G is hydrogen, R^1 and R^2 are hydrogen and R^3 and R^4 are as defined in Table 1.

Table 85:

This table covers 180 compounds of the structural type T-1, wherein X is Se, Z is C-H, D is $-\text{CH}_2-\text{CH}_2-$, E is $-\text{CH}_2-\text{CH}_2-$, G is hydrogen, R^1 and R^2 are hydrogen and R^3 and R^4 are as defined in Table 1.

Table 86:

This table covers 180 compounds of the structural type T-1, wherein X is Se, Z is N, D is CH_2 , E is CH_2 , G is hydrogen, R^1 and R^2 are hydrogen and R^3 and R^4 are as defined in Table 1.

Table 87:

This table covers 180 compounds of the structural type T-1, wherein X is Se, Z is N, D is CH_2 , E is $\text{CH}(\text{CH}_3)$, G is hydrogen, R^1 and R^2 are hydrogen and R^3 and R^4 are as defined in Table 1.

Table 88:

This table covers 180 compounds of the structural type T-1, wherein X is Se, Z is N, D is CH_2 , E is $\text{C}(\text{CH}_3)_2$, G is hydrogen, R^1 and R^2 are hydrogen and R^3 and R^4 are as defined in Table 1.

Table 89:

This table covers 180 compounds of the structural type T-1, wherein X is Se, Z is N, D is CH_2 , E is CH_2 , G is hydrogen, R^1 is hydrogen, R^2 is methyl and R^3 and R^4 are as defined in Table 1.

Table 90:

This table covers 180 compounds of the structural type T-1, wherein X is Se, Z is N, D is CH_2 , E is CH_2 , G is hydrogen, R^1 and R^2 are methyl and R^3 and R^4 are as defined in Table 1.

Table 91:

This table covers 180 compounds of the structural type T-1, wherein X is Se, Z is N, D is CH_2 , E is $-\text{CH}=\text{CH}-$, G is hydrogen, R^1 and R^2 are hydrogen and R^3 and R^4 are as defined in Table 1.

Table 92:

This table covers 180 compounds of the structural type T-1, wherein X is Se, Z is N, D is CH_2 , E is $-\text{CH}_2-\text{CH}_2-$, G is hydrogen, R^1 and R^2 are hydrogen and R^3 and R^4 are as defined in Table 1.

Table 93:

This table covers 180 compounds of the structural type T-1, wherein X is Se, Z is N, D is $\text{C}(\text{CH}_3)_2$, E is $-\text{CH}=\text{CH}-$, G is hydrogen, R^1 and R^2 are hydrogen and R^3 and R^4 are as defined in Table 1.

Table 94:

This table covers 180 compounds of the structural type T-1, wherein X is Se, Z is N, D is $\text{C}(\text{CH}_3)_2$, E is $-\text{CH}_2-\text{CH}_2-$, G is hydrogen, R^1 and R^2 are hydrogen and R^3 and R^4 are as defined in Table 1.

Table 95:

This table covers 180 compounds of the structural type T-1, wherein X is Se, Z is N, D is CH_2 , E is $-\text{CH}=\text{CH}-$, G is hydrogen, R^1 is hydrogen, R^2 is methyl and R^3 and R^4 are as defined in Table 1.

Table 96:

This table covers 180 compounds of the structural type T-1, wherein X is Se, Z is N, D is CH₂, E is -CH₂-CH₂-, G is hydrogen, R¹ is hydrogen, R² is methyl and R³ and R⁴ are as defined in Table 1.

Table 97:

This table covers 180 compounds of the structural type T-1, wherein X is Se, Z is N, D is CH₂, E is -CH=CH-, G is hydrogen, R¹ and R² are methyl and R³ and R⁴ are as defined in Table 1.

Table 98:

This table covers 180 compounds of the structural type T-1, wherein X is Se, Z is N, D is CH₂, E is -CH₂-CH₂-, G is hydrogen, R¹ and R² are methyl and R³ and R⁴ are as defined in Table 1.

Table 99:

This table covers 180 compounds of the structural type T-1, wherein X is Se, Z is N, D is C(CH₃)₂, E is -CH=CH-, G is hydrogen, R¹ is methyl, R² is hydrogen and R³ and R⁴ are as defined in Table 1.

Table 100:

This table covers 180 compounds of the structural type T-1, wherein X is Se, Z is N, D is C(CH₃)₂, E is -CH₂-CH₂-, G is hydrogen, R¹ is methyl, R² is hydrogen and R³ and R⁴ are as defined in Table 1.

Table 101:

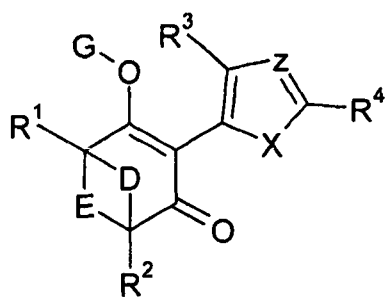
This table covers 180 compounds of the structural type T-1, wherein X is Se, Z is N, D is -CH₂CH₂-, E is -CH=CH-, G is hydrogen, R¹ and R² are hydrogen and R³ and R⁴ are as defined in Table 1.

Table 102:

This table covers 180 compounds of the structural type T-1, wherein X is Se, Z is N, D is -CH₂-CH₂-, E is -CH₂-CH₂-, G is hydrogen, R¹ and R² are hydrogen and R³ and R⁴ are as defined in Table 1.

Table 103:

This table covers 180 compounds of the structural type T-2:



T-2

wherein X is Se, Z is C-H, D is CH₂, E is CH₂, G is hydrogen, R¹ and R² are hydrogen and R³ and R⁴ are as defined in Table 1.

Table 104:

This table covers 180 compounds of the structural type T-2, wherein X is Se, Z is C-H, D is CH₂, E is CH(CH₃), G is hydrogen, R¹ and R² are hydrogen and R³ and R⁴ are as defined in Table 1.

Table 105:

This table covers 180 compounds of the structural type T-2, wherein X is Se, Z is C-H, D is CH₂, E is C(CH₃)₂, G is hydrogen, R¹ and R² are hydrogen and R³ and R⁴ are as defined in Table 1.

Table 106:

This table covers 180 compounds of the structural type T-2, wherein X is Se, Z is C-H, D is CH₂, E is CH₂, G is hydrogen, R¹ is hydrogen, R² is methyl and R³ and R⁴ are as defined in Table 1.

Table 107:

This table covers 180 compounds of the structural type T-2, wherein X is Se, Z is C-H, D is CH₂, E is CH₂, G is hydrogen, R¹ and R² are methyl and R³ and R⁴ are as defined in Table 1.

Table 108:

This table covers 180 compounds of the structural type T-2, wherein X is Se, Z is C-H, D is CH₂, E is -CH=CH-, G is hydrogen, R¹ and R² are hydrogen and R³ and R⁴ are as defined in Table 1.

Table 109:

This table covers 180 compounds of the structural type T-2, wherein X is Se, Z is C-H, D is CH₂, E is -CH₂-CH₂-, G is hydrogen, R¹ and R² are hydrogen and R³ and R⁴ are as defined in Table 1.

Table 110:

This table covers 180 compounds of the structural type T-2, wherein X is Se, Z is C-H, D is C(CH₃)₂, E is -CH=CH-, G is hydrogen, R¹ and R² are hydrogen and R³ and R⁴ are as defined in Table 1.

Table 111:

This table covers 180 compounds of the structural type T-2, wherein X is Se, Z is C-H, D is C(CH₃)₂, E is -CH₂-CH₂-, G is hydrogen, R¹ and R² are hydrogen and R³ and R⁴ are as defined in Table 1.

Table 112:

This table covers 180 compounds of the structural type T-2, wherein X is Se, Z is C-H, D is CH₂, E is -CH=CH-, G is hydrogen, R¹ is hydrogen, R² is methyl and R³ and R⁴ are as defined in Table 1.

Table 113:

This table covers 180 compounds of the structural type T-2, wherein X is Se, Z is C-H, D is CH₂, E is -CH₂-CH₂-, G is hydrogen, R¹ is hydrogen, R² is methyl and R³ and R⁴ are as defined in Table 1.

Table 114:

This table covers 180 compounds of the structural type T-2, wherein X is Se, Z is C-H, D is CH₂, E is -CH=CH-, G is hydrogen, R¹ and R² are methyl and R³ and R⁴ are as defined in Table 1.

Table 115:

This table covers 180 compounds of the structural type T-2, wherein X is Se, Z is C-H, D is CH₂, E is -CH₂-CH₂-, G is hydrogen, R¹ and R² are methyl and R³ and R⁴ are as defined in Table 1.

Table 116:

This table covers 180 compounds of the structural type T-2, wherein X is Se, Z is C-H, D is C(CH₃)₂, E is -CH=CH-, G is hydrogen, R¹ is methyl, R² is hydrogen and R³ and R⁴ are as defined in Table 1.

Table 117:

This table covers 180 compounds of the structural type T-2, wherein X is Se, Z is C-H, D is C(CH₃)₂, E is -CH₂-CH₂-, G is hydrogen, R¹ is methyl, R² is hydrogen and R³ and R⁴ are as defined in Table 1.

Table 118:

This table covers 180 compounds of the structural type T-2, wherein X is Se, Z is C-H, D is -CH₂CH₂-, E is -CH=CH-, G is hydrogen, R¹ and R² are hydrogen and R³ and R⁴ are as defined in Table 1.

Table 119:

This table covers 180 compounds of the structural type T-2, wherein X is Se, Z is C-H, D is -CH₂-CH₂-, E is -CH₂-CH₂-, G is hydrogen, R¹ and R² are hydrogen and R³ and R⁴ are as defined in Table 1.

Table 120:

This table covers 180 compounds of the structural type T-2, wherein X is Se, Z is N, D is CH₂, E is CH₂, G is hydrogen, R¹ and R² are hydrogen and R³ and R⁴ are as defined in Table 1.

Table 121:

This table covers 180 compounds of the structural type T-2, wherein X is Se, Z is N, D is CH₂, E is CH(CH₃), G is hydrogen, R¹ and R² are hydrogen and R³ and R⁴ are as defined in Table 1.

Table 122:

This table covers 180 compounds of the structural type T-2, wherein X is Se, Z is N, D is CH₂, E is C(CH₃)₂, G is hydrogen, R¹ and R² are hydrogen and R³ and R⁴ are as defined in Table 1.

Table 123:

This table covers 180 compounds of the structural type T-2, wherein X is Se, Z is N, D is CH₂, E is CH₂, G is hydrogen, R¹ is hydrogen, R² is methyl and R³ and R⁴ are as defined in Table 1.

Table 124:

This table covers 180 compounds of the structural type T-2, wherein X is Se, Z is N, D is CH₂, E is CH₂, G is hydrogen, R¹ and R² are methyl and R³ and R⁴ are as defined in Table 1.

Table 125:

This table covers 180 compounds of the structural type T-2, wherein X is Se, Z is N, D is CH₂, E is -CH=CH-, G is hydrogen, R¹ and R² are hydrogen and R³ and R⁴ are as defined in Table 1.

Table 126:

This table covers 180 compounds of the structural type T-2, wherein X is Se, Z is N, D is CH₂, E is -CH₂-CH₂-, G is hydrogen, R¹ and R² are hydrogen and R³ and R⁴ are as defined in Table 1.

Table 127:

This table covers 180 compounds of the structural type T-2, wherein X is Se, Z is N, D is C(CH₃)₂, E is -CH=CH-, G is hydrogen, R¹ and R² are hydrogen and R³ and R⁴ are as defined in Table 1.

Table 128:

This table covers 180 compounds of the structural type T-2, wherein X is Se, Z is N, D is C(CH₃)₂, E is -CH₂-CH₂-, G is hydrogen, R¹ and R² are hydrogen and R³ and R⁴ are as defined in Table 1.

Table 129:

This table covers 180 compounds of the structural type T-2, wherein X is Se, Z is N, D is CH₂, E is -CH=CH-, G is hydrogen, R¹ is hydrogen, R² is methyl and R³ and R⁴ are as defined in Table 1.

Table 130:

This table covers 180 compounds of the structural type T-2, wherein X is Se, Z is N, D is CH₂, E is -CH₂-CH₂-, G is hydrogen, R¹ is hydrogen, R² is methyl and R³ and R⁴ are as defined in Table 1.

Table 131:

This table covers 180 compounds of the structural type T-2, wherein X is Se, Z is N, D is CH₂, E is -CH=CH-, G is hydrogen, R¹ and R² are methyl and R³ and R⁴ are as defined in Table 1.

Table 132:

This table covers 180 compounds of the structural type T-2, wherein X is Se, Z is N, D is CH₂, E is -CH₂-CH₂-, G is hydrogen, R¹ and R² are methyl and R³ and R⁴ are as defined in Table 1.

Table 133:

This table covers 180 compounds of the structural type T-2, wherein X is Se, Z is N, D is C(CH₃)₂, E is -CH=CH-, G is hydrogen, R¹ is methyl, R² is hydrogen and R³ and R⁴ are as defined in Table 1.

Table 134:

This table covers 180 compounds of the structural type T-2, wherein X is Se, Z is N, D is C(CH₃)₂, E is -CH₂-CH₂-, G is hydrogen, R¹ is methyl, R² is hydrogen and R³ and R⁴ are as defined in Table 1.

Table 135:

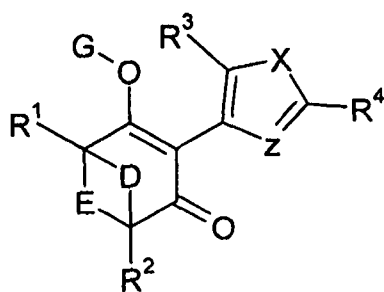
This table covers 180 compounds of the structural type T-2, wherein X is Se, Z is N, D is -CH₂CH₂-, E is -CH=CH-, G is hydrogen, R¹ and R² are hydrogen and R³ and R⁴ are as defined in Table 1.

Table 136:

This table covers 180 compounds of the structural type T-2, wherein X is Se, Z is N, D is -CH₂-CH₂-, E is -CH₂-CH₂-, G is hydrogen, R¹ and R² are hydrogen and R³ and R⁴ are as defined in Table 1.

Table 137:

This table covers 180 compounds of the structural type T-1:



T-1

wherein X is O, Z is C-H, D is CH₂, E is CH₂, G is hydrogen, R¹ and R² are hydrogen and R³ and R⁴ are as defined in Table T1.

Table 138:

This table covers 180 compounds of the structural type T-1, wherein X is O, Z is C-H, D is CH₂, E is CH(CH₃), G is hydrogen, R¹ and R² are hydrogen and R³ and R⁴ are as defined in Table 1.

Table 139:

This table covers 180 compounds of the structural type T-1, wherein X is O, Z is C-H, D is CH₂, E is C(CH₃)₂, G is hydrogen, R¹ and R² are hydrogen and R³ and R⁴ are as defined in Table 1.

Table 140:

This table covers 180 compounds of the structural type T-1, wherein X is O, Z is C-H, D is CH₂, E is CH₂, G is hydrogen, R¹ is hydrogen, R² is methyl and R³ and R⁴ are as defined in Table 1.

Table 141:

This table covers 180 compounds of the structural type T-1, wherein X is O, Z is C-H, D is CH₂, E is CH₂, G is hydrogen, R¹ and R² are methyl and R³ and R⁴ are as defined in Table 1.

Table 142:

This table covers 180 compounds of the structural type T-1, wherein X is O, Z is C-H, D is CH₂, E is -CH=CH-, G is hydrogen, R¹ and R² are hydrogen and R³ and R⁴ are as defined in Table 1.

Table 143:

This table covers 180 compounds of the structural type T-1, wherein X is O, Z is C-H, D is CH₂, E is -CH₂-CH₂-, G is hydrogen, R¹ and R² are hydrogen and R³ and R⁴ are as defined in Table 1.

Table 144:

This table covers 180 compounds of the structural type T-1, wherein X is O, Z is C-H, D is C(CH₃)₂, E is -CH=CH-, G is hydrogen, R¹ and R² are hydrogen and R³ and R⁴ are as defined in Table 1.

Table 145:

This table covers 180 compounds of the structural type T-1, wherein X is O, Z is C-H, D is C(CH₃)₂, E is -CH₂-CH₂-, G is hydrogen, R¹ and R² are hydrogen and R³ and R⁴ are as defined in Table 1.

Table 146:

This table covers 180 compounds of the structural type T-1, wherein X is O, Z is C-H, D is CH₂, E is -CH=CH-, G is hydrogen, R¹ is hydrogen, R² is methyl and R³ and R⁴ are as defined in Table 1.

Table 147:

This table covers 180 compounds of the structural type T-1, wherein X is O, Z is C-H, D is CH₂, E is -CH₂-CH₂-, G is hydrogen, R¹ is hydrogen, R² is methyl and R³ and R⁴ are as defined in Table 1.

Table 148:

This table covers 180 compounds of the structural type T-1, wherein X is O, Z is C-H, D is CH₂, E is -CH=CH-, G is hydrogen, R¹ and R² are methyl and R³ and R⁴ are as defined in Table 1.

Table 149:

This table covers 180 compounds of the structural type T-1, wherein X is O, Z is C-H, D is CH₂, E is -CH₂-CH₂-, G is hydrogen, R¹ and R² are methyl and R³ and R⁴ are as defined in Table 1.

Table 150:

This table covers 180 compounds of the structural type T-1, wherein X is O, Z is C-H, D is $C(CH_3)_2$, E is $-CH=CH-$, G is hydrogen, R^1 is methyl, R^2 is hydrogen and R^3 and R^4 are as defined in Table 1.

Table 151:

This table covers 180 compounds of the structural type T-1, wherein X is O, Z is C-H, D is $C(CH_3)_2$, E is $-CH_2-CH_2-$, G is hydrogen, R^1 is methyl, R^2 is hydrogen and R^3 and R^4 are as defined in Table 1.

Table 152:

This table covers 180 compounds of the structural type T-1, wherein X is O, Z is C-H, D is $-CH_2CH_2-$, E is $-CH=CH-$, G is hydrogen, R^1 and R^2 are hydrogen and R^3 and R^4 are as defined in Table 1.

Table 153:

This table covers 180 compounds of the structural type T-1, wherein X is O, Z is C-H, D is $-CH_2-CH_2-$, E is $-CH_2-CH_2-$, G is hydrogen, R^1 and R^2 are hydrogen and R^3 and R^4 are as defined in Table 1.

Table 154:

This table covers 180 compounds of the structural type T-1, wherein X is O, Z is N, D is CH_2 , E is CH_2 , G is hydrogen, R^1 and R^2 are hydrogen and R^3 and R^4 are as defined in Table 1.

Table 155:

This table covers 180 compounds of the structural type T-1, wherein X is O, Z is N, D is CH_2 , E is $CH(CH_3)$, G is hydrogen, R^1 and R^2 are hydrogen and R^3 and R^4 are as defined in Table 1.

Table 156:

This table covers 180 compounds of the structural type T-1, wherein X is O, Z is N, D is CH_2 , E is $C(CH_3)_2$, G is hydrogen, R^1 and R^2 are hydrogen and R^3 and R^4 are as defined in Table 1.

Table 157:

This table covers 180 compounds of the structural type T-1, wherein X is O, Z is N, D is CH_2 , E is CH_2 , G is hydrogen, R^1 is hydrogen, R^2 is methyl and R^3 and R^4 are as defined in Table 1.

Table 158:

This table covers 180 compounds of the structural type T-1, wherein X is O, Z is N, D is CH_2 , E is CH_2 , G is hydrogen, R^1 and R^2 are methyl and R^3 and R^4 are as defined in Table 1.

Table 159:

This table covers 180 compounds of the structural type T-1, wherein X is O, Z is N, D is CH_2 , E is $-CH=CH-$, G is hydrogen, R^1 and R^2 are hydrogen and R^3 and R^4 are as defined in Table 1.

Table 160:

This table covers 180 compounds of the structural type T-1, wherein X is O, Z is N, D is CH₂, E is -CH₂-CH₂-, G is hydrogen, R¹ and R² are hydrogen and R³ and R⁴ are as defined in Table 1.

Table 161:

This table covers 180 compounds of the structural type T-1, wherein X is O, Z is N, D is C(CH₃)₂, E is -CH=CH-, G is hydrogen, R¹ and R² are hydrogen and R³ and R⁴ are as defined in Table 1.

Table 162:

This table covers 180 compounds of the structural type T-1, wherein X is O, Z is N, D is C(CH₃)₂, E is -CH₂-CH₂-, G is hydrogen, R¹ and R² are hydrogen and R³ and R⁴ are as defined in Table 1.

Table 163:

This table covers 180 compounds of the structural type T-1, wherein X is O, Z is N, D is CH₂, E is -CH=CH-, G is hydrogen, R¹ is hydrogen, R² is methyl and R³ and R⁴ are as defined in Table 1.

Table 164:

This table covers 180 compounds of the structural type T-1, wherein X is O, Z is N, D is CH₂, E is -CH₂-CH₂-, G is hydrogen, R¹ is hydrogen, R² is methyl and R³ and R⁴ are as defined in Table 1.

Table 165:

This table covers 180 compounds of the structural type T-1, wherein X is O, Z is N, D is CH₂, E is -CH=CH-, G is hydrogen, R¹ and R² are methyl and R³ and R⁴ are as defined in Table 1.

Table 166:

This table covers 180 compounds of the structural type T-1, wherein X is O, Z is N, D is CH₂, E is -CH₂-CH₂-, G is hydrogen, R¹ and R² are methyl and R³ and R⁴ are as defined in Table 1.

Table 167:

This table covers 180 compounds of the structural type T-1, wherein X is O, Z is N, D is C(CH₃)₂, E is -CH=CH-, G is hydrogen, R¹ is methyl, R² is hydrogen and R³ and R⁴ are as defined in Table 1.

Table 168:

This table covers 180 compounds of the structural type T-1, wherein X is O, Z is N, D is C(CH₃)₂, E is -CH₂-CH₂-, G is hydrogen, R¹ is methyl, R² is hydrogen and R³ and R⁴ are as defined in Table 1.

Table 169:

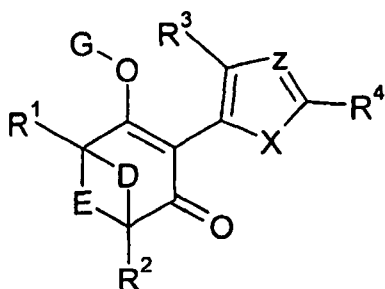
This table covers 180 compounds of the structural type T-1, wherein X is O, Z is N, D is -CH₂CH₂-, E is -CH=CH-, G is hydrogen, R¹ and R² are hydrogen and R³ and R⁴ are as defined in Table 1.

Table 170:

This table covers 180 compounds of the structural type T-1, wherein X is O, Z is N, D is -CH₂-CH₂-, E is -CH₂-CH₂-, G is hydrogen, R¹ and R² are hydrogen and R³ and R⁴ are as defined in Table 1.

Table 171:

This table covers 180 compounds of the structural type T-2:



T-2

wherein X is O, Z is C-H, D is CH₂, E is CH₂, G is hydrogen, R¹ and R² are hydrogen and R³ and R⁴ are as defined in Table 1.

Table 172:

This table covers 180 compounds of the structural type T-2, wherein X is O, Z is C-H, D is CH₂, E is CH(CH₃), G is hydrogen, R¹ and R² are hydrogen and R³ and R⁴ are as defined in Table 1.

Table 173:

This table covers 180 compounds of the structural type T-2, wherein X is O, Z is C-H, D is CH₂, E is C(CH₃)₂, G is hydrogen, R¹ and R² are hydrogen and R³ and R⁴ are as defined in Table 1.

Table 174:

This table covers 180 compounds of the structural type T-2, wherein X is O, Z is C-H, D is CH₂, E is CH₂, G is hydrogen, R¹ is hydrogen, R² is methyl and R³ and R⁴ are as defined in Table 1.

Table 175:

This table covers 180 compounds of the structural type T-2, wherein X is O, Z is C-H, D is CH₂, E is CH₂, G is hydrogen, R¹ and R² are methyl and R³ and R⁴ are as defined in Table 1.

Table 176:

This table covers 180 compounds of the structural type T-2, wherein X is O, Z is C-H, D is CH₂, E is -CH=CH-, G is hydrogen, R¹ and R² are hydrogen and R³ and R⁴ are as defined in Table 1.

Table 177:

This table covers 180 compounds of the structural type T-2, wherein X is O, Z is C-H, D is CH₂, E is -CH₂-CH₂-, G is hydrogen, R¹ and R² are hydrogen and R³ and R⁴ are as defined in Table 1.

Table 178:

This table covers 180 compounds of the structural type T-2, wherein X is O, Z is C-H, D is C(CH₃)₂, E is -CH=CH-, G is hydrogen, R¹ and R² are hydrogen and R³ and R⁴ are as defined in Table 1.

Table 179:

This table covers 180 compounds of the structural type T-2, wherein X is O, Z is C-H, D is $C(CH_3)_2$, E is $-CH_2-CH_2-$, G is hydrogen, R^1 and R^2 are hydrogen and R^3 and R^4 are as defined in Table 1.

Table 180:

This table covers 180 compounds of the structural type T-2, wherein X is O, Z is C-H, D is CH_2 , E is $-CH=CH-$, G is hydrogen, R^1 is hydrogen, R^2 is methyl and R^3 and R^4 are as defined in Table 1.

Table 181:

This table covers 180 compounds of the structural type T-2, wherein X is O, Z is C-H, D is CH_2 , E is $-CH_2-CH_2-$, G is hydrogen, R^1 is hydrogen, R^2 is methyl and R^3 and R^4 are as defined in Table 1.

Table 182:

This table covers 180 compounds of the structural type T-2, wherein X is O, Z is C-H, D is CH_2 , E is $-CH=CH-$, G is hydrogen, R^1 and R^2 are methyl and R^3 and R^4 are as defined in Table 1.

Table 183:

This table covers 180 compounds of the structural type T-2, wherein X is O, Z is C-H, D is CH_2 , E is $-CH_2-CH_2-$, G is hydrogen, R^1 and R^2 are methyl and R^3 and R^4 are as defined in Table 1.

Table 184:

This table covers 180 compounds of the structural type T-2, wherein X is O, Z is C-H, D is $C(CH_3)_2$, E is $-CH=CH-$, G is hydrogen, R^1 is methyl, R^2 is hydrogen and R^3 and R^4 are as defined in Table 1.

Table 185:

This table covers 180 compounds of the structural type T-2, wherein X is O, Z is C-H, D is $C(CH_3)_2$, E is $-CH_2-CH_2-$, G is hydrogen, R^1 is methyl, R^2 is hydrogen and R^3 and R^4 are as defined in Table 1.

Table 186:

This table covers 180 compounds of the structural type T-2, wherein X is O, Z is C-H, D is $-CH_2CH_2-$, E is $-CH=CH-$, G is hydrogen, R^1 and R^2 are hydrogen and R^3 and R^4 are as defined in Table 1.

Table 187:

This table covers 180 compounds of the structural type T-2, wherein X is O, Z is C-H, D is $-CH_2-CH_2-$, E is $-CH_2-CH_2-$, G is hydrogen, R^1 and R^2 are hydrogen and R^3 and R^4 are as defined in Table 1.

Table 188:

This table covers 180 compounds of the structural type T-2, wherein X is O, Z is N, D is CH_2 , E is CH_2 , G is hydrogen, R^1 and R^2 are hydrogen and R^3 and R^4 are as defined in Table 1.

Table 189:

This table covers 180 compounds of the structural type T-2, wherein X is O, Z is N, D is CH₂, E is CH(CH₃), G is hydrogen, R¹ and R² are hydrogen and R³ and R⁴ are as defined in Table 1.

Table 190:

This table covers 180 compounds of the structural type T-2, wherein X is O, Z is N, D is CH₂, E is C(CH₃)₂, G is hydrogen, R¹ and R² are hydrogen and R³ and R⁴ are as defined in Table 1.

Table 191:

This table covers 180 compounds of the structural type T-2, wherein X is O, Z is N, D is CH₂, E is CH₂, G is hydrogen, R¹ is hydrogen, R² is methyl and R³ and R⁴ are as defined in Table 1.

Table 192:

This table covers 180 compounds of the structural type T-2, wherein X is O, Z is N, D is CH₂, E is CH₂, G is hydrogen, R¹ and R² are methyl and R³ and R⁴ are as defined in Table 1.

Table 193:

This table covers 180 compounds of the structural type T-2, wherein X is O, Z is N, D is CH₂, E is -CH=CH-, G is hydrogen, R¹ and R² are hydrogen and R³ and R⁴ are as defined in Table 1.

Table 194:

This table covers 180 compounds of the structural type T-2, wherein X is O, Z is N, D is CH₂, E is -CH₂-CH₂-, G is hydrogen, R¹ and R² are hydrogen and R³ and R⁴ are as defined in Table 1.

Table 195:

This table covers 180 compounds of the structural type T-2, wherein X is O, Z is N, D is C(CH₃)₂, E is -CH=CH-, G is hydrogen, R¹ and R² are hydrogen and R³ and R⁴ are as defined in Table 1.

Table 196:

This table covers 180 compounds of the structural type T-2, wherein X is O, Z is N, D is C(CH₃)₂, E is -CH₂-CH₂-, G is hydrogen, R¹ and R² are hydrogen and R³ and R⁴ are as defined in Table 1.

Table 197:

This table covers 180 compounds of the structural type T-2, wherein X is O, Z is N, D is CH₂, E is -CH=CH-, G is hydrogen, R¹ is hydrogen, R² is methyl and R³ and R⁴ are as defined in Table 1.

Table 198:

This table covers 180 compounds of the structural type T-2, wherein X is O, Z is N, D is CH₂, E is -CH₂-CH₂-, G is hydrogen, R¹ is hydrogen, R² is methyl and R³ and R⁴ are as defined in Table 1.

Table 199:

This table covers 180 compounds of the structural type T-2, wherein X is O, Z is N, D is CH₂, E is -CH=CH-, G is hydrogen, R¹ and R² are methyl and R³ and R⁴ are as defined in Table 1.

Table 200:

This table covers 180 compounds of the structural type T-2, wherein X is O, Z is N, D is CH₂, E is -CH₂-CH₂-, G is hydrogen, R¹ and R² are methyl and R³ and R⁴ are as defined in Table 1.

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Table 201:

This table covers 180 compounds of the structural type T-2, wherein X is O, Z is N, D is C(CH₃)₂, E is -CH=CH-, G is hydrogen, R¹ is methyl, R² is hydrogen and R³ and R⁴ are as defined in Table 1.

Table 202:

This table covers 180 compounds of the structural type T-2, wherein X is O, Z is N, D is C(CH₃)₂, E is -CH₂-CH₂-, G is hydrogen, R¹ is methyl, R² is hydrogen and R³ and R⁴ are as defined in Table 1.

Table 203:

This table covers 180 compounds of the structural type T-2, wherein X is O, Z is N, D is -CH₂CH₂-, E is -CH=CH-, G is hydrogen, R¹ and R² are hydrogen and R³ and R⁴ are as defined in Table 1.

Table 204:

This table covers 180 compounds of the structural type T-2, wherein X is O, Z is N, D is -CH₂-CH₂-, E is -CH₂-CH₂-, G is hydrogen, R¹ and R² are hydrogen and R³ and R⁴ are as defined in Table 1.

Biological ExamplesExample A

Seeds of a variety of test species were sown in standard soil in pots. After cultivation for one day (pre-emergence) or after 10 days cultivation (post-emergence) under controlled conditions in a glasshouse, the plants were sprayed with an aqueous spray solution derived from the formulation of the technical active ingredient in 0.6 ml acetone and 45 ml formulation solution containing 10.6% Emulsogen EL (Registry number 61791-12-6), 42.2% N-methyl pyrrolidone, 42.2% dipropylene glycol monomethyl ether (Registry number 34590-94-8) and 0.2 % X-77 (Registry number 11097-66-8). The test plants were then grown in a greenhouse under optimum conditions until, 14 or 15 days later for post-emergence and 19 or 20 days for pre-emergence, the test was evaluated (100 = total damage to plant; 0 = no damage to plant).

Test plants:

Alopecurus myosuroides (ALOMY), *Avena fatua* (AVEFA), *Lolium perenne* (LOLPE), *Setaria faberi* (SETFA), *Digitaria sanguinalis* (DIGSA), *Echinochloa crus-galli* (ECHCG)

Pre-Emergence Activity

Compound Number	Rate g/ha	ALOMY	AVEFA	LOLPE	SETFA	DIGSA	ECHCG
T1	250	60	20	80	70	60	60
T15	250	90	-	100	100	100	100
T16	250	10	20	20	80	80	70
T17	250	100	100	100	100	100	100
T18	250	0	0	0	20	30	20
T19	250	100	100	100	100	100	100
T23	250	30	50	0	0	0	0

Post-Emergence Activity

Compound Number	Rate g/ha	ALOMY	AVEFA	LOLPE	SETFA	DIGSA	ECHCG
T1	125	70	70	30	90	80	100
T15	125	90	90	50	100	100	70
T16	125	20	40	20	60	70	50
T17	125	70	50	40	80	80	90
T18	125	40	30	20	60	60	50
T19	125	100	100	80	100	100	100
T23	125	80	70	70	100	90	90

Example B

Seeds of a variety of test species were sown in standard soil in pots. After cultivation for one day (pre-emergence) or after 8 days cultivation (post-emergence) under controlled conditions in a glasshouse (at 24/16°C, day/night; 14 hours light; 65 % humidity), the plants were sprayed with an aqueous spray solution derived from the formulation of the technical active ingredient in acetone / water (50:50) solution containing 0.5% Tween 20 (polyoxyethelyene sorbitan monolaurate, CAS RN 9005-64-5).

The test plants were then grown in a glasshouse under controlled conditions in a glasshouse (at 24/16°C, day/night; 14 hours light; 65 % humidity) and watered twice daily. After 13 days for pre and post-emergence, the test was evaluated (100 = total damage to plant; 0 = no damage to plant).

Test plants:

Alopecurus myosuroides (ALOMY), *Avena fatua* (AVEFA), *Setaria faberi* (SETFA), *Echinochloa crus-galli* (ECHCG), *Solanum nigrum* (SOLNI) and *Amaranthus retroflexus* (AMARE)

Pre-Emergence Activity

Compound Number	Rate g/ha	AMARE	SETFA	ALOMY	ECHCG	AVEFA
T2	250	0	50	0	0	0
T3	250	0	90	60	80	0
T4	250	0	30	50	60	0
T5	250	0	90	50	80	0
T9	250	0	50	30	50	0
T10	250	0	20	20	60	0
T11	250	0	0	40	70	0
T13	250	0	100	90	100	40
T14	1000	0	70	30	90	80
T20	250	0	40	50	70	0
T22	250	20	100	70	80	20
T26	250	0	100	90	100	60
T27	250	0	100	90	100	90
T28	250	0	100	80	100	90
T29	250	20	100	90	100	90
T30	250	20	100	90	100	100
T32	250	0	100	90	100	80
T33	250	0	100	90	100	50
T34	250	0	20	20	20	0
T35	250	0	100	30	90	0
T36	250	0	70	60	60	20
T37	250	0	90	30	60	30
T38	250	0	100	60	70	50
T39	250	0	90	90	100	0
T40	250	0	100	100	100	100
T41	250	0	40	80	100	0
T42	250	0	100	100	100	0
T44	250	0	100	90	100	60
T45	250	0	100	90	100	30

Compound Number	Rate g/ha	AMARE	SETFA	ALOMY	ECHCG	AVEFA
T46	250	0	90	60	100	30
T49	250	0	100	100	100	100
T51	250	0	100	80	100	20
T53	250	0	100	90	100	80
T54	250	0	60	90	100	70
T55	250	0	100	100	100	100
T56	250	0	0	0	40	0
T57	250	0	90	60	90	20
T58	250	0	60	60	100	60
T59	250	0	100	80	90	70
T63	250	0	90	90	100	90
T64	250	0	0	20	0	0
T65	250	20	100	50	100	20
T66	250	0	30	10	30	0
T68	250	0	80	60	0	70
T70	250	100	100	70	60	30
T73	250	0	0	0	0	50
T74	250	100	40	30	20	0
T75	250	0	90	0	40	20
T76	250	0	70	0	50	0
T77	250	50	0	0	0	0
T78	250	0	0	0	0	90
T81	250	0	100	50	100	40
T82	250	0	80	0	40	0
T83	250	0	90	50	100	0
T84	250	0	100	70	100	40
T85	250	30	0	0	0	0
T87	250	20	60	20	60	50
T88	250	0	80	0	60	0
T92	250	0	100	70	100	90
T93	250	0	20	20	40	0
T96	250	0	100	80	100	100
T99	250	20	60	50	60	30

Compound Number	Rate g/ha	AMARE	SETFA	ALOMY	ECHCG	AVEFA
T102	250	0	50	50	70	30
T104	250	0	50	70	100	20
T106	250	0	20	0	10	0
T110	250	20	100	30	60	30
T111	250	0	80	50	100	90
P1	250	0	100	80	100	0
P2	250	0	100	80	100	40
P3	250	0	100	20	80	0
P4	250	40	90	0	0	0
P5	250	0	100	100	40	30
P6	250	0	100	20	20	0
P7	250	0	90	30	20	0
P8	250	0	100	20	50	0
P9	250	0	100	90	90	100
P11	250	0	80	0	0	0
P12	250	0	100	30	20	20
P13	250	0	100	70	50	100
P14	250	0	100	70	100	100
P15	250	0	90	90	100	60
P17	250	0	100	80	100	50
P18	250	0	60	20	70	0
P19	250	0	90	10	20	0
P22	250	0	30	0	0	0

Post-Emergence Activity

Compound Number	Rate g/ha	AMARE	SETFA	ALOMY	ECHCG	AVEFA
T2	250	0	70	0	30	0
T3	250	0	100	90	100	60
T4	250	0	80	60	100	0
T5	250	0	100	70	100	0
T6	250	0	50	0	40	0

Compound Number	Rate g/ha	AMARE	SETFA	ALOMY	ECHCG	AVEFA
T9	250	0	100	60	70	0
T10	250	0	70	20	70	0
T11	250	0	80	90	100	40
T13	250	0	100	100	100	90
T14	1000	20	100	90	100	90
T20	250	0	90	90	100	90
T22	250	0	90	90	90	90
T24	250	0	30	0	0	0
T25	1000	0	30	20	10	0
T26	250	40	100	100	100	100
T27	250	0	100	100	100	100
T28	250	0	100	100	100	100
T29	250	0	100	100	100	100
T30	250	0	100	100	100	100
T31	250	0	80	0	60	0
T32	250	0	100	100	100	90
T33	250	0	100	100	100	100
T34	250	0	90	70	80	0
T35	250	0	100	100	100	80
T36	250	0	100	90	100	20
T37	250	0	100	100	100	90
T38	250	0	100	100	100	100
T39	250	0	100	100	100	100
T40	250	0	100	100	100	100
T41	250	0	100	100	100	70
T42	250	0	100	100	100	70
T43	250	0	60	20	20	0
T44	250	0	100	100	100	100
T45	250	0	100	100	100	100
T46	250	0	100	100	100	90
T47	250	0	90	30	80	0
T48	250	0	80	20	80	0
T49	250	0	100	90	100	90

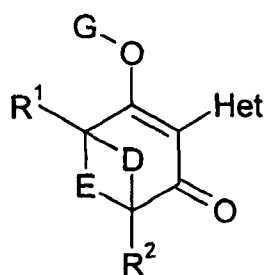
Compound Number	Rate g/ha	AMARE	SETFA	ALOMY	ECHCG	AVEFA
T51	250	0	100	100	100	100
T52	250	0	0	0	0	0
T53	250	0	100	100	100	100
T54	250	30	100	100	100	90
T55	250	0	100	100	100	100
T56	250	0	70	0	60	0
T57	250	0	100	70	100	60
T58	250	0	100	90	100	80
T59	250	0	100	100	100	80
T60	250	0	60	0	50	0
T61	250	0	20	0	0	0
T62	250	0	60	0	0	0
T63	250	0	100	60	100	70
T64	250	0	70	0	50	0
T65	250	70	100	50	100	70
T66	250	0	70	10	70	0
T68	250	0	100	70	100	90
T70	250	40	100	90	100	50
T71	250	0	50	0	20	0
T73	250	0	30	0	20	0
T74	250	0	90	50	70	0
T75	250	0	90	20	70	0
T76	250	0	80	70	90	50
T77	250	0	70	0	60	0
T78	250	0	80	60	70	0
T79	250	0	10	0	0	0
T81	250	0	100	50	100	50
T82	250	30	100	20	100	0
T83	250	0	100	60	100	100
T84	250	40	100	90	100	70
T87	250	0	90	50	90	60
T88	250	0	70	10	90	0
T89	250	0	80	0	30	0

Compound Number	Rate g/ha	AMARE	SETFA	ALOMY	ECHCG	AVEFA
T90	250	0	40	0	30	0
T92	250	10	100	100	100	100
T93	250	0	70	30	70	0
T95	250	0	80	80	70	30
T96	250	30	100	100	100	100
T97	250	0	70	0	70	0
T98	250	0	70	20	70	0
T99	250	0	100	100	100	100
T102	250	0	100	100	100	100
T104	250	0	100	100	100	90
T106	250	0	70	10	30	0
T107	250	0	0	0	60	0
T110	250	0	100	60	90	70
T111	250	0	100	100	100	100
T112	250	0	100	70	100	80
T113	250	0	90	90	100	100
T114	250	0	90	10	60	0
T118	250	0	80	60	60	80
T120	250	0	90	40	100	60
T121	250	0	90	70	100	80
P1	250	0	100	100	100	100
P2	250	0	100	100	100	100
P3	250	0	100	60	80	0
P4	250	0	80	20	80	0
P5	250	0	100	100	100	90
P6	250	0	100	90	100	80
P7	250	0	100	90	100	90
P8	250	0	100	70	0	80
P9	250	0	100	100	100	100
P11	250	0	80	20	80	20
P12	250	0	100	100	100	90
P13	250	0	100	90	100	90
P14	250	0	100	100	100	90

Compound Number	Rate g/ha	AMARE	SETFA	ALOMY	ECHCG	AVEFA
P15	250	0	100	100	100	90
P17	250	0	100	90	100	80
P18	250	40	90	10	80	0
P19	250	0	90	20	90	0
P22	250	0	70	0	20	0
P23	250	0	30	0	20	0

What is claim is:

1. Compounds of formula I



(I),

wherein

R¹ and R² are independently of each other hydrogen, C₁-C₆alkyl, C₂-C₆alkenyl, C₂-C₆alkynyl, C₁-C₆haloalkyl, C₂-C₆haloalkenyl, C₁-C₆alkoxy, C₃-C₆alkenyloxy, C₃-C₆haloalkenyloxy, C₃-C₆alkynyloxy, C₁-C₄alkoxyC₁-C₄alkyl, C₁-C₄alkoxyC₁-C₄alkoxy, C₁-C₄alkoxyC₁-C₄alkoxyC₁-C₄alkyl, C₁-C₆alkylthio, C₁-C₄alkylthioC₁-C₄alkyl, C₁-C₄alkylsulfinyl, C₁-C₄alkylsulfinylC₁-C₄alkyl, C₁-C₄alkylsulfonyl, C₁-C₄alkylsulfonylC₁-C₄alkyl, hydroxy-C₁-C₄alkyl, C₁-C₆haloalkoxyC₁-C₄alkyl, C₃-C₆alkenyloxyC₁-C₄alkyl, C₃-C₆haloalkenyloxyC₁-C₄alkyl, C₃-C₆alkynyloxyC₁-C₄alkyl, C₁-C₆cyanoalkyl, C₁-C₆cyanoalkoxy, C₁-C₄cyanoalkoxyC₁-C₄alkyl, hydroxy, C₁-C₆alkylcarbonyl, carboxy, C₁-C₆alkoxycarbonyl, C₁-C₆alkylaminocarbonyl, di-C₁-C₆alkylaminocarbonyl, tri(C₁-C₄alkyl)silyl or tri(C₁-C₄alkyl)silyloxy,

D is optionally substituted C₁-C₃alkylene,

E is optionally substituted C₁-C₃alkylene or optionally substituted C₂-C₃alkenylene,

Het is a an optionally substituted monocyclic or bicyclic heteroaromatic ring; and

G is hydrogen, an alkali metal, alkaline earth metal, sulfonium, ammonium or a latentating group.

2. Compounds according to claim 1, wherein R¹ is hydrogen, C₁-C₄ alkyl or C₁-C₄alkoxy.

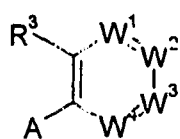
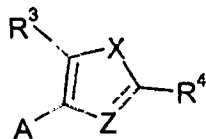
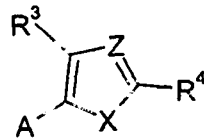
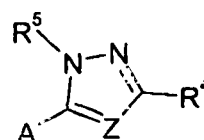
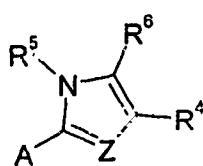
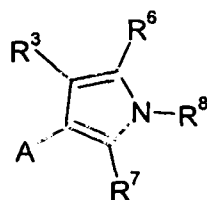
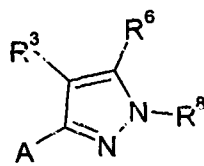
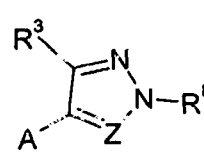
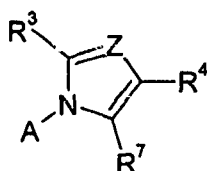
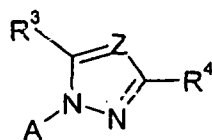
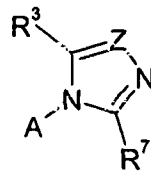
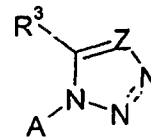
3. Compounds according to claim 1, wherein R² is hydrogen or methyl.

4. Compounds according to claim 1, wherein D is C₁-C₂alkylene or C₁-C₂alkylene substituted by C₁-C₃ alkyl or C₁-C₃alkoxyC₁-C₃alkyl.

5. Compounds according to claim 1, wherein E is optionally substituted C₁-C₂alkylene or optionally substituted C₂alkenylene.

6. Compounds according to claim 1, wherein Het is an optionally substituted monocyclic 5- or 6-membered nitrogen or sulfur containing heteroaromatic ring.

7. Compounds according to claim 6, wherein Het is a group of the formula R_1 to R_{12}

(R₁)(R₂)(R₃)(R₄)(R₅)(R₆)(R₇)(R₈)(R₉)(R₁₀)(R₁₁)(R₁₂)

wherein

A designates the point of attachment to the ketoenol moiety,

W^1 is N or CR^6 ,

W^2 and W^3 are independently of each other N or CR^4 ,

W^4 is N or CR^7 ,

with the proviso that at least one of W^1 , W^2 , W^3 or W^4 is N,

X is O, S, Se, or NR^9 ,

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Z is N or CR¹⁰,

wherein

R³ is hydrogen, halogen, C₁-C₄alkyl, C₁-C₄haloalkyl, C₃-C₆cycloalkyl, C₃-C₆halocycloalkyl, C₂-C₄alkenyl, C₂-C₄haloalkenyl, C₂-C₄alkynyl, C₁-C₄alkoxy, C₁-C₄haloalkoxy, C₁-C₄alkylthio, C₁-C₄alkylsulfinyl, C₁-C₄alkylsulfonyl, nitro or cyano,

R⁴ is hydrogen, C₁-C₆alkyl, C₁-C₆haloalkyl, C₂-C₆alkenyl, C₂-C₆haloalkenyl, C₂-C₆alkynyl, C₃-C₆cycloalkyl, C₅-C₆cycloalkenyl, halogen, C₁-C₆alkoxy, C₁-C₆alkoxy-C₁-C₆alkyl, C₁-C₆haloalkoxy, optionally substituted aryl, optionally substituted aryloxy, optionally substituted heteroaryl or optionally substituted heteroaryloxy,

R⁵ is hydrogen, C₁-C₄alkyl, C₂-C₃alkenyl, C₂-C₃alkynyl, C₁-C₄haloalkyl or C₂-C₃haloalkenyl, preferably methyl or ethyl,

R⁶ is hydrogen, methyl, halomethyl or halogen

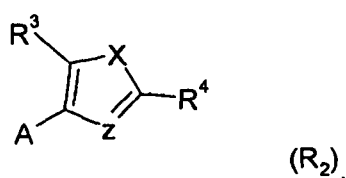
R⁷ is hydrogen, halogen, C₁-C₄alkyl, C₁-C₄haloalkyl, C₂-C₄alkenyl, C₂-C₄haloalkenyl, C₂-C₄alkynyl, C₁-C₄alkoxy, C₁-C₄haloalkoxy, C₁-C₄alkylthio, C₁-C₄alkylsulfinyl, C₁-C₄alkylsulfonyl or cyano,

R⁸ is hydrogen, methyl, ethyl, halomethyl, haloethyl, optionally substituted aryl or optionally substituted heteroaryl,

R⁹ is hydrogen, methyl, ethyl or halomethyl, and

R¹⁰ is hydrogen, methyl, ethyl, halomethyl, haloethyl, halogen, cyano or nitro.

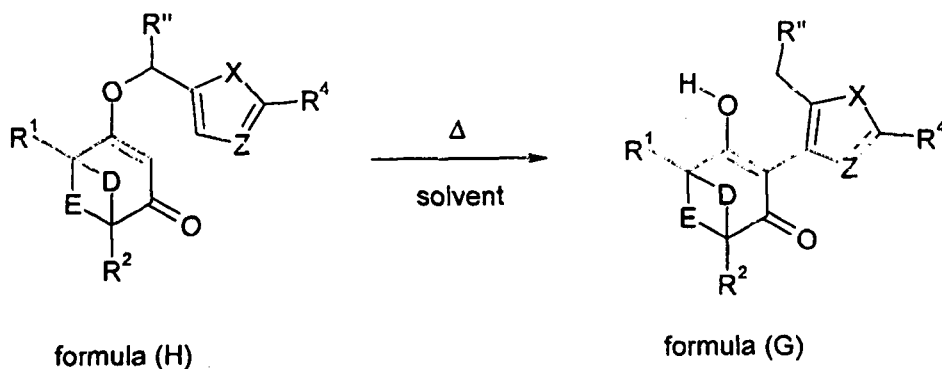
8. Compounds according to claim 7, wherein Het is a group of the formula R₂



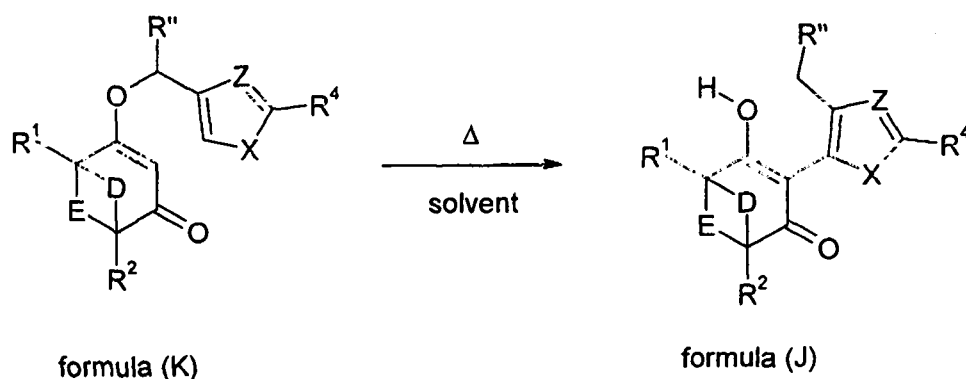
wherein X is sulphur, Z is nitrogen or CR¹⁰ and R³, R⁴ and R¹⁰ have the meanings assigned to them in claim 13.

9. A process for the preparation of a compound of the formula I wherein G is hydrogen, which comprises the thermal rearrangement optionally in the presence of a suitable solvent and optionally under microwave irradiation of the compound of the formula (H) wherein R¹, R², E and D have the meaning assigned to them in claim 1 and R⁴ is hydrogen, C₁-C₆alkyl, C₁-C₆haloalkyl, C₂-C₆alkenyl, C₂-C₆haloalkenyl, C₂-C₆alkynyl, C₃-C₆cycloalkyl, C₅-C₆cycloalkenyl, halogen, C₁-C₆alkoxy, C₁-C₆alkoxy-C₁-C₆alkyl, C₁-C₆haloalkoxy, optionally substituted aryl, optionally substituted aryloxy, optionally substituted heteroaryl or optionally substituted heteroaryloxy,

into a compound of formula (G) which is a compound of formula I wherein G is hydrogen, Het is (R₂) when R³ is CH₂R'' and R'' is hydrogen, alkyl or halogenoalkyl



10. A process for the preparation of a compound of the formula I wherein G is hydrogen, which comprises the thermal rearrangement optionally in the presence of a suitable solvent and optionally under microwave irradiation of the compound of the formula (K) wherein R¹, R², E and D have the meaning assigned to them in claim 1 and R⁴ is hydrogen, C₁-C₆alkyl, C₁-C₆haloalkyl, C₂-C₆alkenyl, C₂-C₆haloalkenyl, C₂-C₆alkynyl, C₃-C₆ cycloalkyl, C₃-C₆cycloalkenyl, halogen, C₁-C₆alkoxy, C₁-C₆alkoxy-C₁-C₆alkyl, C₁-C₆haloalkoxy, optionally substituted aryl, optionally substituted aryloxy, optionally substituted heteroaryl or optionally substituted heteroaryloxy, into a compound of formula (J) which is a compound of formula I wherein G is hydrogen, Het is (R₃) when R³ is CH₂R'' and R'' is hydrogen, alkyl or halogenoalkyl



11. A method of controlling grasses and weeds in crops of useful plants, which comprises applying a herbicidally effective amount of a compound of formula I, or of a composition comprising such a compound, to the plants or to the locus thereof.

12. A herbicidal composition, which, in addition to comprising formulation adjuvants, comprises a herbicidally effective amount of a compound of formula I.

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13. A composition according to claim 12, which, in addition to comprising the compound of formula I, comprises a further herbicide as mixing partner.

14. A composition according to claim 12, which, in addition to comprising the compound of formula I, comprises a safener.

15. A composition according to claim 12, which, in addition to comprising the compound of formula I, comprises a further herbicide as mixing partner and a safener.

INTERNATIONAL SEARCH REPORT

International application No

PCT/EP2008/006279

A. CLASSIFICATION OF SUBJECT MATTER

INV. C07D213/24 C07D239/26 C07D239/38 C07D263/32 C07D277/20
C07D293/06 C07D333/08 C07D417/04

According to International Patent Classification (IPC) or to both national classification and IPC

B. FIELDS SEARCHED

Minimum documentation searched (classification system followed by classification symbols)

C07D

Documentation searched other than minimum documentation to the extent that such documents are included in the fields searched

Electronic data base consulted during the International search (name of data base and, where practical, search terms used)

EPO-Internal, WPI Data, CHEM ABS Data, BEILSTEIN Data

C. DOCUMENTS CONSIDERED TO BE RELEVANT

Category*	Citation of document, with indication, where appropriate, of the relevant passages	Relevant to claim No.
Y	WO 00/15615 A (NOVARTIS AG [CH]; NOVARTIS ERFIND VERWALT GMBH [AT]; EDMUNDS ANDREW [C] 23 March 2000 (2000-03-23) the whole document	1-15
Y	WO 2005/058831 A (SYNGENTA PARTICIPATIONS AG [CH]; LUETHY CHRISTOPH [CH]; EDMUNDS ANDREW) 30 June 2005 (2005-06-30) the whole document	1-15
Y	WO 2004/058712 A (SYNGENTA PARTICIPATIONS AG [CH]; BEAUDEGNIES RENAUD [CH]; EDMUNDS ANDR) 15 July 2004 (2004-07-15) the whole document	1-15
	-/-	

☒ Further documents are listed in the continuation of Box C.☒ See patent family annex.

* Special categories of cited documents:

- *A* document defining the general state of the art which is not considered to be of particular relevance
- *E* earlier document but published on or after the international filing date
- *L* document which may throw doubts on priority claim(s) or which is cited to establish the publication date of another citation or other special reason (as specified)
- *C* document referring to an oral disclosure, use, exhibition or other means
- *P* document published prior to the international filing date but later than the priority date claimed

- *T* later document published after the international filing date or priority date and not in conflict with the application but cited to understand the principle or theory underlying the invention
- *V* document of particular relevance; the claimed invention cannot be considered novel or cannot be considered to involve an inventive step when the document is taken alone
- *Y* document of particular relevance; the claimed invention cannot be considered to involve an inventive step when the document is combined with one or more other such documents, such combination being obvious to a person skilled in the art.
- *S* document member of the same patent family

Date of the actual completion of the international search

13 October 2008

Date of mailing of the international search report

23/10/2008

Name and mailing address of the ISA/

European Patent Office, P.B. 5016 Patentstr. 2
NL - 2220 HV Rijswijk
Tel. (+31-70) 340-2040,
Fax: (+31-70) 340-3016

Authorized officer

Klein, Didier

INTERNATIONAL SEARCH REPORT

International application No
PCT/EP2008/006279

C(Continuation). DOCUMENTS CONSIDERED TO BE RELEVANT		
Category*	Citation of document, with indication, where appropriate, of the relevant passages	Relevant to claim No.
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Y	US 4 678 501 A (MANNING DAVID T [US]) 7 July 1987 (1987-07-07) the whole document	1-15
Y	MANNING, DAVID T. ET AL: "Pyrazinyl-substituted 1,3-cycloalkanediones and related compounds: synthesis and pesticidal properties" JOURNAL OF AGRICULTURAL AND FOOD CHEMISTRY , 36(1), 164-8 CODEN: JAFCAU; ISSN: 0021-8561; 1988, XP002499095. the whole document	1-15

INTERNATIONAL SEARCH REPORT

Information on patent family members

International application No

PCT/EP2008/006279

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US 4678501	A	07-07-1987	NONE

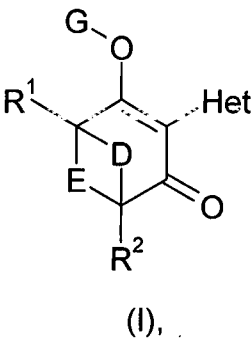
HERBICIDAS NOVEDOSOS

La presente invención se refiere a dionas cíclicas activas desde el punto de vista herbicida novedosas, y a sus derivados, a los procesos para su preparación, a composiciones que comprenden estos compuestos, y a su uso en el control de malezas, especialmente en cultivos de plantas útiles, o en la inhibición del crecimiento de la planta.

Las dionas cíclicas que poseen acción herbicida se describen por ejemplo, en US 4.175.135 y US 4.209.532.

Las dionas bicíclicas novedosas y sus derivados, que poseen propiedades herbicidas y de inhibición del crecimiento, han sido ahora halladas.

En consecuencia la presente invención se refiere a compuestos de fórmula I



donde

R¹ y R² son cada uno de manera independiente hidrógeno, alquilo C₁-C₆, alquénilo C₂-C₆, alquinilo C₂-C₆, haloalquilo C₁-C₆, haloalquénilo C₂-C₆, alcoxi C₁-C₆, alqueniloxi C₃-C₆, haloalqueniloxi C₃-C₆, alquiniloxi C₃-C₆, alcoxiC₁-C₄ alquilo C₁-C₄, alcoxi C₁-C₄ alcoxi C₁-C₄, alcoxi C₁-C₄ alcoxi C₁-C₄ alquilo C₁-C₄, alquiltio C₁-C₆, alquiltioC₁-C₄ alquilo C₁-C₄, alquilsulfinilo C₁-C₄, alquilsulfinilo C₁-C₄ alquilo

- C₁-C₄, alquilsulfonilo C₁-C₄, alquilsulfonilo C₁-C₄ alquilo C₁-C₄, hidroxil- alquilo C₁-C₄, haloalcoxi C₁-C₆ alquilo C₁-C₄, alqueniloxi C₃-C₆ alquilo C₁-C₄, haloalqueniloxi C₃-C₆ alquilo C₁-C₄, alquiniloxi C₃-C₆ alquilo C₁-C₄, cianoalquilo C₁-C₆, cianoalcoxi C₁-C₆, cianoalcoxi C₁-C₄ alquilo C₁-C₄, hidroxil, alquilcarbonilo C₁-C₆, carboxil, alcoxycarbonilo C₁-C₆, alquilaminocarbonilo C₁-C₆, di- alquilaminocarbonilo C₁-C₆, tri(alquilo C₁-C₄)silil o tri(alquilo C₁-C₄)sililoxi,
- D es alquilenil C₁-C₃ opcionalmente sustituido,
- E es alquilenil C₁-C₃ opcionalmente sustituido o alquenilenil C₂-C₃ opcionalmente sustituido,
- 10 Het es un anillo heteroaromático bicíclico o monocíclico opcionalmente sustituido; y G es hidrógeno, un metal alcalino, metal alcalino térreo, sulfonio, amonio o un grupo que confiere latencia (*latentating group*).

- En las definiciones de sustituyentes de los compuestos de fórmula I, los radicales alquilo y los restos alquilo de alcoxi, alquilsulfonilo etc. que tienen 1 a 6 átomos de carbono son de preferencia metilo, etilo como también propilo, butilo, pentilo y hexilo, en la forma de sus isómeros rectos y ramificados.
- 15

- Los radicales alquenilil y alquinilil que tienen 2 a 6 átomos de carbono pueden ser rectos o ramificados y pueden contener más de 1 doble o triple enlace. Son ejemplos vinilo, alilo, propargilo, butenilil, butinilil, pentenilil y pentinilil.
- 20

Los halógenos de preferencia son flúor, cloro y bromo.

- 25 Las unidades alquilenil C₁-C₃ sustituido y alquenilenil C₂-C₃ sustituido representan cadenas de carbono saturadas y no saturadas que se pueden sustituir una vez o más de una vez por sustituyentes tales como alquilo C₁-C₆, haloalquilo C₁-C₆,

- alquenilo C₂-C₆, haloalquenilo C₂-C₆, alquinilo C₂-C₆, cicloalquilo C₃-C₇, cicloalquilo C₃-C₇, alquilo C₁-C₄, cicloalquenilo C₅-C₇, cicloalquenilo C₅-C₇, alquilo C₁-C₄, fenil alquilo C₁-C₄, fenil alquilo C₁-C₄ sustituido, heteroaril alquilo C₁-C₄ y heteroaril alquilo C₁-C₄ sustituido, heterociclil alquilo C₁-C₄ y heterociclil alquilo C₁-C₄ sustituido, alcoxi C₁-C₆, haloalcoxi C₁-C₆, alcoxiC₁-C₄ alquilo C₁-C₄, alcoxi C₁-C₄ alcoxi C₁-C₄, alcoxi C₁-C₄ alcoxi C₁-C₄ alquilo C₁-C₄, alquiltio C₁-C₆, alquiltio C₁-C₄ alquilo C₁-C₄, alquilsulfinilo C₁-C₄, alquilsulfinilo C₁-C₄ alquilo C₁-C₄, alquilsulfonilo C₁-C₄, alquilsulfonilo C₁-C₄ alquilo C₁-C₄, halo, ciano, nitro, cianoalquilo C₁-C₆, cianoalcoxi C₁-C₆, hidroxil, alqueniloxi C₃-C₆, haloalqueniloxi C₃-C₆, alquiniloxi C₃-C₆, fenoxil, fenoxil sustituido, heteroariloxil, heteroariloxil sustituido, heterocicliloxil, heterocicliloxil sustituido, fenil alcoxi C₁-C₄, fenil alcoxi C₁-C₄ sustituido, heteroaril alcoxi C₁-C₄, heteroaril alcoxi C₁-C₄ sustituido, heterociclil alcoxi C₁-C₄, heterociclil alcoxi C₁-C₄ sustituido, hidroxil-alquilo C₁-C₄, haloalcoxi C₁-C₆ alquilo C₁-C₄, alqueniloxi C₃-C₆ alquilo C₁-C₄, haloalqueniloxi C₃-C₆ alquilo C₁-C₄, alquiniloxi C₃-C₆ alquilo C₁-C₄, alquilcarboniloxi C₁-C₄ alquilo C₁-C₄, alcoxycarbonil C₁-C₄ alquilo C₁-C₄, alquilaminocarboniloxi C₁-C₄ alquilo C₁-C₄, di-alquilaminocarboniloxi C₁-C₄ alquilo C₁-C₄, fenoxil alquilo C₁-C₄, fenoxil alquilo C₁-C₄ sustituido, heteroariloxil alquilo C₁-C₄, heteroariloxil alquilo C₁-C₄ sustituido, heterocicliloxil alquilo C₁-C₄, heterocicliloxil alquilo C₁-C₄ sustituido, fenil alcoxi C₁-C₄ alquilo C₁-C₄, fenil alcoxi C₁-C₄ alquilo C₁-C₄ sustituido, heteroaril alcoxi C₁-C₄ alquilo C₁-C₄ sustituido, heterociclil alcoxi C₁-C₄ alquilo C₁-C₄, heterociclil alcoxi C₁-C₄ alquilo C₁-C₄ sustituido, cianoalcoxi C₁-C₆ alquilo C₁-C₄, tri(alquilC₁-C₄)sililoxil alquilo C₁-C₄, carboxil, alquilcarbonilo C₁-C₄, alcoxycarbonilo C₁-C₄, amidocarbonilo, alquilaminocarbonilo C₁-C₄, di-alquilaminocarbonilo C₁-C₄, fenilaminocarbonilo, fenilaminocarbonilo sustituido, heteroarilaminocarbonilo, heteroarilcarbonilo sustituido, alquilcarboniloxi C₁-C₄, alcoxycarboniloxi C₁-C₄, alquilaminocarboniloxi C₁-C₆, di-alquilaminocarboniloxi C₁-

- C₄, alquilaminotiocarboniloxi C₁-C₆, fenilcarboniloxi, fenilcarboniloxi sustituido, heteroarilcarboniloxi, heteroarilcarboniloxi sustituido, heterociclicarboniloxi, heterociclicarboniloxi sustituido, amino, alquilcarbonilamino C₁-C₄, alcóxicarbonilamino C₁-C₄, (alquiltio C₁-C₄)carbonilamino, alcóxitiocarbonilamino
- 5 C₁-C₄, alquil (tiocarbonil)amino C₁-C₄, alquilaminocarbonilamino C₁-C₄, di-alquilaminocarbonilamino C₁-C₄, fenilcarbonilamino, fenilcarbonilamino sustituido, heteroarilcarbonilamino, heteroarilcarbonilamino sustituido, fenóxicarbonilamino, fenóxicarbonilamino sustituido, fenilaminocarbonilamino, fenilaminocarbonilamino sustituido, alquilsulfonilamino C₁-C₄, haloalquilsulfonilamino C₁-C₄,
- 10 fenilsulfonilamino, fenilsulfonilamino sustituido, alquilcarbonilamino C₁-C₄ alquilo C₁-C₄, alcóxicarbonilamino C₁-C₄ alquilo C₁-C₄, (alquiltio C₁-C₄)carbonilamino alquilo C₁-C₄, alcóxitiocarbonilamino C₁-C₄ alquilo C₁-C₄, alquilo C₁-C₄ (tiocarbonil)amino alquilo C₁-C₄, alquilaminocarbonilamino C₁-C₄ alquilo C₁-C₄, di-alquilaminocarbonilamino C₁-C₄ alquilo C₁-C₄, fenilcarbonilamino alquilo C₁-C₄,
- 15 fenilcarbonilamino alquilo C₁-C₄ sustituido, heteroarilcarbonilamino alquilo C₁-C₄, heteroarilcarbonilamino alquilo C₁-C₄ sustituido, fenóxicarbonilamino alquilo C₁-C₄, fenóxicarbonilamino alquilo C₁-C₄ sustituido, fenilaminocarbonilamino alquilo C₁-C₄, fenilaminocarbonilamino alquilo C₁-C₄ sustituido, alquilsulfonilamino C₁-C₄ alquilo C₁-C₄, haloalquilsulfonilamino C₁-C₄ alquilo C₁-C₄, fenilsulfonilamino alquilo
- 20 C₁-C₄, fenilsulfonilamino alquilo C₁-C₄ sustituido, tri(alquil C₁-C₄)silil, tri(alquil C₁-C₄)sililoxi, fenilo y fenilo sustituido, heteroarilo y heteroarilo sustituido, heterociclilo y heterociclilo sustituido. Con preferencia, los grupos alquilenos C₁-C₃ y alquenilenos C₂-C₃ D y E se encuentran no sustituidos, o están sustituidos una o dos veces por alquilo C₁-C₄, alcóxi C₁-C₄, alcóxiC₁-C₄ alquilo C₁-C₄, halógeno o
- 25 hidroxi.

- Cuando dos sustituyentes adyacentes de preferencia están presentes en los grupos alquileo C_1-C_3 y alquilenilo C_2-C_3 estos sustituyentes pueden unirse adicionalmente para formar un anillo saturado de 3-7 miembros, el cual puede contener opcionalmente uno o más heteroátomos seleccionados de oxígeno, azufre o nitrógeno, o puede formar un anillo insaturado de 5-7 miembros, que puede contener de manera opcional uno o más heteroátomos los cuales se seleccionan de oxígeno, azufre o nitrógeno. Los anillos de preferencia que se forman son anillos dioxolano, sustituidos opcionalmente una o dos veces por alquilo C_1-C_3 . Los ejemplos apropiados de anillos heteroaromáticos son, por ejemplo, tienilo, furilo, pirrolilo, isoxazolilo, oxazolilo, isotiazolilo, tiazolilo, pirazolilo, imidazolilo, triazolilo, tetrazolilo, piridilo, pirimidinilo, pirazinilo, piridazinilo, triazinilo, oxadiazolilo y tiadiazolilo, y, cuando corresponde, N-óxidos y sus sales.
- Estos anillos heteroaromáticos pueden ser sustituidos por uno o más sustituyentes, donde los sustituyentes preferidos pueden ser seleccionados de alquilo C_1-C_4 , alquilenilo C_2-C_4 , alquinilo C_2-C_4 , haloalquilo C_1-C_4 , cicloalquilo C_3-C_7 , halocicloalquilo C_3-C_7 , cicloalquilenilo C_5-C_7 , alcoxi C_1-C_4 , haloalcoxi C_1-C_4 , alquiltio C_1-C_4 , haloalquiltio C_1-C_4 , alquilsulfinilo C_1-C_4 , haloalquilsulfinilo C_1-C_4 , alquilsulfonilo C_1-C_4 , haloalquilsulfonilo C_1-C_4 , flúor, cloro, bromo, yodo, ciano, nitro, hidroxialquilo C_1-C_4 , formilo, carboxi, alquilcarbonilo C_1-C_4 , alcoxicarbonilo C_1-C_4 , amidocarbonilo, alquilaminocarbonilo C_1-C_4 , di-alquilaminocarbonilo C_1-C_4 , amino, alquilcarbonilamino C_1-C_4 , alcoxicarbonilamino C_1-C_4 , alquilaminocarbonilamino C_1-C_4 , di-alquilaminocarbonilamino C_1-C_4 , alquilsulfonilamino C_1-C_4 , haloalquilsulfonilamino C_1-C_4 , alquilsulfoniloxi C_1-C_4 y haloalquilsulfoniloxi C_1-C_4 y se seleccionan preferentemente de alquilo C_1-C_4 ,

alcoxi C₁-C₄, haloalcoxi C₁-C₄, halo, ciano y nitro, especialmente alquilo C₁-C₂, alcoxi C₁-C₂, haloalcoxi C₁-C₂, flúor, cloro y ciano.

- El grupo G representa hidrógeno, un catión metal alcalino tal como sodio o potasio, catión metal alcalino térreo tal como calcio, catión sulfonio (preferentemente -S(alquilo₃C₁-C₆)⁺) o catión amonio (preferentemente -NH₄⁺ o -N(alquilo C₁-C₆)₄⁺), o alquilo C₁-C₆, alquenilo C₃-C₆ o alquinilo C₃-C₆ o un grupo que confiere latencia. El grupo que confiere latencia G se selecciona preferentemente de alquilo C₁-C₈, haloalquilo C₂-C₈, fenilalquilo C₁-C₈ (donde el fenilo puede estar opcionalmente sustituido por alquilo C₁-C₃, haloalquilo C₁-C₃, alcoxi C₁-C₃, haloalcoxi C₁-C₃, alquiltio C₁-C₃, alquilsulfinilo C₁-C₃, alquilsulfonilo C₁-C₃, halógeno, ciano o por nitro), heteroarilalquilo C₁-C₈ (donde el heteroarilo puede estar opcionalmente sustituido por alquilo C₁-C₃, haloalquilo C₁-C₃, alcoxi C₁-C₃, haloalcoxi C₁-C₃, alquiltio C₁-C₃, alquilsulfinilo C₁-C₃, alquilsulfonilo C₁-C₃, halógeno, ciano o por nitro), alquenilo C₃-C₈, haloalquenilo C₃-C₈, alquinilo C₃-C₈, C(X^a)-R^a, C(X^b)-X^c-R^b, C(X^d)-N(R^c)-R^d, -SO₂-R^e, -P(X^a)(R^f)-R^g o CH₂-X^f-R^h donde X^a, X^b, X^c, X^d, X^e y X^f son cada uno de manera independiente oxígeno o azufre; R^a es H, alquilo C₁-C₁₀, alquenilo C₂-C₁₀, alquinilo C₂-C₁₀, haloalquilo C₁-C₁₀, cianoalquilo C₁-C₁₀, nitroalquilo C₁-C₁₀, aminoalquilo C₁-C₁₀, alquilamino C₁-C₅, alquilo C₁-C₅, dialquilamino C₂-C₉ alquilo C₁-C₅, cicloalquilo C₃-C₇ alquilo C₁-C₅, alcoxi C₁-C₅ alquilo C₁-C₅, alqueniloxi C₃-C₅ alquilo C₁-C₅, alquiniloxi C₃-C₅ alquilo C₁-C₅, alquiltio C₁-C₅ alquilo C₁-C₅, alquilsulfinilo C₁-C₅ alquilo C₁-C₅, alquilsulfonilo C₁-C₅ alquilo C₁-C₅, alquilidenaminox C₂-C₉ alquilo C₁-C₅, alquilcarbonil C₁-C₅ alquilo C₁-C₅, alcoxycarbonil C₁-C₅ alquilo C₁-C₅, aminocarbonil alquilo C₁-C₅, alquilaminocarbonil C₁-C₅ alquilo C₁-C₅, dialquilaminocarbonil C₂-C₉ alquilo C₁-C₅, alquilcarbonilamino C₁-C₅ alquilo C₁-C₅, N-alquilcarbonil C₁-C₅-N-alquilamino C₁-C₅ alquilo C₁-C₅, trialquilsilil C₃-C₆ alquilo C₁-C₅, fenilalquilo C₁-C₅ (donde el fenilo

- puede estar opcionalmente sustituido por alquilo C₁-C₃, haloalquilo C₁-C₃, alcoxi C₁-C₃, haloalcoxi C₁-C₃, alquiltio C₁-C₃, alquilsulfinilo C₁-C₃, alquilsulfonilo C₁-C₃, halógeno, ciano, o por nitro), heteroarilalquilo C₁-C₅, (donde el heteroarilo puede estar opcionalmente sustituido por alquilo C₁-C₃, haloalquilo C₁-C₃, alcoxi C₁-C₃, haloalcoxi C₁-C₃, alquiltio C₁-C₃, alquilsulfinilo C₁-C₃, alquilsulfonilo C₁-C₃, halógeno, ciano, o por nitro), haloalquenilo C₂-C₅, cicloalquilo C₂-C₈, fenilo o fenilo sustituido por alquilo C₁-C₃, haloalquilo C₁-C₃, alcoxi C₁-C₃, haloalcoxi C₁-C₃, halógeno, ciano o nitro, heteroarilo o heteroarilo sustituido por alquilo C₁-C₃, haloalquilo C₁-C₃, alcoxi C₁-C₃, haloalcoxi C₁-C₃, halógeno, ciano o nitro,
- 10 R^b es alquilo C₁-C₁₈, alquenilo C₃-C₁₈, alquinilo C₃-C₁₈, haloalquilo C₂-C₁₀, cianoalquilo C₁-C₁₀, nitroalquilo C₁-C₁₀, aminoalquilo C₂-C₁₀, alquilamino C₁-C₅ alquilo C₁-C₅, dialquilamino C₂-C₈ alquilo C₁-C₅, cicloalquilo C₃-C₇ alquilo C₁-C₅, alcoxi C₁-C₅ alquilo C₁-C₅, alqueniloxi C₃-C₅ alquilo C₁-C₅, alquiniloxi C₃-C₅ alquilo C₁-C₅, alquiltio C₁-C₅ alquilo C₁-C₅, alquilsulfinilo C₁-C₅ alquilo C₁-C₅, alquilsulfonilo C₁-C₅ alquilo C₁-C₅, alquilidenaminox C₂-C₈ alquilo C₁-C₅, alquilcarbonilo C₁-C₅ alquilo C₁-C₅, alcoxycarbonilo C₁-C₅ alquilo C₁-C₅, aminocarbonilo alquilo C₁-C₅, alquilaminocarbonilo C₁-C₅ alquilo C₁-C₅, dialquilaminocarbonilo C₂-C₈ alquilo C₁-C₅, alquilcarbonilamino C₁-C₅ alquilo C₁-C₅, N-alquilcarbonil C₁-C₅-N-alquilamino C₁-C₅ alquilo C₁-C₅, trialquilsilil C₃-C₆
- 20 alquilo C₁-C₅, fenilalquilo C₁-C₅ (donde el fenilo puede estar opcionalmente sustituido por alquilo C₁-C₃, haloalquilo C₁-C₃, alcoxi C₁-C₃, haloalcoxi C₁-C₃, alquiltio C₁-C₃, alquilsulfinilo C₁-C₃, alquilsulfonilo C₁-C₃, halógeno, ciano, o por nitro), heteroarilalquilo C₁-C₅, (donde el heteroarilo puede estar opcionalmente sustituido por alquilo C₁-C₃, haloalquilo C₁-C₃, alcoxi C₁-C₃, haloalcoxi C₁-C₃, alquiltio C₁-C₃, alquilsulfinilo C₁-C₃, alquilsulfonilo C₁-C₃, halógeno, ciano, o por nitro), haloalquenilo C₂-C₅, cicloalquilo C₂-C₈, fenilo o fenilo sustituido por alquilo C₁-C₃, haloalquilo C₁-C₃, alcoxi C₁-C₃, haloalcoxi C₁-C₃, halógeno, ciano o nitro,
- 25

- heteroarilo o heteroarilo sustituido por alquilo C₁-C₃, haloalquilo C₁-C₃, alcoxi C₁-C₃, haloalcoxi C₁-C₃, halógeno, ciano o nitro,
- R^c and R^d son cada uno de manera independiente hidrógeno, alquilo C₁-C₁₀, alquenilo C₃-C₁₀, alquinilo C₃-C₁₀, haloalquilo C₂-C₁₀, cianoalquilo C₁-C₁₀,
- 5 nitroalquilo C₁-C₁₀, aminoalquilo C₁-C₁₀, alquilamino C₁-C₅ alquilo C₁-C₅, dialquilamino C₂-C₈ alquilo C₁-C₅, cicloalquilo C₃-C₇ alquilo C₁-C₅, alcoxi C₁-C₅ alquilo C₁-C₅, alqueniloxi C₃-C₅ alquilo C₁-C₅, alquiniloxi C₃-C₅ alquilo C₁-C₅, alquiltio C₁-C₅ alquilo C₁-C₅, alquilsulfinilo C₁-C₅ alquilo C₁-C₅, alquilsulfonilo C₁-C₅ alquilo C₁-C₅, alquilidenaminoxi C₂-C₈ alquilo C₁-C₅, alquilcarbonilo C₁-C₅ alquilo
- 10 C₁-C₅, alcoxycarbonilo C₁-C₅ alquilo C₁-C₅, aminocarbonilo alquilo C₁-C₅, alquilaminocarbonilo C₁-C₅ alquilo C₁-C₅, dialquilaminocarbonilo C₂-C₈ alquilo C₁-C₅, alquilcarbonilamino C₁-C₅ alquilo C₁-C₅, *N*-alquilcarbonil C₁-C₅-*N*-alquilamino C₂-C₅, trialquilsilil C₃-C₆ alquilo C₁-C₅, fenilalquilo C₁-C₅ (donde el fenilo puede estar opcionalmente sustituido por alquilo C₁-C₃, haloalquilo C₁-C₃, alcoxi C₁-C₃,
- 15 haloalcoxi C₁-C₃, alquiltio C₁-C₃, alquilsulfinilo C₁-C₃, alquilsulfonilo C₁-C₃, halógeno, ciano, o por nitro), heteroarilalquilo C₁-C₅, (donde el heteroarilo puede estar opcionalmente sustituido por alquilo C₁-C₃, haloalquilo C₁-C₃, alcoxi C₁-C₃, haloalcoxi C₁-C₃, alquiltio C₁-C₃, alquilsulfinilo C₁-C₃, alquilsulfonilo C₁-C₃, halógeno, ciano, o por nitro), haloalquenilo C₂-C₅, cicloalquilo C₃-C₈, fenilo o fenilo
- 20 sustituido por alquilo C₁-C₃, haloalquilo C₁-C₃, alcoxi C₁-C₃, haloalcoxi C₁-C₃, halógeno, ciano o nitro, heteroarilo o heteroarilo sustituido por alquilo C₁-C₃, haloalquilo C₁-C₃, alcoxi C₁-C₃, haloalcoxi C₁-C₃, halógeno, ciano o nitro, heteroarilamino o heteroarilamino sustituido por alquilo C₁-C₃, haloalquilo C₁-C₃, alcoxi C₁-C₃, haloalcoxi C₁-C₃, halógeno, ciano o nitro, diheteroarilamino o diheteroarilamino sustituido por alquilo C₁-C₃, haloalquilo C₁-C₃, alcoxi C₁-C₃, haloalcoxi C₁-C₃, halógeno, ciano o nitro, fenilamino o fenilamino sustituido por alquilo C₁-C₃, haloalquilo C₁-C₃, alcoxi C₁-C₃, haloalcoxi C₁-C₃, halógeno, ciano o nitro

- por nitro, difenilamino o difenilamino sustituido por alquilo C₁-C₃, haloalquilo C₁-C₃, alcoxi C₁-C₃, haloalcoxi C₁-C₃, halógeno, ciano o por nitro, amino, alquilamino C₁-C₃, dialquilamino C₁-C₃, alcoxi C₁-C₃ o cicloalquilamino C₃-C₇, di- cicloalquilamino C₃-C₇ o cicloalcoxi C₃-C₇ o R^c y R^d pueden unirse para formar un anillo de 3-7
- 5 miembros, que opcionalmente contiene un heteroátomo seleccionado de O o S y opcionalmente sustituido por 1 ó 2 grupos alquilo C₁-C₃,
- R^e es alquilo C₁-C₁₀, alquenilo C₂-C₁₀, alquinilo C₂-C₁₀, haloalquilo C₁-C₁₀, cianoalquilo C₁-C₁₀, nitroalquilo C₁-C₁₀, aminoalquilo C₁-C₁₀, alquilamino C₁-C₅ alquilo C₁-C₅, dialquilamino C₂-C₈ alquilo C₁-C₅, cicloalquilo C₃-C₇ alquilo C₁-C₅,
- 10 alcoxi C₁-C₅ alquilo C₁-C₅, alqueniloxi C₃-C₅ alquilo C₁-C₅, alquiniloxi C₃-C₅ alquilo C₁-C₅, alquiltio C₁-C₅ alquilo C₁-C₅, alquilsulfinilo C₁-C₅ alquilo C₁-C₅, alquilsulfonilo C₁-C₅ alquilo C₁-C₅, alquilidenaminoxi C₂-C₈ alquilo C₁-C₅, alquilcarbonilo C₁-C₅ alquilo C₁-C₅, alcoxicarbonilo C₁-C₅ alquilo C₁-C₅, aminocarbonilalquilo C₁-C₅, alquilaminocarbonilo C₁-C₅ alquilo C₁-C₅,
- 15 dialquilaminocarbonilo C₂-C₈ alquilo C₁-C₅, alquilcarbonilamino C₁-C₅ alquilo C₁-C₅, *N*-alquilcarbonil C₁-C₅-*N*-alquilamino C₁-C₅ alquilo C₁-C₅, trialquilsilil C₃-C₆ alquilo C₁-C₅, fenilalquilo C₁-C₅ (donde el fenilo puede estar opcionalmente sustituido por alquilo C₁-C₃, haloalquilo C₁-C₃, alcoxi C₁-C₃, haloalcoxi C₁-C₃, alquiltio C₁-C₃, alquilsulfinilo C₁-C₃, alquilsulfonilo C₁-C₃, halógeno, ciano, o por
- 20 nitro), heteroarilalquilo C₁-C₅, (donde el heteroarilo puede estar opcionalmente sustituido por alquilo C₁-C₃, haloalquilo C₁-C₃, alcoxi C₁-C₃, haloalcoxi C₁-C₃, alquiltio C₁-C₃, alquilsulfinilo C₁-C₃, alquilsulfonilo C₁-C₃, halógeno, ciano, o por nitro), haloalquenilo C₂-C₅, cicloalquilo C₃-C₈, fenilo o fenilo sustituido por alquilo C₁-C₃, haloalquilo C₁-C₃, alcoxi C₁-C₃, haloalcoxi C₁-C₃, halógeno, ciano o nitro,
- 25 heteroarilo o heteroarilo sustituido por alquilo C₁-C₃, haloalquilo C₁-C₃, alcoxi C₁-C₃, haloalcoxi C₁-C₃, halógeno, ciano, amino o por nitro, heteroarilamino o heteroarilamino sustituido por alquilo C₁-C₃, haloalquilo C₁-C₃, alcoxi C₁-C₃,

- haloalcoxi C₁-C₃, halógeno, ciano o por nitro, diheteroarilamino o diheteroarilamino sustituido por alquilo C₁-C₃, haloalquilo C₁-C₃, alcoxi C₁-C₃, haloalcoxi C₁-C₃, halógeno, ciano o nitro, fenilamino o fenilamino sustituido por alquilo C₁-C₃, haloalquilo C₁-C₃, alcoxi C₁-C₃, haloalcoxi C₁-C₃, halógeno, ciano, nitro, amino, difenilamino, o difenilamino sustituido por alquilo C₁-C₃, haloalquilo C₁-C₃, alcoxi C₁-C₃, haloalcoxi C₁-C₃, halógeno, ciano o nitro, o di-cicloalquilamino C₃-C₇ o cicloalcoxi C₃-C₇, alcoxi C₁-C₁₀, haloalcoxi C₁-C₁₀, alquilamino C₁-C₅ o dialquilamino C₂-C₈,
- R^f y R^g son cada uno de manera independiente alquilo C₁-C₁₀, alquenilo C₂-C₁₀, alquinilo C₂-C₁₀, alcoxi C₁-C₁₀, haloalquilo C₁-C₁₀, cianoalquilo C₁-C₁₀, nitroalquilo C₁-C₁₀, aminoalquilo C₁-C₁₀, alquilamino C₁-C₅ alquilo C₁-C₅, dialquilamino C₂-C₈ alquilo C₁-C₅, cicloalquilo C₃-C₇ alquilo C₁-C₅, alcoxi C₁-C₅ alquilo C₁-C₅, alqueniloxi C₃-C₅ alquilo C₁-C₅, alquiniloxi C₃-C₅ alquilo C₁-C₅, alquiltio C₁-C₅ alquilo C₁-C₅, alquilsulfinilo C₁-C₅ alquilo C₁-C₅, alquilsulfonilo C₁-C₅ alquilo C₁-C₅,
- alquilidenaminoxi C₂-C₈ alquilo C₁-C₅, alquilcarbonilo C₁-C₅ alquilo C₁-C₅, alcoxicarbonilo C₁-C₅ alquilo C₁-C₅, aminocarbonilalquilo C₁-C₅, alquilaminocarbonilo C₁-C₅ alquilo C₁-C₅, dialquilaminocarbonilo C₂-C₈ alquilo C₁-C₅, alquilcarbonilamino C₁-C₅ alquilo C₁-C₅, N-alquilcarbonil C₁-C₅-N-alquilaminoalquilo C₂-C₅, trialquilsilil C₃-C₆ alquilo C₁-C₅, fenilalquilo C₁-C₅ (donde el fenilo puede estar opcionalmente sustituido por alquilo C₁-C₃, haloalquilo C₁-C₃, alcoxi C₁-C₃, haloalcoxi C₁-C₃, alquiltio C₁-C₃, alquilsulfinilo C₁-C₃, alquilsulfonilo C₁-C₃, halógeno, ciano, o por nitro), heteroarilalquilo C₁-C₅, (donde el heteroarilo puede estar opcionalmente sustituido por alquilo C₁-C₃, haloalquilo C₁-C₃, alcoxi C₁-C₃, haloalcoxi C₁-C₃, alquiltio C₁-C₃, alquilsulfinilo C₁-C₃, alquilsulfonilo C₁-C₃, halógeno, ciano, o por nitro), haloalquenilo C₂-C₅, cicloalquilo C₃-C₈, fenilo o fenilo sustituido por alquilo C₁-C₃, haloalquilo C₁-C₃, alcoxi C₁-C₃, haloalcoxi C₁-C₃, halógeno, ciano o nitro, heteroarilo o heteroarilo sustituido por alquilo C₁-C₃,

- haloalquilo C₁-C₃, alcoxi C₁-C₃, haloalcoxi C₁-C₃, halógeno, ciano, amino o por nitro, heteroarilamino o heteroarilamino sustituido por alquilo C₁-C₃, haloalquilo C₁-C₃, alcoxi C₁-C₃, haloalcoxi C₁-C₃, halógeno, ciano o por nitro, diheteroarilamino o diheteroarilamino sustituido por alquilo C₁-C₃, haloalquilo C₁-C₃, alcoxi C₁-C₃, haloalcoxi C₁-C₃, halógeno, ciano o nitro, fenilamino o fenilamino sustituido por alquilo C₁-C₃, haloalquilo C₁-C₃, alcoxi C₁-C₃, haloalcoxi C₁-C₃, halógeno, ciano o nitro, amino, hidroxilo, difenilamino, o difenilamino sustituido por alquilo C₁-C₃, haloalquilo C₁-C₃, alcoxi C₁-C₃, haloalcoxi C₁-C₃, halógeno, ciano o nitro, o cicloalquilamino C₃-C₇, di- cicloalquilamino C₃-C₇ o cicloalcoxi C₃-C₇, haloalcoxi C₁-C₁₀, alquilamino C₁-C₅ o dialquilamino C₂-C₃, benziloxi o fenoxi, donde los grupos benzil y fenil pueden a su vez ser sustituidos por alquilo C₁-C₃, haloalquilo C₁-C₃, alcoxi C₁-C₃, haloalcoxi C₁-C₃, halógeno, ciano o nitro, y
- R^h es alquilo C₁-C₁₀, alquenilo C₃-C₁₀, alquinilo C₃-C₁₀, haloalquilo C₁-C₁₀, cianoalquilo C₁-C₁₀, nitroalquilo C₁-C₁₀, aminoalquilo C₂-C₁₀, alquilamino C₁-C₅ alquilo C₁-C₅, dialquilamino C₂-C₃ alquilo C₁-C₅, cicloalquilo C₃-C₇ alquilo C₁-C₅, alcoxi C₁-C₅ alquilo C₁-C₅, alqueniloxi C₃-C₅ alquilo C₁-C₅, alquiniloxi C₃-C₅ alquilo C₁-C₅, alquiltio C₁-C₅ alquilo C₁-C₅, alquilsulfinilo C₁-C₅ alquilo C₁-C₅, alquilsulfonilo C₁-C₅ alquilo C₁-C₅, alquilidenaminoxi C₂-C₃ alquilo C₁-C₅, alquilcarbonilo C₁-C₅ alquilo C₁-C₅, alcoxicarbonilo C₁-C₅ alquilo C₁-C₅, aminocarbonilalquilo C₁-C₅, alquilaminocarbonilo C₁-C₅ alquilo C₁-C₅, dialquilaminocarbonilo C₂-C₃ alquilo C₁-C₅, alquilcarbonilamino C₁-C₅ alquilo C₁-C₅, N-alquilcarbonil C₁-C₅-N-alquilamino C₁-C₅ alquilo C₁-C₅, trialquilsilil C₃-C₆ alquilo C₁-C₅, fenilalquilo C₁-C₅ (donde el fenilo puede estar opcionalmente sustituido por alquilo C₁-C₃, haloalquilo C₁-C₃, alcoxi C₁-C₃, haloalcoxi C₁-C₃, alquiltio C₁-C₃, alquilsulfinilo C₁-C₃, alquilsulfonilo C₁-C₃, halógeno, ciano, o por nitro), heteroarilalquilo C₁-C₅, (donde el heteroarilo puede estar opcionalmente sustituido por alquilo C₁-C₃, haloalquilo C₁-C₃, alcoxi C₁-C₃, haloalcoxi C₁-C₃,

alquiltio C₁-C₃, alquilsulfinilo C₁-C₃, alquilsulfonilo C₁-C₃, halógeno, ciano, o por nitro), fenoxialquilo C₁-C₅ (donde el fenilo puede estar opcionalmente sustituido por alquilo C₁-C₃, haloalquilo C₁-C₃, alcoxi C₁-C₃, haloalcoxi C₁-C₃, alquiltio C₁-C₃, alquilsulfinilo C₁-C₃, alquilsulfonilo C₁-C₃, halógeno, ciano o por nitro), heteroariloxialquilo C₁-C₅ (donde el heteroarilo puede estar opcionalmente sustituido por alquilo C₁-C₃, haloalquilo C₁-C₃, alcoxi C₁-C₃, haloalcoxi C₁-C₃, alquiltio C₁-C₃, alquilsulfinilo C₁-C₃, alquilsulfonilo C₁-C₃, halógeno, ciano o por nitro), haloalquenilo C₃-C₅, cicloalquilo C₃-C₆, fenilo o fenilo sustituido por alquilo C₁-C₃, haloalquilo C₁-C₃, alcoxi C₁-C₃, haloalcoxi C₁-C₃, halógeno o por nitro, o heteroarilo, o heteroarilo sustituido por alquilo C₁-C₃, haloalquilo C₁-C₃, alcoxi C₁-C₃, haloalcoxi C₁-C₃, halógeno, ciano o por nitro.

En particular, el grupo que confiere latencia G es un grupo -C(X^a)-R^a o -C(X^b)-X^c-R^b, y los significados de X^a, R^a, X^b, X^c y R^b son según se definieron anteriormente.

15

Preferentemente, G representa hidrógeno, un metal alcalino o metal alcalino térreo, donde el hidrógeno es particularmente preferido.

El grupo que confiere latencia G se selecciona para permitir su eliminación mediante un o una combinación de procesos bioquímicos, químicos o físicos a fin de proporcionar compuestos de fórmula I donde G es H antes, durante o seguido de la aplicación al área o plantas tratadas. Incluyen ejemplos de estos procesos ruptura enzimática, hidrólisis química y fotólisis. Los compuestos que llevan tales grupos G deben ofrecer ciertas ventajas, tal como mejor penetración de la cutícula de las plantas tratadas, mejor tolerancia de los cultivos, mejor compatibilidad o estabilidad en las mezclas formuladas que contienen otros herbicidas, sustancias

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protectoras herbicidas, reguladores del crecimiento de la planta, fungicidas o insecticidas, o disminución de lixiviación en los suelos.

Preferentemente, R^1 es hidrógeno, alquilo C_1-C_4 o alcoxi C_1-C_4 y, con más
5 preferencia, R^1 es hidrógeno o metilo.

Preferentemente, R^2 es hidrógeno o metilo y, con más preferencia, R^2 es hidrógeno.

10 Preferentemente, D es alquileo C_1-C_2 o alquileo C_1-C_2 sustituido por alquilo C_1-C_3 o alcoxi C_1-C_3 alquilo C_1-C_3 , en particular metileno o etileno.

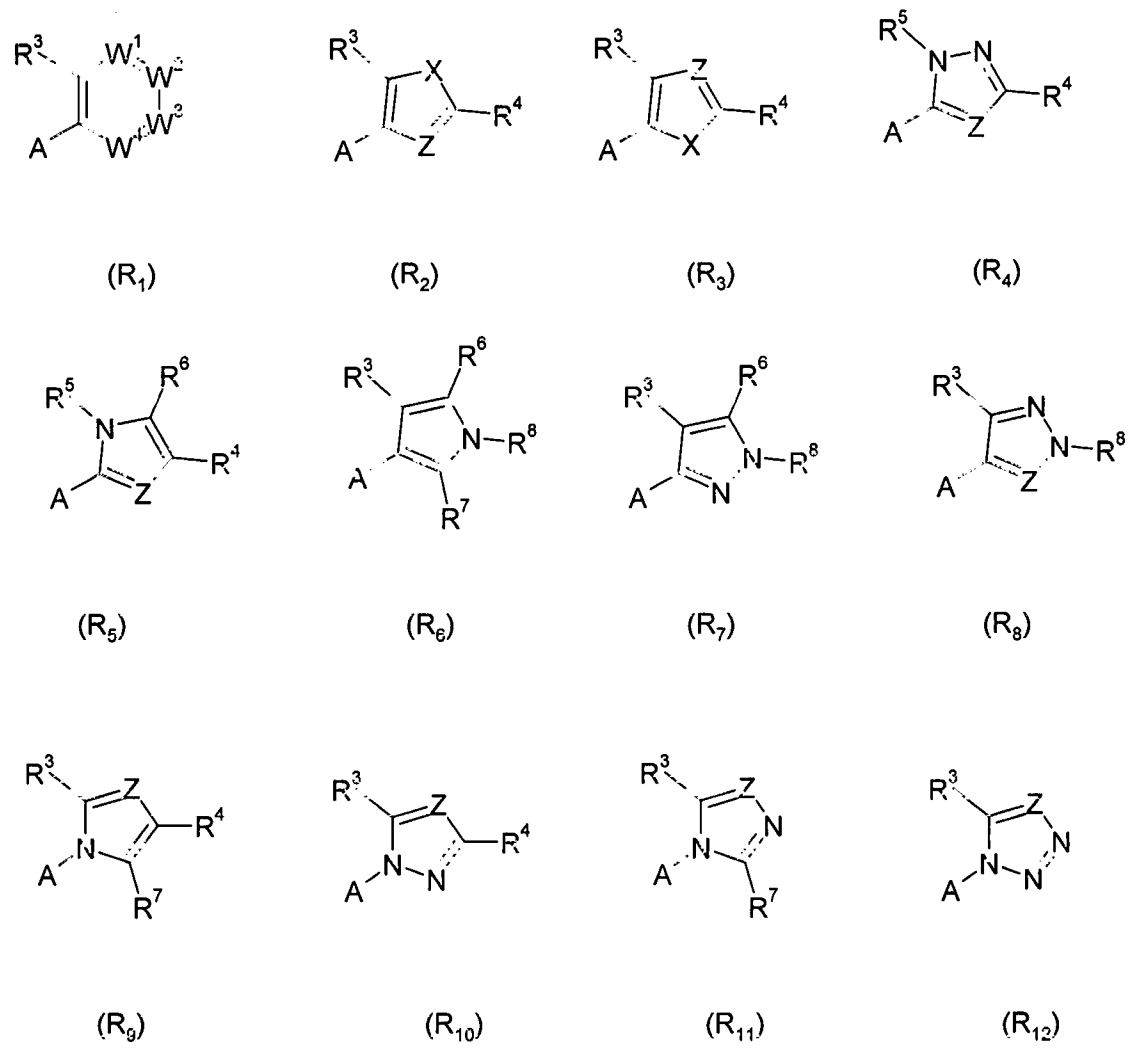
Preferentemente, E es alquileo C_1-C_2 opcionalmente sustituido o alquilenilo C_2 opcionalmente sustituido.

15 Con más preferencia, E es alquileo C_1-C_2 o alquileo C_1-C_2 sustituido por halógeno, hidroxilo, ciano, alquilo C_1-C_3 , alcoxi C_1-C_3 o alcoxi C_1-C_3 alquilo C_1-C_3 , o E es alquilenilo C_2 o alquilenilo C_2 sustituido por halógeno, hidroxilo, ciano, alquilo C_1-C_3 , alcoxi C_1-C_3 o alcoxi C_1-C_3 alquilo C_1-C_3 , en particular, E es etileno o etenileno.

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Het es preferentemente un azufre o preferentemente nitrógeno de 6 miembros monocíclico opcionalmente sustituido o, preferentemente de 5 miembros, que contiene anillo heteroaromático. Con más preferencia, Het es un azufre y nitrógeno de 5 miembros monocíclico que contiene anillo heteroaromático, y aún

25 con más preferencia, Het es un grupo de la fórmula R_1 a R_{12}



donde

A representa el punto de unión al resto cetoenol,

- 5
- W¹ es N o CR⁶,

W² y W³ son cada uno de manera independiente N o CR⁴,

W⁴ es N o CR⁷,

con la salvedad de que al menos uno de W¹, W², W³ o W⁴ es N,

X es O, S, Se, o NR⁹,

- 10
- Z es N o CR¹⁰,

donde

- R^3 es hidrógeno, halógeno, alquilo C_1-C_4 , haloalquilo C_1-C_4 , cicloalquilo C_3-C_6 , halocicloalquilo C_3-C_6 , alquenilo C_2-C_4 , haloalquenilo C_2-C_4 , alquinilo C_2-C_4 , alcoxi C_1-C_4 , haloalcoxi C_1-C_4 , alquiltio C_1-C_4 , alquilsulfinilo C_1-C_4 , alquilsulfonilo C_1-C_4 , nitro o ciano, preferentemente halógeno, alquilo C_1-C_2 , haloalquilo C_1-C_2 ,
5 vinilo, etinilo, o metoxi, y aún con mayor preferencia metilo o etilo,
- R^4 es hidrógeno, alquilo C_1-C_6 , haloalquilo C_1-C_6 , alquenilo C_2-C_6 , haloalquenilo C_2-C_6 , alquinilo C_2-C_6 , cicloalquilo C_3-C_6 , cicloalquenilo C_5-C_6 , halógeno, alcoxi C_1-C_6 , alcoxi C_1-C_6 , alquilo C_1-C_6 , haloalcoxi C_1-C_6 , arilo opcionalmente sustituido, ariloxi opcionalmente sustituido, heteroarilo opcionalmente sustituido o
10 heteroariloxi opcionalmente sustituido, preferentemente arilo opcionalmente sustituido o heteroarilo opcionalmente sustituido cuando los sustituyentes se seleccionan de halógeno, alquilo C_1-C_2 , alcoxi C_1-C_2 , haloalquilo C_1-C_2 , haloalcoxi C_1-C_2 , ciano o nitro, y aún con mayor preferencia fenilo, sustituido una, dos o tres veces, por halógeno, alquilo C_1-C_2 , alcoxi C_1-C_2 , haloalquilo C_1-C_2 ,
15 haloalcoxi C_1-C_2 o ciano,
- R^5 es hidrógeno, alquilo C_1-C_4 , alquenilo C_2-C_3 , alquinilo C_2-C_3 , haloalquilo C_1-C_4 o haloalquenilo C_2-C_3 , preferentemente metilo o etilo,
- R^6 es hidrógeno, metilo, halometilo o halógeno, preferentemente hidrógeno,
- R^7 es hidrógeno, halógeno, alquilo C_1-C_4 , haloalquilo C_1-C_4 , alquenilo C_2-C_4 ,
20 haloalquenilo C_2-C_4 , alquinilo C_2-C_4 , alcoxi C_1-C_4 , haloalcoxi C_1-C_4 , alquiltio C_1-C_4 , alquilsulfinilo C_1-C_4 , C_1 alquilsulfonilo $-C_4$ o ciano, preferentemente hidrógeno, halógeno, metilo o etilo,
- R^8 es hidrógeno, metilo, etilo, halometilo, haloetilo, arilo opcionalmente sustituido o heteroarilo opcionalmente sustituido, preferentemente arilo opcionalmente
25 sustituido o heteroarilo opcionalmente sustituido cuando los sustituyentes se seleccionan de halógeno, alquilo C_1-C_2 , alcoxi C_1-C_2 , haloalquilo C_1-C_2 , haloalcoxi C_1-C_2 , ciano o nitro, aún con mayor preferencia fenilo, sustituido una,

dos o tres veces, por halógeno, alquilo C₁-C₂-, alcoxi C₁-C₂-, haloalquilo C₁-C₂, haloalcoxi C₁-C₂ o ciano, R⁹ es hidrógeno, metilo, etilo o halometilo, y R¹⁰ es hidrógeno, metilo, etilo, halometilo, haloetilo, halógeno, ciano o nitro.

5

Con más preferencia, Het es un grupo de la fórmula (R₂), donde X es S y Z es N o CR¹⁰ y R³, R⁴ y R¹⁰ son según se definieron anteriormente.

10

La invención se refiere también a las sales que los compuestos de fórmula I son capaces de formar con aminas, bases de metal alcalino y metal alcalino térreo o bases de amonio cuaternarias.

15

Entre los hidróxidos de metal alcalino y metal alcalino térreo como formadores de sal, debe hacerse mención especial de los hidróxidos de litio sodio, potasio, magnesio y calcio, pero en especial los hidróxidos de sodio y potasio. Los compuestos de fórmula I de acuerdo con esta invención también incluye a los hidratos los cuales pueden formarse durante la formación de la sal.

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Los ejemplos de aminas apropiadas para la formación de sal de amonio incluye amoníaco como así alquilaminas C₁-C₁₀, hidroxialquilaminas C₁-C₄ y alcóxialquilaminas C₂-C₄ primarias, secundarias y terciarias, por ejemplo metilamina, etilamina, n-propilamina, isopropilamina, los cuatro isómeros butilamina, n-amilamina, isoamilamina, hexilamina, heptilamina, octilamina, nonilamina, decilamina, pentadecilamina, hexadecilamina, heptadecilamina, octadecilamina, metiletilamina, metilisopropilamina, metilhexilamina, metilnonilamina, metilpentadecilamina, metiloctadecilamina, etilbutilamina, etilheptilamina, etiloctilamina, hexilheptilamina, hexiloctilamina, dimetilamina, dietilamina,

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di-n-propilamina, diisopropilamina, di-n-butilamina, di-n-amilamina, diisoamilamina, dihexilamina, diheptilamina, dioctilamina, etanolamina, n-propanolamina, isopropanolamina, N,N-dietanolamina, N-etilpropanolamina, N-butiletanolamina, allilamina, n-but-2-enilamina, n-pent-2-enilamina, 2,3-dimetilbut-2-enilamina, dibut-2-enilamina, n-hex-2-enilamina, propilendiamina, trimetilamina, trietilamina, tri-n-propilamina, triisopropilamina, tri-n-butilamina, triisobutilamina, tri-sec-butilamina, tri-n-amilamina, metoxietilamina y etoxietilamina; aminas heterocíclicas, por ejemplo piridina, quinolina, isoquinolina, morfolina, piperidina, pirrolidina, indolina, quinuclidina y azepina; arilaminas primarias, por ejemplo anilinas, metoxianilinas, etoxianilinas, o-, m- y p-toluidinas, fenilenodiaminas, benzidinas, naftilaminas y o-, m- y p-cloroanilinas; pero en especial trietilamina, isopropilamina y diisopropilamina.

Las bases de amonio cuaternarias de preferencia apropiadas para la formación de sal corresponden, por ejemplo, a la fórmula $[N(R'_a R'_b R'_c R'_d)]OH$ donde R'_a , R'_b , R'_c y R'_d son cada uno de manera independiente alquilo C_1 - C_4 . Se pueden obtener bases adicionales de tetraalquilamonio apropiadas con otros aniones, por ejemplo, mediante reacciones de intercambio de anión.

Dependiendo de la naturaleza de los sustituyentes, los compuestos de fórmula I pueden existir en diferentes formas isoméricas. Cuando G es hidrógeno, por ejemplo, los compuestos de fórmula I pueden existir en diferentes formas tautoméricas. Esta invención abarca todos dichos isómeros y tautómeros y sus mezclas en todas las proporciones. También, cuando los sustituyentes contienen doble enlaces, pueden existir isómeros cis y trans. Asimismo, estos isómeros se encuentran dentro del alcance de los compuestos de fórmula I reivindicados.

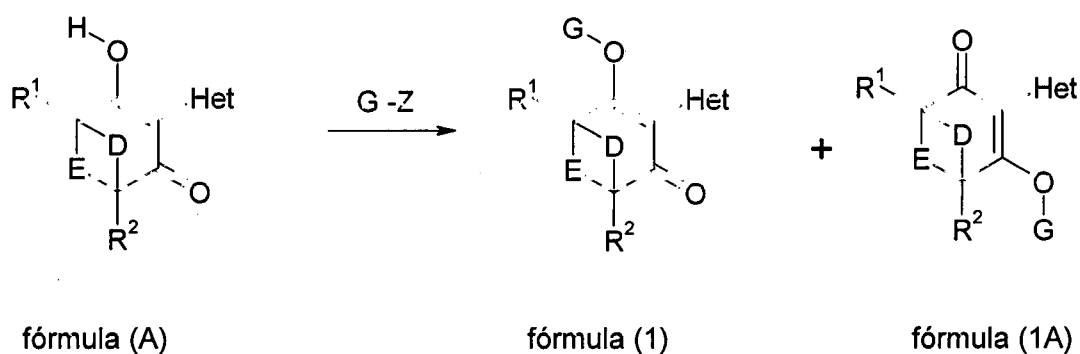
- Un compuesto de fórmula I donde G es alquilo C_1-C_8 , haloalquilo C_2-C_8 , fenilalquilo C_1-C_8 (donde el fenilo puede estar opcionalmente sustituido por alquilo C_1-C_3 , haloalquilo C_1-C_3 , alcoxi C_1-C_3 , haloalcoxi C_1-C_3 , alquiltio C_1-C_3 , alquilsufinilo C_1-C_3 , alquilsulfonilo C_1-C_3 , halógeno, ciano o por nitro),
- 5 heteroarilalquilo C_1-C_8 (donde el heteroarilo puede estar opcionalmente sustituido por alquilo C_1-C_3 , haloalquilo C_1-C_3 , alcoxi C_1-C_3 , haloalcoxi C_1-C_3 , alquiltio C_1-C_3 , alquilsufinilo C_1-C_3 , alquilsulfonilo C_1-C_3 , halógeno, ciano o por nitro), alquenilo C_3-C_8 , haloalquenilo C_3-C_8 , alquinilo C_3-C_8 , $C(X^a)-R^a$, $C(X^b)-X^c-R^b$, $C(X^d)-N(R^c)-R^d$, $-SO_2-R^e$, $-P(X^e)(R^f)-R^g$ o $CH_2-X^f-R^h$ donde X^a , X^b , X^c , X^d , X^e , X^f , R^a , R^b , R^c , R^d , R^e ,
- 10 R^f , R^g y R^h son según se definieron anteriormente pueden prepararse mediante el tratamiento de un compuesto de fórmula (A), que es un compuesto de fórmula I donde G es H, con un reactivo G-Z, donde G-Z es un agente de alquilación tal como un haluro de alquilo (la definición de haluro de alquilo incluye haluros de alquilo C_1-C_8 simples tal como yoduro de metilo y yoduro de etilo, haluros de alquilo sustituidos tal como clorometil alquil éteres, $Cl-CH_2-X^f-R^h$, donde X^f es
- 15 oxígeno, y clorometil alquil sulfuros $Cl-CH_2-X^f-R^h$, donde X^f es azufre), un alquil C_1-C_8 sulfonato, o un di-alquil C_1-C_8 - sulfato, o con un haluro de alquenilo C_3-C_8 , o con un haluro de alquinilo C_3-C_8 , o con un agente de acilación tal como un ácido carboxílico, $HO-C(X^a)R^a$, donde X^a es oxígeno, un ácido clorhídrico, $Cl-C(X^a)R^a$,
- 20 donde X^a es oxígeno, o ácido anhídrido, $[R^aC(X^a)]_2O$, donde X^a es oxígeno, o un isocianato, $R^cN=C=O$, o un carbamoil cloruro, $Cl-C(X^d)-N(R^c)-R^d$ (donde X^d es oxígeno y con la salvedad de que R^c o R^d ninguno es hidrógeno), o un tiocarbamoil cloruro $Cl-C(X^d)-N(R^c)-R^d$ (donde X^d es azufre y con la salvedad de que R^c o R^d ninguno es hidrógeno) o un cloroformiato, $Cl-C(X^b)-X^c-R^b$, (donde X^b y X^c son oxígeno), o un clorotioformiato $Cl-C(X^b)-X^c-R^b$ (donde X^b es oxígeno y X^c es azufre), o un cloroditioformiato $Cl-C(X^b)-X^c-R^b$, (donde X^b y X^c son azufre), o un isotiocianato, $R^cN=C=S$, o mediante tratamiento secuencial con disulfuro de
- 25

carbono y un agente de alquilación, o con un agente de fosforilación tal como un cloruro de fosforilo, $\text{Cl-P}(X^e)(R^f)\text{-R}^g$ o con un agente de sulfonilación tal como un cloruro de sulfonilo $\text{Cl-SO}_2\text{-R}^e$, preferentemente en presencia de al menos un equivalente de base.

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Cuando los sustituyentes R^1 y R^2 son diferentes, estas reacciones pueden producir, además de un compuesto de fórmula I, un segundo compuesto de fórmula IA. Esta invención abarca tanto un compuesto de fórmula I como un compuesto de fórmula IA, junto con mezclas de estos compuestos en cualquier

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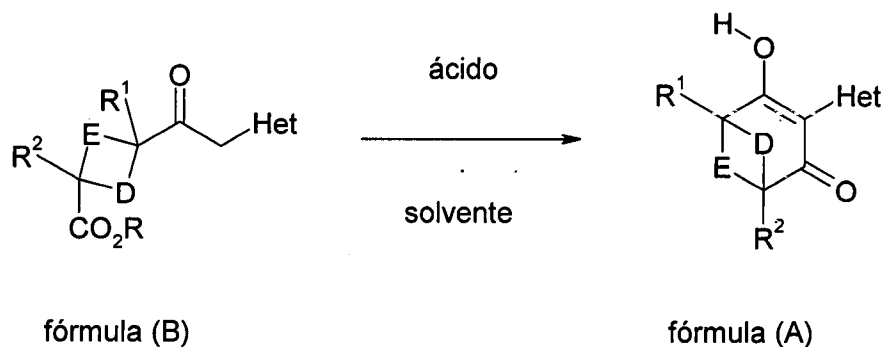
- 15 Se conoce la O-alquilación de 1,3-dionas cíclicas; métodos adecuados se describen, por ejemplo, en US4436666. Se han informado procedimientos alternativos por M. T. Pizzorno y S. M. Albonico, Chem. Ind. (London), (1972), 425-426; H. Born *et al.*, J. Chem. Soc., (1953), 1779-1782; M. G. Constantino *et al.*, Synth. Commun., (1992), 22 (19), 2859-2864; Y. Tian *et al.*, Synth. Commun.,
- 20 (1997), 27 (9), 1577-1582; S. Chandra Roy *et al.*, Chem. Letters, (2006), 35 (1), 16-17; P. K. Zubaidha *et al.*, Tetrahedron Lett., (2004), 45, 7187-7188.

Se puede realizar la O-acilación de 1,3-dionas cíclicas mediante procedimientos similares a aquellos descritos, por ejemplo, en US4175135, US4422870, US4659372 y US4436666. Generalmente dionas de fórmula (A) pueden tratarse con el agente de acilación en presencia de al menos un equivalente de una base apropiada, opcionalmente en presencia de un solvente apropiado. La base puede ser inorgánica, tal como un hidróxido o carbonato de metal alcalino, o un metal hidruro, o una base orgánica tal como una amina terciaria o metal alcóxido. Ejemplos de bases inorgánicas apropiadas incluyen carbonato de sodio, hidróxido de potasio o sodio, hidruro de sodio, y bases orgánicas apropiadas incluyen a trialquilaminas, tal como trimetilamina y trietilamina, piridinas u otras bases aminas tal como 1,4-diazobicyclo[2.2.2]octano y 1,8-diazabicyclo[5.4.0]undec-7-eno. Las bases preferidas incluyen trietilamina y piridina. Los solventes adecuados para esta reacción se seleccionan por su compatibilidad con los reactivos e incluyen a éteres tales como tetrahidrofurano y 1,2-dimetoxietano y solventes halogenados tal como diclorometano y cloroformo. Se pueden emplear ciertas bases, tal como piridina y trietilamina, de manera exitosa tanto como base y solvente. Para los casos donde el agente de acilación es un ácido carboxílico, la acilación se realiza preferentemente en presencia de un agente de acoplamiento tal como yoduro de 2-cloro-1-metilpiridinio, *N,N'*-diciclohexilcarbodiimida, 1-(3-dimetilaminopropil)-3-etilcarbodiimida y *N,N'*-carbodiimidazol, y opcionalmente una base tal como trietilamina o piridina en un solvente adecuado tal como tetrahidrofurano, diclorometano o acetonitrilo. Se describen procedimientos apropiados, por ejemplo, por W. Zhang y G. Pugh, *Tetrahedron Lett.*, (1999), 40 (43), 7595-7598 y T. Isobe y T. Ishikawa, *J. Org. Chem.*, (1999), 64 (19), 6984.

La fosforilación de 1,3-dionas cíclicas se puede realizar utilizando un haluro de fosforilo o haluro de tiofosforilo y una base mediante procedimientos análogos a aquellos descritos en US4409153.

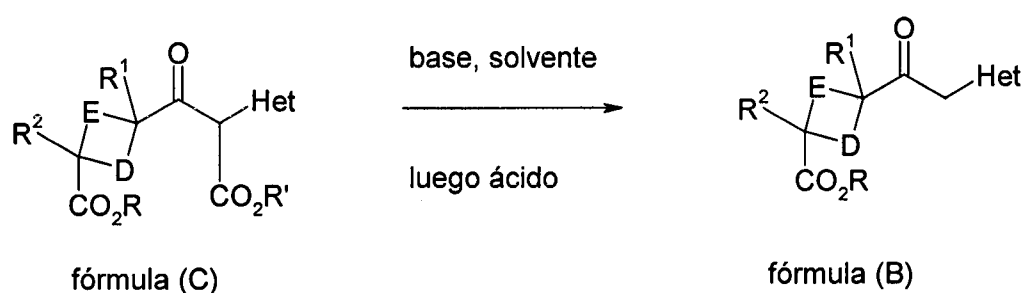
- 5 La sulfonilación de un compuesto de fórmula (A) puede realizarse utilizando un alquil o aril sulfonil haluro, preferentemente en presencia de al menos un equivalente de base, por ejemplo mediante procedimiento de C. J. Kowalski and K. W. Fields, J. Org. Chem., (1981), 46, 197.
- 10 Los compuestos de fórmula (A) se pueden preparar via la ciclación de compuestos de fórmula (B), preferentemente en presencia de un ácido o una base, y opcionalmente en presencia de un solvente apropiado, mediante métodos análogos a aquellos descritos en US4209532. Los compuestos de fórmula (B) han sido particularmente designados como intermediarios en la síntesis de los
- 15 compuestos de fórmula I. Los compuestos de fórmula (B) donde R es hidrógeno se pueden someter a ciclación bajo condiciones ácidas, preferentemente en presencia de un ácido fuerte tal como ácido sulfúrico, ácido polifosfórico o reactivo de Eaton, opcionalmente en presencia de un solvente apropiado tal como ácido acético, tolueno o diclorometano.

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Los compuestos de fórmula (B) donde R es alquilo (preferentemente metilo o etilo) pueden someterse a ciclación bajo condiciones ácidas o básicas, preferentemente en presencia de al menos un equivalente de una base fuerte tal como *ter*-butóxido de potasio, litio diisopropilamida o hidruro de sodio y en un
 5 solvente tal como tetrahidrofurano, tolueno, dimetilsulfóxido o *N,N*-dimetilformamida.

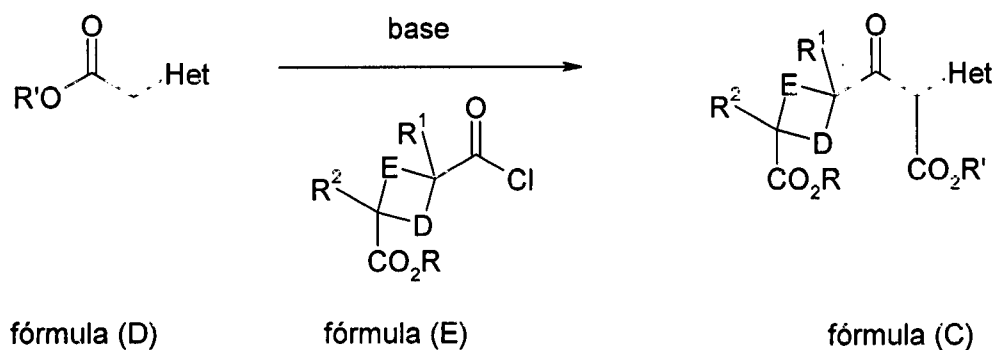
Los compuestos de fórmula (B), donde R es H se pueden preparar mediante saponificación de compuestos de fórmula (C) donde R' es alquilo
 10 (preferentemente metilo o etilo) bajo condiciones estándar, seguidas de la acidificación de la mezcla de reacción para llevar a cabo la descarboxilación, mediante procesos similares a aquellos descritos, por ejemplo, en US4209532:



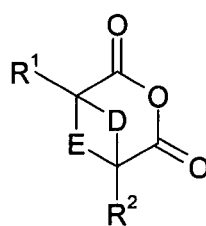
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Los compuestos de fórmula (B), donde R es H se pueden esterificar a compuestos de fórmula (B), donde R es alquilo, bajo condiciones estándar.

Los compuestos de fórmula (C) donde R es alquilo se pueden preparar mediante el tratamiento de compuestos de fórmula (D) con cloruros de ácido carboxílico
 20 adecuados de fórmula (E) donde R es alquilo bajo condiciones alcalinas. Las bases apropiadas incluyen *ter*-butóxido de potasio, bis(trimetilsilil)amida de sodio y diisopropilamida de litio y la reacción se conduce preferentemente en un solvente apropiado (tal como tetrahidrofurano o tolueno) a una temperatura de entre -80°C y 30°C :



De manera alternativa, los compuestos de fórmula (C), donde R es H, se pueden preparar mediante el tratamiento de compuestos de fórmula (D) con una base adecuada (tal como *ter*-butóxido de potasio, bis(trimetilsilil)amida de sodio y diisopropilamida de litio) en un solvente apropiado (tal como tetrahidrofurano o tolueno) a una temperatura de entre -80°C y 0°C y haciendo reaccionar el anión resultante con un anhídrido apropiado de fórmula (F):

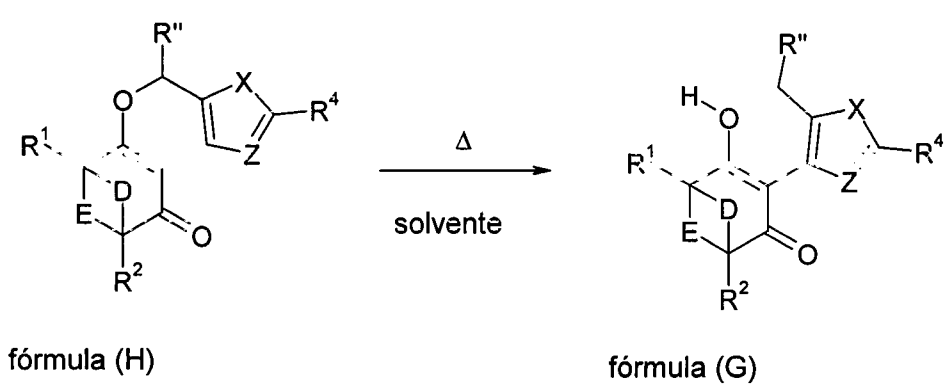


fórmula (F)

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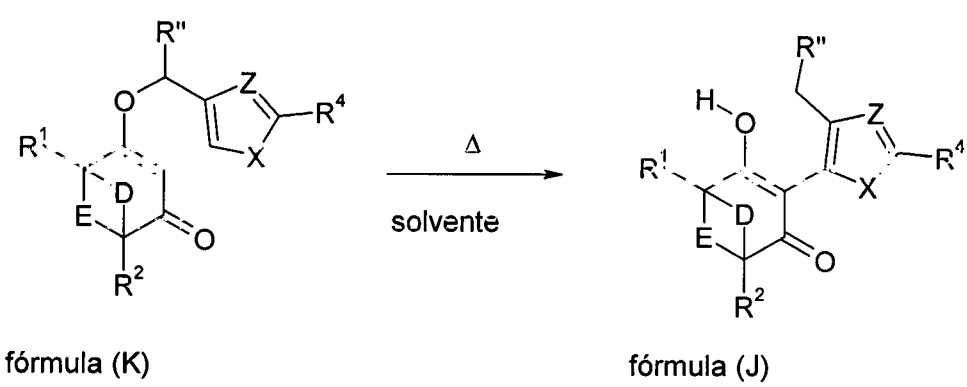
Los compuestos de fórmula (D) son conocidos, o se pueden realizar mediante métodos conocidos a partir de compuestos conocidos (véase, por ejemplo, E. Bellur and P. Langer, *Synthesis* (2006), 3, 480-488; E. Bellur and P. Langer, *Eur. J. Org. Chem.*, (2005), 10, 2074-2090; G. Bartolo *et al.*, *J. Org. Chem.*, (1999), 64 (21), 7693-7699; R. Kranich *et al.*, *J. Med. Chem.*, (2007), 50 (6), 1101-1115; I. Freifeld *et al.*, *J. Org. Chem.*, (2006) 71 (13), 4965-4968; S. Hermann *et al.*, WO2006/087120; R. Fischer *et al.* WO96/16061; H. A. Staab and G. A. Schwalbach, *Justus Liebigs Annalen der Chemie*, (1968), 715, 128-34; J-L Brayer

- et al.*, EP402246; P. Chemla *et al.*, WO99/32464; A. Dornow and G. Petsch, Chem. Berichte, (1953), 86, 1404-1407; E. Y-H Chao *et al.*, WO2001/000603; D. B. Lowe *et al.*, WO2003/011842; R. Fischer *et al.*, WO2001/096333; J. Ackermann *et al.*, WO2005/049572; B. Li *et al.*, Bioorg. Med. Chem. Lett., (2002), 12, 2141-2144, G. P. Rizzi, J. Org. Chem., (1968), 33 (4) 13333-13337; M. Okitsu and K. Yoshid, JP63230670; F. Bohlmann *et al.*, Chem. Ber., (1955), 88, 1831-1838; R. Fischer *et al.*, WO2003/035463; R. Fischer *et al.*, WO2005/005428; D O'Mant, GB1226981).
- 10 Los compuestos de fórmula (E) y fórmula (F) son conocidos (véase, por ejemplo, K. Crowley, J. Am. Chem. Soc., (1964), Vol. 86, No. 24, 5692-5693; E. Bercot and T. Rovis, J. Am. Chem. Soc., 2005, 127, 247-254; R. McDonald and R. Reitz, J. Am. Chem. Soc., (1976), Vol. 98, No. 25, 8144-8155; A. Smith III *et al.*, J. Org. Chem., (1974), Vol. 39, No. 12, 1607-1612; J. Baldwin and M. Lusch, J. Org. Chem., (1979), Vol. 44, No. 12, 1923-1927; R. Carlson and K. May, Tetrahedron Lett., (1975), Vol. 16, No. 11, 947-950; A. Börner *et al.*, Tetrahedron Asymmetry (2002), 13, 1615-1620) o se pueden realizar mediante métodos similares a partir de materiales de partida disponibles comercialmente.
- 15
- 20 En una metodología adicional de compuestos de fórmula (A), un compuesto de fórmula (G), que es un compuesto de fórmula (A) donde Het es (R₂) cuando R³ es CH₂R'' y R'' es hidrógeno, alquilo o halogenoalquilo (preferentemente hidrógeno, metilo o trifluorometilo), se pueden preparar mediante reordenamientos térmicos de un compuesto de fórmula (H), opcionalmente e presencia de un solvente
- 25 apropiado y opcionalmente bajo irradiación por microondas.



Preferentemente, el reordenamiento se lleva a cabo mediante calentamiento de un compuesto de fórmula (H) a temperaturas de entre 120-300°C, opcionalmente en un solvente adecuado tal como 1,2-dimetoxietano, dimetil éter de dietilen glicol, dimetil éter de trietilen glicol, dimetil éter de tetraetilen glicol, xileno, mesitileno o Dowtherm®, y opcionalmente bajo irradiación por microondas.

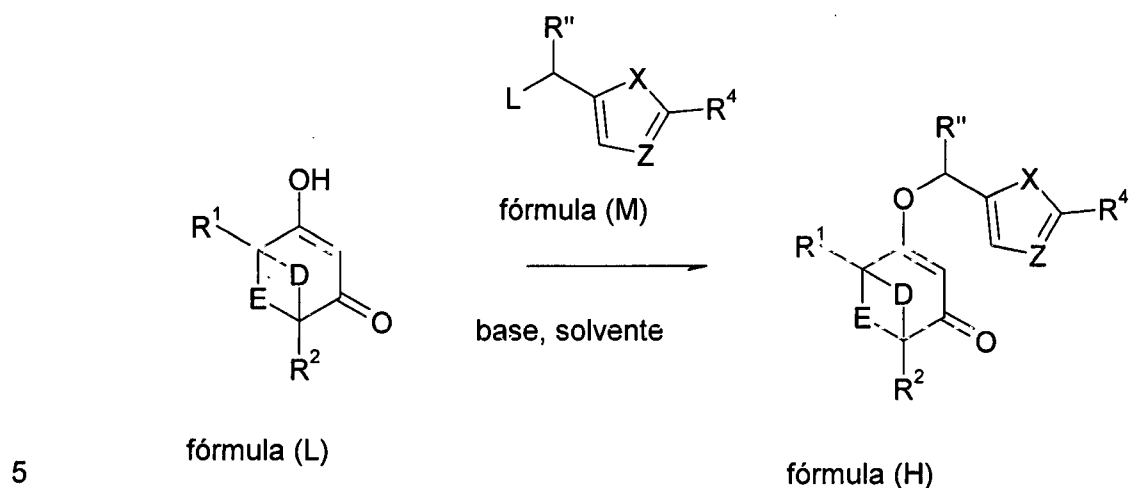
De modo similar, un compuesto de fórmula (J), que es un compuesto de fórmula (A) donde Het es (R₃) cuando R³ es CH₂R'' y R'' es hidrógeno, alquilo o halogenoalquilo (preferentemente hidrógeno, metilo o trifluorometilo), se puede preparar a partir de un compuesto de fórmula (K) utilizando métodos similares.



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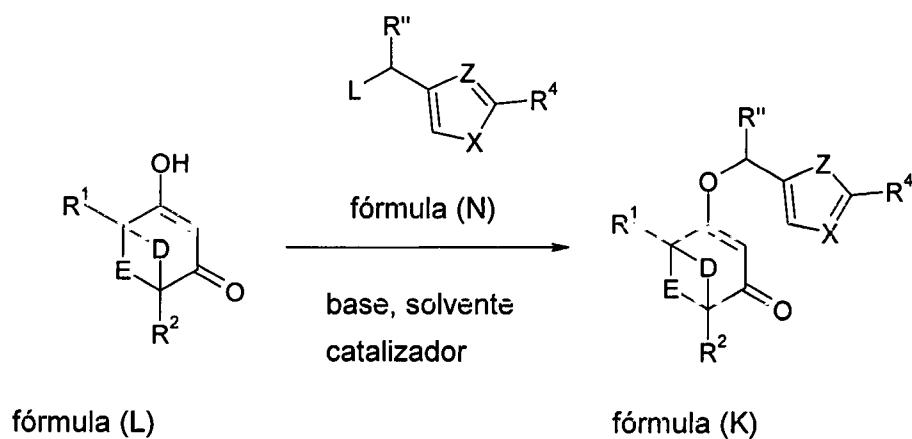
Se puede preparar un compuesto de fórmula (H) a partir de un compuesto de fórmula (L) mediante alquilación con un compuesto de fórmula (M), donde L es un grupo saliente adecuado tal como halógeno o un alquil- o aril-sulfonato,

opcionalmente en presencia de una base adecuada y opcionalmente en un solvente apropiado según se describió con anterioridad para la alquilación de los compuestos de fórmula (A)



De manera similar, se puede preparar un compuesto de fórmula (K) a partir de un compuesto de fórmula (L) mediante alquilación con un compuesto de fórmula (N), donde L es un grupo saliente adecuado tal como halógeno o un alquil- o aril-sulfonato, bajo condiciones similares.

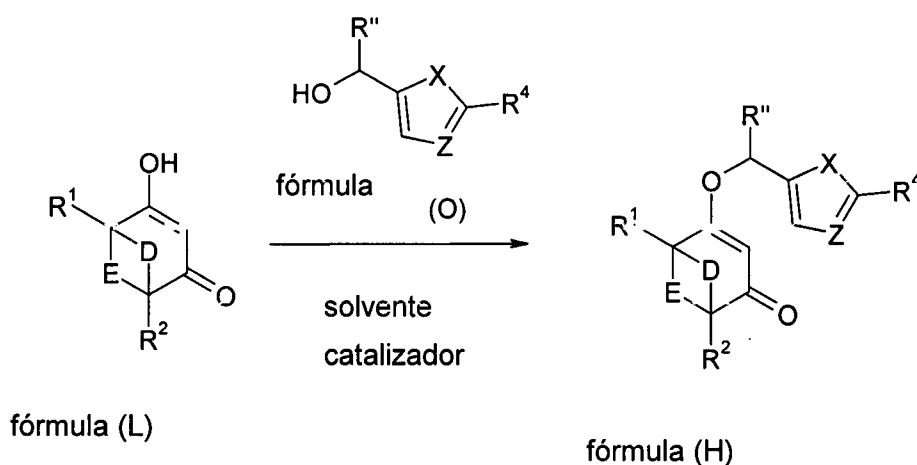
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En una metodología alternativa se puede preparar un compuesto de fórmula (H) a partir de un compuesto de fórmula (L) mediante condensación con un alcohol de

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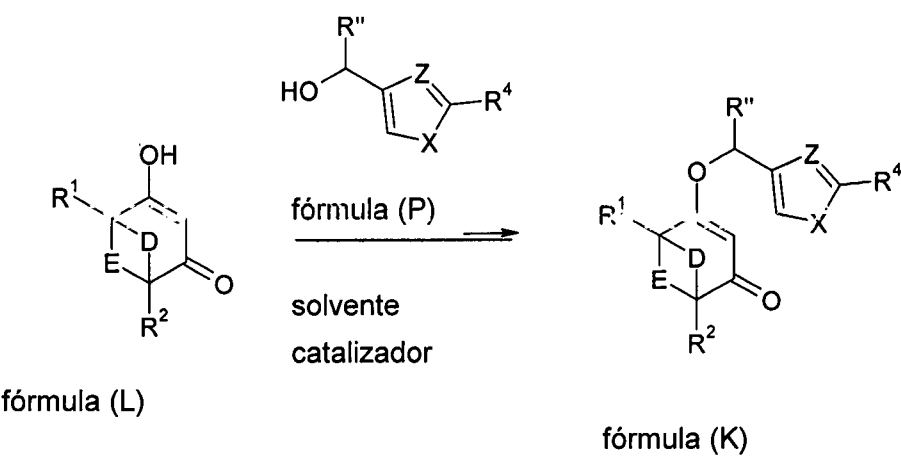
fórmula (O), opcionalmente en presencia de un catalizador ácido tal como ácido p-toluenosulfónico, o un catalizador ácido Lewis, por ejemplo, itterbio (III) trifluorometansulfonato, lantano (III) trifluorometansulfonato, tetracloroaurato de sodio (III) dihidrato, cloruro de titanio (IV), cloruro de indio (III) o cloruro de aluminio, y opcionalmente en un solvente adecuado. Los solventes apropiados se seleccionan por ser compatibles con los reactivos utilizados, e incluyen a, por ejemplo, tolueno, etanol o acetonitrilo. Se han descripto metodologías similares en, por ejemplo, M. Curini; F. Epifano, S. Genovese, *Tetrahedron Lett.*, (2006), 47, 4697-700; A. Arcadi, G. Bianchi, S. Di Giuseppe, y por F. Marinelli, *Green Chemistry*, (2003), 5, 64-7.



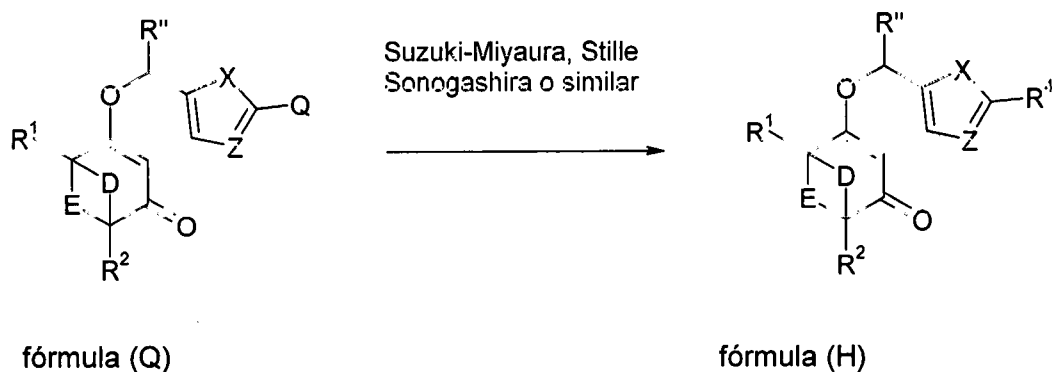
De manera alternativa, la condensación se puede llevar a cabo en presencia de agentes de acoplamiento apropiados tal como yoduro de 2-cloro-1-metilpiridinio, N,N'-diciclohexilcarbodiimida, 1,(3-dimetilaminopropil)-3-etilcarbodiimida y N,N-carbodiimidazol y opcionalmente una base adecuada tal como una trietilamina o piridina en un solvente adecuado tal como tetrahidrofurano, acetonitrilo o diclorometano, o en presencia de una triarilfosfina (tal como trifenilfosfina) y un dialquil azidodicarboxilato (preferentemente dietil azidodicarboxilato o diisopropil azidodicarboxilato) y en un solvente adecuado tal como dietil éter, tetrahidrofurano

o 1,4-dioxano como se describe, por ejemplo, por O. Mitsunobu, Synthesis (1981), 1, 1-28.

Utilizando procesos similares, se puede preparar un compuesto de fórmula (K) mediante reacción de un compuesto de fórmula (L) con un compuesto de fórmula (P).

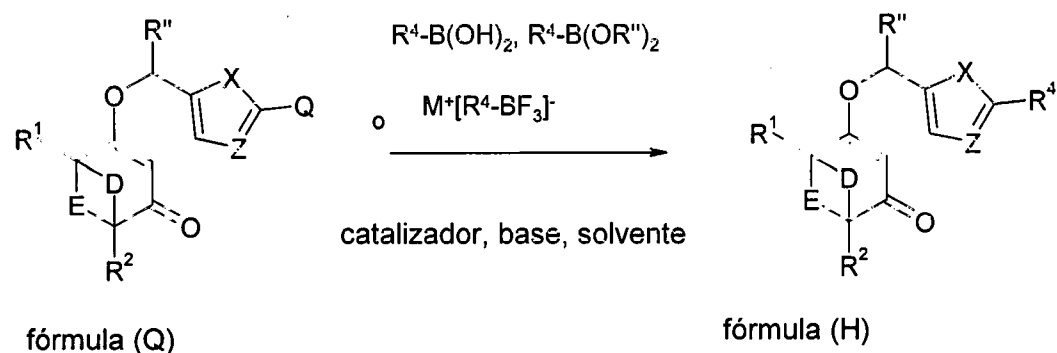


Se pueden preparar compuestos adicionales de fórmula (H) donde R⁴ es un resto aromático o heteroaromático, o es un grupo alquilo, alquenilo o alquinilo, mediante reacción de un compuesto de fórmula (Q), donde Q es un átomo o grupo adecuado para experimentar reacciones de acoplamiento cruzado (por ejemplo Q es cloro, bromo o yodo, o un haloalquilsulfonato tal como trifluorometansulfonato), y R'' es como se definió para un compuesto de fórmula (G), con un compañero de acoplamiento adecuado bajo condiciones descritas en la literatura de Suzuki-Miyaura, Sonogashira, Stille y reacciones de acoplamiento cruzado relacionadas.



Por ejemplo, se puede tratar un compuesto de fórmula (Q) con un ácido aril-, heteroaril-, alquil-, alquenil- o alquiniilborónico, R⁴-B(OH)₂, éster boronato, R⁴-B(OR''')₂, donde R''' es alquilo C₁-C₆ o R⁴-B(OR''')₂ representa un éster de boronato cíclico derivado de un diol C₁-C₆ (se prefieren especialmente ésteres de boronato cíclico derivados de pinacol), o un metal (especialmente potasio) sal de aril-, heteroaril-, alquil-, alquenil- y alquiniiltrifluoroborato, M⁺[R⁴-BF₃]⁻ en presencia de un catalizador de paladio adecuado, un ligando adecuado y una base adecuada en presencia de un solvente adecuado, bajo condiciones de Suzuki-Miyaura (véase, por ejemplo K. Billingsley and S. Buchwald, *J. Am. Chem. Soc.*, (2007), 129, 3358-3366; H. Stefani, R. Cella and A. Vieira, *Tetrahedron*, (2007), 63, 3623-3658; N. Kudo, M. Perseghini and G. Fu, *Angew. Chem. Int. Ed.*, (2006), 45, 1282-1284; A. Roglans, A. Pla-Quintana and M. Moreno-Mañas, *Chem. Rev.*, (2006), 106, 4622-4643; J-H Li, Q-M Zhu and Y-X Xie, *Tetrahedron* (2006), 10888-10895; S. Nolan *et al.*, *J. Org. Chem.*, (2006), 71, 685-692; M. Lysén and K. Köhler, *Synthesis*, (2006), 4, 692-698; K. Anderson and S. Buchwald, *Angew. Chem. Int. Ed.*, (2005), 44, 6173-6177; Y. Wang and D. Sauer, *Org. Lett.*, (2004), 6 (16), 2793-2796; I. Kondolff, H. Doucet and M. Santelli, *Tetrahedron*, (2004), 60, 3813-3818; F. Bellina, A. Carpita and R. Rossi, *Synthesis* (2004), 15, 2419-2440; H. Stefani, G. Molander, C-S Yun, M. Ribagorda and B. Biolatto, *J. Org. Chem.*, (2003), 68, 5534-5539; A. Suzuki, *Journal of Organometallic Chemistry*, (2002), 653, 83; G. Molander and C-S Yun, *Tetrahedron*, (2002), 58, 1465-1470; G. Zou,

Y. K. Reddy and J. Falck, *Tetrahedron Lett.*, (2001), 42, 4213-7215; S. Darses, G. Michaud and J-P, Genêt, *Eur. J. Org. Chem.*, (1999), 1877-1883).



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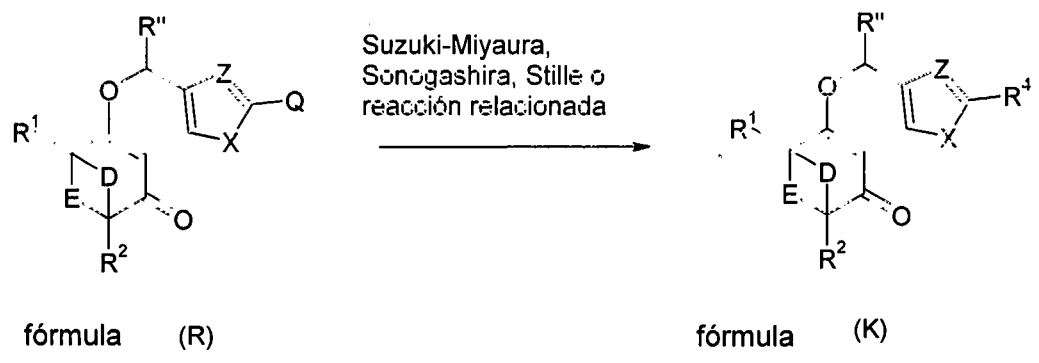
De manera alternativa, se puede preparar un compuesto de fórmula (H), donde R^4 es un acetileno opcionalmente sustituido, a partir de un compuesto de fórmula (Q) mediante reacción con un alquino terminal, $\text{R}^4\text{-H}$, en presencia de un catalizador de paladio adecuado y opcionalmente en presencia de un co-catalizador de cobre adecuado, un ligando adecuado, una base adecuada y un aditivo adecuado bajo condiciones conocidas para llevar a cabo el acoplamiento de Sonogashira (véase, por ejemplo, U. Sorenson and E Pombo-Villar, *Tetrahedron*, (2005), 2697-2703; N. Leadbeater and B. Tominack, *Tetrahedron Lett.*, (2003), 44, 8653-8656; K. Sonogashira, *J. Organomet. Chem.*, (2002), 653, 46-49).

En una metodología adicional, se puede preparar un compuesto de fórmula (H), donde R^4 es alquilo, vinilo opcionalmente sustituido, etinilo opcionalmente sustituido, arilo opcionalmente sustituido o heteroarilo opcionalmente sustituido, a partir de un compuesto fórmula (Q) mediante reacción con un organo estannano bajo condiciones de Stille (véase, por ejemplo, R. Bedford, C. Cazin and S. Hazlewood, (2002), 22, 2608-2609; S. Ley *et al.*, *Chem. Commun.*, (2002), 10, 1134-1135; G. Grasa and S. Nolan, *Org. Lett.*, (2001), 3 (1), 119-122; T.

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Weskamp, V. Boehm, J. Organomet. Chem., (1999), 585 (2), 348-352; A. Littke and G. Fu, Angew. Chem. Int. Ed., (1999), 38 (16), 2411-2413; J. Stille et al., Org. Synth., (1992), 71, 97).

- 5 Se puede preparar un compuesto de fórmula (K) a partir de un compuesto de fórmula (R), donde Q y R'' son según se definieron para un compuesto de fórmula (Q), mediante métodos análogos utilizando materiales de partida apropiados.

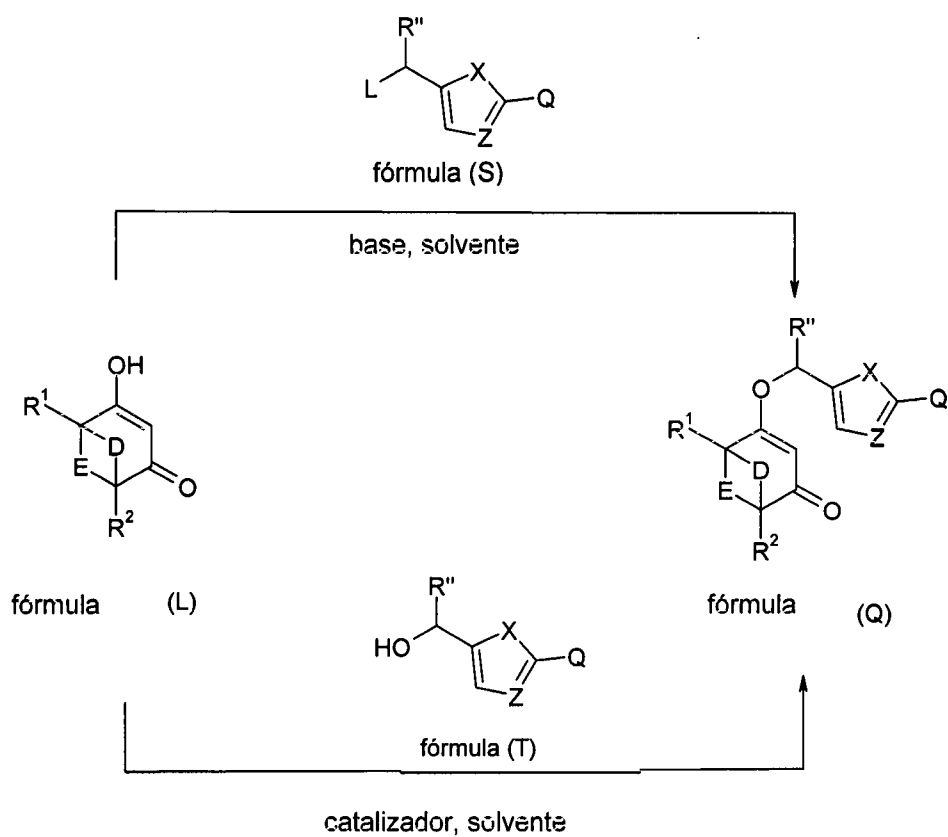


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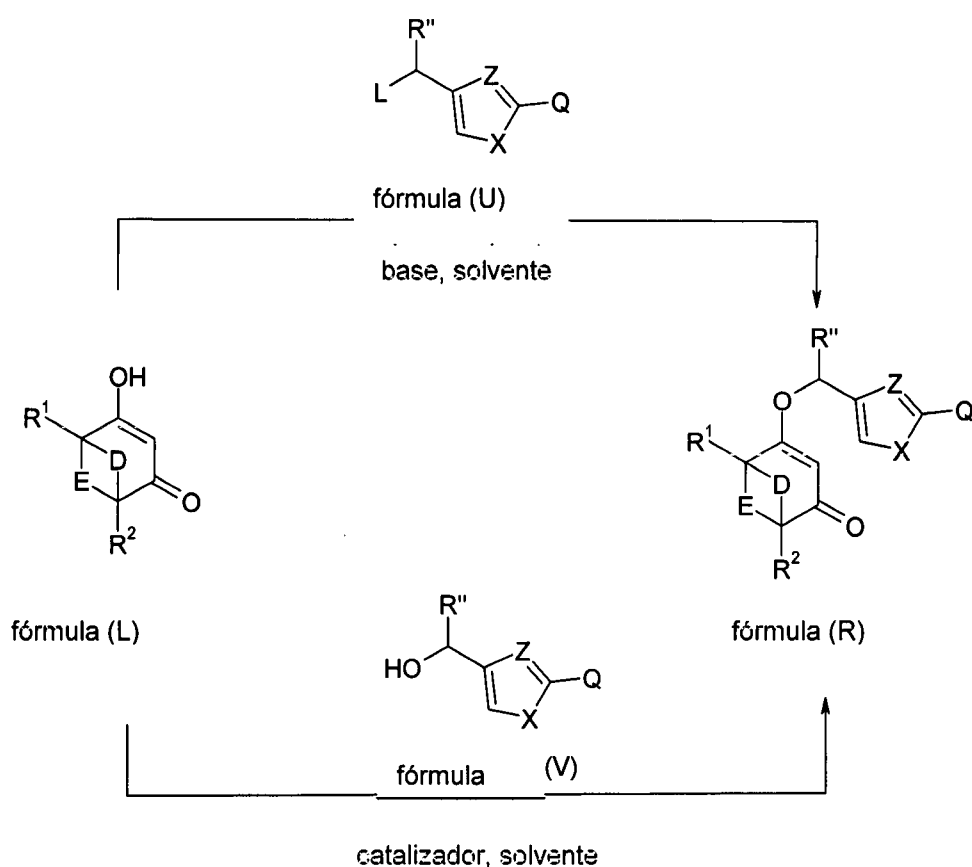
Se puede preparar un compuesto de fórmula (Q) a partir de un compuesto fórmula (L), mediante reacción con un compuesto de fórmula (S) donde L es un grupo saliente adecuado tal como un halógeno o un alquil- o aril-sulfonato, mediante procesos análogos a aquellos descritos anteriormente para la preparación de un

15 compuesto de fórmula (H) a partir de un compuesto de fórmula (L). De manera alternativa, se puede preparar un compuesto de fórmula (Q) mediante reacción de un compuesto de fórmula (L) con un compuesto de fórmula (T) mediante procesos análogos a aquellos descritos anteriormente para la preparación de un compuesto de fórmula (H) a partir de un compuesto de fórmula (L).

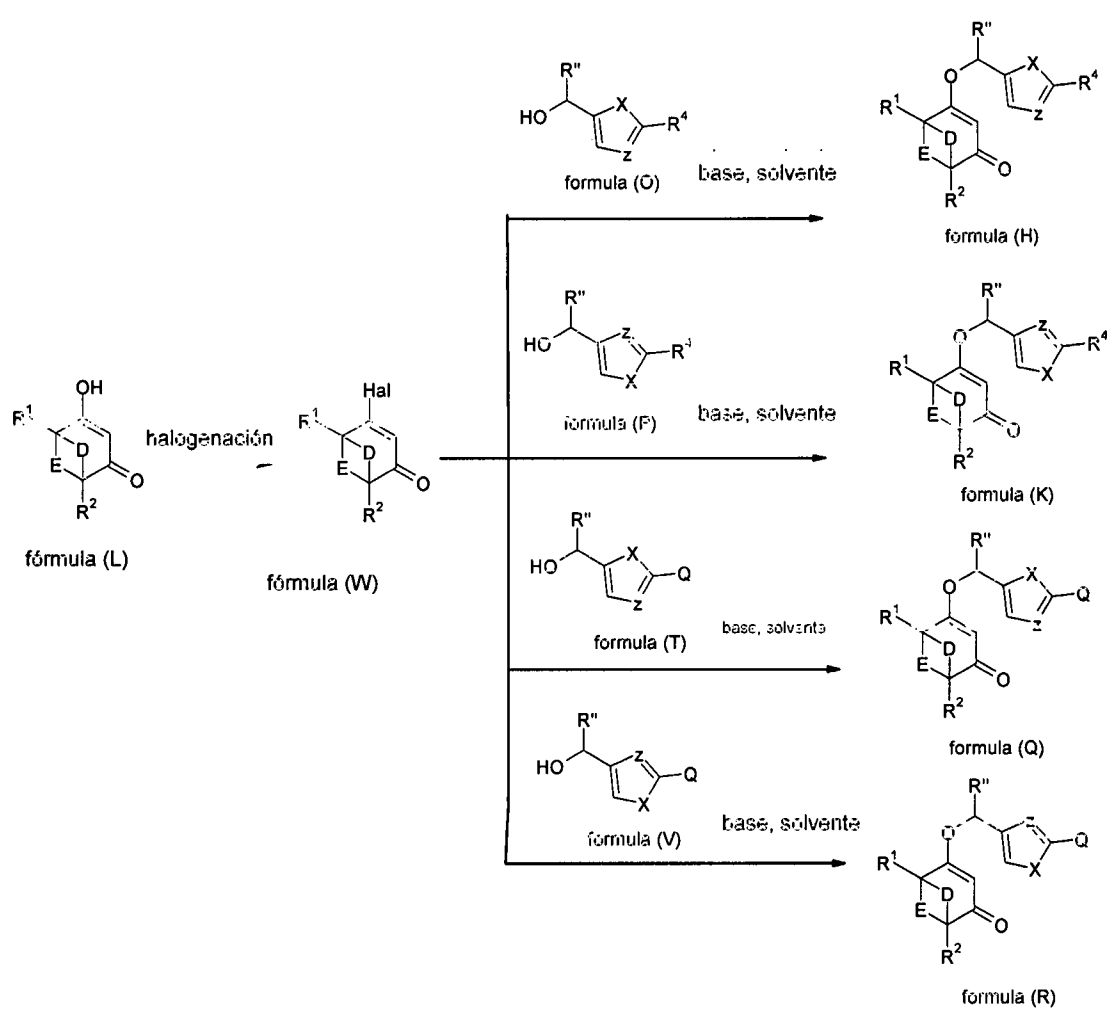
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Se puede preparar mediante procesos análogos a aquellos descritos anteriormente, un compuesto de fórmula (R) a partir de un compuesto de fórmula (L) mediante alquilación con un compuesto de fórmula (U), donde L es un grupo saliente adecuado tal como halógeno o un alquil - o aril-sulfonato, o mediante alquilación con un compuesto de fórmula (V).



En una metodología alternativa, se puede tratar un compuesto de fórmula (L) con un agente de halogenación tal como oxiclورو de fósforo, pentaclورو de fósforo, pentabromuro de fósforo, oxibromuro de fósforo y bromuro, clورو de oxalilo o bromuro de oxalilo, opcionalmente en un solvente adecuado tal como tolueno, cloroformo, diclorometano y opcionalmente en presencia de *N,N*-dimetilformamida, y el resultante haluro de vinilo de fórmula (W), donde Hal es cloro o bromo se puede convertir mediante reacción con un alcohol de fórmula (O), o de fórmula (P), o de fórmula (T) o de fórmula (V) opcionalmente en presencia de una base adecuada tal como hidruro de sodio, *tert*-butóxido de sodio, *tert*-butóxido de potasio y opcionalmente en un solvente adecuado tal como tetrahidrofurano, 1,4-dioxano, dietilenglicol dimetil éter para proporcionar un compuesto de fórmula (H), fórmula (K), fórmula (Q) y fórmula (R) respectivamente:



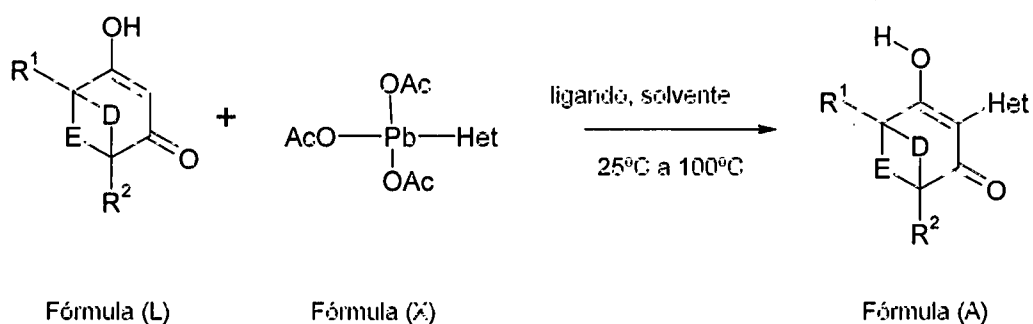
Los compuestos de fórmula (L) son compuestos conocidos o se pueden preparar mediante caminos análogos a aquellos descritos en la literatura (véase, por ejemplo, S. Spessard and B. Stoltz, Organic Letters, (2002), Vol. 4, No. 11, 1943-1946; F. Effenberger *et al.*, Chem. Ber., (1984), 117, 3280-3296; W. Childers, Jr. *et al.*, Tetrahedron Lett., (2006), 2217-2218; H. Schneider and C. Luethy, EP1352890; D. Jackson, A. Edmunds, M. Bowden and B. Brockbank, WO2005105745 y WO2005105717; R. Beaudegnies, C. Luethy, A. Edmunds, J. Schaetzer and S. Wendeborn, WO2005123667; J-C. Beloeil, J-Y. Lallemand, T. Prange, Tetrahedron, (1986), Vol. 42. No.13, 3491-3502).

Los compuestos de fórmula (M), fórmula (N), fórmula (O), fórmula (P), fórmula (S), fórmula (T), fórmula (U) y fórmula (V) son conocidos o se pueden preparar mediante métodos conocidos a partir de compuestos conocidos (véase, por ejemplo T. T. Denton, X. Zhang, J. R. Cashman, J. Med. Chem., (2005), 48, 224-239; J. Reinhard, W. E. Hull, C.-W. von der Lieth, U. Eichhorn, H.-C. Kliem, J. Med. Chem., (2001), 44, 4050-4061; H. Kraus and H. Fiege, DE19547076; M. L. Boys, L. A. Schretzman, N. S. Chandrakumar, M. B. Tollefson, S. B. Mohler, V. L. Downs, T. D. Penning, M. A. Russell, J. A. Wendt, B. B. Chen, H. G. Stenmark, H. Wu, D. P. Spangler, M. Clare, B. N. Desai, I. K. Khanna, M. N. Nguyen, T. Duffin, V. W. Engleman, M. B. Finn, S. K. Freeman, M. L. Hanneke, J. L. Keene, J. A. Klover, G. A. Nickols, M. A. Nickols, C. N. Steininger, M. Westlin, W. Westlin, Y. X. Yu, Y. Wang, C. R. Dalton, S. A. Norring, Bioorg. Med. Chem. Lett., (2006), 16, 839-844; A. Silberg, A. Benko, G. Csavassy, Chem. Ber., (1964), 97, 1684-1687; K. Brown and R. Newbury, Tetrahedron Lett., (1969), 2797; A. Jansen and M. Szelke, J. Chem. Soc., (1961), 405; R. Diaz-Cortes, A. Silva and L. Maldonado, Tetrahedron Lett., (1997), 38(13), 2007-2210; M. Friedrich, A. Waechtler and A. De Meijure, Synlett., (2002), 4, 619-621; F. Kerdesky and L. Seif, Synth. Commun., (1995), 25 (17), 2639-2645; Z. Zhao, G. Scarlato and R. Armstrong., Tetrahedron Lett., (1991), 32 (13), 1609-1612; K-T. Kang and S. Jong, Synth. Commun. (1995), 25 (17), 2647-2653; M. Altamura and E. Perrotta, J. Org. Chem., (1993), 58 (1), 272-274).

En una metodología adicional, se puede preparar un compuesto de fórmula (A) mediante reacción de un compuesto de fórmula (L) con un tricarboxilato de plomo heteroarilo bajo condiciones descritas en la literatura (por ejemplo véase, J. T. Pinhey, B. A. Rowe, Aust. J. Chem., (1979), 32, 1561-6; J. Morgan, J. T. Pinhey, J. Chem. Soc. Perkin Trans. 1, (1990), 3, 715-20; J. T. Pinhey, Roche, E. G. J.

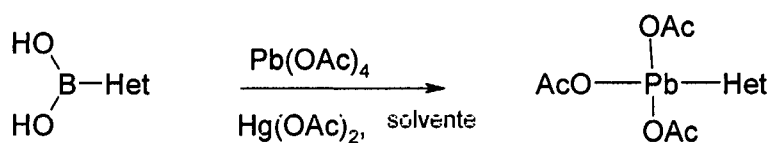
Chem. Soc. Perkin Trans. 1, (1988), 2415-21). El tricarboxilato de plomo heteroarilo es de preferencia un triacetato de heteroarilo de fórmula (X) y la reacción se conduce en presencia de un ligando adecuado (por ejemplo *N,N*-dimetilaminopiridina, piridina, imidazol, bipyridina, y 1,10-fenantrolina, de preferencia uno a diez equivalentes de *N,N*-dimetilaminopiridina con respecto al compuesto (L)) y en un solvente adecuado (por ejemplo cloroformo, diclorometano y tolueno, preferentemente cloroformo y opcionalmente en presencia de un co-solvente tal como tolueno) a 25°C a 100°C (preferentemente 60-90°C).

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Se puede preparar un compuesto fórmula (X) a partir de un compuesto de fórmula (Y) mediante tratamiento con tetraacetato de plomo en un solvente adecuado (por ejemplo cloroformo) a 25°C a 100°C (preferentemente 25-50°C), opcionalmente en presencia de un catalizador tal como diacetato de mercurio, de acuerdo con los procedimientos descritos en la literatura (por ejemplo véase, K. Shimi, G. Boyer, J-P. Finet and J-P. Galy, Letters in Organic Chemistry, (2005), 2, 407-409; J. Morgan and J. T. Pinhey, J. Chem. Soc. Perkin Trans. 1; (1990), 3, 715-20).

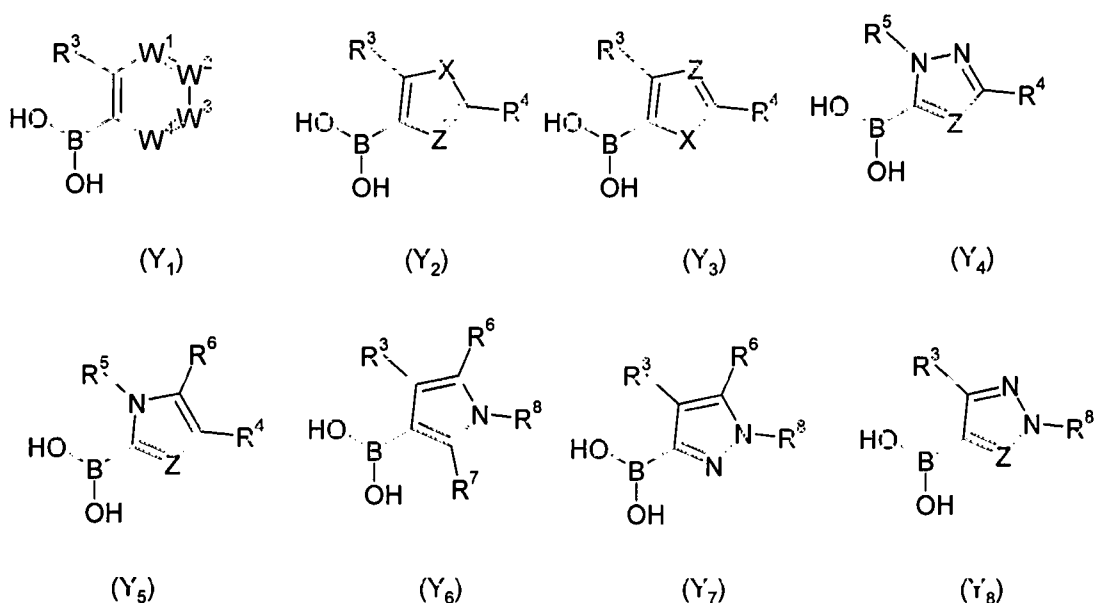
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Fórmula (Y)

Fórmula (X)

Los ácidos borónicos adecuados incluyen ácidos heteroarilborónicos, (Y₁) a (Y₈), donde R³, R⁴, R⁵, R⁶, R⁷, R⁸, X, W¹, W², W³, W⁴ y Z son según se definieron con anterioridad.



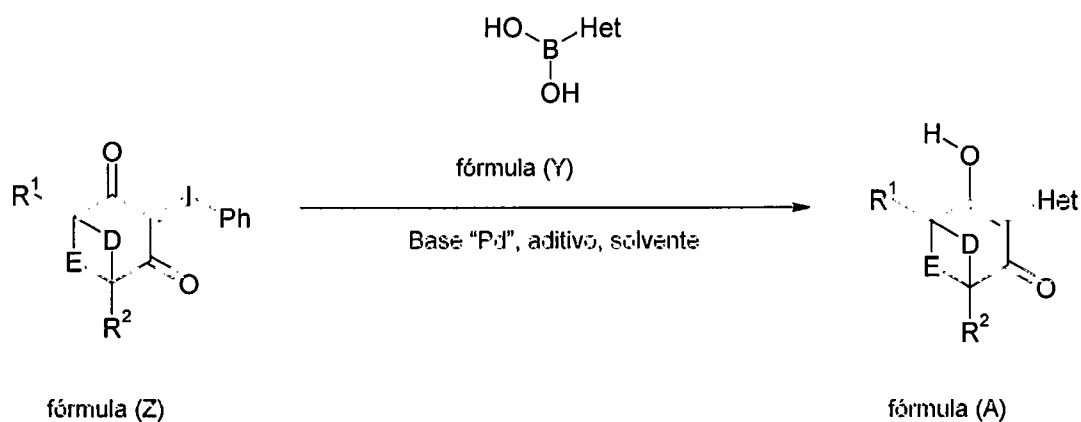
Los ácidos heteroarilborónicos de fórmula (Y) son compuestos conocidos, o se pueden preparar a partir de compuestos conocidos mediante métodos conocidos (véase por ejemplo A. Voisin *et al.*, *Tetrahedron* (2005); 1417-1421; A. Thompson *et al.*, *Tetrahedron* (2005), 61, 5131-5135; K. Billingsley and S. Buchwald, *J. Am. Chem. Soc.*, (2007), 129, 3358-3366; N. Kudo, M. Pauro and G. Fu, *Angew. Chem. Int. Ed.*, (2006), 45, 1282-1284; A. Ivachtchenko *et al.*, *J. Heterocyclic Chem.*, (2004), 41(6), 931-939; H. Matondo *et al.*, *Synth. Commun.*, (2003), 33 (5)

795-800; A. Bouillon *et al.*, *Tetrahedron*, (2003), 59, 10043-10049; W. Li *et al.*, *J. Org. Chem.*, (2002), 67, 5394-5397; C. Enguehard *et al.*, *J. Org. Chem.* (2000), 65, 6572-6575; H-N Nguyen, X. Huang and S. Buchwald, *J. Am. Chem. Soc.*, (2003), 125, 11818-11819, y referencias en ese sentido).

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En una metodología adicional, se puede preparar un compuesto de fórmula (A) a partir de compuestos de fórmula (Z) mediante reacción con un ácido heteroaril borónico de fórmula (Y), en presencia de un catalizador de paladio adecuado y una base, y preferentemente en un solvente adecuado.

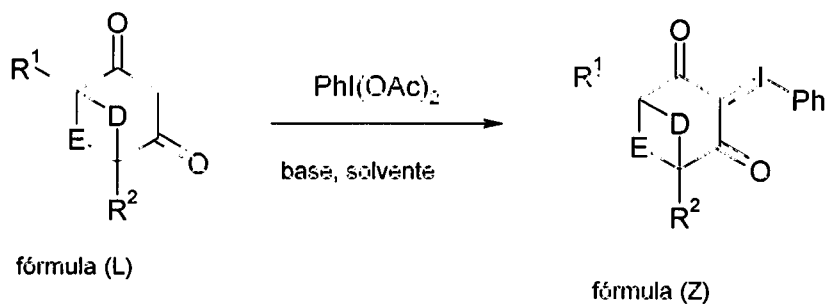
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Los catalizadores de paladio adecuados son por lo general complejos de paladio (II) o paladio (0), por ejemplo dihaluros de paladio (II), acetato de paladio (II), sulfato de paladio (II), dicloruro bis(trifenilfosfina)paladio (II), dicloruro bis(triciclopentilfosfina)paladio (II), dicloruro bis(triciclohexilfosfina)paladio (II), bis(dibencilidenoacetona)paladio (0) o tetrakis(trifenilfosfina)paladio (0). El catalizador de paladio también se puede preparar "in situ" a partir de compuestos de paladio (II) o paladio (0) mediante su transformación en un complejo con los ligandos deseados, mediante, por ejemplo, combinando la sal de paladio (II) para ser compleja, por ejemplo dicloruro de paladio (II) (PdCl_2) o acetato de paladio (II) ($\text{Pd}(\text{OAc})_2$), junto con el ligando deseado, por ejemplo trifenilfosfina (PPh_3),

tríciclopentilfosfina o tríciclohexilfosfina y el solvente elegido, con un compuesto de fórmula (Z), un ácido borónico heteroaromático de fórmula (Y) y una base. Son también adecuados los ligandos bidentados, por ejemplo 1,1'-bis(difenilfosfino)ferroceno o 1,2-bis(difenilfosfino)etano. Mediante el calentamiento del medio de reacción, el complejo paladio (II) o el complejo paladio (0) deseados para las reacciones de acoplamiento C-C de esta manera se forma "in situ", y luego se inicia la reacción de acoplamiento C-C. Los catalizadores de paladio se utilizan en una cantidad de desde 0,001 a 50 mol %, preferentemente en una cantidad de desde 0,1 a 15 mol %, en base al compuesto de fórmula (Z). Con más preferencia la fuente de paladio es acetato de paladio, la base es hidróxido de litio y el solvente es una mezcla de 1,2-dimetoxietano y agua en una proporción de 4:1 a 1:4. La reacción también se puede llevar a cabo en presencia de otros aditivos, tal como sales de tetralquilamonio, por ejemplo, bromuro de tetrabutilamonio:

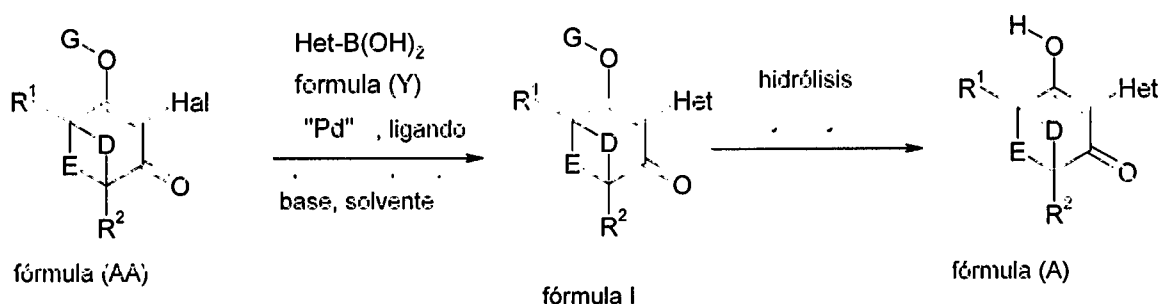
Se puede preparar un compuesto de fórmula (Z) a partir de un compuesto de fórmula (L) mediante tratamiento con (diacetoxi)yodobenceno de acuerdo con los procedimientos de K. Schank and C. Lick, *Synthesis*, (1983), 392, o de Z Yang et al., *Org. Lett.*, (2002), 4 (19), 3333:



En una metodología adicional, se puede preparar un compuesto de fórmula (A) a partir de un compuesto de fórmula I o IA (donde G es alquilo C₁₋₄) mediante

hidrólisis, preferentemente en presencia de catalizador ácido tal como ácido clorhídrico y opcionalmente en presencia de un solvente adecuado tal como tetrahidrofurano. Se puede preparar un compuesto de fórmula I (donde G es alquilo C₁₋₄) mediante reacción de un compuesto fórmula (AA) (donde G es alquilo C₁₋₄, y Hal es un halógeno, preferentemente bromo o yodo), con un ácido borónico heteroarilo, Het-B(OH)₂, de fórmula (Y) en presencia de un catalizador de paladio adecuado (por ejemplo 0,001-50% acetato de paladio (II) con respecto al compuesto (AA)) y una base (por ejemplo 1 a 10 equivalentes de fosfato de potasio con respecto al compuesto (AA)) y preferentemente en presencia de un ligando adecuado (por ejemplo 0,001-50% (2-diciclohexilfosfino)-2',6'-dimetoxibifenil con respecto al compuesto (AA)), y en un solvente adecuado (por ejemplo tolueno), preferentemente entre 25°C y 200°C. Se conocen acoplamientos similares en la literatura (véase por ejemplo, Y. S. Song, B. T. Kim and J.-N. Heo, Tetrahedron Letters (2005), 46(36), 5987-5990).

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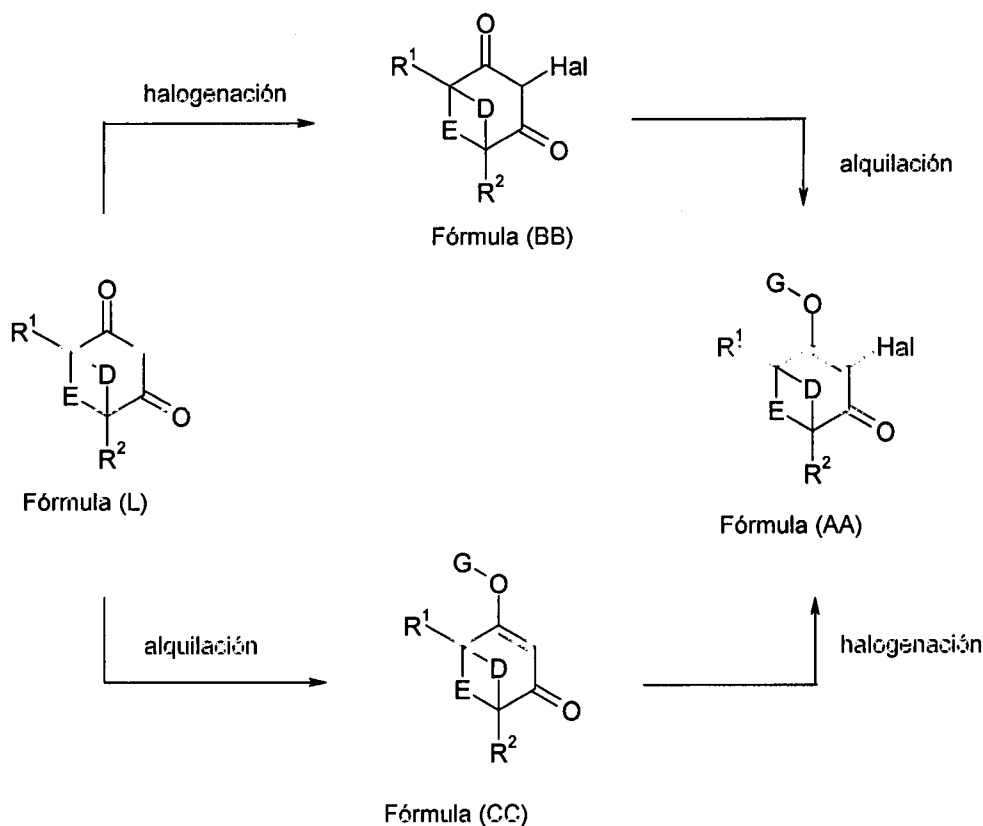


Se puede preparar un compuesto de fórmula (AA) mediante halogenación de un compuesto de fórmula (L), seguido de alquilación del haluro resultante de fórmula (BB) con un haluro de alquilo C₁₋₄ o tri- alquilotoformiato C₁₋₄ bajo condiciones conocidas, por ejemplo mediante el procedimiento de R. G. Shepherd and A. C. White (J. Chem. Soc. Perkin Trans. 1 (1987), 2153-2155) and Y.-L. Lin et al. (Bioorg. Med. Chem. (2002), 10, 685-690). De manera alternativa, se puede preparar un compuesto de fórmula (AA) mediante alquilación de un compuesto de

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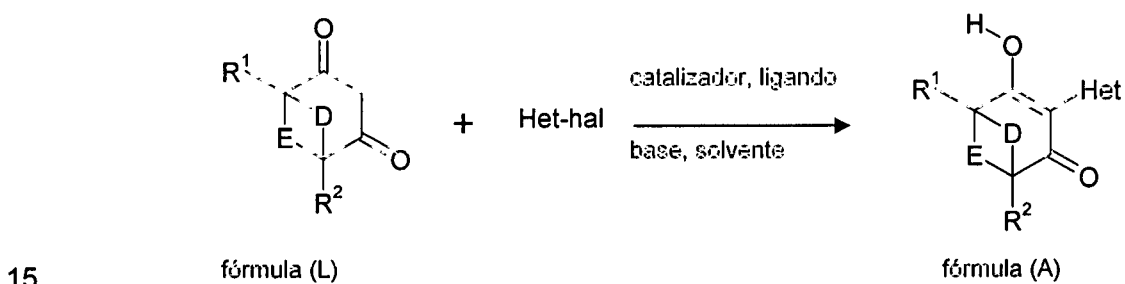
fórmula (L) con un haluro de alquil C₁₋₄ o un tri-alquilotoformiatoC₁₋₄, y halogenando la enona resultante de fórmula (CC) bajo condiciones conocidas (véase por ejemplo Y. S. Song, B. T. Kim and J.-N. Heo, Tetrahedron Letters (2005), 46(36), 5987-5990).

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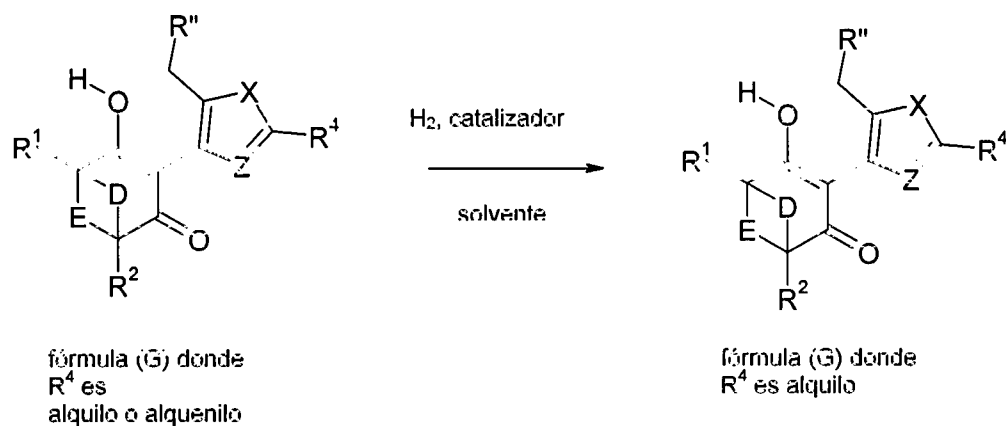


En una metodología adicional, se puede preparar un compuesto de fórmula (A) mediante reacción de un compuesto de fórmula (L) con un haluro heteroarilo adecuado (tal como un yoduro o bromuro), Het-hal, en presencia de un catalizador de paladio adecuado (por ejemplo 0,001-50% acetato de paladio (II) con respecto al compuesto (L)) y una base (por ejemplo 1 a 10 equivalentes fosfato de potasio con respecto al compuesto (L)) y preferentemente en presencia de un ligando adecuado (por ejemplo 0,001-50% (2-diciclohexilfosfino)-2',4',6'-triisopropilbifenil con respecto al compuesto (L)), y en un solvente adecuado (por ejemplo dioxano), preferentemente entre 25°C y 200°C. Se conocen

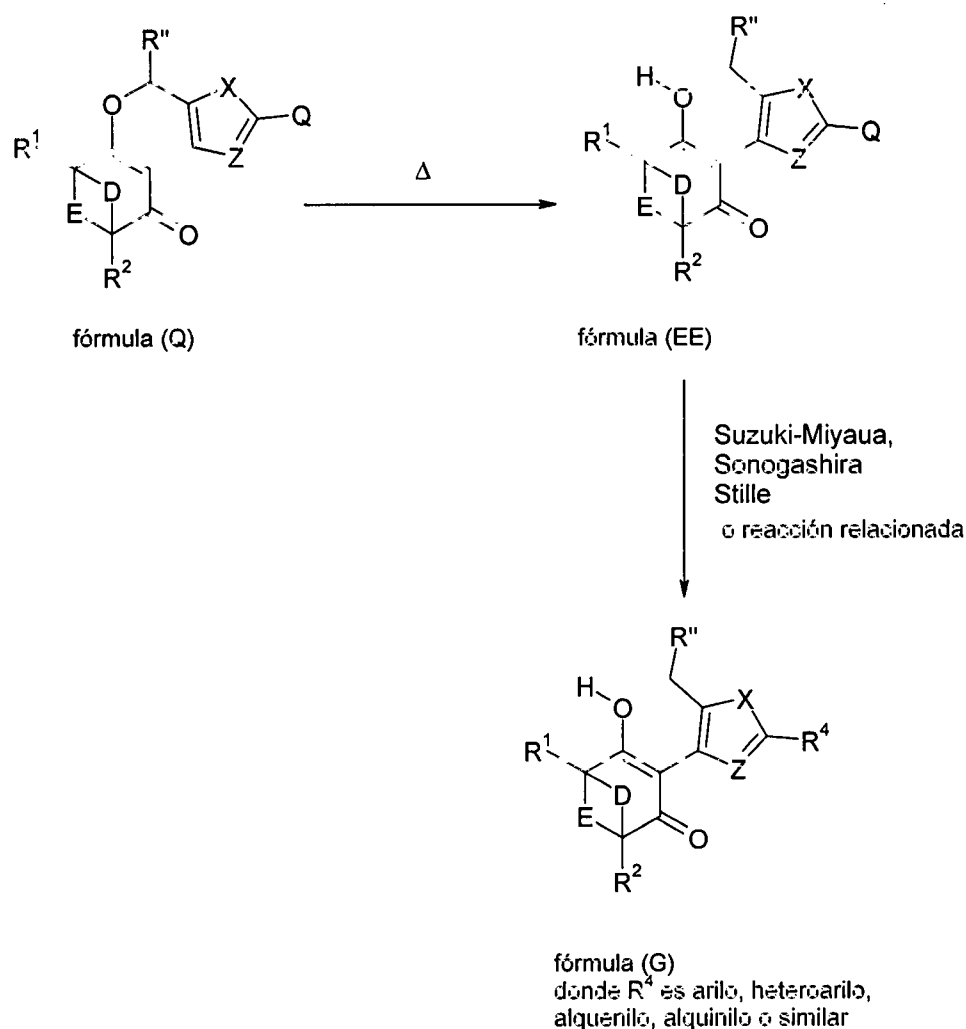
acoplamientos similares en la literatura (véase por ejemplo, J. M. Fox, X. Huang, A. Chieffi, and S. L. Buchwald, J. Am. Chem. Soc. (2000), 122, 1360-1370; B. Hong et al. WO 2005/000233). De manera alternativa, se puede preparar un compuesto de fórmula (A) mediante reacción de un compuesto de fórmula (L) con un haluro de heteroarilo adecuado (tal como un yoduro o bromuro), Het-hal, en presencia de un catalizador de cobre adecuado (por ejemplo 0,001-50% yoduro de cobre (I) con respecto al compuesto (L)) y una base (por ejemplo 1 a 10 equivalentes de carbonato de potasio con respecto al compuesto (L)) y preferentemente en presencia de un ligando adecuado (por ejemplo 0,001-50% L-prolina con respecto al compuesto (L)), y en un solvente adecuado (por ejemplo dimetilsulfóxido), preferentemente entre 25°C y 200°C. Se conocen acoplamientos similares en la literatura para haluros de arilo (véase por ejemplo, Y. Jiang, N. Wu, H. Wu, and M. He, Synlett, (2005), 18, 2731-2734).



Aquellos con experiencia en el arte apreciarán que los compuestos de fórmula I pueden contener un resto heteroaromático que tiene uno o más sustituyentes capaces de ser transformados en sustituyentes alternativos bajo condiciones conocidas, y que estos compuestos pueden ellos mismos servir como intermediarios en la preparación de compuestos adicionales de fórmula I. Por ejemplo, un heterociclo de fórmula (G) donde R⁴ es alquilenilo o alquinilo, se puede reducir a un compuesto de fórmula (G) donde R⁴ es alquilo bajo condiciones conocidas.



Además, un compuesto de fórmula (EE) donde Q es un átomo o grupo adecuado para el acoplamiento cruzado químico (tal como un halógeno o un haloalquilsulfonato) puede experimentar Suzuki-Miyaura, Stille, Sonogashira y reacciones relacionadas bajo condiciones conocidas a fin de proporcionar compuestos adicionales de fórmula G. Se puede preparar un compuesto de fórmula (EE) mediante el reordenamiento de un compuesto de fórmula (Q) bajo condiciones similares a aquellas utilizadas para convertir un compuesto de fórmula (H) en un compuesto de fórmula (G):



Aquellos con experiencia en el arte apreciarán que las transformaciones de este tipo so se restringen a compuestos de fórmula (EE), pero pueden en general aplicarse a cualquier compuesto de fórmula I donde Het es un heterociclo

5 sustituido por un átomo o grupo adecuado para posterior derivatización.

En una metodología adicional de compuestos de fórmula (A), donde Het es un grupo de fórmula (R₂), X es S, e Y es N, un compuesto de fórmula (FF) donde L

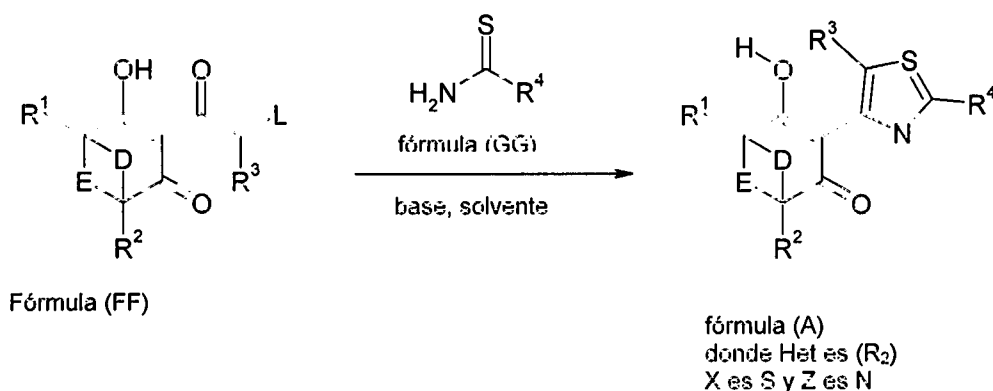
10 es un grupo saliente adecuado tal como un halógeno o un alquil - o haloalquilsulfonato, se puede tratar con un compuesto de fórmula (GG), opcionalmente en presencia de una base adecuada (tal como trietilamina o

Regards,

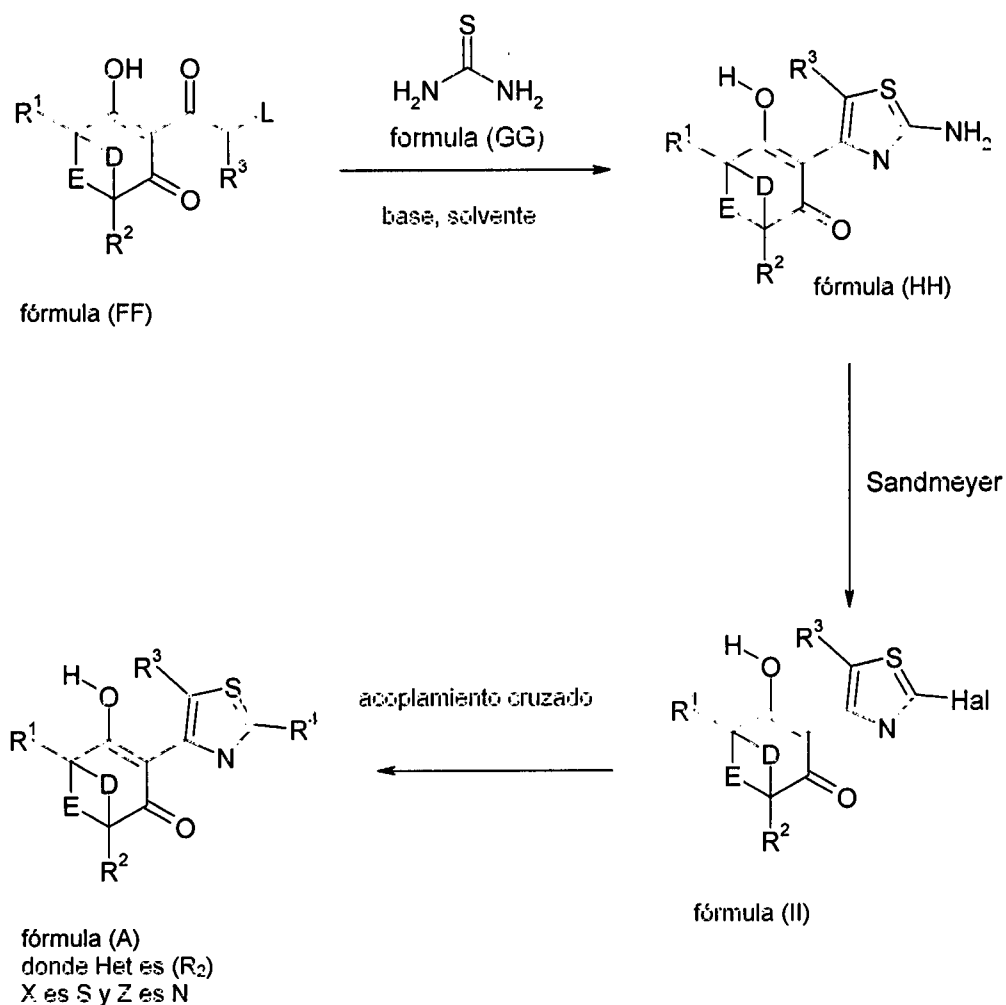
MARVAL, O'FARRELL & MAICAL

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- piridina), y opcionalmente en un solvente adecuado (tal como agua, tolueno, acetona, etanol o isopropanol) de acuerdo a conocimientos conocidos, (véase, por ejemplo, E. Knott, J. Chem. Soc., (1945), 455; H. Brederick, R. Gompper, Chem. Ber. (1960), 93, 723; B. Friedman, M. Sparks and R. Adams, J. Am. Chem. Soc., (1937), 59, 2262).



- De manera alternativa, se puede tratar un compuesto de fórmula (FF) con tiourea, mediante procedimientos conocidos (véase, por ejemplo, V. Pshenichniya, O. Gulyakevich and V. Kripach, Chemistry of Heterocyclic Compuestos, (1990), 10, 1409-1412), y se puede convertir el producto resultante de fórmula (HH) en un compuestos adicionales de fórmula (A) mediante conversión en un haluro de fórmula (II), donde Hal es cloro, bromo o yodo, bajo condiciones de Sandmeyer, y se puede convertir un compuesto de fórmula (II) en compuestos de fórmula (A) mediante el cruzamiento cruzado bajo condiciones conocidas para las reacciones de Suzuki-Miyaura, Sonogashira, Stille y relacionadas, según se describieron previamente.



- Se puede preparar un compuesto de fórmula (FF) a partir de un compuesto de fórmula (L) bajo condiciones conocidas (véase, por ejemplo, V. Pshenichniya, O. Gulyakevich and V. Kripach, Chemistry of Heterocyclic Compuestos, (1990), 10, 1409-1412; V. Pshenichniya, O. Gulyakevich and V. Kripach, Russian Journal of Organic Chemistry, (1989), 25 (9), 1882-1888).

- Los compuestos de fórmula I de acuerdo con la invención se pueden utilizar como herbicidas en su forma sin modificar, como se obtuvieron en la síntesis, pero por lo general se formulan en composiciones herbicidas en una variedad de maneras utilizando adyuvantes de formulación, tal como vehículos, solventes y sustancias

tensioactivas. Las formulaciones pueden darse en diferentes formas físicas, por ejemplo en la forma de polvos, geles, polvos humectantes, gránulos dispersables en agua, comprimidos dispersables en agua, comprimidos efervescentes compactos, concentrados emulsionables, concentrados microemulsionable, emulsiones de aceite en agua, fluidos base aceite, dispersiones acuosas, dispersiones aceitosas, suspoemulsiones, suspensiones en cápsula, gránulos emulsionables, líquidos solubles, concentrados solubles en agua (con agua o un solvente orgánico miscible en agua como vehículo), películas de polímero impregnadas o en otras formas conocidas, por ejemplo, del Manual sobre Development and Use of FAO Specifications for Plant Protection Products, 5th Edition, 1999. Dichas formulaciones pueden utilizarse tanto en forma directa o se diluyen previo a su utilización. Las formulaciones diluidas pueden prepararse, por ejemplo, con agua, fertilizantes líquidos, micronutrientes, organismos biológicos, aceite o solventes.

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Se pueden preparar las formulaciones, por ejemplo, mediante la mezcla del ingrediente activo con adyuvantes de formulación a fin de obtener composiciones en forma de sólidos finamente divididos, gránulos, soluciones, dispersiones o emulsiones. Los ingredientes activos también se pueden formular con otros adyuvantes, por ejemplo sólidos finamente divididos, aceites minerales, aceites vegetales, aceites vegetales modificados, solventes orgánicos, agua, sustancias tensioactivas o sus combinaciones. Los ingredientes activos también pueden contenerse en microcápsulas muy finas compuestas por un polímero. Las microcápsulas contienen los ingredientes activos en un vehículo poroso. Esto permite que los ingredientes activos se liberen en sus entornos en cantidades controladas (por ej. liberación lenta). Las microcápsulas generalmente poseen un diámetro de desde 0,1 a 500 micrones. Contienen ingredientes activos en una

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cantidad de aproximadamente desde 25 a 95 % en peso del peso de la cápsula. Los ingredientes activos pueden presentarse en forma de un sólido monolítico, en forma de finas partículas en dispersión sólida o líquida o en forma de una solución adecuada. Las membranas de encapsulación comprenden, por ejemplo, gomas naturales y sintéticas, celulosa, copolímeros de estireno-butadieno, poliacrilonitrilo, poliacrilato, poliéster, poliamidas, poliureas, poliuretano o polímeros modificados químicamente y xantatos de almidón u otros polímeros que son conocidos por aquella persona con experiencia en el arte en este sentido. De manera alternativa, es posible que se formen microcápsulas muy finas cuando el ingrediente activo se encuentra presente en forma de partículas finamente divididas en una matriz sólida de una sustancia base, pero en ese caso la microcápsula no se encapsula.

Los adyuvantes de formulación adecuados para la preparación de las composiciones de acuerdo con la invención son conocidas *per se*. Se pueden utilizar como vehículos líquidos: agua, tolueno, xileno, éter de petróleo, aceites vegetales, acetona, metil etil cetona, ciclohexanona, anhídridos ácidos, acetonitrilo, acetofenona, amil acetato, 2-butanona, butilenos carbonato, clorobenceno, ciclohexano, ciclohexanol, alquil ésteres de ácido acético, alcohol diacetona, 1,2-dicloropropano, dietanolamina, p-dietilbenceno, dietilen glicol, dietilen glicol abietato, dietilen glicol butil éter, dietilen glicol etil éter, dietilen glicol metil éter, N,N-dimetilformamida, dimetil sulfóxido, 1,4-dioxano, dipropilen glicol, dipropilen glicol metil éter, dipropilen glicol dibenzoato, diproxitol, alquilpirrolidona, etil acetato, 2-etil hexanol, etilen carbonato, 1,1,1-tricloroetano, 2-heptanona, alfa-pineno, d-limoneno, etil lactato, etilen glicol, etilen glicol butil éter, etilen glicol metil éter, gamma-butirolactona, glicerol, glicerol acetato, glicerol diacetato, glicerol triacetato, hexadecano, hexilen glicol, isoamil acetato, isobornil acetato, isooctano,

- isoforona, isopropilbenceno, isopropil miristato, ácido láctico, laurilamina, mesitol
óxido, metoxipropanol, metil isoamil cetona, metil isobutil cetona, metil laurato,
metil octanoato, metil oleato, cloruro de metileno, m-xileno, n-hexano, n-
5 octilamina, ácido octadecanoico, octilamina acetato, ácido oleico, oleilamina, o-
xileno, fenol, polietilen glicol (PEG 400), ácido propiónico, propil lactato, propilen
carbonato, propilen glicol, propilen glicol metil éter, p-xileno, tolueno, trietil fosfato,
trietilen glicol, ácido xilenosulfónico, parafina, aceite mineral, tricloroetileno,
percloroetileno, etil acetato, amil acetato, butil acetato, propilen glicol metil éter,
dietilen glicol metil éter, metanol, etanol, isopropanol, y alcoholes de mayor pero
10 molecular, tal como amil alcohol, tetrahidrofurfuril alcohol, hexanol, octanol, etilen
glicol, propilen glicol, glicerol, N-metil-2-pirrolidona y similares. El agua es por lo
general el vehículo de elección para la dilución de los concentrados. Los
vehículos sólidos adecuados son, por ejemplo, talco, dióxido de titanio, arcilla
pirofilita, sílice, arcilla de atapulgita, tierra de diatomeas (*kieselguhr*), piedra caliza,
15 carbonato de calcio, bentonita, montmorillonita de calcio, cascarillas de semilla de
algodón, harina integral, harina de soja, pumita, harina de madera, cáscaras de
nuez del suelo, lignina y materiales similares, según se describió, por ejemplo, en
CFR 180.1001. (c) & (d).
- 20 Un gran número de sustancias tensioactivas pueden utilizarse de manera
ventajosa tanto en formulaciones sólidas como en líquidas, en especial en
aquellas formulaciones que se pueden diluir con un vehículo previo a su
utilización. Las sustancias tensioactivas pueden ser aniónica, catiónica, no-iónica
o polimérica y pueden utilizarse como agentes emulsionantes, humectantes o de
25 suspensión o para otros fines. Las sustancias tensioactivas típicas incluyen, por
ejemplo, sales de alquil sulfatos, tal como lauril sulfato de dietanolamonio; sales
de alquilarilsulfonatos, tal como dodecilbencenosulfonato de calcio; productos de

adición de óxidos alquilfenol-alquileo, tal como nonilfenol etoxilato; productos de adición de óxido alcohol-alquileo, tal como tridecil alcohol etoxilato; jabones, tal como estearato de sodio; sales de alquilnaftalenosulfonatos, tal como dibutilnaftalenosulfonato de sodio; dialquil ésteres de sales de sulfosuccinato, tal como di(2-etilhexil)sulfosuccinato de sodio; sorbitol ésteres, tal como sorbitol oleato; aminas cuaternarias, tal como cloruro de lauril trimetilamonio, polietilen glicol ésteres de ácidos grasos, tal como polietilen glicol estearato; copolímeros en bloque de óxido de etileno y óxido de propileno; y sales de mono- y di-alquil fosfato ésteres; y también sustancias adicionales descritas por ej. en "McCutcheon's Detergents and Emulsifiers Annual", MC Publishing Corp., Ridgewood, New Jersey, 1981.

Los coadjuvantes adicionales que pueden ser utilizados generalmente en formulaciones pesticidas inhibidores de cristalización, sustancias modificadoras de la viscosidad, agentes de suspensión, tinturas, antioxidantes, agentes espumantes, amortiguador de la luz, auxiliares de mezcla, anti-espumantes, agentes formadores de complejos, sustancias neutralizadoras o modificadoras del pH y reguladores, inhibidores de la corrosión, fragancias, agentes humectantes, mejoradores de la absorción, micronutrientes, plastificadores, deslizantes, lubricantes, dispersantes, espesadores, anti-congelamiento, microbiocidas, y también fertilizantes líquidos y sólidos.

Las formulaciones pueden también comprender sustancias activas adicionales, por ejemplo herbicidas adicionales, químico protector herbicida, reguladores del crecimiento de las plantas, fungicidas o insecticidas.

Las composiciones de acuerdo con la invención pueden incluir de manera adicional un aditivo que comprende un aceite de origen vegetal o animal, un aceite mineral, alquil ésteres de dichos aceites o mezclas de dichos aceites y derivados del aceite. La cantidad de aditivo de aceite utilizado en la composición de acuerdo con la invención es por lo general desde 0,01 a 10 %, en base a la mezcla en aerosol. Por ejemplo, el aditivo de aceite puede agregarse al tanque de aerosol en la concentración deseada después de que la mezcla ha sido preparada. Los aditivos de aceite preferidos comprenden aceites minerales o un aceite de origen vegetal, por ejemplo aceite de colza, aceite de oliva o aceite de girasol, aceite vegetal emulsionado, tal como AMIGO® (Rhône-Poulenc Canada Inc.), alquil ésteres de aceites de origen vegetal, por ejemplo los derivados de metilo, o un aceite de origen animal, tal como aceite de pescado o grasa animal. Un aditivo de preferencia contiene, por ejemplo, como componente activo esencialmente 80 % en peso ésteres de alquilo de aceite de pescado y 15 % en peso de aceite de colza metilado, y también 5 % en peso de emulsionantes habituales y modificadores del pH. Los aditivos de aceites especialmente preferidos comprenden alquil ésteres de ácidos grasos C₈-C₂₂, especialmente siendo importantes los derivados de metilo de ácidos grasos C₁₂-C₁₈, por ejemplo los metil ésteres del ácido laúrico, ácido palmítico y ácido oleico. Esos ésteres son conocidos como metil laurato (CAS-111-82-0), metil palmitato (CAS-112-39-0) y metil oleato (CAS-112-62-9). Un derivado metil éster de ácido graso preferido es Emery® 2230 y 2231 (Cognis GmbH). Esos y otros derivados de aceite también son conocidos del Compendium of Herbicide Adjuvants, 5th Edition, Southern Illinois University, 2000. Otro coadjuvante preferido es Adigor® (Syngenta AG) que es un coadjuvante con base de aceite de colza metilado.

La aplicación y acción de los aditivos de aceite puede verse mejorada además mediante su combinación con sustancias tensioactivas, tal como tensioactivos no-

iónicos, aniónicos o catiónicos. Los ejemplos de tensioactivos aniónicos, no-
iónicos y catiónicos adecuados se encuentran enumerados en las páginas 7 y 8
de WO 97/34485. Las sustancias tensioactivas preferidas son tensioactivos
aniónicos del tipo dodecylbencilsulfonato, especialmente sus sales de calcio, y
5 también tensioactivos no-iónicos del tipo alcohol graso etoxilado. Se da especial
preferencia a alcoholes grasos C₁₂-C₂₂ etoxilados que tienen un grado de
etoxilación de desde 5 a 40. Son ejemplos de tensioactivos comercialmente
disponibles los del tipo Genapol (Clariant AG). También se prefieren los
tensioactivos de silicona, especialmente heptametiltrisiloxanos modificados con
10 óxido polialquil, que se encuentran disponibles comercialmente por ej. como
Silwet L-77®, y también tensioactivos perfluorinados. La concentración de
sustancias tensioactiva en relación con el aditivo total es generalmente desde 1 a
30 % en peso. Son ejemplos de aditivos de aceite que comprenden mezclas de
aceites o aceites minerales o sus derivados con tensioactivos Edenor ME SU®,
15 Turbocharge® (Syngenta AG, CH) y Actipron® (BP Oil UK Limited, GB).

Dichas sustancias tensioactivas también pueden ser utilizadas en las
formulaciones solas, es decir sin aditivos de aceite.

20 Además, el agregado de un solvente orgánico a la mezcla de aditivo de aceite
/tensioactivo puede contribuir a un mejoramiento adicional de acción. Son
solventes adecuados, por ejemplo, Solvesso® (ESSO) y Aromatic Solvent®
(Exxon Corporation). La concentración de dichos solventes puede ser desde 10 a
80 % en peso del peso total. Tales aditivos de aceite, que pueden encontrarse en
25 mezcla con solventes, se describen, por ejemplo, en US-A-4 834 908. Un aditivo
de aceite comercialmente disponible que se revela allí se conoce por el nombre

MERGE® (BASF Corporation). Otro aditivo de aceite que es preferido de acuerdo con la invención es SCORE® (Syngenta Crop Protection Canada.)

Además de los aditivos de aceite enumerados anteriormente, a fin de mejorar la actividad de las composiciones de acuerdo con la invención también es posible que se agreguen las formulaciones de alquilpirrolidonas, (por ej. Agrimax®) a la mezcla en aerosol. También se pueden utilizar las formulaciones de retículas sintéticas, tal como, por ejemplo, poliacrilamida, compuestos polivinil o poli-1-p-mentano (por ej. Bondi®, Courier® o Emerald®). Las soluciones que contienen ácido propiónico, por ejemplo Eurogkem Pen-e-trate®, también pueden mezclarse en la mezcla en aerosol como agentes mejoradores de la actividad.

Las formulaciones herbicidas generalmente contienen desde 0,1 a 99 % en peso, especialmente desde 0,1 a 95 % en peso, de un compuesto de fórmula I y desde 1 a 99,9 % en peso de un coadjuvante de formulación, que preferentemente incluye desde 0 a 25 % en peso de una sustancia tensioactiva. Mientras que los productos comerciales preferentemente se formularán con concentrados, el usuario final empleará normalmente formulaciones disueltas.

La proporción de aplicación de los compuestos de fórmula I puede variar dentro de amplios límites y depende de la naturaleza del suelo, el método de aplicación (pre- o post-emergencia; tratamiento de la semilla (*seed dressing*); aplicación al surco de la semilla; sin aplicación de labranza etc.), la planta de cultivo, la maleza o hierba a controlar, las condiciones climáticas predominantes, y otros factores regidos por el método de aplicación, el tiempo de aplicación y el cultivo objetivo. Los compuestos de fórmula I de acuerdo con la invención se aplican por lo general a una proporción de 1 a 4000 g / ha, especialmente desde 5 a 1000 g/ha.

Las formulaciones preferidas tienen en especial las siguientes composiciones:
(% = por ciento en peso):

Concentrados emulsionables:

- 5 ingrediente activo: 1 a 95 %, preferentemente 60 a 90 %
- agente tensioactivo: 1 a 30 %, preferentemente 5 a 20 %
- vehículo líquido: 1 a 80 %, preferentemente 1 a 35 %

Polvos:

- ingrediente activo: 0,1 a 10 %, preferentemente 0,1 a 5 %
- 10 vehículo sólido: 99,9 a 90 %, preferentemente 99,9 a 99 %

Concentrados en suspensión:

- ingrediente activo: 5 a 75 %, preferentemente 10 a 50 %
- agua: 94 a 24 %, preferentemente 88 a 30 %
- 15 agente tensioactivo: 1 a 40 %, preferentemente 2 a 30 %

Polvos humectantes:

- ingrediente activo: 0,5 a 90 %, preferentemente 1 a 80 %
- agente tensioactivo: 0,5 a 20 %, preferentemente 1 a 15 %
- vehículo sólido: 5 a 95 %, preferentemente 15 a 90 %

20 Gránulos:

- Ingrediente activo: 0,1 a 30 %, preferentemente 0,1 a 15 %
- vehículo sólido: 99,5 a 70 %, preferentemente 97 a 85 %

Los siguientes Ejemplos ilustran de manera adicional, pero no limitan, la
25 invención.

<u>F1. Concentrados emulsionables</u>					
	a)	b)	c)	d)	
	ingrediente activo	5 %	10 %	25 %	50 %
	dodecibenceno-				
	sulfonato de calcio	6 %	9 %	6 %	8 %
5	aceite de ricino poliglicol éter	4 %	-	4 %	4 %
	(36 mol de óxido de etileno)				
	octilfenol poliglicol éter	-	4 %	-	2 %
	(7-8 mol de óxido de etileno)				
	NMP	-	-	10 %	20 %
10	hidrocarburo arom.	85 %	78 %	55 %	16 %
	mezcla C ₉ -C ₁₂				

Las emulsiones de cualquier concentración deseada se pueden preparar a partir de tales concentrados mediante dilución con agua.

15	<u>F2. Soluciones</u>	a)	b)	c)	d)
	ingrediente activo	5 %	10 %	50 %	90 %
	1-metoxi-3-(3-metoxi-				
	propoxi)-propano	-	20 %	20 %	-
	polietilen glicol MW				
20	400	20 %	10 %	-	-
	NMP	-	-	30 %	10 %
	hidrocarburo				
	arom.	75 %	60 %	-	-
	mezcla C ₉ -C ₁₂				

25 Las soluciones son adecuadas para aplicación en forma de microgotas.

<u>F3. Polvos humectantes</u>		a)	b)	c)	d)
	ingrediente activo	5 %	25 %	50 %	80 %
	lignosulfonato de sodio	4 %	-	3 %	-
5	lauril sulfato de sodio	2 %	3 %	-	4 %
	diisobutilnaftaleno-				
	sulfonato de sodio	-	6 %	5 %	6 %
	octilfenol poliglicol éter	-	1 %	2 %	-
	(7-8 mol de óxido de etileno)				
10	ácido silícico				
	altamente disperso	1 %	3 %	5 %	10 %
	caolín	88 %	62 %	35 %	-

Se mezcla exhaustivamente el ingrediente activo con los coadyuvantes y la mezcla se muele a completamente en un molino adecuado, produciendo polvos

- 15 humectantes que pueden diluirse con agua para proporcionar suspensiones de cualquier concentración deseada.

<u>F4. Gránulos recubiertos</u>		a)	b)	c)
	ingrediente activo	0,1 %	5 %	15 %
20	ácido silícico			
	altamente disperso	0,9 %	2 %	2 %
	vehículo inorg.	99,0 %	93 %	83 %
	(diámetro 0,1 - 1 mm)			
	por ej. CaCO_3 o SiO_2			

- 25 Se disuelve el ingrediente activo en cloruro de metileno, se rocía la solución sobre el vehículo y posteriormente se evapora el solvente *in vacuo*.

<u>F5. Gránulos recubiertos</u>		a)	b)	c)
	ingrediente activo	0,1 %	5 %	15 %
	polietilen glicol MW 200	1,0 %	2 %	3 %
5	ácido silícico			
	altamente disperso	0,9 %	1 %	2 %
	vehículo inorg.	98,0 %	92 %	80 %
	(diámetro 0,1 - 1 mm)			
	por ej. CaCO ₃ o SiO ₂			
10	Se aplica el ingrediente activo finamente molido de manera uniforme, en una mezcladora, al vehículo humectado con polietilen glicol. De esta manera se obtienen gránulos recubiertos sin polvo.			

<u>F6. Gránulos por extrusión</u>		a)	b)	c)	d)
15	ingrediente activo	0,1 %	3 %	5 %	15 %
	lignosulfonato de sodio	1,5 %	2 %	3 %	4 %
	carboximetilcelulosa	1,4 %	2 %	2 %	2 %
	caolín	97,0 %	93 %	90 %	79 %
Se mezcla y se muele el ingrediente activo con los coadjuvantes y la mezcla se					
20	humedece con agua. La mezcla resultante se forma mediante extrusión y luego se				
seca en una corriente de aire.					

<u>F7. Polvos</u>		a)	b)	c)
	ingrediente activo	0,1 %	1 %	5 %
25	talco	39,9 %	49 %	35 %
	caolín	60,0 %	50 %	60 %

Los polvos listos para usar se obtienen mediante la mezcla del ingrediente activo con los vehículos y moliendo la mezcla en un molinillo adecuado.

<u>F8. Concentrados en suspensión</u>		a)	b)	c)	d)
5	ingrediente activo	3 %	10 %	25 %	50 %
	etilen glicol	5 %	5 %	5 %	5 %
	nonilfenol poliglicol éter	-	1 %	2 %	-
	(15 mol de óxido de etileno)				
	lignosulfonato de sodio	3 %	3 %	4 %	5 %
10	carboximetilcelulosa	1%	1 %	1 %	1%
	37 % formaldehído acuoso	0,2 %	0,2 %	0,2 %	0,2 %
	solución				
	emulsión aceite de silicona	0,8 %	0,8 %	0,8 %	0,8 %
	agua	87 %	79 %	62 %	38 %
15	Se mezcla exhaustivamente el ingrediente activo finamente molido con los coadyuvantes, proporcionando un concentrado de suspensión a partir del cual se pueden preparar suspensiones de cualquier concentración deseada mediante dilución con agua.				
20	La invención se refiere también a un método para el control selectivo de hierbas y malezas en cultivos de plantas útiles, que comprende el tratamiento de las plantas útiles o el área bajo cultivo o su sitio con un compuesto de fórmula I.				

Los cultivos de plantas útiles que se pueden utilizar en los cuales se puede usar las composiciones de acuerdo con la invención incluyen especialmente cereales, algodón, soja, remolacha azucarera, caña de azúcar, cultivos de plantación, colza, maíz y arroz, y para el control de maleza no selectivo. El término "cultivos" debe

comprenderse que incluye también cultivos que se han vuelto tolerantes a herbicidas o clases de herbicidas (por ejemplo inhibidores de ALS, GS, EPSPS, PPO, ACCase y HPPD) como resultado de métodos convencionales de reproducción o ingeniería genética. Un ejemplo de cultivo que se ha vuelto
5 tolerante por ej. a imidazolinonas, tal como imazamox, mediante métodos convencionales de reproducción es la colza de verano Clearfield® (Canola). Ejemplos de cultivos que se han tornado tolerantes a herbicidas mediante métodos de ingeniería genética incluyen por ej. variedades resistentes al maíz glifosato y glufosinato comercialmente disponibles bajo los nombres comerciales
10 RoundupReady® y LibertyLink®. Las malezas a ser controladas pueden ser tanto malezas monocotiledóneas y dicotiledóneas, tal como, por ejemplo, Stellaria, Nasturtium, Agrostis, Digitaria, Avena, Setaria, Sinapis, Lolium, Solanum, Echinochloa, Scirpus, Monochoria, Sagittaria, Bromus, Alopecurus, Sorghum, Rottboellia, Cyperus, Abutilon, Sida, Xanthium, Amaranthus, Chenopodium,
15 Ipomoea, Chrysanthemum, Galium, Viola y Veronica.

También debe considerarse cultivos a aquellos que se han vuelto resistentes a insectos nocivos mediante métodos de ingeniería genética, por ejemplo maíz Bt (resistente al gusano del maíz europeo), algodón Bt (resistente al picudo del
20 algodonero) y también papas Bt (resistente al escarabajo del Colorado). Ejemplos de maíz Bt son los híbridos de maíz Bt-176 de NK® (Syngenta Seeds). La toxina Bt es una proteína que se forma de manera natural por la bacteria del suelo *Bacillus thuringiensis*. Ejemplos de toxinas y plantas transgénicas capaces de sintetizar dichas toxinas se describen en EP-A-451 878, EP-A-374 753,
25 WO 93/07278, WO 95/34656, WO 03/052073 and EP-A-427 529. Ejemplos de plantas transgénicas que contienen uno o más genes que codifican para una resistencia insecticida y expresan una o más toxinas son KnockOut® (maíz), Yield

Gard® (maíz), NuCOTIN33B® (algodón), Bollgard® (algodón), NewLeaf® (papas), NatureGard® y Protexcta®. Los cultivos de plantas y sus materiales de semilla pueden ser resistentes a herbicidas y al mismo tiempo también a la alimentación de insectos (acontecimientos transgénicos "agrupados"). La semilla
 5 puede, por ejemplo, tener la capacidad de expresar una proteína Cry3 activa desde el punto de vista insecticida y al mismo tiempo ser tolerante al glifosato. El término "cultivos" debe comprenderse incluyendo también cultivos que se obtuvieron como resultado de métodos convencionales de reproducción o ingeniería genética que contienen las así llamadas características genéticas
 10 originales (por ej. sabor perfeccionado, estabilidad de almacenamiento, contenido nutricional).

Las áreas bajo cultivo deben comprenderse incluyendo la tierra donde las plantas de cultivo ya se encuentran creciendo así como la tierra cuya intención es el
 15 cultivo de esas plantas de cultivo.

También se pueden usar los compuestos de fórmula I de acuerdo con la invención en combinación con otros herbicidas. Las siguientes mezclas del compuesto de fórmula I son especialmente importantes. Preferentemente, en estas mezclas, el compuesto de fórmula I es uno de esos compuestos enumerados en las Tablas 1
 20 a 204 a continuación:

compuesto de fórmula I + acetoclor, compuesto de fórmula I + acifluorfen, compuesto de fórmula I + acifluorfen-sodio, compuesto de fórmula I + aclonifen, compuesto de fórmula I + acrolein, compuesto de fórmula I + alaclor, compuesto de fórmula I + alloxidim, compuesto de fórmula I + alil alcohol, compuesto de
 25 fórmula I + ametrin, compuesto de fórmula I + amicarbazona, compuesto de fórmula I + amidosulfuron, compuesto de fórmula I + aminopirialid, compuesto de fórmula I + amitrol, compuesto de fórmula I + sulfamato de amonio, compuesto de

fórmula I + anilofos, compuesto de fórmula I + asulam, compuesto de fórmula I +
 atrazina, fórmula I + aviglicina, fórmula I + azafenidin, compuesto de fórmula I +
 azimsulfuron, compuesto de fórmula I + BCPC, compuesto de fórmula I +
 beflubutamid, compuesto de fórmula I + benazolin, fórmula I + bencarbazona,
 5 compuesto de fórmula I + benfluralin, compuesto de fórmula I + benfuresato,
 compuesto de fórmula I + bensulfuron, compuesto de fórmula I + bensulfuron-
 metilo, compuesto de fórmula I + bensulide, compuesto de fórmula I + bentazona,
 compuesto de fórmula I + benzfendizona, compuesto de fórmula I + benzobiciclon,
 compuesto de fórmula I + benzofenap, compuesto de fórmula I + bifenox,
 10 compuesto de fórmula I + bilanafos, compuesto de fórmula I + bispiribac,
 compuesto de fórmula I + bispiribac-sodio, compuesto de fórmula I + borax,
 compuesto de fórmula I + bromacil, compuesto de fórmula I + bromobutida,
 fórmula I + bromofenoxim, compuesto de fórmula I + bromoxinil, compuesto de
 fórmula I + butaclor, compuesto de fórmula I + butafenacil, compuesto de fórmula I
 15 + butamifos, compuesto de fórmula I + butralin, compuesto de fórmula I +
 butroxidim, compuesto de fórmula I + butilato, compuesto de fórmula I + ácido
 cacodílico, compuesto de fórmula I + clorato de calcio, compuesto de fórmula I +
 cafenstrol, compuesto de fórmula I + carbetamida, compuesto de fórmula I +
 carfentrazona, compuesto de fórmula I + carfentrazona-etilo, compuesto de
 20 fórmula I + CDEA, compuesto de fórmula I + CEPC, compuesto de fórmula I +
 clorflurenol, compuesto de fórmula I + clorflurenol-metilo, compuesto de fórmula I
 + cloridazon, compuesto de fórmula I + clorimuron, compuesto de fórmula I +
 clorimuron-etilo, compuesto de fórmula I + ácido cloroacético, compuesto de
 fórmula I + clorotoluron, compuesto de fórmula I + clorprofam, compuesto de
 25 fórmula I + clorsulfuron, compuesto de fórmula I + clortal, compuesto de fórmula I
 + clortal-dimetilo, compuesto de fórmula I + cinidon-etilo, compuesto de fórmula I
 + cinmetilin, compuesto de fórmula I + cinosulfuron, compuesto de fórmula I +

- cisanilida, compuesto de fórmula I + cletodim, compuesto de fórmula I + clodinafop, compuesto de fórmula I + clodinafop-propargilo, compuesto de fórmula I + clomazona, compuesto de fórmula I + clomeprop, compuesto de fórmula I + clopiralid, compuesto de fórmula I + cloransulam, compuesto de fórmula I +
- 5 cloransulam-metilo, compuesto de fórmula I + CMA, compuesto de fórmula I + 4-CPB, compuesto de fórmula I + CPMF, compuesto de fórmula I + 4-CPP, compuesto de fórmula I + CPPC, compuesto de fórmula I + cresol, compuesto de fórmula I + cumiluron, compuesto de fórmula I + cianamida, compuesto de fórmula I + cianazina, compuesto de fórmula I + cicloato, compuesto de fórmula I +
- 10 ciclosulfamuron, compuesto de fórmula I + cicloxidim, compuesto de fórmula I + cihalofop, compuesto de fórmula I + cihalofop-butilo, compuesto de fórmula I + 2,4-D, compuesto de fórmula I + 3,4-DA, compuesto de fórmula I + daimuron, compuesto de fórmula I + dalapon, compuesto de fórmula I + dazomet, compuesto de fórmula I + 2,4-DB, compuesto de fórmula I + 3,4-DB, compuesto de fórmula I
- 15 + 2,4-DEB, compuesto de fórmula I + desmedifam desmedifam, fórmula I + desmetrin, compuesto de fórmula I + dicamba, compuesto de fórmula I + diclobenil, compuesto de fórmula I + orto-diclorobenzeno, compuesto de fórmula I + para-diclorobenzeno, compuesto de fórmula I + diclorprop, compuesto de fórmula I + diclorprop-P, compuesto de fórmula I + diclofop, compuesto de fórmula
- 20 I + diclofop-metilo, compuesto de fórmula I + diclosulam, compuesto de fórmula I + difenzoquat, compuesto de fórmula I + difenzoquat metilsulfato, compuesto de fórmula I + diflufenican, compuesto de fórmula I + diflufenzopir, compuesto de fórmula I + dimefuron, compuesto de fórmula I + dimepiperato, compuesto de fórmula I + dimetaclor, compuesto de fórmula I + dimetametrin, compuesto de
- 25 fórmula I + dimetenamid, compuesto de fórmula I + dimetenamid-P, compuesto de fórmula I + dimetipin, compuesto de fórmula I + ácido dimetilaránico, compuesto de fórmula I + dinitramina, compuesto de fórmula I + dinoterb, compuesto de

- fórmula I + dipenamid, fórmula I + dipropetrin, compuesto de fórmula I + diquat, compuesto de fórmula I + diquat dibromuro, compuesto de fórmula I + ditiopir, compuesto de fórmula I + diuron, compuesto de fórmula I + DNOC, compuesto de fórmula I + 3,4-DP, compuesto de fórmula I + DSMA, compuesto de fórmula I +
- 5 EBEP, compuesto de fórmula I + endotal, compuesto de fórmula I + EPTC, compuesto de fórmula I + esprocarb, compuesto de fórmula I + etalfluralin, compuesto de fórmula I + etametsulfuron, compuesto de fórmula I + etametsulfuron-metilo, fórmula I + etefon, compuesto de fórmula I + etofumesato, compuesto de fórmula I + etoxifen, compuesto de fórmula I + etoxisulfuron,
- 10 compuesto de fórmula I + etobenzanid, compuesto de fórmula I + fenoxaprop-P, compuesto de fórmula I + fenoxaprop-P-etilo, compuesto de fórmula I + fentrazamida, compuesto de fórmula I + sulfato ferroso, compuesto de fórmula I + flamprop-M, compuesto de fórmula I + flazasulfuron, compuesto de fórmula I + florasulam, compuesto de fórmula I + fluazifop, compuesto de fórmula I +
- 15 fluazifop-butilo, compuesto de fórmula I + fluazifop-P, compuesto de fórmula I + fluazifop-P-butilo, fórmula I + fluazolato, compuesto de fórmula I + flucarbazona, compuesto de fórmula I + flucarbazona-sodio, compuesto de fórmula I + flucetosulfuron, compuesto de fórmula I + flucloralin, compuesto de fórmula I + flufenacet, compuesto de fórmula I + flufenpir, compuesto de fórmula I + flufenpir-
- 20 etilo, fórmula I + flumetralin, compuesto de fórmula I + flumetsulam, compuesto de fórmula I + flumiclorac, compuesto de fórmula I + flumiclorac-pentilo, compuesto de fórmula I + flumioxazin, fórmula I + flumipropin, compuesto de fórmula I + fluometuron, compuesto de fórmula I + fluoroglicofen, compuesto de fórmula I + fluoroglicofen-etilo, fórmula I + fluoxaprop, fórmula I + flupoxam, fórmula I +
- 25 flupropacil, compuesto de fórmula I + flupropanato, compuesto de fórmula I + flupirsulfuron, compuesto de fórmula I + flupirsulfuron-metil-sodio, compuesto de fórmula I + flurenol, compuesto de fórmula I + fluridona, compuesto de fórmula I +

- flurocloridona, compuesto de fórmula I + fluroxipir, compuesto de fórmula I +
flurtamona, compuesto de fórmula I + flutiacet, compuesto de fórmula I + flutiacet-
metilo, compuesto de fórmula I + fomesafen, compuesto de fórmula I +
foramsulfuron, compuesto de fórmula I + fosamina, compuesto de fórmula I +
5 glufosinato, compuesto de fórmula I + glufosinato-amonio, compuesto de fórmula I
+ glifosato, compuesto de fórmula I + halosulfuron, compuesto de fórmula I +
halosulfuron-metilo, compuesto de fórmula I + haloxifop, compuesto de fórmula I +
haloxifop-P, compuesto de fórmula I + HC-252, compuesto de fórmula I +
hexazinona, compuesto de fórmula I + imazametabenz, compuesto de fórmula I +
10 imazametabenz-metilo, compuesto de fórmula I + imazamox, compuesto de
fórmula I + imazapic, compuesto de fórmula I + imazapir, compuesto de fórmula I
+ imazaquin, compuesto de fórmula I + imazetapir, compuesto de fórmula I +
imazosulfuron, compuesto de fórmula I + indanofan, compuesto de fórmula I +
yodometano, compuesto de fórmula I + yodosulfuron, compuesto de fórmula I +
15 yodosulfuron-metil-sodio, compuesto de fórmula I + ioxinil, compuesto de fórmula I
+ isoproturon, compuesto de fórmula I + isouron, compuesto de fórmula I +
isoxaben, compuesto de fórmula I + isoxaclortol, compuesto de fórmula I +
isoxaflutol, fórmula I + isoxapirifop, compuesto de fórmula I + karbutilato,
compuesto de fórmula I + lactofen, compuesto de fórmula I + lenacil, compuesto
20 de fórmula I + linuron, compuesto de fórmula I + MAA, compuesto de fórmula I +
MAMA, compuesto de fórmula I + MCPA, compuesto de fórmula I + MCPA-tioetilo,
compuesto de fórmula I + MCPB, compuesto de fórmula I + mecoprop, compuesto
de fórmula I + mecoprop-P, compuesto de fórmula I + mefenacet, compuesto de
fórmula I + mefluidida, compuesto de fórmula I + mesosulfuron, compuesto de
25 fórmula I + mesosulfuron-metilo, compuesto de fórmula I + mesotriona, compuesto
de fórmula I + metam, compuesto de fórmula I + metamifop, compuesto de
fórmula I + metamitron, compuesto de fórmula I + metazaclor, compuesto de

- fórmula I + metabenzthiazuron, fórmula I + metazol, compuesto de fórmula I + ácido metilarsónico, compuesto de fórmula I + metildimron, compuesto de fórmula I + isotiocianato de metilo, compuesto de fórmula I + metobenzuron, fórmula I + metobromuron, compuesto de fórmula I + metolaclor, compuesto de fórmula I + S-
- 5 metolaclor, compuesto de fórmula I + metosulam, compuesto de fórmula I + metoxuron, compuesto de fórmula I + metribuzin, compuesto de fórmula I + metsulfuron, compuesto de fórmula I + metsulfuron-metilo, compuesto de fórmula I + MK-616, compuesto de fórmula I + molinato, compuesto de fórmula I + monolinuron, compuesto de fórmula I + MSMA, compuesto de fórmula I +
- 10 naproanilida, compuesto de fórmula I + napropamida, compuesto de fórmula I + naptalam, fórmula I + NDA-402989, compuesto de fórmula I + neburon, compuesto de fórmula I + nicosulfuron, fórmula I + nipiraclofen, fórmula I + n-glifosato de metilo, compuesto de fórmula I + ácido nonanoico, compuesto de fórmula I + norflurazon, compuesto de fórmula I + ácido oleico (ácidos grasos),
- 15 compuesto de fórmula I + orbencarb, compuesto de fórmula I + ortosulfamuron, compuesto de fórmula I + orizalin, compuesto de fórmula I + oxadiargil, compuesto de fórmula I + oxadiazon, compuesto de fórmula I + oxasulfuron, compuesto de fórmula I + oxaziclomefone, compuesto de fórmula I + oxyfluorfen, compuesto de fórmula I + paraquat, compuesto de fórmula I + paraquat dicloruro,
- 20 compuesto de fórmula I + pebulato, compuesto de fórmula I + pendimetalin, compuesto de fórmula I + penoxsulam, compuesto de fórmula I + pentaclorofenol, compuesto de fórmula I + pentanoclor, compuesto de fórmula I + pentoxazona, compuesto de fórmula I + petoxamid, compuesto de fórmula I + aceites de petróleo, compuesto de fórmula I + fenmedifam, compuesto de fórmula I +
- 25 fenmedifam-etilo, compuesto de fórmula I + picloram, compuesto de fórmula I + picolinafen, compuesto de fórmula I + pinoxaden, compuesto de fórmula I + piperofos, compuesto de fórmula I + arseniato de potasio, compuesto de fórmula I

- + azida de potasio, compuesto de fórmula I + pretilaclor, compuesto de fórmula I + primisulfuron, compuesto de fórmula I + primisulfuron-metilo, compuesto de fórmula I + prodiamina, compuesto de fórmula I + profluazol, compuesto de fórmula I + profoxidim, fórmula I + prohexadiona-calcio, compuesto de fórmula I + prometon, compuesto de fórmula I + prometrin, compuesto de fórmula I + propaclor, compuesto de fórmula I + propanil, compuesto de fórmula I + propaquizafop, compuesto de fórmula I + propazina, compuesto de fórmula I + profam, compuesto de fórmula I + propisoclor, compuesto de fórmula I + propoxicarbazona, compuesto de fórmula I + propoxicarbazona-sodio, compuesto de fórmula I + propizamida, compuesto de fórmula I + prosulfocarb, compuesto de fórmula I + prosulfuron, compuesto de fórmula I + piraclonil, compuesto de fórmula I + piraflufen, compuesto de fórmula I + piraflufen-etilo, fórmula I + pirasulfotol, compuesto de fórmula I + pirazolinato, compuesto de fórmula I + pirazosulfuron, compuesto de fórmula I + pirazosulfuron-etilo, compuesto de fórmula I + pirazoxifen, compuesto de fórmula I + piribenzoxim, compuesto de fórmula I + piributicarb, compuesto de fórmula I + piridafol, compuesto de fórmula I + piridato, compuesto de fórmula I + piritalid, compuesto de fórmula I + piriminobac, compuesto de fórmula I + piriminobac-metilo, compuesto de fórmula I + purimisulfan, compuesto de fórmula I + piritiobac, compuesto de fórmula I + piritiobac-sodio, fórmula I + piroxasulfona (KIH-485), fórmula I + piroxulam, compuesto de fórmula I + quinclorac, compuesto de fórmula I + quinmerac, compuesto de fórmula I + quinoclamina, compuesto de fórmula I + quizalofop, compuesto de fórmula I + quizalofop-P, compuesto de fórmula I + rimsulfuron, compuesto de fórmula I + setoxidim, compuesto de fórmula I + siduron, compuesto de fórmula I + simazina, compuesto de fórmula I + simetrin, compuesto de fórmula I + SMA, compuesto de fórmula I + arseniato de sodio, compuesto de fórmula I + azida de sodio, compuesto de fórmula I + clorato de

- sodio, compuesto de fórmula I + sulcotriona, compuesto de fórmula I + sulfentrazona, compuesto de fórmula I + sulfometuron, compuesto de fórmula I + sulfometuron-metilo, compuesto de fórmula I + sulfosato, compuesto de fórmula I + sulfosulfuron, compuesto de fórmula I + ácido sulfúrico, compuesto de fórmula I
- 5 + aceites de alquitrán, compuesto de fórmula I + 2,3,6-TBA, compuesto de fórmula I + TCA, compuesto de fórmula I + TCA-sodio, fórmula I + tebutam, compuesto de fórmula I + tebutiuron, fórmula I + tefuriltriona, compuesto de fórmula I + tembotriona, compuesto de fórmula I + tepraloxidim, compuesto de fórmula I + terbacil, compuesto de fórmula I + terbumeton, compuesto de fórmula I
- 10 + terbutiazina, compuesto de fórmula I + terbutrin, compuesto de fórmula I + tenilclor, compuesto de fórmula I + tiazafluron, compuesto de fórmula I + tiazopir, compuesto de fórmula I + tifensulfuron, compuesto de fórmula I + tiencarbazona, compuesto de fórmula I + tifensulfuron-metilo, compuesto de fórmula I + tiobencarb, compuesto de fórmula I + tiocarbazil, compuesto de fórmula I +
- 15 topamezona, compuesto de fórmula I + tralcoxidim, compuesto de fórmula I + triallato, compuesto de fórmula I + triasulfuron, compuesto de fórmula I + triaziflam, compuesto de fórmula I + tribenuron, compuesto de fórmula I + tribenuron-metilo, compuesto de fórmula I + tricamba, compuesto de fórmula I + triclopir, compuesto de fórmula I + trietazina, compuesto de fórmula I +
- 20 trifloxisulfuron, compuesto de fórmula I + trifloxisulfuron-sodio, compuesto de fórmula I + trifluralin, compuesto de fórmula I + triflusulfuron, compuesto de fórmula I + triflusulfuron-metilo, compuesto de fórmula I + triidroxitiazina, compuesto de fórmula I + trinexapac-etilo, compuesto de fórmula I + tritosulfuron, compuesto de fórmula I + etil éster del ácido [3-[2-cloro-4-fluoro-5-(1-metil-6-
- 25 trifluorometil-2,4-dioxo-1,2,3,4-tetrahidropirimidin-3-il)fenoxi]-2-piridiloxi]acético (CAS RN 353292-31-6), compuesto de fórmula I + 4-hidroxi-3-[[2-[(2-metoxietoxi)-metil]-6-(trifluorometil)-3-piridinil]carbonil]-biciclo[3.2.1]oct-3-en-2-ona (CAS RN

352010-68-5), y compuesto de fórmula I + 4-hidroxi-3-[[2-(3-metoxipropil)-6-(difluorometil)-3-piridinil]carbonil]-biciclo[3.2.1]oct-3-en-2-ona.

Los socios de mezcla del compuesto de fórmula I también pueden estar en forma de ésteres o sales, como se menciona por ej. en The Pesticide Manual, Twelfth Edition, British Crop Protection Council, 2000.

La proporción de mezcla del compuesto de fórmula I al socio de mezcla es preferentemente desde 1: 100 a 1000:1.

10

Las mezclas pueden de manera ventajosa utilizarse en las formulaciones antes mencionadas (en cuyo caso el "ingrediente activo " se refiere a la respectiva mezcla del compuesto de fórmula I con el socio de mezcla).

15 Los compuestos de fórmula I de acuerdo con la invención también se pueden utilizar en combinación con químicos protectores. Preferentemente, en estas mezclas, el compuesto de la fórmula I es uno de aquellos compuestos enumerados en las Tablas 1 a 204 a continuación. Las siguientes mezclas con químicos protectores, entran en consideración de manera especial:

20 compuesto de fórmula I + cloquintocet-mexilo, compuesto de fórmula I + ácido cloquintocet y sus sales, compuesto de fórmula I + fenclorazol-etilo, compuesto de fórmula I + ácido fenclorazol y sus sales, compuesto de fórmula I + mefenpir-dietilo, compuesto de fórmula I + mefenpir diácido, compuesto de fórmula I + isoxadifen-etilo compuesto de fórmula I + ácido isoxadifen, compuesto de fórmula I + furilazol, compuesto de fórmula I + isómero furilazol R, compuesto de fórmula I + benoxacor, compuesto de fórmula I + diclormid, compuesto de fórmula I + AD-67, compuesto de fórmula I + oxabetrinil, compuesto de fórmula I + ciometrinil,

25

compuesto de fórmula I + ciometrinil Z-isómero, compuesto de fórmula I + fencloirim, compuesto de fórmula I + cipro sulfamida, compuesto de fórmula I + anhídrido naftálico, compuesto de fórmula I + flurazol, compuesto de fórmula I + CL 304,415, compuesto de fórmula I + diclonon, compuesto de fórmula I + fluxofenim, compuesto de fórmula I + DKA-24, compuesto de fórmula I + R-29148 y compuesto de fórmula I + PPG-1292. También se puede observar un efecto de protección en las mezclas del compuesto de fórmula I + dimron, compuesto de la fórmula I + MCPA, compuesto de la fórmula I + mecoprop y compuesto de la fórmula I + mecoprop-P.

10

Los químicos protectores y herbicidas antes mencionados se describen, por ejemplo, en the Pesticide Manual, Twelfth Edition, British Crop Protection Council, 2000. Se describe R-29148, por ejemplo por P.B. Goldsbrough et al., Plant Physiology, (2002), Vol. 130 pp. 1497-1505 y referencias en ese sentido y se conoce PPG-1292 de WO09211761.

15

La proporción de aplicación de protector en relación al herbicida depende en su mayor parte del modo de aplicación. En el caso del tratamiento en el campo, se aplica generalmente desde 0,001 a 5,0 kg de protector /ha, preferentemente desde 0,001 a 0,5 kg de protector /ha, y generalmente desde 0,001 a 2 kg de herbicida/ha, pero generalmente desde 0,005 a 1 kg/ha.

20

Las composiciones herbicidas de acuerdo con la invención son adecuadas para todos los métodos de aplicación habituales en agricultura, tal como, por ejemplo, aplicación pre-emergencia, aplicación post-emergencia y preparación de semilla. Dependiendo de la intención de utilización, se pueden usar los químicos protectores para tratar previamente el material de semilla de la planta de cultivo

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- (tratando la semilla o plántulas) o introducirlo en el suelo antes o después la siembra, seguido de la aplicación del (no seguro) compuesto de la fórmula I, opcionalmente en combinación con un co-herbicida. No obstante, también se puede aplicar solo o junto con el herbicida antes o después emergencia de las
- 5 plantas. El tratamiento de las plantas o el material de semilla con el protector puede por lo tanto tener lugar en principio independientemente del tiempo de aplicación del herbicida. Generalmente se prefiere el tratamiento de la planta mediante aplicación simultánea de herbicida y protector (por ej. en forma de mezcla en un tanque). La proporción de aplicación de protector en relación al
- 10 herbicida depende en gran medida del modo de aplicación. En el caso de tratamiento de campo se aplican, generalmente desde 0,001 a 5,0 kg de protector/ha, preferentemente desde 0,001 a 0,5 kg de protector /ha. En el caso de tratamiento de semilla se aplican, generalmente desde 0,001 a 10 g de protector /kg de semilla, preferentemente desde 0,05 a 2 g de protector /kg de
- 15 semilla. Cuando se aplica el protector en forma líquida, con remojo de semilla, poco antes de la siembra, resulta beneficioso utilizar soluciones de protector que contengan el ingrediente activo en una concentración de desde 1 a 10 000 ppm, preferentemente desde 100 a 1000 ppm.
- 20 Las mezclas se pueden usar de forma beneficiosa en las formulaciones anteriormente mencionadas (en cuyo caso el "ingrediente activo " se refiere a la respectiva mezcla del compuesto de fórmula I con el socio de mezcla).
- Los siguientes ejemplos ilustran la invención adicionalmente pero ni limitan la invención.

25

Ejemplos de preparación:

Ejemplo 1

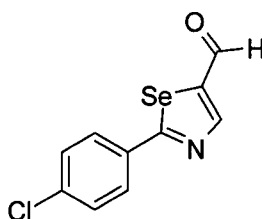
Preparación de 3-[2-(4-clorofenil)-5-metilselenazol-4-il]biciclo[3.2.1]octano-2,4-diona



5

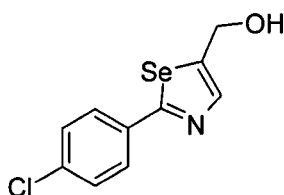
Paso 1

Preparación de 2-(4-clorofenil)selenazol-5-carbaldehído



10

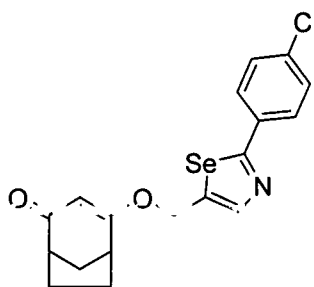
A una suspensión de 4-cloroselenobenzamida (219 mg, 1 mmol) y 2-cloromalonaldehído (160 mg, 1,5 mmol) en 1,2-dimetoxietano (1,5 ml) se le agrega carbonato de magnesio (42 mg, 0,5 mmol) y la mezcla resultante se agita a 60 °C bajo una atmósfera de nitrógeno durante 3 horas. La mezcla de reacción
15 cruda luego se filtra a través de un taco de sílice y se lava con acetato de etilo, y se concentra el filtrado para proporcionar un sólido de color marrón. El producto crudo se purifica mediante cromatografía flash sobre gel de sílice a fin de proporcionar 2-(4-clorofenil)selenazol-5-carbaldehído (162 mg).

Paso 2Preparación de [2-(4-clorofenil)selenazol-5-il]metanol

5

A una suspensión de 2-(4-clorofenil)selenazol-5-carbaldehído (130 mg, 0,48 mmol) en metanol (5 ml) se agrega borohidruro de sodio (19 mg, 0,5 mmol) a 0 °C. La mezcla de reacción se agita a 0 °C durante 0,5 hora. La mezcla de reacción se neutralizó con solución de cloruro de amonio saturado acuosa (10 ml), y se extrajo con diclorometano (3 x 25 ml). Los extractos orgánicos combinados se secaron sobre sulfato de magnesio anhidro, se filtró y el filtrado se evaporó hasta su sequedad para proporcionar [2-(4-clorofenil)selenazol-5-il]metanol (127 mg).

15

Paso 3Preparación de 4-[2-(4-clorofenil)selenazol-5-ilmetoxi]biciclo[3.2.1]oct-3-en-2-ona

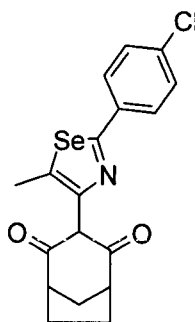
20

A una solución de de [2-(4-clorofenil)selenazol-5-il]metanol (300 mg, 1,1 mmol) en tetrahidrofurano seco (5 ml) se agrega, en una porción, hidruro de sodio (60% dispersión en aceite mineral, 44 mg, 1,1 mmol). Se agita la mezcla de reacción durante 5 minutos a temperatura ambiente y se agrega 4-clorobiciclo[3.2.1]oct-3-en-2-ona (172 mg, 1,1 mmol) en una porción. La mezcla de reacción se agita a temperatura ambiente durante la noche. Se agrega gel de sílice a la mezcla de reacción cruda, se evapora el solvente bajo presión reducida y el residuo se purifica mediante cromatografía flash sobre gel de sílice para proporcionar 4-[2-(4-clorofenil)selenazol-5-ilmetoxi]biciclo[3.2.1]oct-3-en-2-ona (275 mg).

10

15 Paso 4

Preparación de 3-[2-(4-clorofenil)-5-metilselenazol-4-il]biciclo[3.2.1]octano-2,4-diona



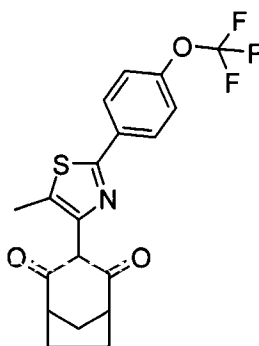
20

Se coloca 4-[2-(4-clorofenil)selenazol-5-ilmetoxi]biciclo[3.2.1]oct-3-en-2-ona (260 mg, 0,66 mmol) en un frasco para microondas y se disuelve en de dietilen glicol dimetil éter (8 ml). Se agrega 1-butil-3-metilimidazolio bis(trifluorometilsulfonil)imida (0,1 ml) y se calienta la mezcla de reacción a 210 °C

durante 30 minutos bajo irradiación por microondas. Se agrega gel de sílice a la mezcla de reacción cruda, se evapora el solvente bajo presión reducida y se purifica el residuo mediante cromatografía flash sobre gel de sílice para proporcionar 3-[2-(4-clorofenil)-5-metilselenazol-4-il]biciclo[3.2.1]octano-2,4-diona (108 mg).

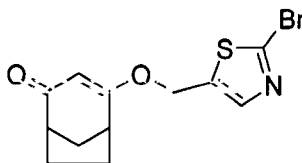
Ejemplo 2

Preparación de 3-[5-metil-2-(4-trifluorometoxifenil)tiazol-4-il]biciclo[3.2.1]octano-2,4-diona



Paso 1

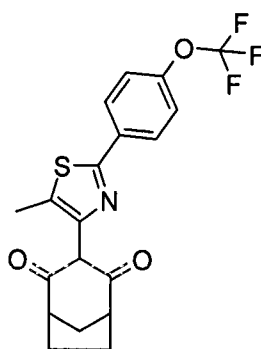
Preparación de 4-(2-bromotiazol-5-ilmetoxi)biciclo[3.2.1]oct-3-en-2-ona



A una solución de (2-bromotiazol-5-il)metanol (4,85 g, 25 mmol) y 4-cloro-biciclo[3.2.1]oct-3-en-2-ona (3,92 g, 25 mmol) en tetrahidrofurano anhidro (100 ml) se agrega, en porciones pequeñas, hidruro de sodio (60% dispersión en aceite, 1,00 g, 25 mmol) a 0 °C. La mezcla de reacción se agitó durante la noche y se dejó enfriar a temperatura ambiente. Se agregó gel de sílice a la mezcla de reacción cruda, se evaporó el solvente bajo presión reducida y se purificó el residuo mediante cromatografía flash sobre gel de sílice para brindar 4-(2-bromotiazol-5-ilmetoxi)biciclo[3.2.1]oct-3-en-2-ona (6,43 g)

10 Paso 2

Preparación de 3-[5-metil-2-(4-trifluorometoxifenil)tiazol-4-il]-biciclo[3.2.1]octano-2,4-diona



15

Se mezclaron juntos 4-(2-Bromotiazol-5-ilmetoxi)biciclo[3.2.1]oct-3-en-2-ona (157, 0,5 mmol), ácido 4-trifluorometoxifenil borónico (206 mg, 1 mmol), tolueno (2 ml), carbonato de cesio (183 mg, 0,6 mmol), y catalizador PEPPSI™ (51 mg, 0,15 mmol) en un frasco para microondas y se calentó a 150 °C durante 30 minutos bajo irradiación por microondas. Se filtró el producto crudo, y el filtrado se evaporó bajo presión reducida. El residuo se disolvió en diglime (5 ml), se agregó 1-butil-3-metilimidazolio bis(trifluorometilsulfonil)imida (0,1 ml) y la mezcla de reacción se

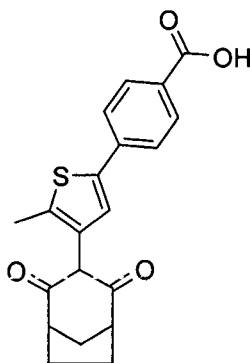
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calentó a 230 °C durante 30 minutos bajo irradiación por microondas. Se agregó gel de sílice a la mezcla de reacción cruda, el solvente se evaporó bajo presión reducida y se purificó el residuo mediante cromatografía sobre gel de sílice a fin de proporcionar 3-[5-metil-2-(4-trifluorometoxi-fenil)thiazol-4-il]biciclo[3.2.1]octano-2,4-diona (84 mg)

Ejemplo 3

Preparación de ácido 4-[4-(2,4-dioxobiciclo[3.2.1]oct-3-il)-5-metiltiofen-2-il]benzoico

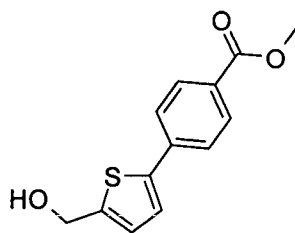
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Paso 1

Preparación de metil éster del ácido 4-(5-hidroximetiltiofen-2-il)benzoico

15



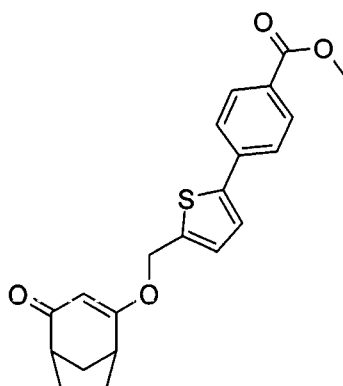
Se agregó borohidruro de sodio (155 mg, 4,1 mmol) a una solución de ácido 4-(5-formiltiofen-2-il)benzoico metil éster (1,01 g, 4,1 mmol) en metanol / diclorometano

(16 ml / 4 ml) y la solución resultante se agita durante 90 minutos a temperatura ambiente. La reacción se neutralizó mediante el agregado de solución de cloruro de amonio saturado acuoso (100 ml) y se extrajo con diclorometano (100 ml). Se lava la capa orgánica con salmuera, se seca sobre sulfato de magnesio, se filtró y
5 concentró *in vacuo* para proporcionar metil éster del ácido 4-(5-hidroximetil-tiofen-2-il)benzoico (800 mg)

Paso 2

Preparación de metil éster del ácido 4-[5-(4-oxobiciclo[3.2.1]oct-2-en-2-

10 iloximetil)tiofen-2-il]benzoico

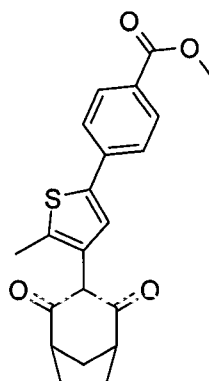


A una solución de metil éster del ácido 4-(5-hidroximetiltiofen-2-il)benzoico (223 mg, 0,9 mmol) en tetrahidrofurano seco (5 ml) se agrega, en una porción, hidruro
15 de sodio (60% dispersión en aceite mineral, 36 mg, 0,9 mmol). Se agitó la mezcla de reacción durante 5 minutos a temperatura ambiente y luego se agregó en una porción 4-clorobiciclo[3.2.1]oct-3-en-2-ona (157 mg, 1,0 mmol). Se agitó la mezcla de reacción a temperatura ambiente durante la noche. Se agregó gel de sílice a la mezcla de reacción cruda, se evaporó el solvente bajo presión reducida y se
20 purificó el residuo mediante cromatografía flash sobre gel de sílice para proporcionar metil éster del ácido 4-[5-(4-oxo-biciclo[3.2.1]oct-2-en-2-iloximetil)tiofen-2-il]benzoico (264 mg).

Paso 3

Preparación de metil éster del ácido 4-[4-(2,4-dioxobicyclo[3.2.1]oct-3-il)-5-metiltiofen-2-il]benzoico

5

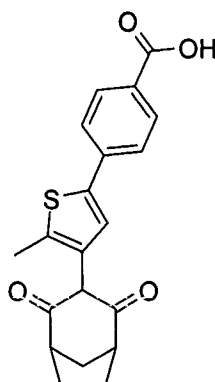


Se colocó metil éster del ácido 4-[5-(4-oxo-biciclo[3.2.1]oct-2-en-2-iloximetil)tiofen-2-il]benzoico (264mg, 0.71 mmol) en un frasco para microondas y se disolvió en
10 dimetil éter de dietilen glicol (3 ml). Se agregó 1-butil-3-metilimidazolio bis(trifluorometilsulfonil)imida (0,1 ml) y la mezcla de reacción se calentó a 190 °C durante 30 minutos bajo irradiación por microondas. Se agregó gel de sílice a la mezcla de reacción cruda, se evaporó el solvente bajo presión reducida y se purificó el residuo mediante cromatografía flash sobre gel de sílice a fin de
15 proporcionar metil éster del ácido 4-[4-(2,4-dioxobiciclo[3.2.1]oct-3-il)-5-metiltiofen-2-il]benzoico (213 mg).

Paso 4

Preparación de ácido 4-[4-(2,4-dioxo-biciclo[3.2.1]oct-3-il)-5-metiltiofen-2-il]benzoico

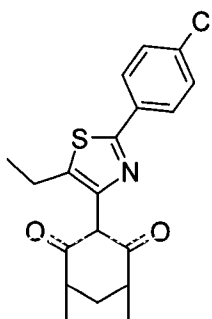
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A una solución de metil éster del ácido of 4-[4-(2,4-dioxobicyclo[3.2.1]oct-3-yl)-5-
 5 metiltiofen-2-il]benzoico (60 mg, 0,16 mmol) en metanol (2 ml) se agregó 1N
 solución de hidróxido de litio acuoso (2 ml) y la reacción se agitó a temperatura
 ambiente durante 72 horas. La reacción se acidificó con 2N ácido clorhídrico
 acuoso (25 ml) y se extrajo con diclorometano (25 ml). La capa orgánica se lavó
 con salmuera, se secó sobre sulfato de magnesio, se filtró y el filtrado se
 concentró *in vacuo* a fin de proporcionar ácido 4-[4-(2,4-dioxobicyclo[3.2.1]oct-3-yl)-
 10 5-metiltiofen-2-il]benzoico (44 mg).

Ejemplo 4

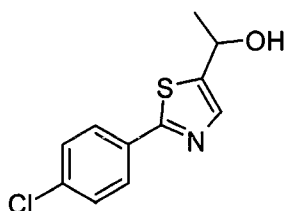
Preparación de 3-[2-(4-clorofenil)-5-etiltiazol-4-il]bicyclo[3.2.1]octano-2,4-diona



15

Paso 1

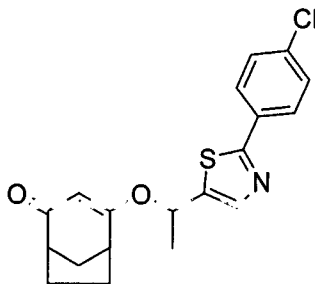
Preparación de 1-[2-(4-cloro-fenil)tiazol-5-il]etanol



A una suspensión de 1-[2-(4-clorofenil)thiazol-5-il]etanona (5 g, 21 mmol) en metanol (100 ml) se agregó borohidruro de sodio (832 mg, 22 mmol) a temperatura ambiente. La mezcla de reacción se agitó a temperatura ambiente durante 1 hora. La mezcla de reacción se neutralizó con solución de cloruro de amonio saturado acuoso (100 ml) y se extrajo con diclorometano (2 x 150 ml). Los extractos orgánicos combinados se secaron sobre sulfato de magnesio anhidro, se filtraron y evaporaron hasta su sequedad a fin de proporcionar 1-[2-(4-clorofenil)thiazol-5-il]etanol (4,88 g).

Paso 2

Preparación de 4-{1-[2-(4-clorofenil)thiazol-5-il]etoxi}biciclo[3.2.1]oct-3-en-2-ona



15

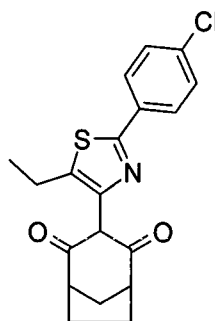
A una solución de 1-[2-(4-clorofenil)thiazol-5-il]etanol (1,1g, 4,6 mmol) en tetrahidrofurano anhidro (20 ml) se agregó, en una porción, hidruro de sodio (60% dispersión en aceite mineral, 184 mg, 4,6 mmol). La mezcla de reacción se agitó durante 5 minutos a temperatura ambiente y se agregó en una porción 4-clorobiciclo[3.2.1]oct-3-en-2-ona (720 mg, 4,6 mmol). La mezcla de reacción se

20

agitó a temperatura ambiente durante la noche. Se agregó gel de sílice a la mezcla de reacción cruda, se evaporó el solvente bajo presión reducida y se purificó el residuo mediante cromatografía flash sobre gel de sílice a fin de proporcionar 4-{1-[2-(4-clorofenil)tiazol-5-il]etoxi}biciclo[3.2.1]oct-3-en-2-ona (1,24 g)

Paso 3

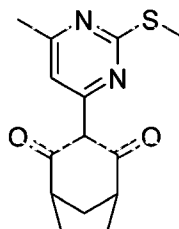
Preparación de 3-[2-(4-clorofenil)-5-etiltiazol-4-il]biciclo[3.2.1]octano-2,4-diona



Se colocó 4-{1-[2-(4-clorofenil)tiazol-5-il]etoxi}biciclo[3.2.1]oct-3-en-2-ona (1,25 g, 3,47 mmol) en un frasco para microondas y se disolvió en éter dimetilico de dietilenglicol (15 ml). Se agregó 1-butil-3-metilimidazolio bis(trifluorometilsulfonil)imida (0,1 ml) y se calentó la mezcla de reacción a 230 °C durante 30 minutos bajo irradiación por microondas. Se agregó gel de sílice a la mezcla de reacción cruda, se evaporó el solvente bajo presión reducida y se purificó el residuo mediante cromatografía flash sobre gel de sílice a fin de proporcionar 3-[2-(4-clorofenil)-5-etil-tiazol-4-il]biciclo[3.2.1]octano-2,4-diona (660 mg).

Ejemplo 5

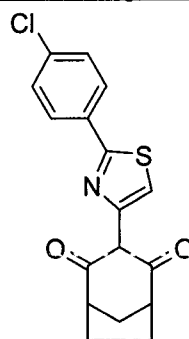
Preparación de 3-(6-metil-2-metilsulfanil-pirimidin-4-il)-biciclo[3.2.1]octano-2,4-diona



- 5 Se cargó un frasco para microondas con 4-cloro-2-metil-6-tiometilpirimidina (174 mg, 1 mmol), 2,2,6,6-tetrametil-piran-3,5-diona (170 mg, 1 mmol), acetato de paladio (12 mg, 0,05 mmol), X-Phos (48 mg, 0,1 mmol) y fosfato de potasio (424 mg, 2 mmol). Se agregó 1,2-dimetoxietano (3 ml) y se calentó la reacción a 140 °C, con agitación, durante 30 minutos. Se agregó gel de sílice a la mezcla de
- 10 reacción cruda, se evaporó el solvente bajo presión reducida y se purificó el residuo mediante cromatografía flash sobre gel de sílice a fin de proporcionar 4-[2-(4-Cloro-fenil)-5-metil-pirimidin-4-il]-2,2,6,6-tetrametil-piran-3,5-diona (191 mg).

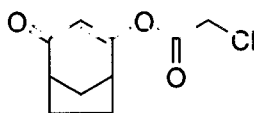
Ejemplo 6

- 15 Preparación de 3-[2-(4-cloro-fenil)tiazol-4-il]biciclo[3.2.1]octano-2,4-diona



Paso 1

Preparación de ácido cloro-acético 4-oxo-biciclo[3.2.1]oct-2-en-2-ilo éster



Se agregó dentro de un matraz de base redonda de 250 ml que contiene la bicyclo[3.2.1]octano-2,4-diona (10 g, 72 mmol) diclorometano seco (100 ml) y piridina (6,28 g, 87 mmol). A la mezcla agitada se agregó por goteo durante un

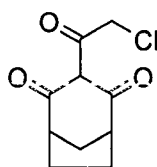
5 período de 15 minutos el cloruro de cloroacetilo (9,82 g, 72 mmol) diluido en diclorometano (100 ml). Consecuentemente la mezcla de reacción se agitó durante 2 horas a temperatura ambiente. Consecuentemente se vierte la mezcla de reacción en 100 ml de 2N HCl acuoso y se extrajo con acetato de etilo (2 x 100 ml). Los extractos orgánicos combinados se secaron sobre sulfato de magnesio,

10 se filtraron y se evaporaron bajo presión reducida a fin de proporcionar un aceite de color naranja. Se destiló el producto crudo bajo presión reducida a fin de proporcionar ácido cloro-acético 4-oxo-biciclo[3.2.1]oct-2-en-2-ilo éster (13,4 g).

Paso 2

15

Preparación de 3-(2-cloro-acetil)biciclo[3.2.1]octano-2,4-diona

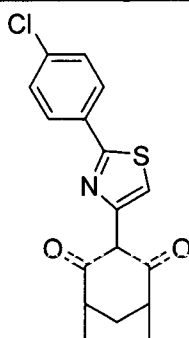


20 Se agregó por goteo dentro de un matraz de base redonda de 250 ml que contenía una suspensión de cloruro de aluminio anhidro (10 g, 75 mmol) en 1,2-dicloroetano (80 ml) durante 20 minutos ácido cloro-acético 4-oxo-biciclo[3.2.1]oct-2-en-2-ilo éster (6 g, 28 mmol) diluido en 1,2-dicloroetano (20 ml), Se agita la mezcla de reacción resultante durante la noche a temperatura

ambiente. Consecuentemente se vierte la reacción en una mezcla de hielo y 2N HCl acuoso (100 ml) y se extrajo con diclorometano (3 x 50 ml). Las capas orgánica combinadas se secaron sobre sulfato de magnesio, se filtró y se evaporó bajo presión reducida a fin de proporcionar una mezcla cruda 3-(2-cloro-acetil)biciclo[3.2.1]octano-2,4-diona (4,2g).

Paso 3

Preparación de 3-[2-(4-cloro-fenil)thiazol-4-il]biciclo[3.2.1]octano-2,4-diona



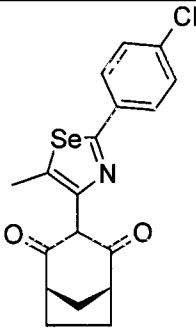
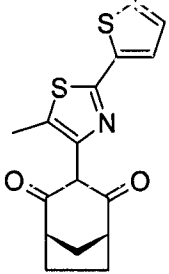
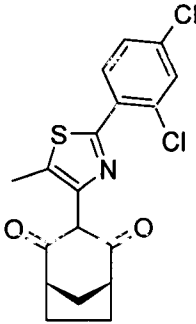
3-(2-cloro-acetil)biciclo[3.2.1]octano-2,4-diona (3,16 g, 14,7 mmol) y 4-cloro-tiobenzamida (2,53 g, 14,7 mmol) se mezclaron juntos en tolueno (50 ml) y se calentaron bajo reflujo durante la noche. Consecuentemente, se evaporó la mezcla de reacción para dar una goma negra y se la goma absorbió sobre sílice y se purificó mediante cromatografía flash fluyendo con un gradiente de hexano/acetato de etilo a fin de proporcionar 3-[2-(4-cloro-fenil)thiazol-4-il]biciclo[3.2.1]octano-2,4-diona (2,6 g).

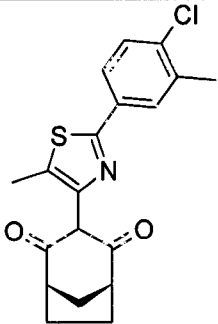
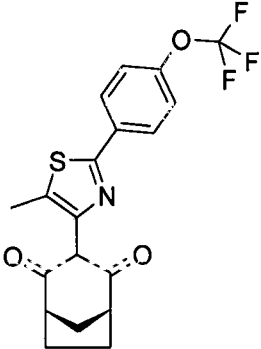
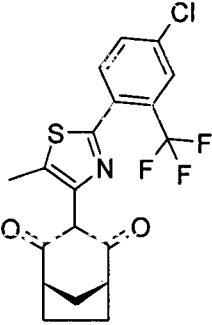
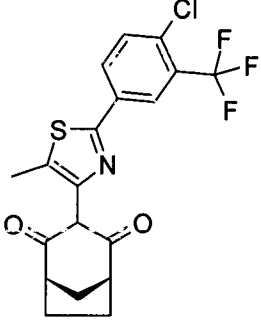
Se prepararon compuestos adicionales en la Tabla T1 a continuación mediante métodos similares utilizando materiales de partida apropiados.

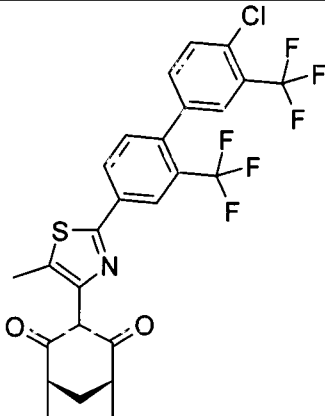
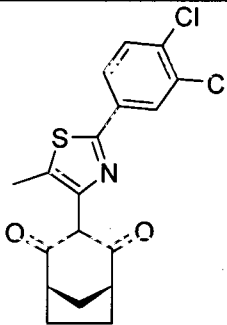
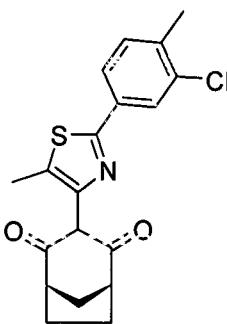
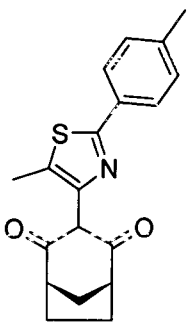
Cuando se observan más de un tautómero o confórmero de rotación en el espectro de RMN del protón, los datos que se muestran a continuación pertenecen a la mezcla de isómeros y confórmeros.

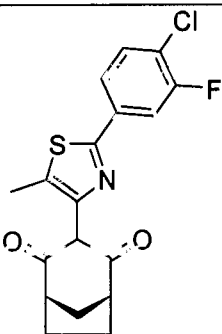
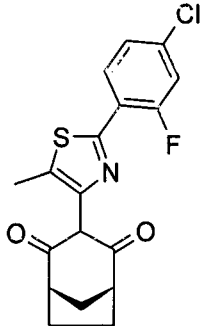
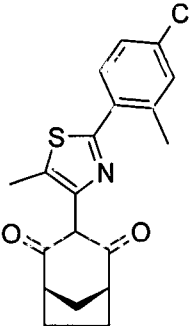
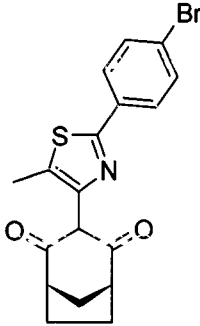
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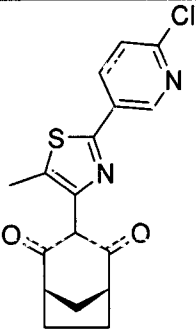
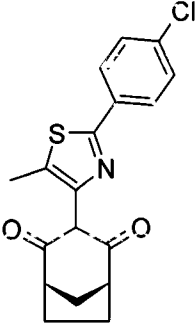
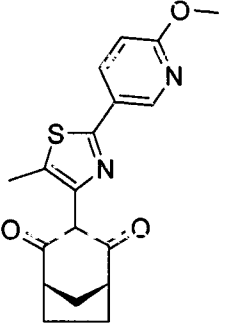
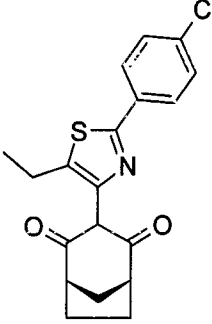
Tabla T1

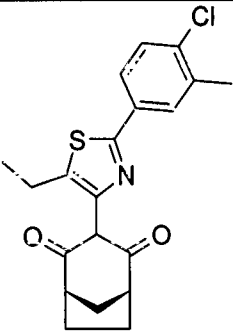
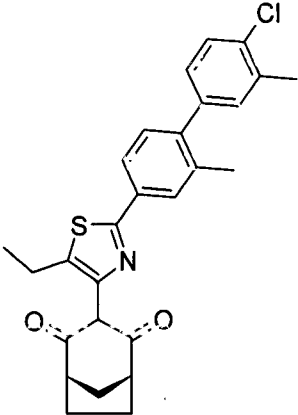
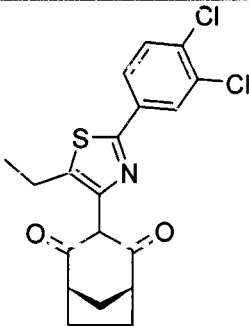
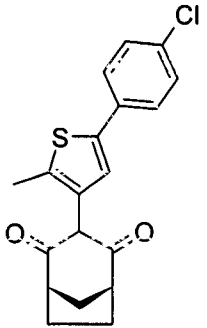
Compuesto Número	Estructura	RMN ¹ H (CDCl ₃ a menos que se indique) u otros datos físicos
T1		δ ppm 1,59 (m, 1H), 1,84 (m, 2H), 2,11-2,18 (m, 3H), 2,49 (t, 3H), 3,06 (m, 2H), 7,39 (d, 2H), 7,69 (d, 2H)
T2		δ ppm 1,59 (m, 1H), 1,80 - 1,91 (m, 2H), 2,08 - 2,20 (m, 3H), 2,42 (s, 3H), 3,01 - 3,08 (m, 2H), 7,07 (t, 1H), 7,38 (d, 1H), 7,43 (d, 1H)
T3		δ ppm 1,60 (m, 1H), 1,85 (m, 2H), 2,15 (m, 3H), 2,45 (s, 3H), 3,05 (m, 2H), 7,23 (d, 1H), 7,28 (d, 1H), 7,89 (s, 1H)

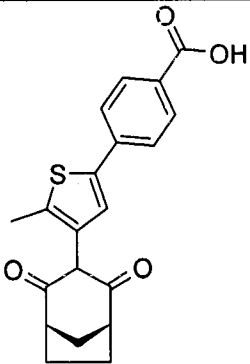
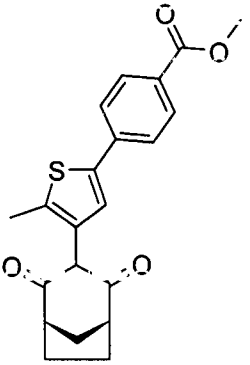
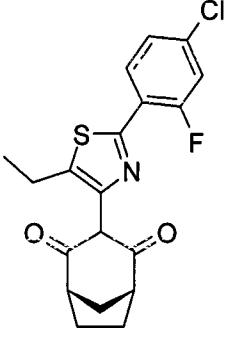
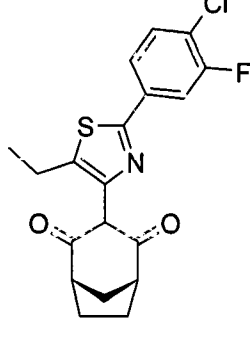
T4		δ ppm 1,60 (m, 1H), 1,89 (m, 2H), 2,14 (m, 3H), 2,43 (s, 3H), 2,45 (s, 3H), 3,06 (s, 2H), 7,39 (d, 1H), 7,57 (d, 1H), 7,67 (s, 1H)
T5		δ ppm 1,60 (m, 1H), 1,85 (m, 2H), 2,15 (m, 3H), 2,46 (s, 3H), 3,06 (s, 2H), 7,23 (d, 2H), 7,84 (d, 2H)
T6		δ ppm 1,60 (m, 1H), 1,82 (m, 2H), 2,11-2,19 (m, 3H), 2,47 (s, 3H), 3,09 (m, 2H), 7,52 (d, 1H), 7,60 (dd, 1H), 7,81 (d, 1H)
T7		δ ppm 1,61 (m, 1H), 1,86 (m, 2H), 2,11-2,19 (m, 3H), 2,46 (s, 3H), 3,06 (m, 2H), 7,57 (d, 1H), 7,90 (dd, 1H), 8,09 (d, 1H)

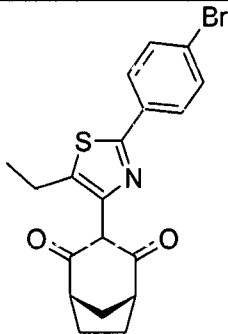
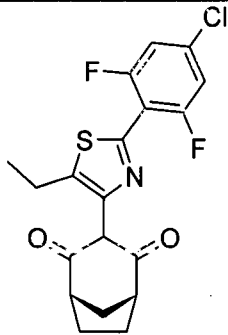
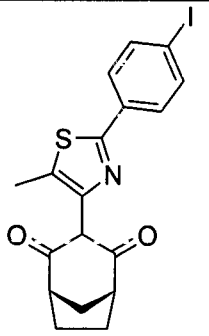
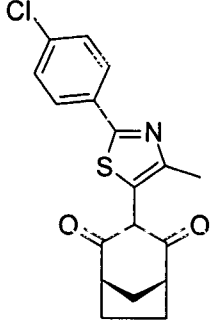
T8		δ ppm 1,63 (m, 1H), 1,87 (m, 2H), 2,17-2,24 (m, 3H), 2,49 (s, 3H), 3,19 (m, 2H), 7,42 (d, 1H), 7,47 (dd, 1H), 7,59 (d, 1H), 7,68 (d, 1H), 8,03 (dd, 1H), 8,18 (d, 1H)
T9		δ ppm 1,60 (m, 1H), 1,79 - 1,92 (m, 2H), 2,06 - 2,23 (m, 3H), 2,45 (s, 3H), 2,97 - 3,13 (m, 2H), 7,50 (d, 1H), 7,62 (dd, 1H), 7,89 (d, 1H)
T10		δ ppm 1,60 (m, 1H), 1,85 (m, 2H), 2,15 (m, 3H), 2,4 (s, 3H), 2,45 (s, 3H), 3,05 (m, 2H), 7,23 (d, 2H), 7,58 (d, 2H), 7,8 (d, 1H)
T11		δ ppm 1,60 (m, 1H), 1,85 (m, 2H), 2,15 (m, 3H), 2,40 (s, 3H), 2,45 (s, 3H), 3,05 (m, 2H), 7,23 (d, 2H), 7,68 (d, 2H)

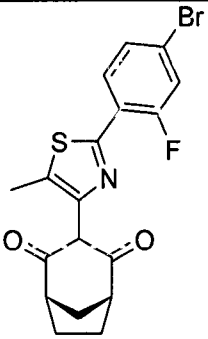
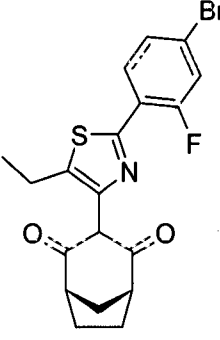
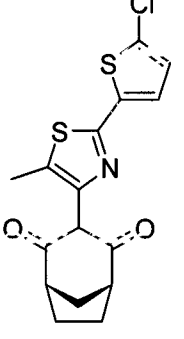
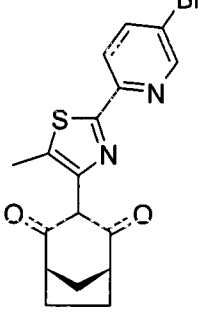
T12		δ ppm 1,61 (m, 1H), 1,79 - 1,92 (m, 2H), 2,10 - 2,24 (m, 3H), 2,45 (s, 3H), 3,03 - 3,11 (m, 2H), 7,41 - 7,49 (m, 1H), 7,53 (dd, 1H), 7,60 (dd, 1H)
T13		δ ppm 1,61 (m, 1H), 1,85 (m, 2H), 2,07 - 2,22 (m, 3H), 2,47 (s, 3H), 3,02 - 3,12 (m, 2H), 7,20 - 7,29 (m, 2H), 7,91 - 7,99 (m, 1H)
T14		δ ppm 1,64 (m, 1H), 1,87 (m, 2H), 2,14-2,21 (m, 3H), 2,52 (s, 3H), 2,58 (s, 3H), 3,10 (m, 2H), 7,29 (dd, 1H), 7,35 (d, 1H), 7,61 (d, 1H)
T15		δ ppm 1,60 (m, 1H), 1,81 - 1,90 (m, 2H), 2,08 - 2,22 (m, 3H), 2,45 (s, 3H), 3,02 - 3,14 (m, 2H), 7,53 - 7,62 (m, 2H), 7,65 - 7,73 (m, 2H)

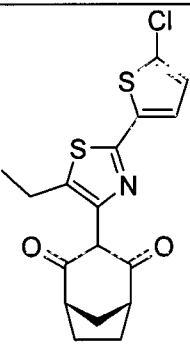
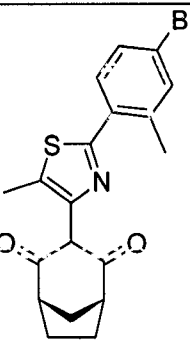
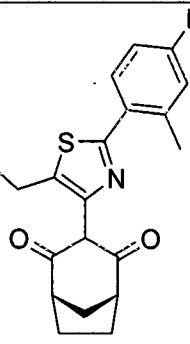
T16		δ ppm 1,56 - 1,67 (m, 3H), 2,08 - 2,23 (m, 3H), 2,47 (s, 3H), 3,03 - 3,13 (m, 2H), 7,42 (dd, 1H), 8,06 (dd, 1H), 8,82 (dd, 1H)
T17		δ ppm 1,60 (m, 1 H), 1,79 - 1,90 (m, 2H), 2,07 - 2,21 (m, 3H), 2,45 (s, 3H), 3,02 - 3,11 (m, 2H), 7,41 (d, 2H), 7,75 (d, 2H)
T18		δ ppm 1,61(m, 1H), 1,84 (m, 2H), 2,12-2,19 (m, 3H), 2,42 (s, 3H), 3,11 (m, 2H), 4,01 (s, 3H), 6,85 (d, 1H), 8,03 (dd, 1H), 8,64 (d, 1H)
T19		δ ppm 1,31 (t, 3H), 1,60 (m, 1H), 1,84 (m, 2H), 2,07 - 2,21 (m, 3H), 2,88 (q, 2H), 3,06 (m, br, 2H), 7,41 (d, 2H), 7,76 (d, 2H)

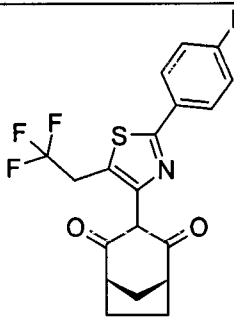
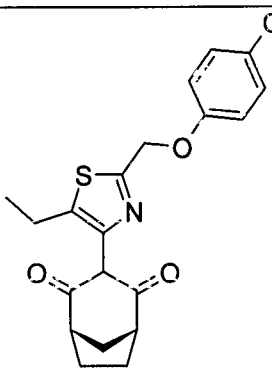
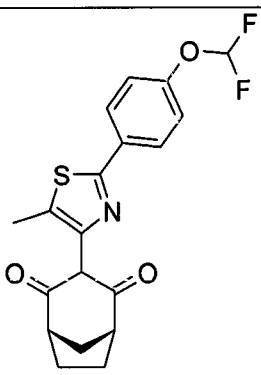
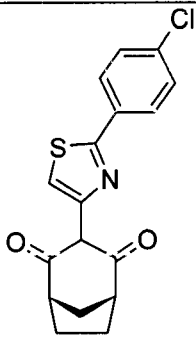
T20		δ ppm 1,3 (t, 3H), 1,58 (m, 1H), 1,85 (m, 2H), 2,15 (m, 3H), 2,4 (s, 3H), 2,88 (q, 2H), 3,05 (m, 2H), 7,38 (d, 1H), 7,58 (d, 1H), 7,7 (s, 1H)
T21		δ ppm 1,3 (t, 3H), 1,6 (m, 1H), 1,85 (m, 2H), 2,18 (m, 3H), 2,3 (s, 3H), 2,4 (s, 3H), 2,84 (q, 2H), 3,10 (m, 2H), 7,1 (d, 2H), 7,20 (d, 1H), 7,30 (d, 1H), 7,4 (d, 1H), 7,68 (d, 1H), 7,7 (s, 1H)
T22		δ ppm 1,3 (t, 3H), 1,60 (m, 1H), 1,85 (m, 2H), 2,15 (m, 3H), 2,88 (m, 2H), 3,05 (m, 2H), 7,50 (d, 1H), 7,65 (d, 1H), 7,9 (s, 1H),
T23		(CD ₃ OD) δ ppm 1,71 (m, 1H), 1,84 (d, 2H), 2,20 (s, 3H), 2,15 - 2,30 (m, 3H), 2,98 - 3,07 (m, 2H), 7,01 (s, 1H), 7,35 (d, 2H), 7,55 (d, 2H)

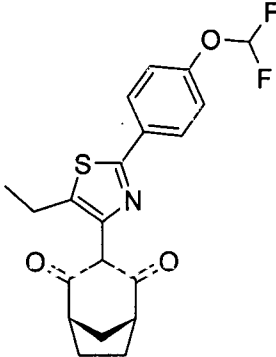
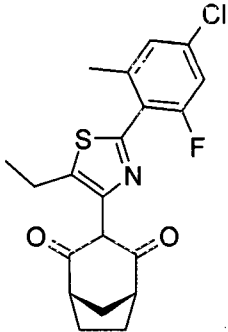
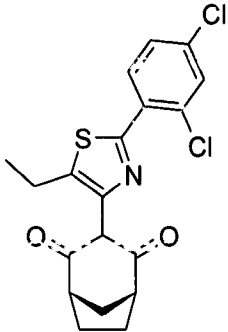
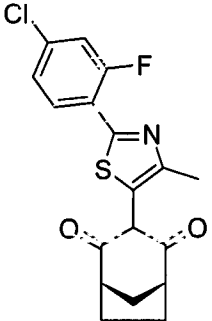
T24		(CD ₃ OD) δ ppm 1,70 (m, 1H), 1,85 (m, 2H), 2,23 (m, 6H) 3,03 (m, 2H), 7,16 (s, 1H), 7,67 (d, 2H), 8,00 (d, 2H)
T25		δ ppm 1,40 - 1,70 (m, 8H), 2,31 (s, 3 H), 3,92 (s, 3H), 7,15 (s, 1H), 7,59 (d, 2H), 8,01 (d, 2H)
T26		δ ppm 1,32 (t, 3H), 1,61 (m, 1H), 1,80 (m, 2H), 2,14 (m, 3H), 2,92 (q, 2H), 3,06 (m, 2H), 7,23 (m, 2H), 7,96 (t, 1H)
T27		δ ppm 1,31 (t, 3H), 1,60 (m, 1H), 1,81 (m, 2H), 2,16 (m, 3H), 2,89 (q, 2H), 3,06 (m, 2H), 7,46 (m, 1H), 7,55 (m, 1H), 7,62 (dd, 1H)

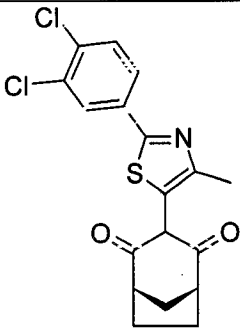
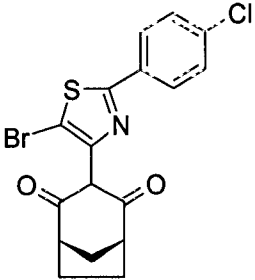
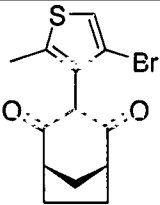
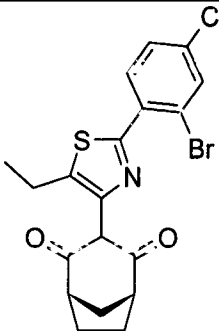
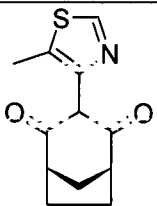
T28		δ ppm 1,31 (t, 3H), 1,60 (m, 1H), 1,81 (m, 2H), 2,15 (m, 3H), 2,88 (q, 2H), 3,06 (m, 2H), 7,57 (m, 2H) 7,69 (m, 2H)
T29		δ ppm 1,32 (t, 3H), 1,59 (dt, 1H), 1,83 (m, 2H), 2,14 (m, 3H), 2,97 (q, 2H), 3,06 (m, 2H), 7,11 (m, 2 H)
T30		δ ppm 1,60 (m, 1H), 1,84 (m, 2H), 2,15 (m, 3H), 2,45 (s, 3H), 3,05 (m, 2H), 7,53 (d, 2H), 7,77 (d, 2H)
T31		δ ppm 1,70 (m, 1H), 1,8 (d, 2H), 2,1 (s, 3H), 2,20 (m, 3H), 3,00 (m, 2H), 7,45 (d, 2H), 7,85 (m 2H)

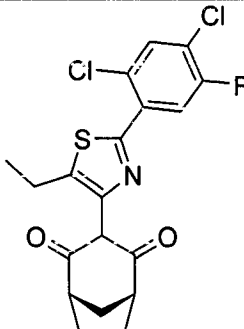
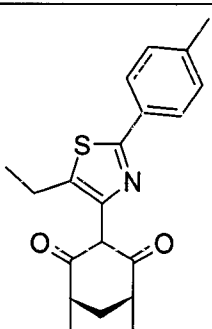
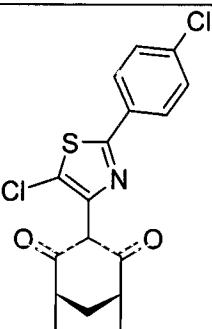
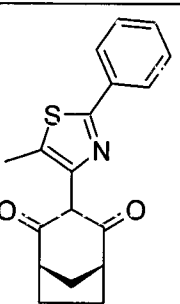
T32		δ ppm 1,60 (m, 1H), 1,87 (m, 2H), 2,16 (m, 3H), 2,47 (s, 3H), 3,07 (m, 2H), 7,39 (m, 1H), 7,42 (m, 1H), 7,88 (m, 1H)
T33		δ ppm 1,36 (t, 3H), 1,64 (m, 1H), 1,89 (m, 2H), 2,18 (m, 3H), 2,96 (q, 2H), 3,11 (m, 2H), 7,42 (m, 1H), 7,45 (m, 1H), 7,93 (t, 1H)
T34		δ ppm 1,59 (dt, 1H), 1,83 (m, 2H), 2,13 (m, 3H), 2,41 (s, 3H), 3,04 (m, 2H), 6,89 (d, 1H), 7,19 (d, 1H)
T35		δ ppm 1,61 (m, 1H), 1,85 (m, 2H), 2,15 (m, 3H), 2,46 (s, 3H), 3,05 (m, 2H), 7,89 (m, 2H), 8,63 (s, 1H)

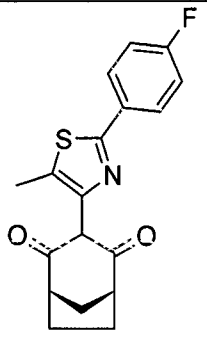
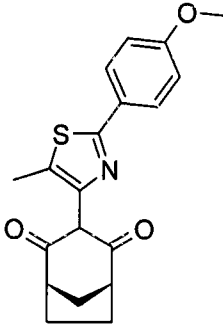
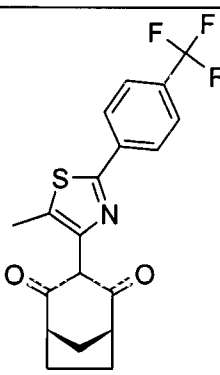
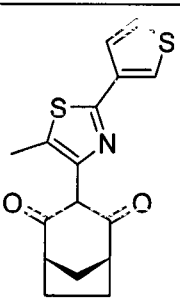
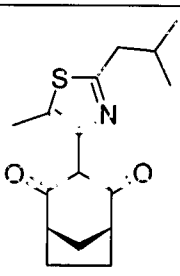
T36		δ ppm 1,28 (t, 3H), 1,58 (dt, 1H), 1,85 (m, 2H), 2,14 (m, 3H), 2,84 (m, 2H), 3,05 (m, 2H), 6,89 (d, 1H), 7,20 (d, 1H)
T37		δ ppm 1,60 (m, 1H), 1,83 (m, 2H), 2,15 (m, 3H), 2,47 (s, 3H), 2,54 (s, 3H), 3,09 (m, 2H), 7,40 (dd, 1H), 7,49 (m, 2H)
T38		δ ppm 1,32 (t, 3H), 1,59 (m, 1H), 1,84 (m, 2H), 2,13 (m, 3H), 2,54 (s, 3H), 2,91 (q, 2H), 3,09 (m, 2H), 7,40 (dd, 1H), 7,50 (m, 2H)
T39		δ ppm 1,32 (t, 3H), 1,59 (m, 1H), 1,84 (m, 2H), 2,15 (m, 3H), 2,87 (q, 2H), 3,06 (m, 2H), 7,89 (m, 2H), 8,64 (s, 1H)

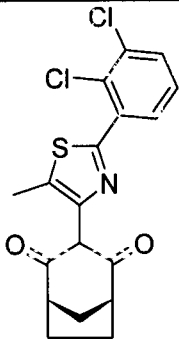
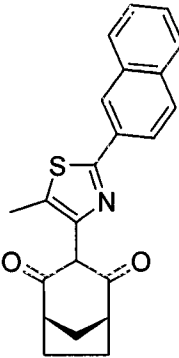
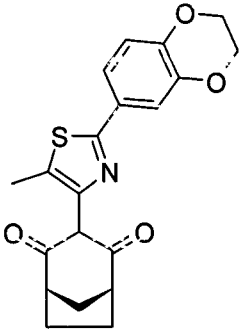
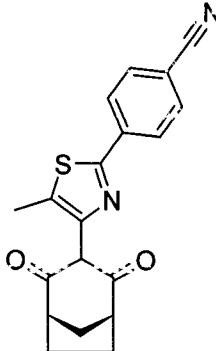
T40		δ ppm 1,60 (m, 1H), 1,84 (m, 2H), 2,16 (m, 3H), 3,08 (m, 2H), 4,01 (q, 2H), 7,60 (d, 2H), 7,72 (d, 2H)
T41		δ ppm 1,27 (t, 3H), 1,58 (dt, 1H), 1,81 (m, 2H), 2,12 (m, 3H), 2,84 (q, 2H), 3,03 (m, 2H), 5,25 (s, 2H), 6,91 (m, 2H), 7,27 (m, 2H)
T42		δ ppm 1,60 (dt, 1H), 1,85 (m, 2H), 2,15 (m, 3H), 2,45 (s, 3H), 3,06 (m, 2H), 6,56 (t, 1H), 7,18 (m, 2H), 7,82 (m, 2H)
T43		δ ppm 1,60 (m, 1H), 1,8 (m, 2H), 2,15 (m, 3H), 3,05 (m, 2H), 7,42 (d 2H), 7,80 (m, 2H), 8,3 (s, 1H), 14,4 (s, 1H)

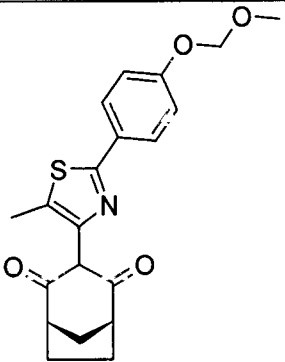
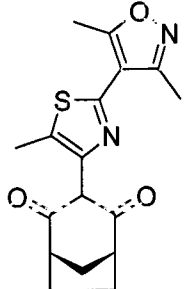
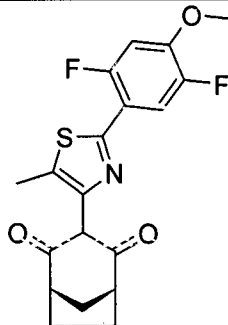
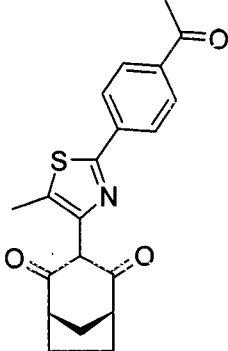
T44		δ ppm 1,31 (t, 3H), 1,60 (dt, 1H), 1,85 (m, 2H), 2,14 (m, 3H), 2,89 (m, 2H), 3,06 (m, 2H), 6,57 (t, 1H), 7,18 (m, 2H), 7,83 (m, 2H)
T45		δ ppm 1,31 (t, 3H), 1,57 (dt, 1H), 1,80 (m, 2H), 2,12 (m, 3H), 2,37 (s, 3H), 2,91 (m, 2H), 3,03 (m, 2H), 7,05 (m, 1H), 7,10 (m, 1H)
T46		δ ppm 1,31 (t, 3H), 1,61 (dt, 1H), 1,81 (m, 2H), 2,15 (m, 3H), 2,85 (q, 2H), 3,06 (m, 2H), 7,51 (d, 1H), 7,65 (dd, 1H), 7,92 (d, 1H)
T47		δ ppm 1,70 (m, 1H), 1,80 (d, 2H), 2,10 (s, 3H), 2,20 (m, 3H), 3,1 (m, 2H), 7,16 (s, 1H), 7,20 (m, 1H), 7,95 (m, 1H)

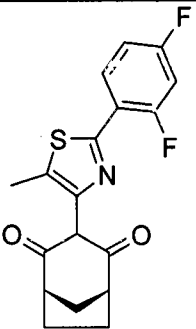
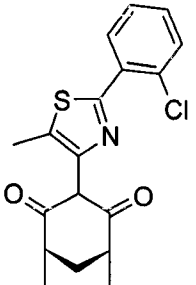
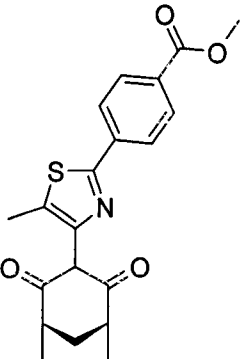
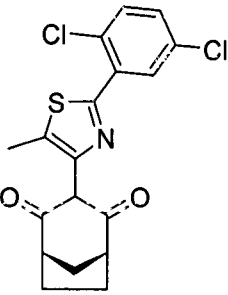
T48		δ ppm 1,72 (m, 1H), 1,85 (d, 2H), 2,1 (s, 3H), 2,20 (m, 3H), 3,1 (m, 2H), 7,20 (d, 1H), 7,20 (m, 1H), 7,95 (m, 1H)
T49		δ ppm 1,55 (m, 1H), 1,60 (m, 1H), 1,75 (m, 1H), 2,00 (m, 1H), 2,15 (m, 2H), 3,05 (m, 2H), 7,40 (d, 2H), 7,70 (d, 2H), 11,0 (s, 1H)
T50		δ ppm 1,71 (m, 1H), 1,93 (m, 2H), 2,16 (m, 3H), 2,28 (d, 3H), 3,06 (m, 2H), 5,77 (d, 1H), 7,16 (d, 1H)
T51		δ ppm 1,33 (t, 3H), 1,60 (m, 1H), 1,83 (m, 2H), 2,14 (m, 3H), 2,91 (q, 2H), 3,06 (m, 2H), 7,36 (dd, 1H), 7,73 (m, 2H)
T52		δ ppm 1,56 (m, 1H), 1,79 (m, 2H), 2,11 (m, 3H), 2,44 (s, 3H), 3,03 (q, 2H), 8,63 (s, 1H)

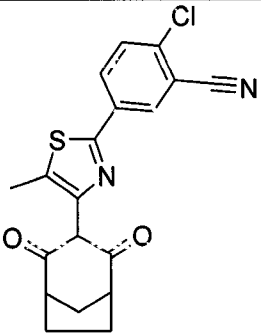
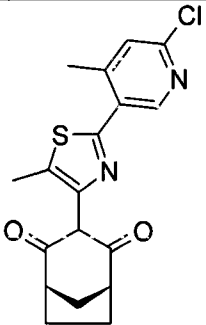
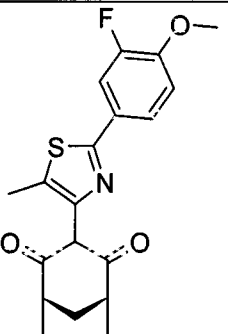
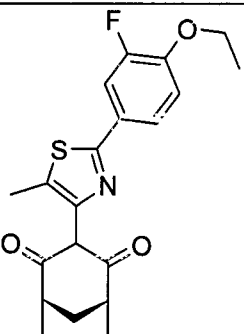
T53		δ ppm 1,33 (t, 3H), 1,61 (m, 1H), 1,97 (m, 2H), 2,14 (m, 3H), 2,91 (q, 2H), 3,07 (m, 2H), 7,58 (d, 1H), 7,80 (d, 1H)
T54		δ ppm 1,30 (t, 3H), 1,50 (m, 1H), 1,80 (d, 2H), 2,10 (m, 3H), 2,40 (s, 3H), 2,90 (q, 2H), 3,00 (m, 2H), 7,20 (d, 2H), 7,70 (d, 2H)
T55		δ ppm 1,55 (m, 1H), 1,60 (m, 2H), 2,80 (m, 1H), 2,15 (m, 2H), 3,10 (m, 2H), 7,45 (d, 2H), 7,70 (d, 2H), 11,2 (s, 1H)
T56		δ ppm 1,61 (m, 1H), 1,85 (m, 2H), 2,16 (m, 3H), 2,44 (s, 3H), 3,07 (m, 2H), 7,44 (m, 3H), 7,82 (m, 2H)

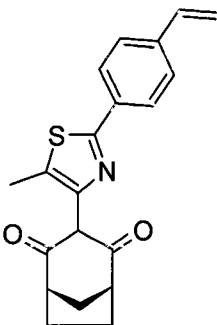
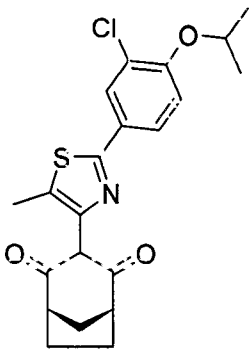
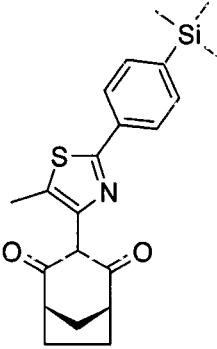
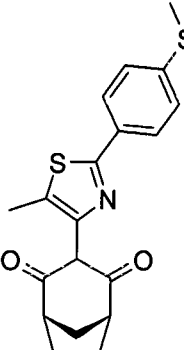
T57		δ ppm 1,60 (m, 1H), 1,84 (m, 2H), 2,15 (m, 3H), 2,44 (s, 3H), 3,07 (m, 2H), 7,13 (m, 2H), 7,80 (m, 2H)
T58		δ ppm 1,59 (m, 1H), 1,84 (m, 2H), 2,14 (m, 3H), 2,41 (s, 3H), 3,06 (m, 2H), 6,95 (d, 2H), 7,75 (d, 2H)
T59		δ ppm 1,61 (m, 1H), 1,85 (m, 2H), 2,16 (m, 3H), 2,47 (s, 3H), 3,07 (m, 2H), 7,69 (d, 2H), 7,92 (d, 2H)
T60		δ ppm 1,58 (m, 1H), 1,83 (m, 2H), 2,13 (m, 3H), 2,42 (s, 3H), 3,05 (m, 2H), 7,39 (m, 1H), 7,45 (m, 1H), 7,76 (m, 1H)
T61		δ ppm 1,01 (d, 6H), 1,57 (m, 1H), 1,81 (m, 2H), 2,04-2,13 (m, 4H), 2,33 (s, 3H), 2,92 (d, 2H), 3,07 (m, 2H), 6,93 (s (br), 1H)

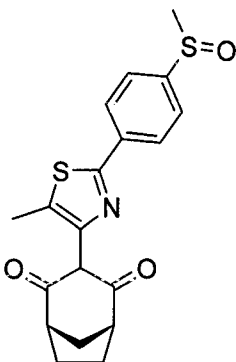
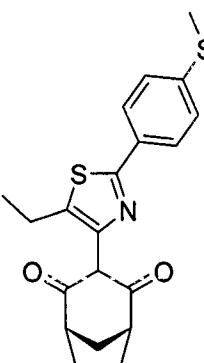
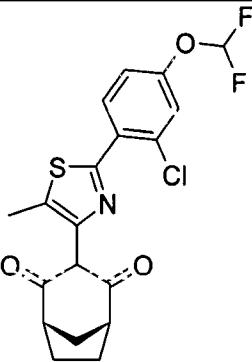
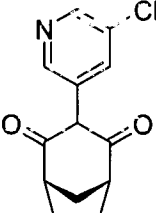
T62		δ ppm 1,61 (m, 1H), 1,86 (m, 2H), 2,16 (m, 3H), 2,52 (s, 3H), 3,08 (m, 2H), 7,29 (m, 1H), 7,56 (m, 1H), 7,81 (m, 1H)
T63		δ ppm 1,62 (m, 1H), 1,87 (m, 2H), 2,15 (m, 3H), 2,48 (s, 3H), 3,08 (m, 2H), 6,40 (s (br), 1H), 7,53 (m, 2H), 7,83-7,92 (m, 4H), 8,28 (s, 1H)
T64		δ ppm 1,62 (m, 1H), 1,87 (m, 2H), 2,17 (m, 3H), 2,47 (s, 3H), 3,09 (m, 2H), 4,34 (s, 4H), 6,94 (d, 1H) 7,36 (m, 2H)
T65		δ ppm 1,61 (m, 1H), 1,86 (m, 2H), 2,15 (m, 3H), 2,48 (s, 3H), 3,07 (m, 2H), 7,72 (d, 2H), 7,92 (d, 2H)

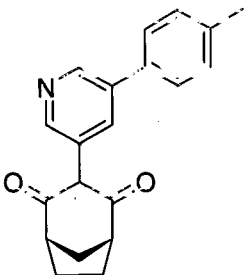
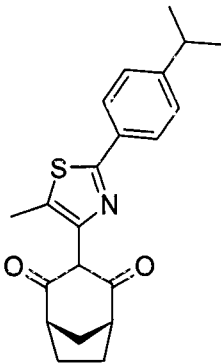
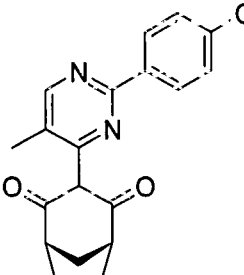
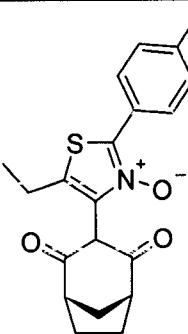
T66		δ ppm 1,60 (m, 1H), 1,84 (m, 2H), 2,14 (m, 3H), 2,41 (s, 3H), 3,07 (m, 2H), 3,49 (s, 3H), 5,22 (s, 2H), 7,09 (d, 2H), 7,74 (d, 2H)
T67		δ ppm 1,60 (m, 1H), 1,83 (m, 2H), 2,15 (m, 3H), 2,46 (s, 3H), 2,50 (s, 3H), 2,65 (s, 3H), 3,06 (m, 2H)
T68		δ ppm 1,65 (m, 1H), 1,89 (m, 2H), 2,19 (m, 3H), 2,49 (s, 3H), 3,10 (m, 2H), 3,97 (s, 3H), 6,84 (m, 1H), 7,76 (m, 1H)
T69		δ ppm 1,61 (m, 1H), 1,85 (m, 2H), 2,15 (m, 3H), 2,48 (s, 3H), 2,64 (s, 3H), 3,07 (m, 2H), 7,90 (d, 2H), 8,02 (d, 2H)

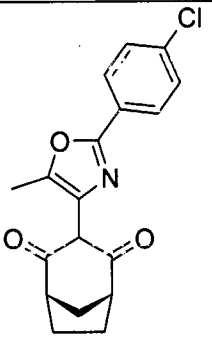
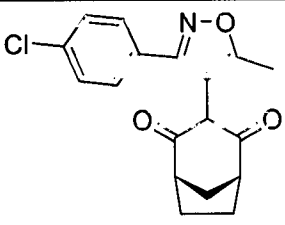
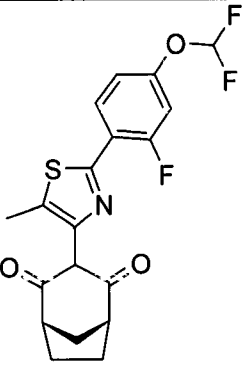
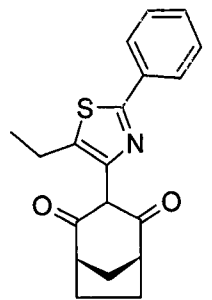
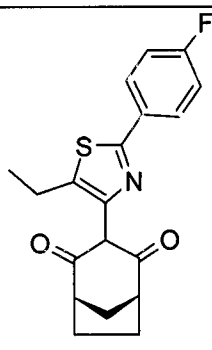
T70		δ ppm 1,60 (m, 1H), 1,84 (m, 2H), 2,15 (m, 3H), 2,47 (s, 3H), 3,06 (m, 2H), 6,97 (m, 2H), 7,99 (m, 1H)
T71		δ ppm 1,60 (m, 1H), 1,83 (m, 2H), 2,15 (m, 3H), 2,49 (s, 3H), 3,05 (m, 2H), 7,35 (m, 2H), 7,50 (m, 1H), 7,89 (m, 1H)
T72		δ ppm 1,61 (m, 1H), 1,85 (m, 2H), 2,16 (m, 3H), 2,48 (s, 3H), 3,06 (m, 2H), 3,95 (s, 3H), 7,88 (d, 2H), 8,10 (d, 2H)
T73		δ ppm 1,61 (m, 1H), 1,86 (m, 2H), 2,15 (m, 3H), 2,49 (s, 3H), 3,07 (m, 2H), 7,31 (dd, 1H), 7,43 (d, 1H), 7,92 (d, 1H)

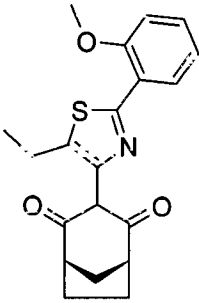
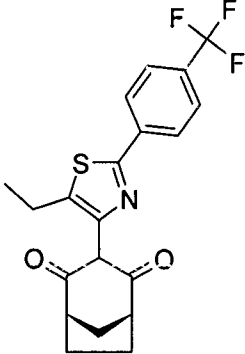
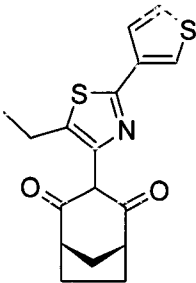
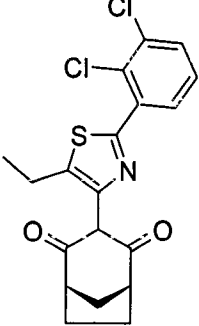
T74		δ ppm 1,62 (m, 1H), 1,85 (m, 2H), 2,16 (m, 3H), 2,46 (s, 3H), 3,07 (m, 2H), 7,59 (d, 1H), 7,96 (dd, 1H), 8,11 (d, 1H)
T75		δ ppm 1,62 (m, 1H), 1,83 (m, 2H), 2,15 (m, 3H), 2,48 (s, 3H), 2,56 (s, 3H), 3,07 (m, 2H), 7,30 (s, 1H), 8,60 (s, 1H)
T76		δ ppm 1,60 (m, 1H), 1,85 (m, 2H), 2,15 (m, 3H), 2,42 (s, 3H), 3,07 (m, 2H), 3,94 (s, 3H), 7,00 (t, 1H), 7,54 (m, 2H)
T77		δ ppm 1,48 (t, 3H), 1,60 (m, 1H), 1,84 (m, 2H), 2,14 (m, 3H), 2,43 (s, 3H), 3,05 (m, 2H), 4,16 (q, 3H), 6,98 (t, 1H), 7,53 (m, 2H)

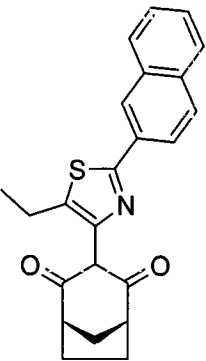
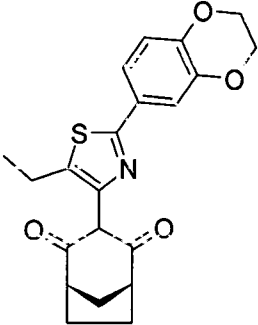
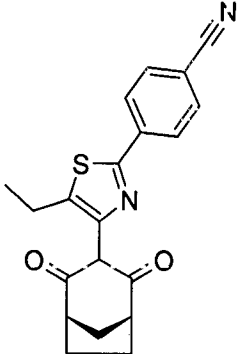
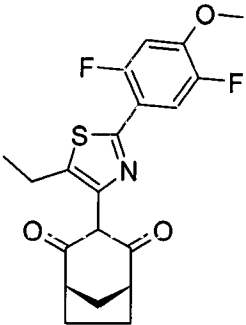
T78		δ ppm 1,60 (m, 1H), 1,84 (m, 2H), 2,14 (m, 3H), 2,45 (s, 3H), 3,06 (m, 2H), 5,35 (d, 1H), 5,83 (d, 1H), 6,74 (dd, 1H), 7,47 (d, 2H), 7,78 (d, 2H)
T79		δ ppm 1,41 (d, 6H), 1,61 (m, 1H), 1,86 (m, 2H), 2,14 (m, 3H), 2,43 (s, 3H), 3,09 (m, 2H), 4,63 (sept, 1H), 6,97 (d, 1H), 7,63 (dd, 1H), 7,82 (d, 1H)
T80		δ ppm 0,30 (s, 9H), 1,61 (m, 1H), 1,85 (m, 2H), 2,15 (m, 3H), 2,46 (s, 3H), 3,06 (m, 2H), 7,60 (d, 2H), 7,77 (d, 2H)
T81		δ ppm 1,59 (m, 1H), 1,85 (m, 2H), 2,14 (m, 3H), 2,45 (s, 3H), 2,52 (s, 3H), 3,05 (m, 2H), 7,27 (m, 2H), 7,72 (m, 2H)

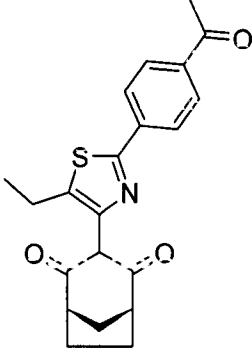
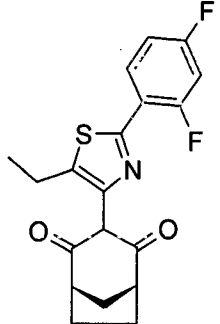
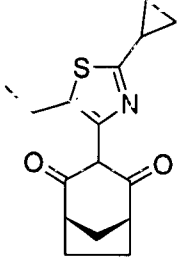
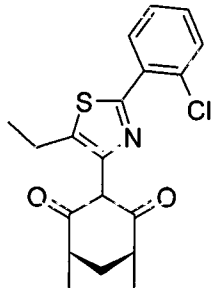
T82		δ ppm 1,62 (m, 1 H), 1,86 (m, 2H), 2,14 (m, 3H), 2,48 (s, 3H), 2,77 (s, 3H), 3,08 (m, 2H), 7,72 (m, 2H), 7,97 (m, 2H)
T83		δ ppm 1,30 (t, 3H), 1,59 (dt, 1H), 1,84 (m, 2H), 2,14 (m, 3H), 2,52 (s, 3H), 2,88 (q, 2H), 3,06 (m, 2H), 7,27 (m, 2H), 7,74 (m, 2H)
T84		δ ppm 1,60 (dt, 1H), 1,86 (m, 1H), 2,15 (m, 3H), 2,49 (s, 3H), 3,06 - 3,09 (m, 2H), 6,57 (t, 1H), 7,14 (dd, 1H), 7,30 (d, 1H), 7,93 (d, 1H)
T85		δ ppm 1,66 (m, 1H), 1,89 (m, 2H), 2,19 (m, 3H), 3,09 (m, 2H), 7,84 (m, 1H), 8,13 (m, 1H), 8,37 (m, 1H)

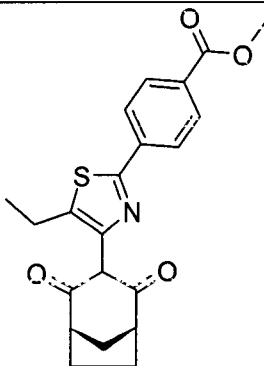
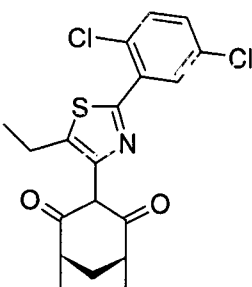
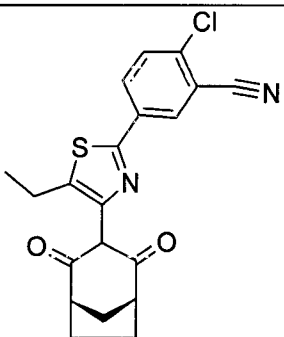
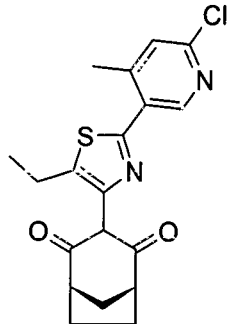
T86		δ ppm 1,61 (m, 1H), 1,90 (m, 2H), 2,17 (m, 3H), 2,37 (s, 3H), 3,07 (m, 2H), 7,19 (m, 2H), 7,35 (m, 2H), 8,15 (m, 1H), 8,31 (m, 1H), 8,59 (m, 1H)
T87		δ ppm 1,27 (d, 6H), 1,58 (m, 1H), 1,84 (m, 2H), 2,14 (m, 3H), 2,45 (s, 3H), 2,95 (sept, 1H), 3,05 (q, 2H), 7,29 (d, 2H), 7,73 (d, 2H)
T88		δ ppm 1,66 (dt, 1H), 1,87 (m, 2H), 2,19 (m, 3H), 2,24 (s, 3H), 3,05 (m, 2H), 7,51 (m, 2H), 8,20 (m, 2H), 8,57 (s, 1H)
T89		δ ppm 1,30 (t, 3H), 1,90 (m, 3H), 2,05 (m, 3H), 3,00 (q, 2H), 3,30 (m 2H), 7,40 (d, 2H), 7,70 (d, 2H)

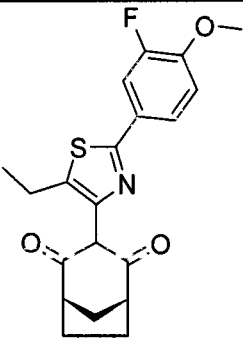
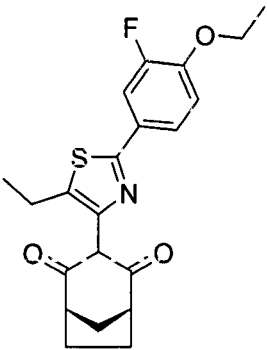
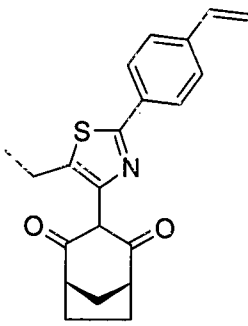
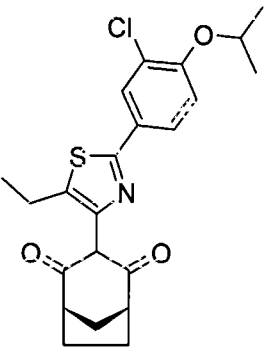
T90		δ ppm 1,57 (m, 1H), 1,82 (m, 2H), 2,10-2,30 (m, 3H), 2,94 (t, 1H), 3,30 (s, 3H), 3,42 (t, 1H), 7,54 (d, 2H), 7,94 (d, 2H)
T91		δ ppm 1,90 (d, 2H), 2,20 (s, 3H), 3,00 (s, 2H), 3,56 (d, 2H), 3,63 (d, 2H), 7,30 (d, 2H), 7,40 (d, 2H)
T92		δ ppm 1,60 (m, 1H), 1,89 (m, 1H), 2,15 (m, 3H), 2,47 (s, 3H), 3,06 (m, 2H), 6,51 (t, 1H), 7,01 (m, 2H), 8,00 (t, 1H)
T93		δ ppm 1,30 (t, 3H), 1,60 (m, 1H), 1,85 (m, 2H), 2,15 (m, 3H), 2,9 (q, 2H), 3,05 (m, 2H), 7,40 (m, 3H), 7,80 (m, 2H)
T94		δ ppm 1,30 (t, 3H), 1,60 (m, 1H), 1,89 (m, 2H), 2,20 (m, 3H), 2,90 (q, 2H), 3,05 (m, 2H), 7,20 (m, 2H), 7,80 (m, 2H)

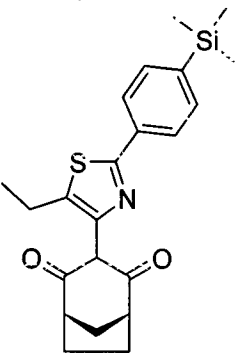
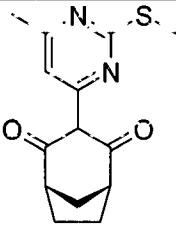
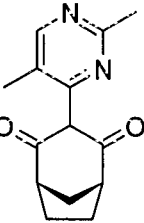
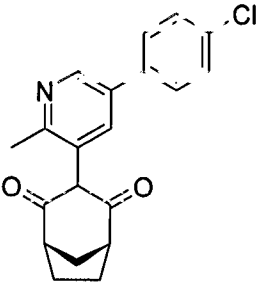
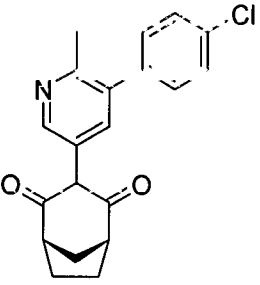
T95		δ ppm 1,30 (t, 3H), 1,60 (m, 1H), 1,85 (m, 2H), 2,15 (m, 3H), 2,90 (q, 2H), 3,05 (m, 2H), 4,00 (s, 3H), 7,10 (m, 2H), 7,45 (m, 1H), 8,00 (m, 1H)
T96		δ ppm 1,32 (t, 3H), 1,62 (m, 1H), 1,90 (m, 2H), 2,20 (m, 3H), 2,90 (q, 2H), 3,10 (m, 2H), 7,7 (d, 2H), 7,95 (d, 2H)
T97		δ ppm 1,30 (t, 3H), 1,60 (m, 1H), 1,85 (m, 2H), 2,15 (m, 3H), 2,90 (q, 2H), 3,05 (m, 2H), 7,40 (m, 1H), 7,5 (m, 1H), 7,80 (m, 1H)
T98		δ ppm 1,30 (t, 3H), 1,60 (m, 1H), 1,85 (m, 2H), 2,15 (m, 3H), 2,90 (q, 2H), 3,05 (m, 2H), 7,30 (m, 1H), 7,50 (m, 1H), 7,80 (m, 1H)

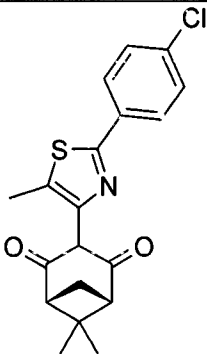
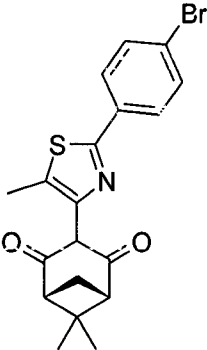
T99		δ ppm 1,30 (t, 3H), 1,60 (m, 1H), 1,85 (m, 2H), 2,15 (m, 3H) 2,90 (q, 2H), 3,05 (m, 2H), 7,50 (m, 2H), 7,80 (m, 4H), 8,30 (s, 1H)
T100		δ ppm 1,30 (t, 3H), 1,60 (m, 1H), 1,85 (m, 2H), 2,15 (m, 3H), 2,90 (q, 2H), 3,05 (m, 2H), 4,30 (m, 4H), 6,90 (m, 1H), 7,30 (m, 2H)
T101		δ ppm 1,40 (t, 3H), 1,60 (m, 1H), 1,85 (m, 2H), 2,20 (m, 3H), 2,90 (q, 2H), 3,05 (m, 2H), 7,80 (d, 2H), 8,00 (d, 2H)
T102		δ ppm 1,30 (t, 3H), 1,60 (m, 1H), 1,85 (m, 2H), 2,20 (m, 3H), 2,90 (q, 2H), 3,05 (m, 2H), 3,95 (s, 3H), 6,80 (m, 1H), 7,70 (m, 1H)

T103		δ ppm 1,40 (t, 3H), 1,60 (m, 1H), 1,85 (m, 2H), 2,20 (m, 3H), 2,60 (s, 3H), 2,90 (q, 2H), 3,05 (m, 2H), 7,90 (d, 2H), 8,10 (d, 2H)
T104		δ ppm 1,35 (t, 3H), 1,60 (m, 1H), 1,90 (m, 2H), 2,20 (m, 3H), 2,90 (q, 2H), 3,10 (m, 2H), 7,00 (m, 2H), 8,0 (m, 1H)
T105		δ ppm 1,10 (m, 2H), 1,20 (d, 2H), 1,30 (t, 3H), 1,60 (m, 1H), 1,85 (m, 2H), 2,20 (m, 3H), 2,40 (m, 1H), 2,80 (q, 2H), 3,05 (m, 2H)
T106		δ ppm 1,40 (t, 3H), 1,60 (m, 1H), 1,80 (m, 2H), 2,20 (m, 3H), 2,90 (q, 2H), 3,05 (m, 2H), 7,40 (m, 2H), 7,55 (m, 1H), 7,95 (m, 1H)

T107		δ ppm 1,35 (t, 3H), 1,60 (m, 1H), 1,80 (m, 2H), 2,20 (m, 3H), 2,90 (q, 2H), 3,05 (m, 2H), 4,00 (s, 3H), 7,90 (d, 2H), 8,10 (d, 2H)
T108		δ ppm 1,30 (t, 3H), 1,60 (m, 1H), 1,85 (m, 2H), 2,20 (m, 3H), 2,90 (q, 2H), 3,10 (m, 2H), 7,30 (d, 1H), 7,4 (d, 1H), 7,90 (d, 1H)
T109		δ ppm 1,40 (t, 3H), 1,60 (m, 1H), 1,85 (m, 2H), 2,20 (m, 3H), 2,90 (q, 2H), 3,05 (m, 2H), 7,60 (m, 1H), 7,90 (m, 1H), 8,10 (m, 1H)
T110		δ ppm 1,40 (t, 3H), 1,60 (m, 1H), 1,80 (m, 2H), 2,20 (m, 3H), 2,70 (s 3H), 2,90 (q, 2H), 3,05 (m, 2H), 7,30 (s, 1H), 8,60 (s, 1H)

T111		δ ppm 1,30 (t, 3H), 1,60 (m, 1H), 1,85 (m, 2H), 2,15 (m, 3H), 2,85 (q, 2H), 3,05 (m, 2H), 3,90 (s 3H), 7,00 (m, 1H), 7,6 (m, 2H)
T112		δ ppm 1,35 (t, 3H), 1,50 (t, 3H), 1,60 (m, 1H), 1,85 (m, 2H), 2,20 (m, 3H), 2,90 (q, 2H), 3,10 (m, 2H), 4,20 (q, 2H), 7,00 (t, 1H), 7,70 (m, 2H)
T113		δ ppm 1,35 (t, 3H), 1,65 (m, 1H) 1,80 (m, 2H), 2,20 (m, 3H), 2,90 (q, 2H), 3,10 (m, 2H), 5,40 (d, 1H), 5,90 (d, 1H), 6,80 (m, 1H), 7,50 (d, 2H), 7,80 (d, 2H)
T114		δ ppm 1,30 (t, 3H), 1,40 (s, 6H), 1,60 (m, 1H), 1,85 (m, 2H), 2,10 (m, 3H), 2,90 (q, 2H), 3,05 (m, 2H), 4,60 (m, 1H), 6,90 (d, 1H), 7,60 (d, 1H), 7,80 (s, 1H)

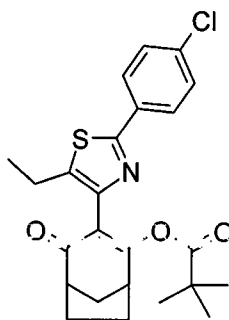
T115		δ ppm 0,30 (s, 9H), 1,30 (t, 3H), 1,60 (m, 1H), 1,85 (m, 2H), 2,10 (m, 3H), 2,90 (q, 2H), 3,05 (m, 2H), 7,60 (d, 2H), 7,80 (d, 2H)
T116		(CD ₃ OD) δ ppm 1,66 (dt, 1H), 1,76 (ddd, 2H), 2,13 (m, 3H), 2,45 (s, 3H), 2,68 (s, 3H), 2,92 (dd, 2H), 8,34 (s, 1H)
T117		δ ppm 1,63 (dt, 1H), 1,73 (m, 2H), 2,14 (m, 6H), 2,68 (s, 3H), 2,99 (dd, 2H), 8,46 (s, 1H)
T118		δ ppm 1,68 (dt, 1H), 1,89 (m, 2H), 2,20 (m, 3H), 2,79 (s, 3H), 3,11 (m, 2H), 7,35 (d, 2H), 7,53 (d, 2H), 8,13 (d, 1H), 8,62 (s, 1H)
T119		δ ppm 1,70 (m, 1H), 1,90 (m, 2H), 2,14 (m, 3H), 2,63 (s, 3H), 3,10 (m, 2H), 7,37 (m, 2H), 7,80 (d, 1H), 8,68 (d, 1H)

T120		δ ppm 1,15 (s, 3H), 1,55 (s, 3H), 2,30 (d, 1H), 2,55 (s, 3H), 2,72 (d, 1H), 2,88 (m, 1H), 2,92 (m, 1H), 7,40 (d, 2H), 7,70 (d, 2H)
T121		δ ppm 1,18 (s, 3H), 1,57 (s, 3H), 2,30 (d, 1H), 2,55 (s, 3H), 2,72 (d, 1H), 2,88 (m, 1H), 2,92 (m, 1H), 7,58 (d, 2H), 7,68 (d, 2H)

Ejemplo 7

Preparación de ácido 2,2-dimetilpropiónico 3-[2-(4-cloro-fenil)-5-etiltiazol-4-il]-4-oxobiciclo[3.2.1]oct-2-en-2-ilo éster

5



A una solución de 3-[2-(4-clorofenil)-5-etiltiazol-4-il]biciclo[3.2.1]octano-2,4-diona (100 mg, 0,28 mmol) en diclorometano (5 ml) y trietilamina (140 μ l, 1 mmol) se agregó cloruro de pivaloilo (123 μ l, 1 mmol) a temperatura ambiente. Se agitó la

10 mezcla de reacción durante la noche a temperatura ambiente. Se agregó gel de

sílice a la mezcla de reacción cruda, se evaporó el solvente bajo presión reducida y se purificó el residuo mediante cromatografía flash sobre gel de sílice a fin de proporcionar ácido 2,2-dimetilpropiónico 3-[2-(4-clorofenil)-5-etiltiazol-4-il]-4-oxobiciclo[3.2.1]oct-2-en-2-ilo éster (101 mg)

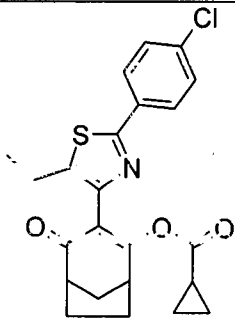
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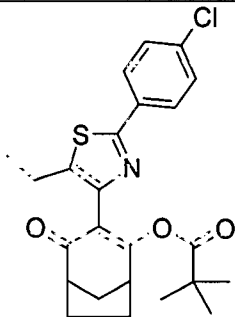
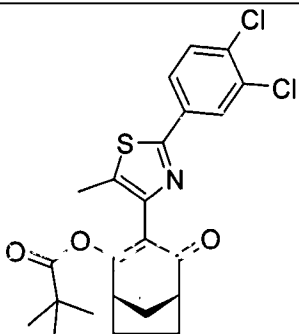
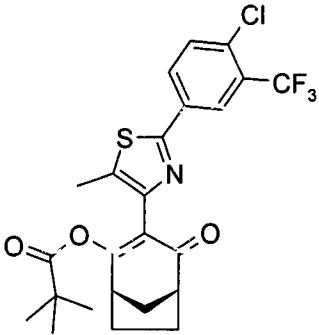
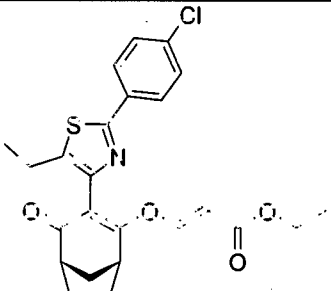
Se prepararon compuestos adicionales en la Tabla T1 a continuación mediante métodos similares utilizando materiales de partida apropiados.

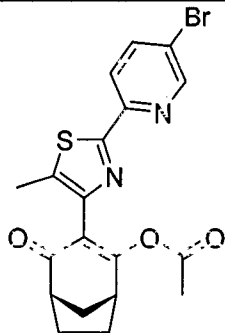
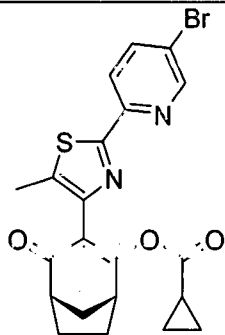
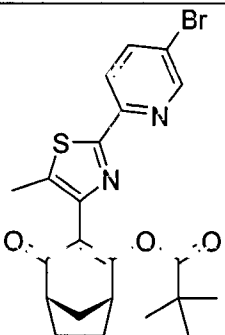
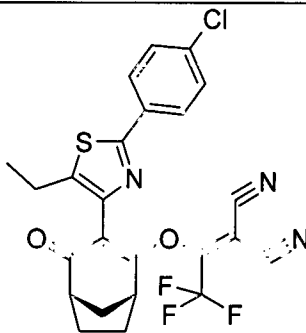
10 Cuando se observan más de un tautómero o confórmero de rotación en el espectro de RMN del protón, los datos que se muestran a continuación pertenecen a la mezcla de isómeros y confórmeros.

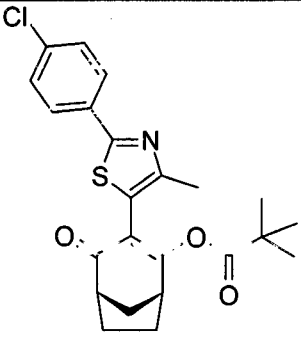
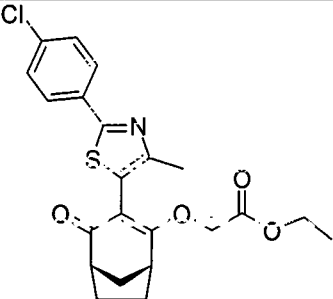
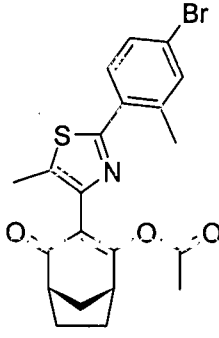
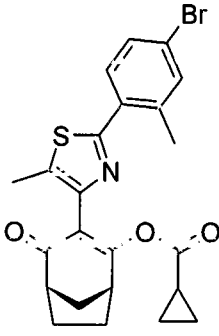
Tabla P1

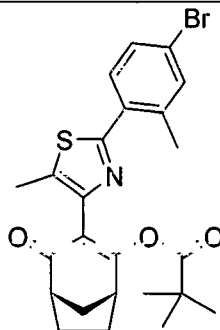
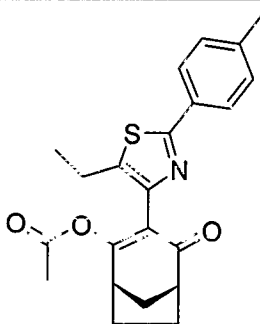
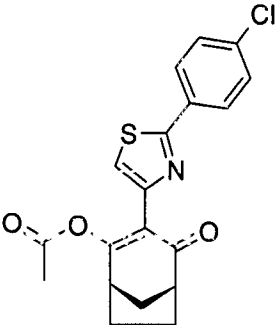
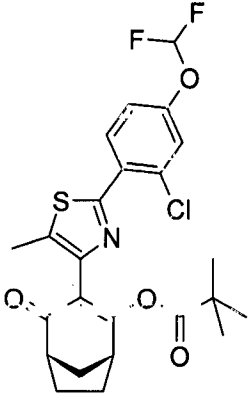
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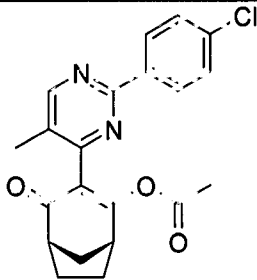
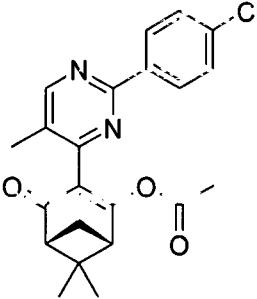
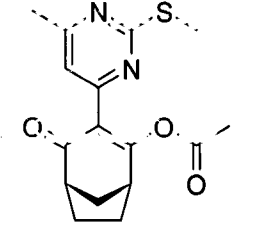
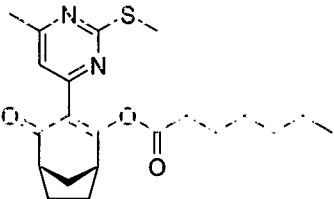
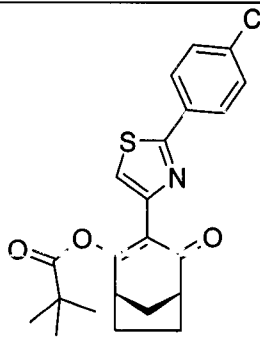
Compuesto Número	Estructura	RMN ¹ H (CDCl ₃ a menos que se indique) u otros datos físicos
P1		δ ppm 0,81 (m, 3H), 0,94 (m, 1H), 1,25 (t, 3H), 1,56 (m, 1H), 1,73 (m, 1H), 1,81 (m, 1H), 2,07 (m, 1H), 2,21 (m, 2H), 2,42 (d, 1H), 2,56 (m, 2H), 3,15-3,08 (m, 2H), 7,35 (m, 2H), 7,81 (m, 2H)

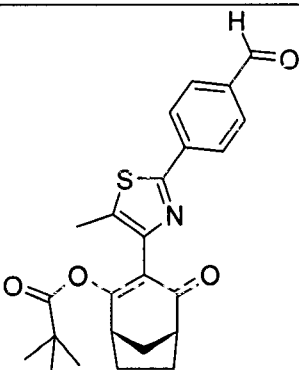
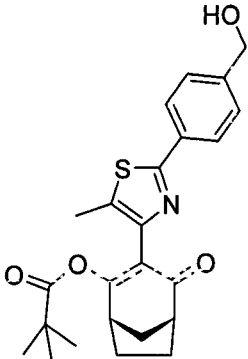
P2		δ ppm 1,02 (s, 9H), 1,24 (t, 3H), 1,73 (m, 1H), 1,82 (m, 1H), 2,07 (m, 1H), 2,24 (m, 2H), 2,45 (m, 1H), 2,57 (q, 2H), 3,03 (m, 1H), 3,14 (m, 1H), 7,36 (m, 2H), 7,77 (m, 2H)
P3		δ ppm 1,05 (s, 9H), 1,60 (m, 1H), 1,85 (m, 2H), 2,15 (m, 3H), 2,45 (s, 3H), 3,05 (m, 2H), 7,50 (d, 1H), 7,60 (d, 1H), 7,89 (s, 1H)
P4		δ ppm 1,05 (s, 9H), 1,72 (m, 1H), 1,85 (m, 2H), 2,15 (m, 3H), 2,30 (s, 3H), 3,05 (m, 2H), 7,50 (d, 1H), 7,90 (d, 1H), 8,15 (s, 1H)
P5		δ ppm 1,10 (t, 3H), 1,30 (t, 3H), 1,80 (dt, 1H), 1,91 (m, 1H), 2,07 (m, 1H), 2,18-2,38 (m, 3H), 2,59 (q, 2H), 3,20 (m, 2H), 4,01 (m, 2H), 5,47 (d, 1H), 7,36 (d, 1H), 7,40 (d, 2H), 7,83 (d, 2H)

P6		δ ppm 1,74 (m, 1H), 1,82 (m, 1H), 2,01 (s, 3H), 2,08 (m, 1H), 2,15-2,30 (m, 5H), 2,43 (d, 1H), 3,09 (t, 1H), 3,15 (t, 1H), 7,84 (dd, 1H), 7,98 (d, 1H), 8,60 (d, 1H)
P7		δ ppm 0,77-1,00 (m, 4H), 1,43 (m, 1H) 1,73 (dt, 1H), 1,82 (m, 1H), 2,08 (m, 1H), 2,15-2,30 (m, 5H), 2,41 (d, 1H), 3,10 (t, 1H), 3,15 (t, 1H), 7,84 (dd, 1H), 8,01 (d, 1H), 8,60 (d, 1H)
P8		δ ppm 1,03 (m, 9H), 1,74 (m, 1H), 1,82 (m, 1H), 2,08 (m, 1H), 2,15-2,30 (m, 5H), 2,43 (d, 1H), 3,09 (t, 1H), 3,15 (t, 1H), 7,84 (dd, 1H), 7,98 (d, 1H), 8,60 (d, 1H)
P9		δ ppm 1,33 (t, 3H), 1,63 (m, 1H), 1,86 (m, 2H), 2,17 (m, 2H), 2,45 (m, 1H), 2,84 (q, 2H), 3,08 (m, 2H), 7,47 (d, 2H), 7,77 (d, 2H)

P10		δ ppm 1,10 (s, 9H), 1,72 (m, 1H), 1,85 (m, 2H), 2,15 (m, 3H), 2,30 (s, 3H), 3,05 (m, 2H), 7,40 (d, 2H), 7,80 (d, 2H)
P11		δ ppm 1,30 (t, 3H), 1,72 (m, 1H), 1,85 (m, 2H), 2,15 (m, 3H), 2,30 (s, 3H), 3,05 (m, 2H), 4,20 (q, 2H), 4,60 (s, 2H), 7,38 (d, 2H), 7,80 (d, 2H)
P12		δ ppm 1,72 (m, 1H), 1,81 (m, 1H), 2,02 (s, 3H), 2,07 (m, 1H), 2,15-2,30 (m, 5H), 2,42 (d, 1H), 2,51 (s, 3H), 3,07 (t, 1H), 3,14 (t, 1H), 7,34 (dd, 1H), 7,40 (d, 1H), 7,51 (d, 1H)
P13		δ ppm 0,8-1,0 (m, 4H), 1,59 (m, 1H), 1,73 (m, 1H), 1,82 (m, 1H), 2,07 (m, 1H), 2,15-2,30 (m, 5H), 2,40 (d, 1H), 2,53 (s, 3H), 3,09 (t, 1H), 3,14 (t, 1H), 7,34 (dd, 1H), 7,40 (d, 1H), 7,51 (d, 1H)

P14		δ ppm 1,05 (s, 9H), 1,74 (m, 1H), 1,84 (m, 1H), 2,08 (m, 1H), 2,15-2,30 (m, 5H), 2,44 (d, 1H), 2,51 (s, 3H), 3,03 (t, 1H), 3,14 (t, 1H), 7,34 (dd, 1H), 7,40 (d, 1H), 7,52 (d, 1H)
P15		δ ppm 1,30 (t, 3H), 1,33 (s, 3H), 1,60 (m, 1H), 1,82 (m, 1H), 2,20 (m, 1H), 2,24 (m, 2H), 2,40 (s, 3H), 2,45 (m, 1H), 2,9 (q, 2H), 3,05 (m, 1H), 3,14 (m, 1H), 7,20 (m, 2H), 7,70 (m, 2H)
P16		δ ppm 1,30 (t, 3H), 1,33 (s, 3H), 1,60 (m, 1H), 1,82 (m, 1H), 2,20 (m, 1H), 2,24 (m, 2H), 2,45 (m, 1H), 2,90 (q, 2H), 3,05 (m, 1H), 3,14 (m, 1H), 7,40 (m, 2H), 7,80 (m, 2H), 8,3 (s, 1H)
P17		δ ppm 1,03 (s, 9H), 1,57 (m, 1H), 1,74 (m, 1H), 1,84 (m, 1H), 2,08 (m, 1H), 2,21-2,31 (m, 1H), 2,45 (m, 1H), 3,02 (m, 1H), 3,16 (m, 1H), 6,54 (t, 1H), 7,07 (dd, 1H), 7,23 (d, 1H), 8,18 (d, 1H)

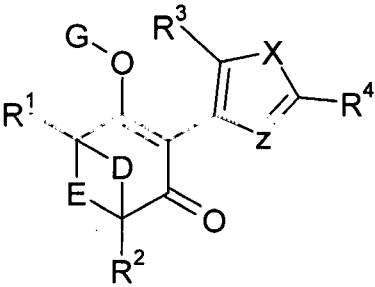
P18		δ ppm 1,75-1,96 (m, 5H), 2,05-2,56 (m, 7H), 3,15 (m, 2H), 7,42 (d, 2H), 8,33 (d, 2H), 8,58 (s, 1H)
P19		δ ppm 1,56 (s, 3H), 1,62 (s, 3H), 1,98 (s, 3H), 2,17-2,30 (m, 4H), 2,84 (dt, 2H), 3,04 (m, 1H), 7,42 (d, 2H), 8,33 (d, 2H), 8,58 (s, 1H)
P20		δ ppm 1,57 (s, 3H), 1,62 (m, 1H), 1,77 (m, 1H), 1,87 (m, 1H), 2,46 (s, 3H), 2,66 (s, 3H), 2,98 (m, 2H), 8,40 (s, 1H)
P21		δ ppm 0,83 (t, 3H), 1,25 (m, 4H), 1,52-1,63 (m, 5H), 1,17 (m, 1H), 1,79 (m, 1H), 2,03-2,23 (m, 3H), 2,36 (m, 2H), 2,45 (s, 3H), 2,51 (s, 3H), 3,03 (m, 1H), 3,14 (m, 1H), 6,81 (s, 1H)
P22		δ ppm 1,10 (s, 9H), 1,72 (m, 1H), 1,85 (m, 2H), 2,15 (m, 3H), 3,05 (m, 2H), 7,40 (d, 2H), 7,80 (d, 2H), 8,4 (s, 1H)

P23		δ ppm 1,10 (s, 9H), 1,72 (m, 1H), 1,85 (m, 2H), 2,15 (m, 3H), 2,30 (s, 3H), 3,05 (m, 1H), 3,15 (m, 1H), 7,90 (d, 2H), 8,0 (d, 2H), 10,0 (s, 1H)
P24		δ ppm 1,10 (s, 9H), 1,72 (m, 1H), 1,85 (m, 2H), 2,00 (m, 2H), 2,15 (m, 3H), 2,30 (s, 3H), 3,05 (m, 2H), 7,40 (d, 2H), 7,80 (d, 2H)

Ejemplos específicos de los compuestos de la invención incluyen aquellos compuestos detallados en las Tablas 1 a 204.

5 Tabla 1:

Esta tabla cubre 180 compuestos del tipo T-1 estructural:



T-1

10 donde X es S, Z es C-H, D es CH₂, E es CH₂, G es hidrógeno, R¹ y R² son hidrógeno y R³ y R⁴ son como se definen a continuación:

Compuesto Número	R ³	R ⁴
1.001	CH ₃	H
1.002	CH ₃	CH ₃
1.003	CH ₃	CH ₃ CH ₂
1.004	CH ₃	(CH ₃) ₂ CH
1.005	CH ₃	(CH ₃) ₃ C
1.006	CH ₃ CH ₂	H
1.007	CH ₃ CH ₂	CH ₃
1.008	CH ₃ CH ₂	CH ₃ CH ₂
1.009	CH ₃ CH ₂	(CH ₃) ₂ CH
1.010	CH ₃ CH ₂	(CH ₃) ₃ C
1.011	CH ₃	Fenilo
1.012	CH ₃	2-fluorofenilo
1.013	CH ₃	3-fluorofenilo
1.014	CH ₃	4-fluorofenilo
1.015	CH ₃	2-clorofenilo
1.016	CH ₃	3-clorofenilo
1.017	CH ₃	4-clorofenilo
1.018	CH ₃	2-bromofenilo
1.019	CH ₃	3-bromofenilo
1.020	CH ₃	4-bromofenilo
1.021	CH ₃	2-iodofenilo
1.022	CH ₃	3-iodofenilo
1.023	CH ₃	4-iodofenilo
1.024	CH ₃	2-metilfenilo
1.025	CH ₃	3-metilfenilo
1.026	CH ₃	4-metilfenilo
1.027	CH ₃	2-cianofenilo
1.028	CH ₃	3-cianofenilo
1.029	CH ₃	4-cianofenilo
1.030	CH ₃	2-metoxifenilo
1.031	CH ₃	3-metoxifenilo
1.032	CH ₃	4-metoxifenilo
1.033	CH ₃	2-trifluorometilfenilo
1.034	CH ₃	3-trifluorometilfenilo

Compuesto Número	R ³	R ⁴
1.035	CH ₃	4-trifluorometilfenilo
1.036	CH ₃	4-trifluorometoxifenilo
1.037	CH ₃	4-difluorometoxifenilo
1.038	CH ₃	4-metiltiofenilo
1.039	CH ₃	4-metilsulfinilfenilo
1.040	CH ₃	4-metilsulfonilfenilo
1.041	CH ₃	4-trifluorometiltiofenilo
1.042	CH ₃	4-trifluorometilsulfinilfenilo
1.043	CH ₃	4-trifluorometilsulfonilfenilo
1.044	CH ₃	2,3-difluorofenilo
1.045	CH ₃	2,4-difluorofenilo
1.046	CH ₃	2,5-difluorofenilo
1.047	CH ₃	2,6-difluorofenilo
1.048	CH ₃	3,4-difluorofenilo
1.049	CH ₃	3,5-difluorofenilo
1.050	CH ₃	2,3-diclorofenilo
1.051	CH ₃	2,4-diclorofenilo
1.052	CH ₃	2,5-diclorofenilo
1.053	CH ₃	2,6-diclorofenilo
1.054	CH ₃	3,4-diclorofenilo
1.055	CH ₃	3,5-diclorofenilo
1.056	CH ₃	4-bromo-2-fluorofenilo
1.057	CH ₃	4-bromo-3-fluorofenilo
1.058	CH ₃	4-bromo-2-clorofenilo
1.059	CH ₃	4-bromo-3-clorofenilo
1.060	CH ₃	4-cloro-2-cianofenilo
1.061	CH ₃	4-cloro-3-cianofenilo
1.062	CH ₃	4-cloro-2-fluorofenilo
1.063	CH ₃	4-cloro-3-fluorofenilo
1.064	CH ₃	4-cloro-2-metoxifenilo
1.065	CH ₃	4-cloro-3-metoxifenilo
1.066	CH ₃	4-cloro-2-metilfenilo
1.067	CH ₃	4-cloro-3-metilfenilo
1.068	CH ₃	4-cloro-2-trifluorometilfenilo

Compuesto Número	R ³	R ⁴
1.069	CH ₃	4-cloro-3-trifluorometilfenilo
1.070	CH ₃	2-cloro-4-metoxifenilo
1.071	CH ₃	3-cloro-4-metoxifenilo
1.072	CH ₃	2-cloro-4-metilfenilo
1.073	CH ₃	3-cloro-4-metilfenilo
1.074	CH ₃	2-fluoro-4-metoxifenilo
1.075	CH ₃	3-fluoro-4-metoxifenilo
1.076	CH ₃	2-fluoro-4-metilfenilo
1.077	CH ₃	2-fluoro-4-metilfenilo
1.078	CH ₃	2-fluoro-4-trifluorometilfenilo
1.079	CH ₃	3-fluoro-4-trifluorometilfenilo
1.080	CH ₃	2-cloropiridin-5-ilo
1.081	CH ₃	3-cloropiridinil-5-ilo
1.082	CH ₃	2-metoxipiridin-5-ilo
1.083	CH ₃	3-metoxipiridinil-5-ilo
1.084	CH ₃	2-metilpiridin-5-ilo
1.085	CH ₃	3-metilpiridinil-5-ilo
1.086	CH ₃	2-trifluorometilpiridin-5-ilo
1.087	CH ₃	3-trifluorometilpiridin-5-ilo
1.088	CH ₃	2,6-dicloropiridin-3-ilo
1.089	CH ₃	4-cloropirazol-1-ilo
1.090	CH ₃	2-tiofenilo
1.091	CH ₃	5-clorotiofen-2-ilo
1.092	CH ₃	5-bromotiofen-2-ilo
1.093	CH ₃	3-tiofenilo
1.094	CH ₃	5-clorotiofen-3-ilo
1.095	CH ₃	5-bromotiofen-3-ilo
1.096	CH ₃ CH ₂	Fenilo
1.097	CH ₃ CH ₂	2-fluorofenilo
1.098	CH ₃ CH ₂	3-fluorofenilo
1.099	CH ₃ CH ₂	4-fluorofenilo
1.100	CH ₃ CH ₂	2-clorofenilo
1.101	CH ₃ CH ₂	3-clorofenilo
1.102	CH ₃ CH ₂	4-clorofenilo

Compuesto Número	R ³	R ⁴
1.103	CH ₃ CH ₂	2-bromofenilo
1.104	CH ₃ CH ₂	3-bromofenilo
1.105	CH ₃ CH ₂	4-bromofenilo
1.106	CH ₃ CH ₂	2-iodofenilo
1.107	CH ₃ CH ₂	3-iodofenilo
1.108	CH ₃ CH ₂	4-iodofenilo
1.109	CH ₃ CH ₂	2-metilfenilo
1.110	CH ₃ CH ₂	3-metilfenilo
1.111	CH ₃ CH ₂	4-metilfenilo
1.112	CH ₃ CH ₂	2-cianofenilo
1.113	CH ₃ CH ₂	3-cianofenilo
1.114	CH ₃ CH ₂	4-cianofenilo
1.115	CH ₃ CH ₂	2-metoxifenilo
1.116	CH ₃ CH ₂	3-metoxifenilo
1.117	CH ₃ CH ₂	4-metoxifenilo
1.118	CH ₃ CH ₂	2-trifluorometilfenilo
1.119	CH ₃ CH ₂	3-trifluorometilfenilo
1.120	CH ₃ CH ₂	4-trifluorometilfenilo
1.121	CH ₃ CH ₂	4-trifluorometoxifenilo
1.122	CH ₃ CH ₂	4-difluorometoxifenilo
1.123	CH ₃ CH ₂	4-metiltiofenilo
1.124	CH ₃ CH ₂	4-metilsulfinilfenilo
1.125	CH ₃ CH ₂	4-metilsulfonilfenilo
1.126	CH ₃ CH ₂	4-trifluorometiltiofenilo
1.127	CH ₃ CH ₂	4-trifluorometilsulfinilfenilo
1.128	CH ₃ CH ₂	4-trifluorometilsulfonilfenilo
1.129	CH ₃ CH ₂	2,3-difluorofenilo
1.130	CH ₃ CH ₂	2,4-difluorofenilo
1.131	CH ₃ CH ₂	2,5-difluorofenilo
1.132	CH ₃ CH ₂	2,6-difluorofenilo
1.133	CH ₃ CH ₂	3,4-difluorofenilo
1.134	CH ₃ CH ₂	3,5-difluorofenilo
1.135	CH ₃ CH ₂	2,3-diclorofenilo
1.136	CH ₃ CH ₂	2,4-diclorofenilo

Compuesto Número	R ³	R ⁴
1.137	CH ₃ CH ₂	2,5-diclorofenilo
1.138	CH ₃ CH ₂	2,6-diclorofenilo
1.139	CH ₃ CH ₂	3,4-diclorofenilo
1.140	CH ₃ CH ₂	3,5-diclorofenilo
1.141	CH ₃ CH ₂	4-bromo-2-fluorofenilo
1.142	CH ₃ CH ₂	4-bromo-3-fluorofenilo
1.143	CH ₃ CH ₂	4-bromo-2-clorofenilo
1.144	CH ₃ CH ₂	4-bromo-3-clorofenilo
1.145	CH ₃ CH ₂	4-cloro-2-cianofenilo
1.146	CH ₃ CH ₂	4-cloro-3-cianofenilo
1.147	CH ₃ CH ₂	4-cloro-2-fluorofenilo
1.148	CH ₃ CH ₂	4-cloro-3-fluorofenilo
1.149	CH ₃ CH ₂	4-cloro-2-metoxifenilo
1.150	CH ₃ CH ₂	4-cloro-3-metoxifenilo
1.151	CH ₃ CH ₂	4-cloro-2-metilfenilo
1.152	CH ₃ CH ₂	4-cloro-3-metilfenilo
1.153	CH ₃ CH ₂	4-cloro-2-trifluorometilfenilo
1.154	CH ₃ CH ₂	4-cloro-3-trifluorometilfenilo
1.155	CH ₃ CH ₂	2-cloro-4-metoxifenilo
1.156	CH ₃ CH ₂	3-cloro-4-metoxifenilo
1.157	CH ₃ CH ₂	2-cloro-4-metilfenilo
1.158	CH ₃ CH ₂	3-cloro-4-metilfenilo
1.159	CH ₃ CH ₂	2-fluoro-4-metoxifenilo
1.160	CH ₃ CH ₂	3-fluoro-4-metoxifenilo
1.161	CH ₃ CH ₂	2-fluoro-4-metilfenilo
1.162	CH ₃ CH ₂	3-fluoro-4-metilfenilo
1.163	CH ₃ CH ₂	2-fluoro-4-trifluorometilfenilo
1.164	CH ₃ CH ₂	3-fluoro-4-trifluorometilfenilo
1.165	CH ₃ CH ₂	2-cloropiridin-5-ilo
1.166	CH ₃ CH ₂	3-cloropiridinil-5-ilo
1.167	CH ₃ CH ₂	2-metoxipiridin-5-ilo
1.168	CH ₃ CH ₂	3-metoxipiridinil-5-ilo
1.169	CH ₃ CH ₂	2-metilpiridin-5-ilo
1.170	CH ₃ CH ₂	3-metilpiridinil-5-ilo

Compuesto Número	R ³	R ⁴
1.171	CH ₃ CH ₂	2-trifluorometilpiridin-5-ilo
1.172	CH ₃ CH ₂	3-trifluorometilpiridin-5-ilo
1.173	CH ₃ CH ₂	2,6-dicloropiridin-3-ilo
1.174	CH ₃ CH ₂	4-cloropirazol-1-ilo
1.175	CH ₃ CH ₂	2-tiofenilo
1.176	CH ₃ CH ₂	5-clorotiofen-2-ilo
1.177	CH ₃ CH ₂	5-bromotiofen-2-ilo
1.178	CH ₃ CH ₂	3-tiofenilo
1.179	CH ₃ CH ₂	5-clorotiofen-3-ilo
1.180	CH ₃ CH ₂	5-bromotiofen-3-ilo

Tabla 2:

Esta tabla cubre 180 compuestos del tipo T-1 estructural, donde X es S, Z es C-H, D es CH₂, E es CH(CH₃), G es hidrógeno, R¹ y R² son hidrógeno y R³ y R⁴ son según se definen en Tabla 1.

Tabla 3:

Esta tabla cubre 180 compuestos del tipo T-1 estructural, donde X es S, Z es C-H, D es CH₂, E is C(CH₃)₂, G es hidrógeno, R¹ y R² son hidrógeno y R³ y R⁴ son según se definen en Tabla 1.

Tabla 4:

Esta tabla cubre 180 compuestos del tipo T-1 estructural, donde X es S, Z es C-H, D es CH₂, E is CH₂, G es hidrógeno, R¹ es hidrógeno, R² es metilo y R³ y R⁴ son según se definen en Tabla 1.

Tabla 5:

Esta tabla cubre 180 compuestos del tipo T-1 estructural, donde X es S, Z es C-H, D es CH₂, E is CH₂, G es hidrógeno , R¹ y R² son metilo y R³ y R⁴ son según se definen en Tabla 1.

Tabla 6:

Esta tabla cubre 180 compuestos del tipo T-1 estructural, donde X es S, Z es C-H, D es CH₂, E es -CH=CH-, G es hidrógeno, R¹ y R² son hidrógeno y R³ y R⁴ son según se definen en Tabla 1.

Tabla 7:

- 5 Esta tabla cubre 180 compuestos del tipo T-1 estructural, donde X es S, Z es C-H, es CH₂, E es -CH₂-CH₂-, G es hidrógeno, R¹ y R² son hidrógeno y R³ y R⁴ son según se definen en Tabla 1.

Tabla 8:

- 10 Esta tabla cubre 180 compuestos del tipo T-1 estructural, donde X es S, Z es C-H, D es C(CH₃)₂, E es -CH=CH-, G es hidrógeno, R¹ y R² son hidrógeno y R³ y R⁴ son según se definen en Tabla 1.

Tabla 9:

- 15 Esta tabla cubre 180 compuestos del tipo T-1 estructural, donde X es S, Z es C-H, D es C(CH₃)₂, E es -CH₂-CH₂-, G es hidrógeno, R¹ y R² son hidrógeno y R³ y R⁴ son según se definen en Tabla 1.

Tabla 10:

- Esta tabla cubre 180 compuestos del tipo T-1 estructural, donde X es S, Z es C-H, D es CH₂, E es -CH=CH-, G es hidrógeno, R¹ es hidrógeno, R² es metilo y R³ y R⁴ son según se definen en Tabla 1.

20 Tabla 11:

Esta tabla cubre 180 compuestos del tipo T-1 estructural, donde X es S, Z es C-H, D es CH₂, E es -CH₂-CH₂-, G es hidrógeno, R¹ es hidrógeno, R² es metilo y R³ y R⁴ son según se definen en Tabla 1.

Tabla 12:

- 25 Esta tabla cubre 180 compuestos del tipo T-1 estructural, donde X es S, Z es C-H, D es CH₂, E es -CH=CH-, G es hidrógeno, R¹ y R² son metilo y R³ y R⁴ son según se definen en Tabla 1.

Tabla 13:

Esta tabla cubre 180 compuestos del tipo T-1 estructural, donde X es S, Z es C-H, D es CH₂, E es -CH₂-CH₂-, G es hidrógeno, R¹ y R² son metilo y R³ y R⁴ son según se definen en Tabla 1.

5 Tabla 14:

Esta tabla cubre 180 compuestos del tipo T-1 estructural, donde X es S, Z es C-H, D es C(CH₃)₂, E es -CH=CH-, G es hidrógeno, R¹ es metilo, R² es hidrógeno y R³ y R⁴ son según se definen en Tabla 1.

Tabla 15:

- 10 Esta tabla cubre 180 compuestos del tipo T-1 estructural, donde X es S, Z es C-H, D es C(CH₃)₂, E es -CH₂-CH₂-, G es hidrógeno, R¹ es metilo, R² es hidrógeno and R³ y R⁴ son según se definen en Tabla 1.

Tabla 16:

- 15 Esta tabla cubre 180 compuestos del tipo T-1 estructural, donde X es S, Z es C-H, D es -CH₂CH₂-, E es -CH=CH-, G es hidrógeno, R¹ y R² son hidrógeno y R³ y R⁴ son según se definen en Tabla 1.

Tabla 17:

- 20 Esta tabla cubre 180 compuestos del tipo T-1 estructural, donde X es S, Z es C-H, D es -CH₂-CH₂-, E es -CH₂-CH₂-, G es hidrógeno, R¹ y R² son hidrógeno y R³ y R⁴ son según se definen en Tabla 1.

Tabla 18:

Esta tabla cubre 180 compuestos del tipo T-1 estructural, donde X es S, Z es N, D es CH₂, E es CH₂, G es hidrógeno, R¹ y R² son hidrógeno y R³ y R⁴ son según se definen en Tabla 1.

25 Tabla 19:

Esta tabla cubre 180 compuestos del tipo T-1 estructural, donde X es S, Z es N, D es CH_2 , E es $\text{CH}(\text{CH}_3)$, G es hidrógeno, R^1 y R^2 son hidrógeno y R^3 y R^4 son según se definen en Tabla 1.

Tabla 20:

- 5 Esta tabla cubre 180 compuestos del tipo T-1 estructural, donde X es S, Z es N, D es CH_2 , E es $\text{C}(\text{CH}_3)_2$, G es hidrógeno, R^1 y R^2 son hidrógeno y R^3 y R^4 son según se definen en Tabla 1.

Tabla 21:

- 10 Esta tabla cubre 180 compuestos del tipo T-1 estructural, donde X es S, Z es N, D es CH_2 , E es CH_2 , G es hidrógeno, R^1 es hidrógeno, R^2 es metilo y R^3 y R^4 son según se definen en Tabla 1.

Tabla 22:

- 15 Esta tabla cubre 180 compuestos del tipo T-1 estructural, donde X es S, Z es N, D es CH_2 , E es CH_2 , G es hidrógeno, R^1 y R^2 son metilo y R^3 y R^4 son según se definen en Tabla 1.

Tabla 23:

Esta tabla cubre 180 compuestos del tipo T-1 estructural, donde X es S, Z es N, D es CH_2 , E es $-\text{CH}=\text{CH}-$, G es hidrógeno, R^1 y R^2 son hidrógeno y R^3 y R^4 son según se definen en Tabla 1.

- 20 Tabla 24:

Esta tabla cubre 180 compuestos del tipo T-1 estructural, donde X es S, Z es N, D es CH_2 , E es $-\text{CH}_2-\text{CH}_2-$, G es hidrógeno, R^1 y R^2 son hidrógeno y R^3 y R^4 son según se definen en Tabla 1.

Tabla 25:

- 25 Esta tabla cubre 180 compuestos del tipo T-1 estructural, donde X es S, Z es N, D es $\text{C}(\text{CH}_3)_2$, E es $-\text{CH}=\text{CH}-$, G es hidrógeno, R^1 y R^2 son hidrógeno y R^3 y R^4 son según se definen en Tabla 1.

Tabla 26:

Esta tabla cubre 180 compuestos del tipo T-1 estructural, donde X es S, Z es N, D es $C(CH_3)_2$, E es $-CH_2-CH_2-$, G es hidrógeno, R^1 y R^2 son hidrógeno y R^3 y R^4 son según se definen en Tabla 1.

5 Tabla 27:

Esta tabla cubre 180 compuestos del tipo T-1 estructural, donde X es S, Z es N, D es CH_2 , E es $-CH=CH-$, G es hidrógeno, R^1 es hidrógeno, R^2 es metilo y R^3 y R^4 son según se definen en Tabla 1.

Tabla 28:

- 10 Esta tabla cubre 180 compuestos del tipo T-1 estructural, donde X es S, Z es N, D es CH_2 , E es $-CH_2-CH_2-$, G es hidrógeno, R^1 es hidrógeno, R^2 es metilo y R^3 y R^4 son según se definen en Tabla 1.

Tabla 29:

- 15 Esta tabla cubre 180 compuestos del tipo T-1 estructural, donde X es S, Z es N, D es CH_2 , E es $-CH=CH-$, G es hidrógeno, R^1 y R^2 son metilo y R^3 y R^4 son según se definen en Tabla 1.

Tabla 30:

- 20 Esta tabla cubre 180 compuestos del tipo T-1 estructural, donde X es S, Z es N, D es CH_2 , E es $-CH_2-CH_2-$, G es hidrógeno, R^1 y R^2 son metilo y R^3 y R^4 son según se definen en Tabla 1.

Tabla 31:

Esta tabla cubre 180 compuestos del tipo T-1 estructural, donde X es S, Z es N, D es $C(CH_3)_2$, E es $-CH=CH-$, G es hidrógeno, R^1 es metilo, R^2 es hidrógeno y R^3 y R^4 son según se definen en Tabla 1.

25 Tabla 32:

Esta tabla cubre 180 compuestos del tipo T-1 estructural, donde X es S, Z es N, D es C(CH₃)₂, E es -CH₂-CH₂-, G es hidrógeno , R¹ es metilo, R² es hidrógeno y R³ y R⁴ son según se definen en Tabla 1.

Tabla 33:

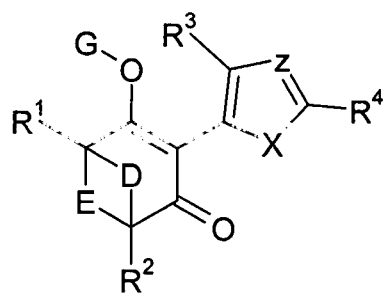
- 5 Esta tabla cubre 180 compuestos del tipo T-1 estructural, donde X es S, Z es N, D es -CH₂CH₂-, E es -CH=CH-, G es hidrógeno , R¹ y R² son hidrógeno y R³ y R⁴ son según se definen en Tabla 1.

Tabla 34:

- 10 Esta tabla cubre 180 compuestos del tipo T-1 estructural, donde X es S, Z es N, D es -CH₂-CH₂-, E es -CH₂-CH₂-, G es hidrógeno , R¹ y R² son hidrógeno y R³ y R⁴ son según se definen en Tabla 1.

Tabla 35:

Esta tabla cubre 180 compuestos del tipo T-2 estructural:



- 15 T-2

donde X es S, Z es C-H, D es CH₂, E es CH₂, G es hidrógeno , R¹ y R² son hidrógeno y R³ y R⁴ son según se definen en Tabla 1.

Tabla 36:

- 20 Esta tabla cubre 180 compuestos del tipo T-2 estructural, donde X es S, Z es C-H, D es CH₂, E es CH(CH₃), G es hidrógeno , R¹ y R² son hidrógeno y R³ y R⁴ son según se definen en Tabla 1.

Tabla 37:

Esta tabla cubre 180 compuestos del tipo T-2 estructural, donde X es S, Z es C-H, D es CH₂, E es C(CH₃)₂, G es hidrógeno , R¹ y R² son hidrógeno y R³ y R⁴ son según se definen en Tabla 1.

Tabla 38:

- 5 Esta tabla cubre 180 compuestos del tipo T-2 estructural, donde X es S, Z es C-H, D es CH₂, E es CH₂, G es hidrógeno , R¹ es hidrógeno , R² es metilo y R³ y R⁴ son según se definen en Tabla 1.

Tabla 39:

- 10 Esta tabla cubre 180 compuestos del tipo T-2 estructural, donde X es S, Z es C-H, D es CH₂, E es CH₂, G es hidrógeno , R¹ y R² son metilo y R³ y R⁴ son según se definen en Tabla 1.

Tabla 40:

- 15 Esta tabla cubre 180 compuestos del tipo T-2 estructural, donde X es S, Z es C-H, D es CH₂, E es -CH=CH-, G es hidrógeno , R¹ y R² son hidrógeno y R³ y R⁴ son según se definen en Tabla 1.

Tabla 41:

- Esta tabla cubre 180 compuestos del tipo T-2 estructural, donde X es S, Z es C-H, D es CH₂, E es -CH₂-CH₂-, G es hidrógeno , R¹ y R² son hidrógeno y R³ y R⁴ son según se definen en Tabla 1.

20 Tabla 42:

Esta tabla cubre 180 compuestos del tipo T-2 estructural, donde X es S, Z es C-H, D es C(CH₃)₂, E es -CH=CH-, G es hidrógeno , R¹ y R² son hidrógeno y R³ y R⁴ son según se definen en Tabla 1.

Tabla 43:

- 25 Esta tabla cubre 180 compuestos del tipo T-2 estructural, donde X es S, Z es C-H, D es C(CH₃)₂, E es -CH₂-CH₂-, G es hidrógeno , R¹ y R² son hidrógeno y R³ y R⁴ son según se definen en Tabla 1.

Tabla 44:

Esta tabla cubre 180 compuestos del tipo T-2 estructural, donde X es S, Z es C-H, D es CH₂, E es -CH=CH-, G es hidrógeno, R¹ es hidrógeno, R² es metilo y R³ y R⁴ son según se definen en Tabla 1.

5 Tabla 45:

Esta tabla cubre 180 compuestos del tipo T-2 estructural, donde X es S, Z es C-H, D es CH₂, E es -CH₂-CH₂-, G es hidrógeno, R¹ es hidrógeno, R² es metilo y R³ y R⁴ son según se definen en Tabla 1.

Tabla 46:

- 10 Esta tabla cubre 180 compuestos del tipo T-2 estructural, donde X es S, Z es C-H, D es CH₂, E es -CH=CH-, G es hidrógeno, R¹ y R² son metilo y R³ y R⁴ son según se definen en Tabla 1.

Tabla 47:

- 15 Esta tabla cubre 180 compuestos del tipo T-2 estructural, donde X es S, Z es C-H, D es CH₂, E es -CH₂-CH₂-, G es hidrógeno, R¹ y R² son metilo y R³ y R⁴ son según se definen en Tabla 1.

Tabla 48:

- 20 Esta tabla cubre 180 compuestos del tipo T-2 estructural, donde X es S, Z es C-H, D es C(CH₃)₂, E es -CH=CH-, G es hidrógeno, R¹ es metilo, R² es hidrógeno y R³ y R⁴ son según se definen en Tabla 1.

Tabla 49:

Esta tabla cubre 180 compuestos del tipo T-2 estructural, donde X es S, Z es C-H, D es C(CH₃)₂, E es -CH₂-CH₂-, G es hidrógeno, R¹ es metilo, R² es hidrógeno y R³ y R⁴ son según se definen en Tabla 1.

25 Tabla 50:

Esta tabla cubre 180 compuestos del tipo T-2 estructural, donde X es S, Z es C-H, D es $-\text{CH}_2\text{CH}_2-$, E es $-\text{CH}=\text{CH}-$, G es hidrógeno, R^1 y R^2 son hidrógeno y R^3 y R^4 son según se definen en Tabla 1.

Tabla 51:

- 5 Esta tabla cubre 180 compuestos del tipo T-2 estructural, donde X es S, Z es C-H, D es $-\text{CH}_2-\text{CH}_2-$, E es $-\text{CH}_2-\text{CH}_2-$, G es hidrógeno, R^1 y R^2 son hidrógeno y R^3 y R^4 son según se definen en Tabla 1.

Tabla 52:

- 10 Esta tabla cubre 180 compuestos del tipo T-2 estructural, donde X es S, Z es N, D es CH_2 , E es CH_2 , G es hidrógeno, R^1 y R^2 son hidrógeno y R^3 y R^4 son según se definen en Tabla 1.

Tabla 53:

- 15 Esta tabla cubre 180 compuestos del tipo T-2 estructural, donde X es S, Z es N, D es CH_2 , E es $\text{CH}(\text{CH}_3)$, G es hidrógeno, R^1 y R^2 son hidrógeno y R^3 y R^4 son según se definen en Tabla 1.

Tabla 54:

- Esta tabla cubre 180 compuestos del tipo T-2 estructural, donde X es S, Z es N, D es CH_2 , E es $\text{C}(\text{CH}_3)_2$, G es hidrógeno, R^1 y R^2 son hidrógeno y R^3 y R^4 son según se definen en Tabla 1.

20 Tabla 55:

Esta tabla cubre 180 compuestos del tipo T-2 estructural, donde X es S, Z es N, D es CH_2 , E es CH_2 , G es hidrógeno, R^1 es hidrógeno, R^2 es metilo y R^3 y R^4 son según se definen en Tabla 1.

Tabla 56:

- 25 Esta tabla cubre 180 compuestos del tipo T-2 estructural, donde X es S, Z es N, D es CH_2 , E es CH_2 , G es hidrógeno, R^1 y R^2 son metilo y R^3 y R^4 son según se definen en Tabla 1.

Tabla 57:

Esta tabla cubre 180 compuestos del tipo T-2 estructural, donde X es S, Z es N, D es CH₂, E es -CH=CH-, G es hidrógeno , R¹ y R² son hidrógeno y R³ y R⁴ son según se definen en Tabla 1.

5 Tabla 58:

Esta tabla cubre 180 compuestos del tipo T-2 estructural, donde X es S, Z es N, D es CH₂, E es -CH₂-CH₂-, G es hidrógeno , R¹ y R² son hidrógeno y R³ y R⁴ son según se definen en Tabla 1.

Tabla 59:

10 Esta tabla cubre 180 compuestos del tipo T-2 estructural, donde X es S, Z es N, D es C(CH₃)₂, E es -CH=CH-, G es hidrógeno , R¹ y R² son hidrógeno y R³ y R⁴ son según se definen en Tabla 1.

Tabla 60:

15 Esta tabla cubre 180 compuestos del tipo T-2 estructural, donde X es S, Z es N, D es C(CH₃)₂, E es -CH₂-CH₂-, G es hidrógeno , R¹ y R² son hidrógeno y R³ y R⁴ son según se definen en Tabla 1.

Tabla 61:

20 Esta tabla cubre 180 compuestos del tipo T-2 estructural, donde X es S, Z es N, D es CH₂, E es -CH=CH-, G es hidrógeno , R¹ es hidrógeno , R² es metilo y R³ y R⁴ son según se definen en Tabla 1.

Tabla 62:

Esta tabla cubre 180 compuestos del tipo T-2 estructural, donde X es S, Z es N, D es CH₂, E es -CH₂-CH₂-, G es hidrógeno , R¹ es hidrógeno , R² es metilo y R³ y R⁴ son según se definen en Tabla 1.

25 Tabla 63:

Esta tabla cubre 180 compuestos del tipo T-2 estructural, donde X es S, Z es N, D es CH_2 , E es $-\text{CH}=\text{CH}-$, G es hidrógeno, R^1 y R^2 son metilo y R^3 y R^4 son según se definen en Tabla 1.

Tabla 64:

- 5 Esta tabla cubre 180 compuestos del tipo T-2 estructural, donde X es S, Z es N, D es CH_2 , E es $-\text{CH}_2-\text{CH}_2-$, G es hidrógeno, R^1 y R^2 son metilo y R^3 y R^4 son según se definen en Tabla 1.

Tabla 65:

- 10 Esta tabla cubre 180 compuestos del tipo T-2 estructural, donde X es S, Z es N, D es $\text{C}(\text{CH}_3)_2$, E es $-\text{CH}=\text{CH}-$, G es hidrógeno, R^1 es metilo, R^2 es hidrógeno y R^3 y R^4 son según se definen en Tabla 1.

Tabla 66:

- 15 Esta tabla cubre 180 compuestos del tipo T-2 estructural, donde X es S, Z es N, D es $\text{C}(\text{CH}_3)_2$, E es $-\text{CH}_2-\text{CH}_2-$, G es hidrógeno, R^1 es metilo, R^2 es hidrógeno y R^3 y R^4 son según se definen en Tabla 1.

Tabla 67:

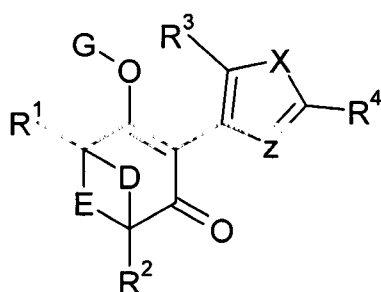
Esta tabla cubre 180 compuestos del tipo T-2 estructural, donde X es S, Z es N, D es $-\text{CH}_2\text{CH}_2-$, E es $-\text{CH}=\text{CH}-$, G es hidrógeno, R^1 y R^2 son hidrógeno y R^3 y R^4 son según se definen en Tabla 1.

20 Tabla 68:

Esta tabla cubre 180 compuestos del tipo T-2 estructural, donde X es S, Z es N, D es $-\text{CH}_2-\text{CH}_2-$, E es $-\text{CH}_2-\text{CH}_2-$, G es hidrógeno, R^1 y R^2 son hidrógeno y R^3 y R^4 son según se definen en Tabla 1.

Tabla 69:

- 25 Esta tabla cubre 180 compuestos del tipo T-1 estructural :



T-1

donde X es Se, Z es C-H, D es CH₂, E es CH₂, G es hidrógeno, R¹ y R² son hidrógeno y R³ y R⁴ son según se definen en Tabla T1.

Tabla 70:

- 5 Esta tabla cubre 180 compuestos del tipo T-1 estructural, donde X es Se, Z es C-H, D es CH₂, E es CH(CH₃), G es hidrógeno, R¹ y R² son hidrógeno y R³ y R⁴ son según se definen en Tabla 1.

Tabla 71:

- 10 Esta tabla cubre 180 compuestos del tipo T-1 estructural, donde X es Se, Z es C-H, D es CH₂, E es C(CH₃)₂, G es hidrógeno, R¹ y R² son hidrógeno y R³ y R⁴ son según se definen en Tabla 1.

Tabla 72:

- 15 Esta tabla cubre 180 compuestos del tipo T-1 estructural, donde X es Se, Z es C-H, D es CH₂, E es CH₂, G es hidrógeno, R¹ es hidrógeno, R² es metilo y R³ y R⁴ son según se definen en Tabla 1.

Tabla 73:

Esta tabla cubre 180 compuestos del tipo T-1 estructural, donde X es Se, Z es C-H, D es CH₂, E es CH₂, G es hidrógeno, R¹ y R² son metilo y R³ y R⁴ son según se definen en Tabla 1.

- 20 Tabla 74:

Esta tabla cubre 180 compuestos del tipo T-1 estructural, donde X es Se, Z es C-H, D es CH₂, E es -CH=CH-, G es hidrógeno, R¹ y R² son hidrógeno y R³ y R⁴ son según se definen en Tabla 1.

Tabla 75:

- 5 Esta tabla cubre 180 compuestos del tipo T-1 estructural, donde X es Se, Z es C-H, D es CH₂, E es -CH₂-CH₂-, G es hidrógeno, R¹ y R² son hidrógeno y R³ y R⁴ son según se definen en Tabla 1.

Tabla 76:

- 10 Esta tabla cubre 180 compuestos del tipo T-1 estructural, donde X es Se, Z es C-H, D es C(CH₃)₂, E es -CH=CH-, G es hidrógeno, R¹ y R² son hidrógeno y R³ y R⁴ son según se definen en Tabla 1.

Tabla 77:

- 15 Esta tabla cubre 180 compuestos del tipo T-1 estructural, donde X es Se, Z es C-H, D es C(CH₃)₂, E es -CH₂-CH₂-, G es hidrógeno, R¹ y R² son hidrógeno y R³ y R⁴ son según se definen en Tabla 1.

Tabla 78:

- Esta tabla cubre 180 compuestos del tipo T-1 estructural, donde X es Se, Z es C-H, D es CH₂, E es -CH=CH-, G es hidrógeno, R¹ es hidrógeno, R² es metilo y R³ y R⁴ son según se definen en Tabla 1.

20 Tabla 79:

Esta tabla cubre 180 compuestos del tipo T-1 estructural, donde X es Se, Z es C-H, D es CH₂, E es -CH₂-CH₂-, G es hidrógeno, R¹ es hidrógeno, R² es metilo y R³ y R⁴ son según se definen en Tabla 1.

Tabla 80:

- 25 Esta tabla cubre 180 compuestos del tipo T-1 estructural, donde X es Se, Z es C-H, D es CH₂, E es -CH=CH-, G es hidrógeno, R¹ y R² son metilo y R³ y R⁴ son según se definen en Tabla 1.

Tabla 81:

Esta tabla cubre 180 compuestos del tipo T-1 estructural, donde X es Se, Z es C-H, D es CH₂, E es -CH₂-CH₂-, G es hidrógeno, R¹ y R² son metilo y R³ y R⁴ son según se definen en Tabla 1.

5 Tabla 82:

Esta tabla cubre 180 compuestos del tipo T-1 estructural, donde X es Se, Z es C-H, D es C(CH₃)₂, E es -CH=CH-, G es hidrógeno, R¹ es metilo, R² es hidrógeno y R³ y R⁴ son según se definen en Tabla 1.

Tabla 83:

- 10 Esta tabla cubre 180 compuestos del tipo T-1 estructural, donde X es Se, Z es C-H, D es C(CH₃)₂, E es -CH₂-CH₂-, G es hidrógeno, R¹ es metilo, R² es hidrógeno y R³ y R⁴ son según se definen en Tabla 1.

Tabla 84:

- 15 Esta tabla cubre 180 compuestos del tipo T-1 estructural, donde X es Se, Z es C-H, D es -CH₂CH₂-, E es -CH=CH-, G es hidrógeno, R¹ y R² son hidrógeno y R³ y R⁴ son según se definen en Tabla 1.

Tabla 85:

- 20 Esta tabla cubre 180 compuestos del tipo T-1 estructural, donde X es Se, Z es C-H, D es -CH₂-CH₂-, E es -CH₂-CH₂-, G es hidrógeno, R¹ y R² son hidrógeno y R³ y R⁴ son según se definen en Tabla 1.

Tabla 86:

Esta tabla cubre 180 compuestos del tipo T-1 estructural, donde X es Se, Z es N, D es CH₂, E es CH₂, G es hidrógeno, R¹ y R² son hidrógeno y R³ y R⁴ son según se definen en Tabla 1.

25 Tabla 87:

Esta tabla cubre 180 compuestos del tipo T-1 estructural, donde X es Se, Z es N, D es CH_2 , E es $\text{CH}(\text{CH}_3)$, G es hidrógeno, R^1 y R^2 son hidrógeno y R^3 y R^4 son según se definen en Tabla 1.

Tabla 88:

- 5 Esta tabla cubre 180 compuestos del tipo T-1 estructural, donde X es Se, Z es N, D es CH_2 , E es $\text{C}(\text{CH}_3)_2$, G es hidrógeno, R^1 y R^2 son hidrógeno y R^3 y R^4 son según se definen en Tabla 1.

Tabla 89:

- 10 Esta tabla cubre 180 compuestos del tipo T-1 estructural, donde X es Se, Z es N, D es CH_2 , E es CH_2 , G es hidrógeno, R^1 es hidrógeno, R^2 es metilo y R^3 y R^4 son según se definen en Tabla 1.

Tabla 90:

- 15 Esta tabla cubre 180 compuestos del tipo T-1 estructural, donde X es Se, Z es N, D es CH_2 , E es CH_2 , G es hidrógeno, R^1 y R^2 son metilo y R^3 y R^4 son según se definen en Tabla 1.

Tabla 91:

- Esta tabla cubre 180 compuestos del tipo T-1 estructural, donde X es Se, Z es N, D es CH_2 , E es $-\text{CH}=\text{CH}-$, G es hidrógeno, R^1 y R^2 son hidrógeno y R^3 y R^4 son según se definen en Tabla 1.

20 Tabla 92:

Esta tabla cubre 180 compuestos del tipo T-1 estructural, donde X es Se, Z es N, D es CH_2 , E es $-\text{CH}_2-\text{CH}_2-$, G es hidrógeno, R^1 y R^2 son hidrógeno y R^3 y R^4 son según se definen en Tabla 1.

Tabla 93:

- 25 Esta tabla cubre 180 compuestos del tipo T-1 estructural, donde X es Se, Z es N, D es $\text{C}(\text{CH}_3)_2$, E es $-\text{CH}=\text{CH}-$, G es hidrógeno, R^1 y R^2 son hidrógeno y R^3 y R^4 son según se definen en Tabla 1.

Tabla 94:

Esta tabla cubre 180 compuestos del tipo T-1 estructural, donde X es Se, Z es N, D es $C(CH_3)_2$, E es $-CH_2-CH_2-$, G es hidrógeno, R^1 y R^2 son hidrógeno y R^3 y R^4 son según se definen en Tabla 1.

5 Tabla 95:

Esta tabla cubre 180 compuestos del tipo T-1 estructural, donde X es Se, Z es N, D es CH_2 , E es $-CH=CH-$, G es hidrógeno, R^1 es hidrógeno, R^2 es metilo y R^3 y R^4 son según se definen en Tabla 1.

Tabla 96:

- 10 Esta tabla cubre 180 compuestos del tipo T-1 estructural, donde X es Se, Z es N, D es CH_2 , E es $-CH_2-CH_2-$, G es hidrógeno, R^1 es hidrógeno, R^2 es metilo y R^3 y R^4 son según se definen en Tabla 1.

Tabla 97:

- 15 Esta tabla cubre 180 compuestos del tipo T-1 estructural, donde X es Se, Z es N, D es CH_2 , E es $-CH=CH-$, G es hidrógeno, R^1 y R^2 son metilo y R^3 y R^4 son según se definen en Tabla 1.

Tabla 98:

- 20 Esta tabla cubre 180 compuestos del tipo T-1 estructural, donde X es Se, Z es N, D es CH_2 , E es $-CH_2-CH_2-$, G es hidrógeno, R^1 y R^2 son metilo y R^3 y R^4 son según se definen en Tabla 1.

Tabla 99:

Esta tabla cubre 180 compuestos del tipo T-1 estructural, donde X es Se, Z es N, D es $C(CH_3)_2$, E es $-CH=CH-$, G es hidrógeno, R^1 es metilo, R^2 es hidrógeno y R^3 y R^4 son según se definen en Tabla 1.

25 Tabla 100:

Esta tabla cubre 180 compuestos del tipo T-1 estructural, donde X es Se, Z es N, D es $C(CH_3)_2$, E es $-CH_2-CH_2-$, G es hidrógeno, R^1 es metilo, R^2 es hidrógeno y R^3 y R^4 son según se definen en Tabla 1.

Tabla 101:

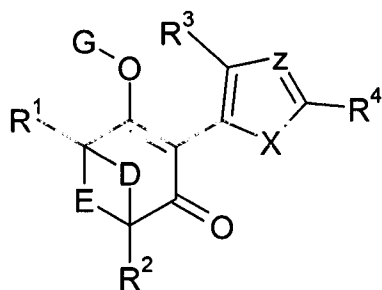
- 5 Esta tabla cubre 180 compuestos del tipo T-1 estructural, donde X es Se, Z es N, D es $-CH_2CH_2-$, E es $-CH=CH-$, G es hidrógeno, R^1 y R^2 son hidrógeno y R^3 y R^4 son según se definen en Tabla 1.

Tabla 102:

- 10 Esta tabla cubre 180 compuestos del tipo T-1 estructural, donde X es Se, Z es N, D es $-CH_2-CH_2-$, E es $-CH_2-CH_2-$, G es hidrógeno, R^1 y R^2 son hidrógeno y R^3 y R^4 son según se definen en Tabla 1.

Tabla 103:

Esta tabla cubre 180 compuestos del tipo T-2 estructural:



15

T-2

donde X es Se, Z es C-H, D es CH_2 , E es CH_2 , G es hidrógeno, R^1 y R^2 son hidrógeno y R^3 y R^4 son según se definen en Tabla 1.

Tabla 104:

- 20 Esta tabla cubre 180 compuestos del tipo T-2 estructural, donde X es Se, Z es C-H, D es CH_2 , E es $CH(CH_3)$, G es hidrógeno, R^1 y R^2 son hidrógeno y R^3 y R^4 son según se definen en Tabla 1.

Tabla 105:

Esta tabla cubre 180 compuestos del tipo T-2 estructural, donde X es Se, Z es C-H, D es CH_2 , E es $\text{C}(\text{CH}_3)_2$, G es hidrógeno, R^1 y R^2 son hidrógeno y R^3 y R^4 son según se definen en Tabla 1.

Tabla 106:

- 5 Esta tabla cubre 180 compuestos del tipo T-2 estructural, donde X es Se, Z es C-H, D es CH_2 , E es CH_2 , G es hidrógeno, R^1 es hidrógeno, R^2 es metilo y R^3 y R^4 son según se definen en Tabla 1.

Tabla 107:

- 10 Esta tabla cubre 180 compuestos del tipo T-2 estructural, donde X es Se, Z es C-H, D es CH_2 , E es CH_2 , G es hidrógeno, R^1 y R^2 son metilo y R^3 y R^4 son según se definen en Tabla 1.

Tabla 108:

- 15 Esta tabla cubre 180 compuestos del tipo T-2 estructural, donde X es Se, Z es C-H, D es CH_2 , E es $-\text{CH}=\text{CH}-$, G es hidrógeno, R^1 y R^2 son hidrógeno y R^3 y R^4 son según se definen en Tabla 1.

Tabla 109:

- Esta tabla cubre 180 compuestos del tipo T-2 estructural, donde X es Se, Z es C-H, D es CH_2 , E es $-\text{CH}_2-\text{CH}_2-$, G es hidrógeno, R^1 y R^2 son hidrógeno y R^3 y R^4 son según se definen en Tabla 1.

20 Tabla 110:

Esta tabla cubre 180 compuestos del tipo T-2 estructural, donde X es Se, Z es C-H, D es $\text{C}(\text{CH}_3)_2$, E es $-\text{CH}=\text{CH}-$, G es hidrógeno, R^1 y R^2 son hidrógeno y R^3 y R^4 son según se definen en Tabla 1.

Tabla 111:

- 25 Esta tabla cubre 180 compuestos del tipo T-2 estructural, donde X es Se, Z es C-H, D es $\text{C}(\text{CH}_3)_2$, E es $-\text{CH}_2-\text{CH}_2-$, G es hidrógeno, R^1 y R^2 son hidrógeno y R^3 y R^4 son según se definen en Tabla 1.

Tabla 112:

Esta tabla cubre 180 compuestos del tipo T-2 estructural, donde X es Se, Z es C-H, D es CH₂, E es -CH=CH-, G es hidrógeno, R¹ es hidrógeno, R² es metilo y R³ y R⁴ son según se definen en Tabla 1.

5 Tabla 113:

Esta tabla cubre 180 compuestos del tipo T-2 estructural, donde X es Se, Z es C-H, D es CH₂, E es -CH₂-CH₂-, G es hidrógeno, R¹ es hidrógeno, R² es metilo y R³ y R⁴ son según se definen en Tabla 1.

Tabla 114:

- 10 Esta tabla cubre 180 compuestos del tipo T-2 estructural, donde X es Se, Z es C-H, D es CH₂, E es -CH=CH-, G es hidrógeno, R¹ y R² son metilo y R³ y R⁴ son según se definen en Tabla 1.

Tabla 115:

- 15 Esta tabla cubre 180 compuestos del tipo T-2 estructural, donde X es Se, Z es C-H, D es CH₂, E es -CH₂-CH₂-, G es hidrógeno, R¹ y R² son metilo y R³ y R⁴ son según se definen en Tabla 1.

Tabla 116:

- 20 Esta tabla cubre 180 compuestos del tipo T-2 estructural, donde X es Se, Z es C-H, D es C(CH₃)₂, E es -CH=CH-, G es hidrógeno, R¹ es metilo, R² es hidrógeno y R³ y R⁴ son según se definen en Tabla 1.

Tabla 117:

Esta tabla cubre 180 compuestos del tipo T-2 estructural, donde X es Se, Z es C-H, D es C(CH₃)₂, E es -CH₂-CH₂-, G es hidrógeno, R¹ es metilo, R² es hidrógeno y R³ y R⁴ son según se definen en Tabla 1.

25 Tabla 118:

Esta tabla cubre 180 compuestos del tipo T-2 estructural, donde X es Se, Z es C-H, D es $-\text{CH}_2\text{CH}_2-$, E es $-\text{CH}=\text{CH}-$, G es hidrógeno, R^1 y R^2 son hidrógeno y R^3 y R^4 son según se definen en Tabla 1.

Tabla 119:

- 5 Esta tabla cubre 180 compuestos del tipo T-2 estructural, donde X es Se, Z es C-H, D es $-\text{CH}_2-\text{CH}_2-$, E es $-\text{CH}_2-\text{CH}_2-$, G es hidrógeno, R^1 y R^2 son hidrógeno y R^3 y R^4 son según se definen en Tabla 1.

Tabla 120:

- 10 Esta tabla cubre 180 compuestos del tipo T-2 estructural, donde X es Se, Z es N, D es CH_2 , E es CH_2 , G es hidrógeno, R^1 y R^2 son hidrógeno y R^3 y R^4 son según se definen en Tabla 1.

Tabla 121:

- 15 Esta tabla cubre 180 compuestos del tipo T-2 estructural, donde X es Se, Z es N, D es CH_2 , E es $\text{CH}(\text{CH}_3)$, G es hidrógeno, R^1 y R^2 son hidrógeno y R^3 y R^4 son según se definen en Tabla 1.

Tabla 122:

Esta tabla cubre 180 compuestos del tipo T-2 estructural, donde X es Se, Z es N, D es CH_2 , E es $\text{C}(\text{CH}_3)_2$, G es hidrógeno, R^1 y R^2 son hidrógeno y R^3 y R^4 son según se definen en Tabla 1.

- 20 Tabla 123:

Esta tabla cubre 180 compuestos del tipo T-2 estructural, donde X es Se, Z es N, D es CH_2 , E es CH_2 , G es hidrógeno, R^1 es hidrógeno, R^2 es metilo y R^3 y R^4 son según se definen en Tabla 1.

Tabla 124:

- 25 Esta tabla cubre 180 compuestos del tipo T-2 estructural, donde X es Se, Z es N, D es CH_2 , E es CH_2 , G es hidrógeno, R^1 y R^2 son metilo y R^3 y R^4 son según se definen en Tabla 1.

Tabla 125:

Esta tabla cubre 180 compuestos del tipo T-2 estructural, donde X es Se, Z es N, D es CH₂, E es -CH=CH-, G es hidrógeno, R¹ y R² son hidrógeno y R³ y R⁴ son según se definen en Tabla 1.

5 Tabla 126:

Esta tabla cubre 180 compuestos del tipo T-2 estructural, donde X es Se, Z es N, D es CH₂, E es -CH₂-CH₂-, G es hidrógeno, R¹ y R² son hidrógeno y R³ y R⁴ son según se definen en Tabla 1.

Tabla 127:

- 10 Esta tabla cubre 180 compuestos del tipo T-2 estructural, donde X es Se, Z es N, D es C(CH₃)₂, E es -CH=CH-, G es hidrógeno, R¹ y R² son hidrógeno y R³ y R⁴ son según se definen en Tabla 1.

Tabla 128:

- 15 Esta tabla cubre 180 compuestos del tipo T-2 estructural, donde X es Se, Z es N, D es C(CH₃)₂, E es -CH₂-CH₂-, G es hidrógeno, R¹ y R² son hidrógeno y R³ y R⁴ son según se definen en Tabla 1.

Tabla 129:

- 20 Esta tabla cubre 180 compuestos del tipo T-2 estructural, donde X es Se, Z es N, D es CH₂, E es -CH=CH-, G es hidrógeno, R¹ es hidrógeno, R² es metilo y R³ y R⁴ son según se definen en Tabla 1.

Tabla 130:

Esta tabla cubre 180 compuestos del tipo T-2 estructural, donde X es Se, Z es N, D es CH₂, E es -CH₂-CH₂-, G es hidrógeno, R¹ es hidrógeno, R² es metilo y R³ y R⁴ son según se definen en Tabla 1.

25 Tabla 131:

Esta tabla cubre 180 compuestos del tipo T-2 estructural, donde X es Se, Z es N, D es CH_2 , E es $-\text{CH}=\text{CH}-$, G es hidrógeno, R^1 y R^2 son metilo y R^3 y R^4 son según se definen en Tabla 1.

Tabla 132:

- 5 Esta tabla cubre 180 compuestos del tipo T-2 estructural, donde X es Se, Z es N, D es CH_2 , E es $-\text{CH}_2-\text{CH}_2-$, G es hidrógeno, R^1 y R^2 son metilo y R^3 y R^4 son según se definen en Tabla 1.

Tabla 133:

- 10 Esta tabla cubre 180 compuestos del tipo T-2 estructural, donde X es Se, Z es N, D es $\text{C}(\text{CH}_3)_2$, E es $-\text{CH}=\text{CH}-$, G es hidrógeno, R^1 es metilo, R^2 es hidrógeno y R^3 y R^4 son según se definen en Tabla 1.

Tabla 134:

- 15 Esta tabla cubre 180 compuestos del tipo T-2 estructural, donde X es Se, Z es N, D es $\text{C}(\text{CH}_3)_2$, E es $-\text{CH}_2-\text{CH}_2-$, G es hidrógeno, R^1 es metilo, R^2 es hidrógeno y R^3 y R^4 son según se definen en Tabla 1.

Tabla 135:

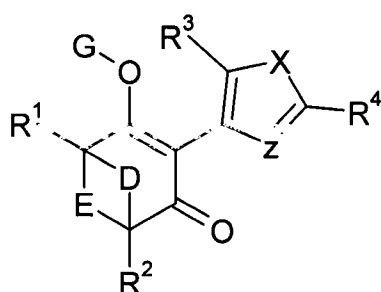
Esta tabla cubre 180 compuestos del tipo T-2 estructural, donde X es Se, Z es N, D es $-\text{CH}_2\text{CH}_2-$, E es $-\text{CH}=\text{CH}-$, G es hidrógeno, R^1 y R^2 son hidrógeno y R^3 y R^4 son según se definen en Tabla 1.

- 20 Tabla 136:

Esta tabla cubre 180 compuestos del tipo T-2 estructural, donde X es Se, Z es N, D es $-\text{CH}_2-\text{CH}_2-$, E es $-\text{CH}_2-\text{CH}_2-$, G es hidrógeno, R^1 y R^2 son hidrógeno y R^3 y R^4 son según se definen en Tabla 1.

Tabla 137:

- 25 Esta tabla cubre 180 compuestos del tipo T-1 estructural :



T-1

donde X es O, Z es C-H, D es CH₂, E es CH₂, G es hidrógeno, R¹ y R² son hidrógeno y R³ y R⁴ son según se definen en Tabla T1.

Tabla 138:

- 5 Esta tabla cubre 180 compuestos del tipo T-1 estructural, donde X es O, Z es C-H, D es CH₂, E es CH(CH₃), G es hidrógeno, R¹ y R² son hidrógeno y R³ y R⁴ son según se definen en Tabla 1.

Tabla 139:

- 10 Esta tabla cubre 180 compuestos del tipo T-1 estructural, donde X es O, Z es C-H, D es CH₂, E es C(CH₃)₂, G es hidrógeno, R¹ y R² son hidrógeno y R³ y R⁴ son según se definen en Tabla 1.

Tabla 140:

- 15 Esta tabla cubre 180 compuestos del tipo T-1 estructural, donde X es O, Z es C-H, D es CH₂, E es CH₂, G es hidrógeno, R¹ es hidrógeno, R² es metilo y R³ y R⁴ son según se definen en Tabla 1.

Tabla 141:

Esta tabla cubre 180 compuestos del tipo T-1 estructural, donde X es O, Z es C-H, D es CH₂, E es CH₂, G es hidrógeno, R¹ y R² son metilo y R³ y R⁴ son según se definen en Tabla 1.

- 20 Tabla 142:

Esta tabla cubre 180 compuestos del tipo T-1 estructural, donde X es O, Z es C-H, D es CH₂, E es -CH=CH-, G es hidrógeno, R¹ y R² son hidrógeno y R³ y R⁴ son según se definen en Tabla 1.

Tabla 143:

- 5 Esta tabla cubre 180 compuestos del tipo T-1 estructural, donde X es O, Z es C-H, D es CH₂, E es -CH₂-CH₂-, G es hidrógeno, R¹ y R² son hidrógeno y R³ y R⁴ son según se definen en Tabla 1.

Tabla 144:

- 10 Esta tabla cubre 180 compuestos del tipo T-1 estructural, donde X es O, Z es C-H, D es C(CH₃)₂, E es -CH=CH-, G es hidrógeno, R¹ y R² son hidrógeno y R³ y R⁴ son según se definen en Tabla 1.

Tabla 145:

- 15 Esta tabla cubre 180 compuestos del tipo T-1 estructural, donde X es O, Z es C-H, D es C(CH₃)₂, E es -CH₂-CH₂-, G es hidrógeno, R¹ y R² son hidrógeno y R³ y R⁴ son según se definen en Tabla 1.

Tabla 146:

- Esta tabla cubre 180 compuestos del tipo T-1 estructural, donde X es O, Z es C-H, D es CH₂, E es -CH=CH-, G es hidrógeno, R¹ es hidrógeno, R² es metilo y R³ y R⁴ son según se definen en Tabla 1.

20 Tabla 147:

Esta tabla cubre 180 compuestos del tipo T-1 estructural, donde X es O, Z es C-H, D es CH₂, E es -CH₂-CH₂-, G es hidrógeno, R¹ es hidrógeno, R² es metilo y R³ y R⁴ son según se definen en Tabla 1.

Tabla 148:

- 25 Esta tabla cubre 180 compuestos del tipo T-1 estructural, donde X es O, Z es C-H, D es CH₂, E es -CH=CH-, G es hidrógeno, R¹ y R² son metilo y R³ y R⁴ son según se definen en Tabla 1.

Tabla 149:

Esta tabla cubre 180 compuestos del tipo T-1 estructural, donde X es O, Z es C-H, D es CH₂, E es -CH₂-CH₂-, G es hidrógeno, R¹ y R² son metilo y R³ y R⁴ son según se definen en Tabla 1.

5 Tabla 150:

Esta tabla cubre 180 compuestos del tipo T-1 estructural, donde X es O, Z es C-H, D es C(CH₃)₂, E es -CH=CH-, G es hidrógeno, R¹ es metilo, R² es hidrógeno y R³ y R⁴ son según se definen en Tabla 1.

Tabla 151:

- 10 Esta tabla cubre 180 compuestos del tipo T-1 estructural, donde X es O, Z es C-H, D es C(CH₃)₂, E es -CH₂-CH₂-, G es hidrógeno, R¹ es metilo, R² es hidrógeno y R³ y R⁴ son según se definen en Tabla 1.

Tabla 152:

- 15 Esta tabla cubre 180 compuestos del tipo T-1 estructural, donde X es O, Z es C-H, D es -CH₂CH₂-, E es -CH=CH-, G es hidrógeno, R¹ y R² son hidrógeno y R³ y R⁴ son según se definen en Tabla 1.

Tabla 153:

- 20 Esta tabla cubre 180 compuestos del tipo T-1 estructural, donde X es O, Z es C-H, D es -CH₂-CH₂-, E es -CH₂-CH₂-, G es hidrógeno, R¹ y R² son hidrógeno y R³ y R⁴ son según se definen en Tabla 1.

Tabla 154:

Esta tabla cubre 180 compuestos del tipo T-1 estructural, donde X es O, Z es N, D es CH₂, E es CH₂, G es hidrógeno, R¹ y R² son hidrógeno y R³ y R⁴ son según se definen en Tabla 1.

25 Tabla 155:

Esta tabla cubre 180 compuestos del tipo T-1 estructural, donde X es O, Z es N, D es CH_2 , E es $\text{CH}(\text{CH}_3)$, G es hidrógeno, R^1 y R^2 son hidrógeno y R^3 y R^4 son según se definen en Tabla 1.

Tabla 156:

- 5 Esta tabla cubre 180 compuestos del tipo T-1 estructural, donde X es O, Z es N, D es CH_2 , E es $\text{C}(\text{CH}_3)_2$, G es hidrógeno, R^1 y R^2 son hidrógeno y R^3 y R^4 son según se definen en Tabla 1.

Tabla 157:

- 10 Esta tabla cubre 180 compuestos del tipo T-1 estructural, donde X es O, Z es N, D es CH_2 , E es CH_2 , G es hidrógeno, R^1 es hidrógeno, R^2 es metilo y R^3 y R^4 son según se definen en Tabla 1.

Tabla 158:

- 15 Esta tabla cubre 180 compuestos del tipo T-1 estructural, donde X es O, Z es N, D es CH_2 , E es CH_2 , G es hidrógeno, R^1 y R^2 son metilo y R^3 y R^4 son según se definen en Tabla 1.

Tabla 159:

- Esta tabla cubre 180 compuestos del tipo T-1 estructural, donde X es O, Z es N, D es CH_2 , E es $-\text{CH}=\text{CH}-$, G es hidrógeno, R^1 y R^2 son hidrógeno y R^3 y R^4 son según se definen en Tabla 1.

20 Tabla 160:

Esta tabla cubre 180 compuestos del tipo T-1 estructural, donde X es O, Z es N, D es CH_2 , E es $-\text{CH}_2-\text{CH}_2-$, G es hidrógeno, R^1 y R^2 son hidrógeno y R^3 y R^4 son según se definen en Tabla 1.

Tabla 161:

- 25 Esta tabla cubre 180 compuestos del tipo T-1 estructural, donde X es O, Z es N, D es $\text{C}(\text{CH}_3)_2$, E es $-\text{CH}=\text{CH}-$, G es hidrógeno, R^1 y R^2 son hidrógeno y R^3 y R^4 son según se definen en Tabla 1.

Tabla 162:

Esta tabla cubre 180 compuestos del tipo T-1 estructural, donde X es O, Z es N, D es $C(CH_3)_2$, E es $-CH_2-CH_2-$, G es hidrógeno, R^1 y R^2 son hidrógeno y R^3 y R^4 son según se definen en Tabla 1.

5 Tabla 163:

Esta tabla cubre 180 compuestos del tipo T-1 estructural, donde X es O, Z es N, D es CH_2 , E es $-CH=CH-$, G es hidrógeno, R^1 es hidrógeno, R^2 es metilo y R^3 y R^4 son según se definen en Tabla 1.

Tabla 164:

- 10 Esta tabla cubre 180 compuestos del tipo T-1 estructural, donde X es O, Z es N, D es CH_2 , E es $-CH_2-CH_2-$, G es hidrógeno, R^1 es hidrógeno, R^2 es metilo y R^3 y R^4 son según se definen en Tabla 1.

Tabla 165:

- 15 Esta tabla cubre 180 compuestos del tipo T-1 estructural, donde X es O, Z es N, D es CH_2 , E es $-CH=CH-$, G es hidrógeno, R^1 y R^2 son metilo y R^3 y R^4 son según se definen en Tabla 1.

Tabla 166:

- 20 Esta tabla cubre 180 compuestos del tipo T-1 estructural, donde X es O, Z es N, D es CH_2 , E es $-CH_2-CH_2-$, G es hidrógeno, R^1 y R^2 son metilo y R^3 y R^4 son según se definen en Tabla 1.

Tabla 167:

Esta tabla cubre 180 compuestos del tipo T-1 estructural, donde X es O, Z es N, D es $C(CH_3)_2$, E es $-CH=CH-$, G es hidrógeno, R^1 es metilo, R^2 es hidrógeno y R^3 y R^4 son según se definen en Tabla 1.

25 Tabla 168:

Esta tabla cubre 180 compuestos del tipo T-1 estructural, donde X es O, Z es N, D es C(CH₃)₂, E es -CH₂-CH₂-, G es hidrógeno, R¹ es metilo, R² es hidrógeno y R³ y R⁴ son según se definen en Tabla 1.

Tabla 169:

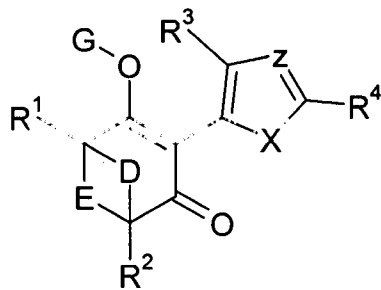
- 5 Esta tabla cubre 180 compuestos del tipo T-1 estructural, donde X es O, Z es N, D es -CH₂CH₂-, E es -CH=CH-, G es hidrógeno, R¹ y R² son hidrógeno y R³ y R⁴ son según se definen en Tabla 1.

Tabla 170:

- 10 Esta tabla cubre 180 compuestos del tipo T-1 estructural, donde X es O, Z es N, D es -CH₂-CH₂-, E es -CH₂-CH₂-, G es hidrógeno, R¹ y R² son hidrógeno y R³ y R⁴ son según se definen en Tabla 1.

Tabla 171:

Esta tabla cubre 180 compuestos del tipo T-2 estructural:



15

T-2

donde X es O, Z es C-H, D es CH₂, E es CH₂, G es hidrógeno, R¹ y R² son hidrógeno y R³ y R⁴ son según se definen en Tabla 1.

Tabla 172:

- 20 Esta tabla cubre 180 compuestos del tipo T-2 estructural, donde X es O, Z es C-H, D es CH₂, E es CH(CH₃), G es hidrógeno, R¹ y R² son hidrógeno y R³ y R⁴ son según se definen en Tabla 1.

Tabla 173:

Esta tabla cubre 180 compuestos del tipo T-2 estructural, donde X es O, Z es C-H, D es CH₂, E es C(CH₃)₂, G es hidrógeno, R¹ y R² son hidrógeno y R³ y R⁴ son según se definen en Tabla 1.

Tabla 174:

- 5 Esta tabla cubre 180 compuestos del tipo T-2 estructural, donde X es O, Z es C-H, D es CH₂, E es CH₂, G es hidrógeno, R¹ es hidrógeno, R² es metilo y R³ y R⁴ son según se definen en Tabla 1.

Tabla 175:

- 10 Esta tabla cubre 180 compuestos del tipo T-2 estructural, donde X es O, Z es C-H, D es CH₂, E es CH₂, G es hidrógeno, R¹ y R² son metilo y R³ y R⁴ son según se definen en Tabla 1.

Tabla 176:

- 15 Esta tabla cubre 180 compuestos del tipo T-2 estructural, donde X es O, Z es C-H, D es CH₂, E es -CH=CH-, G es hidrógeno, R¹ y R² son hidrógeno y R³ y R⁴ son según se definen en Tabla 1.

Tabla 177:

- Esta tabla cubre 180 compuestos del tipo T-2 estructural, donde X es O, Z es C-H, D es CH₂, E es -CH₂-CH₂-, G es hidrógeno, R¹ y R² son hidrógeno y R³ y R⁴ son según se definen en Tabla 1.

20 Tabla 178:

Esta tabla cubre 180 compuestos del tipo T-2 estructural, donde X es O, Z es C-H, D es C(CH₃)₂, E es -CH=CH-, G es hidrógeno, R¹ y R² son hidrógeno y R³ y R⁴ son según se definen en Tabla 1.

Tabla 179:

- 25 Esta tabla cubre 180 compuestos del tipo T-2 estructural, donde X es O, Z es C-H, D es C(CH₃)₂, E es -CH₂-CH₂-, G es hidrógeno, R¹ y R² son hidrógeno y R³ y R⁴ son según se definen en Tabla 1.

Tabla 180:

Esta tabla cubre 180 compuestos del tipo T-2 estructural, donde X es O, Z es C-H, D es CH₂, E es -CH=CH-, G es hidrógeno, R¹ es hidrógeno, R² es metilo y R³ y R⁴ son según se definen en Tabla 1.

5 Tabla 181:

Esta tabla cubre 180 compuestos del tipo T-2 estructural, donde X es O, Z es C-H, D es CH₂, E es -CH₂-CH₂-, G es hidrógeno, R¹ es hidrógeno, R² es metilo y R³ y R⁴ son según se definen en Tabla 1.

Tabla 182:

- 10 Esta tabla cubre 180 compuestos del tipo T-2 estructural, donde X es O, Z es C-H, D es CH₂, E es -CH=CH-, G es hidrógeno, R¹ y R² son metilo y R³ y R⁴ son según se definen en Tabla 1.

Tabla 183:

- 15 Esta tabla cubre 180 compuestos del tipo T-2 estructural, donde X es O, Z es C-H, D es CH₂, E es -CH₂-CH₂-, G es hidrógeno, R¹ y R² son metilo y R³ y R⁴ son según se definen en Tabla 1.

Tabla 184:

- 20 Esta tabla cubre 180 compuestos del tipo T-2 estructural, donde X es O, Z es C-H, D es C(CH₃)₂, E es -CH=CH-, G es hidrógeno, R¹ es metilo, R² es hidrógeno y R³ y R⁴ son según se definen en Tabla 1.

Tabla 185:

Esta tabla cubre 180 compuestos del tipo T-2 estructural, donde X es O, Z es C-H, D es C(CH₃)₂, E es -CH₂-CH₂-, G es hidrógeno, R¹ es metilo, R² es hidrógeno y R³ y R⁴ son según se definen en Tabla 1.

25 Tabla 186:

Esta tabla cubre 180 compuestos del tipo T-2 estructural, donde X es O, Z es C-H, D es $-\text{CH}_2\text{CH}_2-$, E es $-\text{CH}=\text{CH}-$, G es hidrógeno, R^1 y R^2 son hidrógeno y R^3 y R^4 son según se definen en Tabla 1.

Tabla 187:

- 5 Esta tabla cubre 180 compuestos del tipo T-2 estructural, donde X es O, Z es C-H, D es $-\text{CH}_2\text{CH}_2-$, E es $-\text{CH}_2\text{CH}_2-$, G es hidrógeno, R^1 y R^2 son hidrógeno y R^3 y R^4 son según se definen en Tabla 1.

Tabla 188:

- 10 Esta tabla cubre 180 compuestos del tipo T-2 estructural, donde X es O, Z es N, D es CH_2 , E es CH_2 , G es hidrógeno, R^1 y R^2 son hidrógeno y R^3 y R^4 son según se definen en Tabla 1.

Tabla 189:

- 15 Esta tabla cubre 180 compuestos del tipo T-2 estructural, donde X es O, Z es N, D es CH_2 , E es $\text{CH}(\text{CH}_3)$, G es hidrógeno, R^1 y R^2 son hidrógeno y R^3 y R^4 son según se definen en Tabla 1.

Tabla 190:

- Esta tabla cubre 180 compuestos del tipo T-2 estructural, donde X es O, Z es N, D es CH_2 , E es $\text{C}(\text{CH}_3)_2$, G es hidrógeno, R^1 y R^2 son hidrógeno y R^3 y R^4 son según se definen en Tabla 1.

20 Tabla 191:

Esta tabla cubre 180 compuestos del tipo T-2 estructural, donde X es O, Z es N, D es CH_2 , E es CH_2 , G es hidrógeno, R^1 es hidrógeno, R^2 es metilo y R^3 y R^4 son según se definen en Tabla 1.

Tabla 192:

- 25 Esta tabla cubre 180 compuestos del tipo T-2 estructural, donde X es O, Z es N, D es CH_2 , E es CH_2 , G es hidrógeno, R^1 y R^2 son metilo y R^3 y R^4 son según se definen en Tabla 1.

Tabla 193:

Esta tabla cubre 180 compuestos del tipo T-2 estructural, donde X es O, Z es N, D es CH₂, E es -CH=CH-, G es hidrógeno, R¹ y R² son hidrógeno y R³ y R⁴ son según se definen en Tabla 1.

5 Tabla 194:

Esta tabla cubre 180 compuestos del tipo T-2 estructural, donde X es O, Z es N, D es CH₂, E es -CH₂-CH₂-, G es hidrógeno, R¹ y R² son hidrógeno y R³ y R⁴ son según se definen en Tabla 1.

Tabla 195:

- 10 Esta tabla cubre 180 compuestos del tipo T-2 estructural, donde X es O, Z es N, D es C(CH₃)₂, E es -CH=CH-, G es hidrógeno, R¹ y R² son hidrógeno y R³ y R⁴ son según se definen en Tabla 1.

Tabla 196:

- 15 Esta tabla cubre 180 compuestos del tipo T-2 estructural, donde X es O, Z es N, D es C(CH₃)₂, E es -CH₂-CH₂-, G es hidrógeno, R¹ y R² son hidrógeno y R³ y R⁴ son según se definen en Tabla 1.

Tabla 197:

- 20 Esta tabla cubre 180 compuestos del tipo T-2 estructural, donde X es O, Z es N, D es CH₂, E es -CH=CH-, G es hidrógeno, R¹ es hidrógeno, R² es metilo y R³ y R⁴ son según se definen en Tabla 1.

Tabla 198:

Esta tabla cubre 180 compuestos del tipo T-2 estructural, donde X es O, Z es N, D es CH₂, E es -CH₂-CH₂-, G es hidrógeno, R¹ es hidrógeno, R² es metilo y R³ y R⁴ son según se definen en Tabla 1.

25 Tabla 199:

Esta tabla cubre 180 compuestos del tipo T-2 estructural, donde X es O, Z es N, D es CH₂, E es -CH=CH-, G es hidrógeno , R¹ y R² son metilo y R³ y R⁴ son según se definen en Tabla 1.

Tabla 200:

- 5 Esta tabla cubre 180 compuestos del tipo T-2 estructural, donde X es O, Z es N, D es CH₂, E es -CH₂-CH₂-, G es hidrógeno , R¹ y R² son metilo y R³ y R⁴ son según se definen en Tabla 1.

Tabla 201:

- 10 Esta tabla cubre 180 compuestos del tipo T-2 estructural, donde X es O, Z es N, D es C(CH₃)₂, E es -CH=CH-, G es hidrógeno , R¹ es metilo, R² es hidrógeno y R³ y R⁴ son según se definen en Tabla 1.

Tabla 202:

- 15 Esta tabla cubre 180 compuestos del tipo T-2 estructural, donde X es O, Z es N, D es C(CH₃)₂, E es -CH₂-CH₂-, G es hidrógeno , R¹ es metilo, R² es hidrógeno y R³ y R⁴ son según se definen en Tabla 1.

Tabla 203:

Esta tabla cubre 180 compuestos del tipo T-2 estructural, donde X es O, Z es N, D es -CH₂CH₂-, E es -CH=CH-, G es hidrógeno , R¹ y R² son hidrógeno y R³ y R⁴ son según se definen en Tabla 1.

- 20 Tabla 204:

Esta tabla cubre 180 compuestos del tipo T-2 estructural, donde X es O, Z es N, D es -CH₂-CH₂-, E es -CH₂-CH₂-, G es hidrógeno , R¹ y R² son hidrógeno y R³ y R⁴ son según se definen en Tabla 1.

- 25 Ejemplos Biológicos

Ejemplo A

Se sembraron semillas de una variedad de especies de ensayo en suelo regular en macetas. Luego de cultivación durante un día (pre-emergencia) o luego de 10 días de cultivación (post-emergencia) bajo condiciones controladas en un invernadero, se rociaron las plantas con una solución en spray acuosa que se obtuvo de la formulación del ingrediente activo técnico en 0,6 ml acetona y 45 ml solución de formulación que contenía 10,6% Emulsogen EL (Número de registro 61791-12-6), 42,2% N-metil pirrolidona, 42,2% dipropilen glicol monometil éter (Número de registro 34590-94-8) y 0,2 % X-77 (Número de registro 11097-66-8). Luego se dejaron crecer las plantas de ensayo en un invernadero bajo condiciones óptimas hasta que, 14 ó 15 días más tarde para post-emergencia y 19 ó 20 días para pre-emergencia, se evaluó el ensayo (100 = daño total a la planta; 0 = sin daño a la planta).

Plantas de ensayo:

Alopecurus myosuroides (ALOMY), *Avena fatua* (AVEFA), *Lolium perenne* (LOLPE), *Setaria faberi* (SETFA), *Digitaria sanguinalis* (DIGSA), *Echinochloa crus-galli* (ECHCG)

Actividad Pre-Emergencia

Compuesto Número	Proporción g/ha	ALOMY	AVEFA	LOLPE	SETFA	DIGSA	ECHCG
T1	250	60	20	80	70	60	60
T15	250	90	-	100	100	100	100
T16	250	10	20	20	80	80	70
T17	250	100	100	100	100	100	100
T18	250	0	0	0	20	30	20
T19	250	100	100	100	100	100	100
T23	250	30	50	0	0	0	0

Actividad Post-Emergencia

Compuesto Número	Proporción g/ha	ALOMY	AVEFA	LOLPE	SETFA	DIGSA	ECHCG
T1	125	70	70	30	90	80	100
T15	125	90	90	50	100	100	70
T16	125	20	40	20	60	70	50
T17	125	70	50	40	80	80	90
T18	125	40	30	20	60	60	50
T19	125	100	100	80	100	100	100
T23	125	80	70	70	100	90	90

Ejemplo B

Se cultivaron semillas de una variedad de especies de ensayo en suelo regular en macetas. Luego de cultivación durante un día (pre-emergencia) o luego de 8 días de cultivación (post-emergencia) bajo condiciones controladas en un invernadero (a 24/16°C, día/noche; 14 horas luz; 65 % humedad), se rociaron las plantas con una solución en spray acuosa originada de la formulación del ingrediente activo técnico en una solución acetona / agua (50:50) que contenía 0,5% Tween 20 (monolaurato de polioxietileno sorbitan, CAS RN 9005-64-5).

Se dejaron crecer las plantas de ensayo en un invernadero bajo condiciones controladas (a 24/16°C, día/noche; 14 horas luz; 65 % humedad) y se regaron dos veces al día. Luego de 13 días de pre y post-emergencia, se evaluó el ensayo (100 = daño total a la planta; 0 = sin daño a la planta).

Plantas de ensayo:

Alopecurus myosuroides (ALOMY), *Avena fatua* (AVEFA), *Setaria faberi* (SETFA), *Echinochloa crus-galli* (ECHCG), *Solanum nigrum* (SOLNI) y *Amaranthus retroflexus* (AMARE)

Actividad Pre-Emergencia

Compuesto Número	Proporción g/ha	AMARE	SETFA	ALOMY	ECHCG	AVEFA
T2	250	0	50	0	0	0
T3	250	0	90	60	80	0
T4	250	0	30	50	60	0
T5	250	0	90	50	80	0
T9	250	0	50	30	50	0
T10	250	0	20	20	60	0
T11	250	0	0	40	70	0
T13	250	0	100	90	100	40
T14	1000	0	70	30	90	80
T20	250	0	40	50	70	0
T22	250	20	100	70	80	20
T26	250	0	100	90	100	60
T27	250	0	100	90	100	90
T28	250	0	100	80	100	90
T29	250	20	100	90	100	90
T30	250	20	100	90	100	100
T32	250	0	100	90	100	80
T33	250	0	100	90	100	50
T34	250	0	20	20	20	0
T35	250	0	100	30	90	0
T36	250	0	70	60	60	20
T37	250	0	90	30	60	30
T38	250	0	100	60	70	50
T39	250	0	90	90	100	0
T40	250	0	100	100	100	100
T41	250	0	40	80	100	0
T42	250	0	100	100	100	0
T44	250	0	100	90	100	60
T45	250	0	100	90	100	30
T46	250	0	90	60	100	30

Compuesto Número	Proporción g/ha	AMARE	SETFA	ALOMY	ECHCG	AVEFA
T49	250	0	100	100	100	100
T51	250	0	100	80	100	20
T53	250	0	100	90	100	80
T54	250	0	60	90	100	70
T55	250	0	100	100	100	100
T56	250	0	0	0	40	0
T57	250	0	90	60	90	20
T58	250	0	60	60	100	60
T59	250	0	100	80	90	70
T63	250	0	90	90	100	90
T64	250	0	0	20	0	0
T65	250	20	100	50	100	20
T66	250	0	30	10	30	0
T68	250	0	80	60	0	70
T70	250	100	100	70	60	30
T73	250	0	0	0	0	50
T74	250	100	40	30	20	0
T75	250	0	90	0	40	20
T76	250	0	70	0	50	0
T77	250	50	0	0	0	0
T78	250	0	0	0	0	90
T81	250	0	100	50	100	40
T82	250	0	80	0	40	0
T83	250	0	90	50	100	0
T84	250	0	100	70	100	40
T85	250	30	0	0	0	0
T87	250	20	60	20	60	50
T88	250	0	80	0	60	0
T92	250	0	100	70	100	90
T93	250	0	20	20	40	0
T96	250	0	100	80	100	100
T99	250	20	60	50	60	30
T102	250	0	50	50	70	30

Compuesto Número	Proporción g/ha	AMARE	SETFA	ALOMY	ECHCG	AVEFA
T104	250	0	50	70	100	20
T106	250	0	20	0	10	0
T110	250	20	100	30	60	30
T111	250	0	80	50	100	90
P1	250	0	100	80	100	0
P2	250	0	100	80	100	40
P3	250	0	100	20	80	0
P4	250	40	90	0	0	0
P5	250	0	100	100	40	30
P6	250	0	100	20	20	0
P7	250	0	90	30	20	0
P8	250	0	100	20	50	0
P9	250	0	100	90	90	100
P11	250	0	80	0	0	0
P12	250	0	100	30	20	20
P13	250	0	100	70	50	100
P14	250	0	100	70	100	100
P15	250	0	90	90	100	60
P17	250	0	100	80	100	50
P18	250	0	60	20	70	0
P19	250	0	90	10	20	0
P22	250	0	30	0	0	0

Actividad Post-Emergencia

Compuesto Número	Proporción g/ha	AMARE	SETFA	ALOMY	ECHCG	AVEFA
T2	250	0	70	0	30	0
T3	250	0	100	90	100	60
T4	250	0	80	60	100	0
T5	250	0	100	70	100	0
T6	250	0	50	0	40	0

Compuesto Número	Proporción g/ha	AMARE	SETFA	ALOMY	ECHCG	AVEFA
T9	250	0	100	60	70	0
T10	250	0	70	20	70	0
T11	250	0	80	90	100	40
T13	250	0	100	100	100	90
T14	1000	20	100	90	100	90
T20	250	0	90	90	100	90
T22	250	0	90	90	90	90
T24	250	0	30	0	0	0
T25	1000	0	30	20	10	0
T26	250	40	100	100	100	100
T27	250	0	100	100	100	100
T28	250	0	100	100	100	100
T29	250	0	100	100	100	100
T30	250	0	100	100	100	100
T31	250	0	80	0	60	0
T32	250	0	100	100	100	90
T33	250	0	100	100	100	100
T34	250	0	90	70	80	0
T35	250	0	100	100	100	80
T36	250	0	100	90	100	20
T37	250	0	100	100	100	90
T38	250	0	100	100	100	100
T39	250	0	100	100	100	100
T40	250	0	100	100	100	100
T41	250	0	100	100	100	70
T42	250	0	100	100	100	70
T43	250	0	60	20	20	0
T44	250	0	100	100	100	100
T45	250	0	100	100	100	100
T46	250	0	100	100	100	90
T47	250	0	90	30	80	0
T48	250	0	80	20	80	0
T49	250	0	100	90	100	90

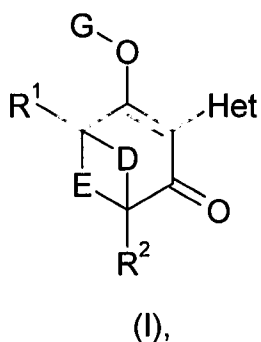
Compuesto Número	Proporción g/ha	AMARE	SETFA	ALOMY	ECHCG	AVEFA
T51	250	0	100	100	100	100
T52	250	0	0	0	0	0
T53	250	0	100	100	100	100
T54	250	30	100	100	100	90
T55	250	0	100	100	100	100
T56	250	0	70	0	60	0
T57	250	0	100	70	100	60
T58	250	0	100	90	100	80
T59	250	0	100	100	100	80
T60	250	0	60	0	50	0
T61	250	0	20	0	0	0
T62	250	0	60	0	0	0
T63	250	0	100	60	100	70
T64	250	0	70	0	50	0
T65	250	70	100	50	100	70
T66	250	0	70	10	70	0
T68	250	0	100	70	100	90
T70	250	40	100	90	100	50
T71	250	0	50	0	20	0
T73	250	0	30	0	20	0
T74	250	0	90	50	70	0
T75	250	0	90	20	70	0
T76	250	0	80	70	90	50
T77	250	0	70	0	60	0
T78	250	0	80	60	70	0
T79	250	0	10	0	0	0
T81	250	0	100	50	100	50
T82	250	30	100	20	100	0
T83	250	0	100	60	100	100
T84	250	40	100	90	100	70
T87	250	0	90	50	90	60
T88	250	0	70	10	90	0
T89	250	0	80	0	30	0

Compuesto Número	Proporción g/ha	AMARE	SETFA	ALOMY	ECHCG	AVEFA
T90	250	0	40	0	30	0
T92	250	10	100	100	100	100
T93	250	0	70	30	70	0
T95	250	0	80	80	70	30
T96	250	30	100	100	100	100
T97	250	0	70	0	70	0
T98	250	0	70	20	70	0
T99	250	0	100	100	100	100
T102	250	0	100	100	100	100
T104	250	0	100	100	100	90
T106	250	0	70	10	30	0
T107	250	0	0	0	60	0
T110	250	0	100	60	90	70
T111	250	0	100	100	100	100
T112	250	0	100	70	100	80
T113	250	0	90	90	100	100
T114	250	0	90	10	60	0
T118	250	0	80	60	60	80
T120	250	0	90	40	100	60
T121	250	0	90	70	100	80
P1	250	0	100	100	100	100
P2	250	0	100	100	100	100
P3	250	0	100	60	80	0
P4	250	0	80	20	80	0
P5	250	0	100	100	100	90
P6	250	0	100	90	100	80
P7	250	0	100	90	100	90
P8	250	0	100	70	0	80
P9	250	0	100	100	100	100
P11	250	0	80	20	80	20
P12	250	0	100	100	100	90
P13	250	0	100	90	100	90
P14	250	0	100	100	100	90

Compuesto Número	Proporción g/ha	AMARE	SETFA	ALOMY	ECHCG	AVEFA
P15	250	0	100	100	100	90
P17	250	0	100	90	100	80
P18	250	40	90	10	80	0
P19	250	0	90	20	90	0
P22	250	0	70	0	20	0
P23	250	0	30	0	20	0

REIVINDICACIONES

1. Compuestos of fórmula I



5 donde

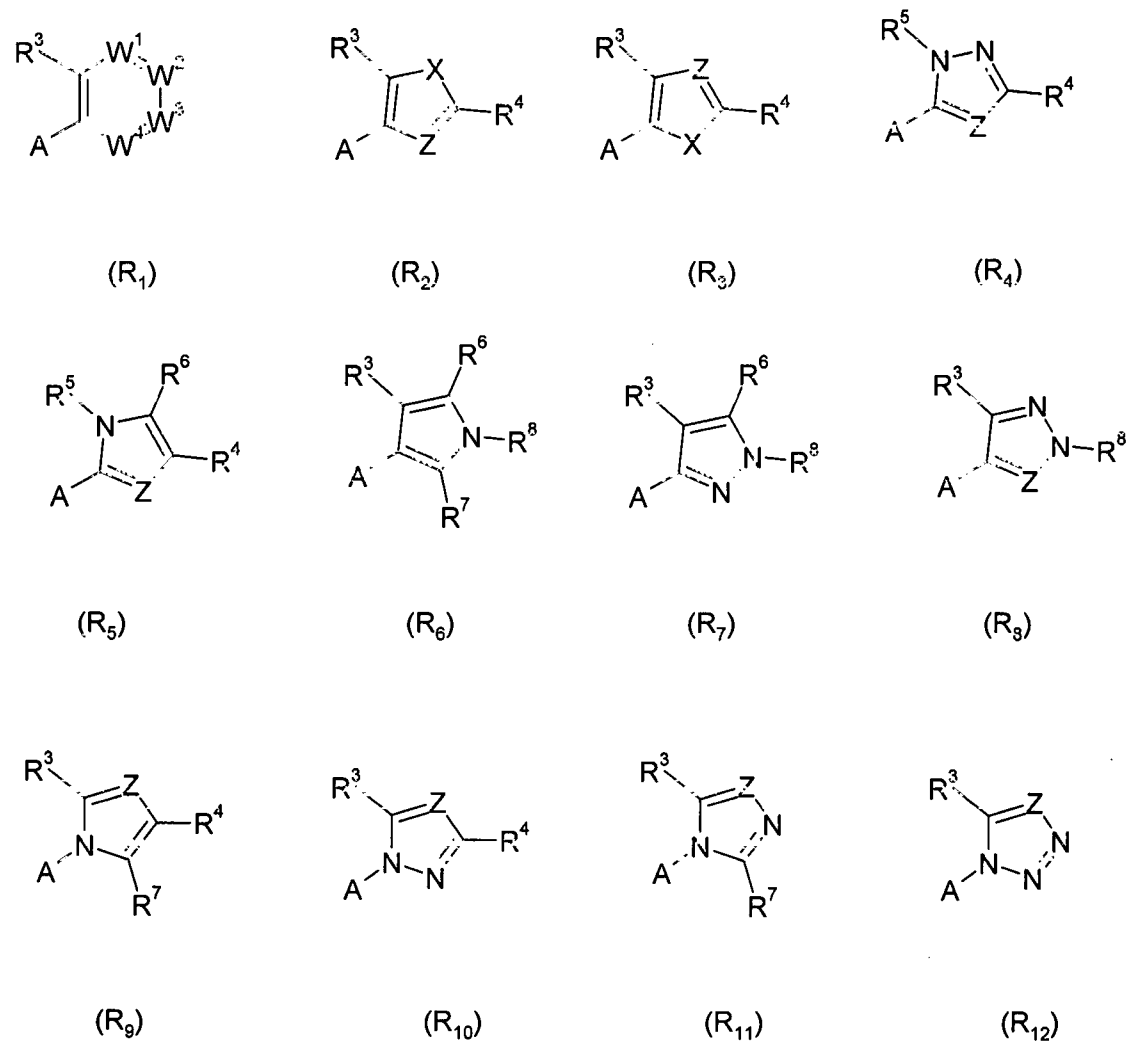
- R^1 y R^2 son cada uno de manera independiente hidrógeno, alquilo C_1-C_6 , alquenilo C_2-C_6 , alquinilo C_2-C_6 , haloalquilo C_1-C_6 , haloalquenilo C_2-C_6 , alcoxi C_1-C_6 , alqueniloxi C_3-C_6 , haloalqueniloxi C_3-C_6 , alquiniloxi C_3-C_6 , alcoxi C_1-C_4 alquilo C_1-C_4 , alcoxi C_1-C_4 alcoxi C_1-C_4 , alcoxi C_1-C_4 alcoxi C_1-C_4 alquilo C_1-C_4 , alquiltio C_1-C_6 , alquiltio C_1-C_4 alquilo C_1-C_4 , alquilsulfinilo C_1-C_4 , alquilsulfinilo C_1-C_4 alquilo C_1-C_4 , alquilsulfonilo C_1-C_4 , alquilsulfonilo C_1-C_4 alquilo C_1-C_4 , hidroxil- alquilo C_1-C_4 , haloalcoxi C_1-C_6 alquilo C_1-C_4 , alqueniloxi C_3-C_6 alquilo C_1-C_4 , haloalqueniloxi C_3-C_6 alquilo C_1-C_4 , alquiniloxi C_3-C_6 alquilo C_1-C_4 , cianoalquilo C_1-C_6 , cianoalcoxi C_1-C_6 , cianoalcoxi C_1-C_4 alquilo C_1-C_4 , hidroxil, alquilcarbonilo C_1-C_6 , carboxil, alcoxycarbonilo C_1-C_6 , alquilaminocarbonilo C_1-C_6 , di- alquilaminocarbonilo C_1-C_6 , tri(alquilo C_1-C_4)silil o tri(alquilo C_1-C_4)sililoxi,

D es alquilenos C_1-C_3 opcionalmente sustituido,

E es alquilenos C_1-C_3 opcionalmente sustituido o alquenileno C_2-C_3 opcionalmente sustituido,

- 20 Het es un anillo heteroaromático bicíclico o monocíclico opcionalmente sustituido; y G es hidrógeno, un metal alcalino, metal alcalino térreo, sulfonio, amonio o un grupo que confiere latencia.

2. Compuestos de acuerdo con la reivindicación 1, donde R^1 es hidrógeno, alquilo C_1-C_4 o alcoxi C_1-C_4 .
3. Compuestos de acuerdo con la reivindicación 1, donde R^2 es hidrógeno o metilo.
4. Compuestos de acuerdo con la reivindicación 1, donde D es alquileo C_1-C_2 o alquileo C_1-C_2 sustituido por alquilo C_1-C_3 o alcoxi C_1-C_3 alquilo C_1-C_3 .
5. Compuestos de acuerdo con la reivindicación 1, donde E es alquileo C_1-C_2 opcionalmente sustituido o alquilenilo C_2 opcionalmente sustituido.
6. Compuestos de acuerdo con la reivindicación 1, donde Het es un anillo heteroaromático monocíclico de 5 ó 6 miembros opcionalmente sustituido que contiene nitrógeno o azufre.
7. Compuestos de acuerdo con la reivindicación 6, donde Het es un grupo de la fórmula R_1 a R_{12}



donde

A representa el punto de unión al resto cetoenol,

5 W¹ es N o CR⁶,

W² y W³ son cada uno de manera independiente N o CR⁴,

W⁴ es N o CR⁷,

con la salvedad de que al menos uno de W¹, W², W³ o W⁴ es N,

X es O, S, Se, o NR⁹,

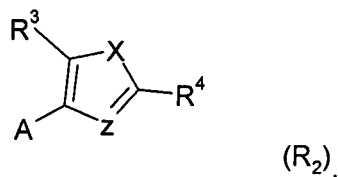
10 Z es N o CR¹⁰,

donde

- R^3 es hidrógeno, halógeno, alquilo C_1-C_4 , haloalquilo C_1-C_4 , cicloalquilo C_3-C_6 , halocicloalquilo C_3-C_6 , alquenilo C_2-C_4 , haloalquenilo C_2-C_4 , alquinilo C_2-C_4 , alcoxi C_1-C_4 , haloalcoxi C_1-C_4 , alquiltio C_1-C_4 , alquilsulfinilo C_1-C_4 , alquilsulfonilo C_1-C_4 , nitro o ciano,
- 5 R^4 es hidrógeno, alquilo C_1-C_6 , haloalquilo C_1-C_6 , alquenilo C_2-C_6 , haloalquenilo C_2-C_6 , alquinilo C_2-C_6 , cicloalquilo C_3-C_6 , cicloalquenilo C_5-C_6 , halógeno, alcoxi C_1-C_6 , alcoxi C_1-C_6 - alquilo C_1-C_6 , haloalcoxi C_1-C_6 , arilo opcionalmente sustituido, ariloxi opcionalmente sustituido, heteroarilo opcionalmente sustituido o heteroariloxi opcionalmente sustituido,
- 10 R^5 es hidrógeno, alquilo C_1-C_4 , alquenilo C_2-C_3 , alquinilo C_2-C_3 , haloalquilo C_1-C_4 o haloalquenilo C_2-C_3 , preferentemente metilo o etilo,
- R^6 es hidrógeno, metilo, halometilo o halógeno,
- R^7 es hidrógeno, halógeno, alquilo C_1-C_4 , haloalquilo C_1-C_4 , alquenilo C_2-C_4 , haloalquenilo C_2-C_4 , alquinilo C_2-C_4 , alcoxi C_1-C_4 , haloalcoxi C_1-C_4 , alquiltio C_1-C_4 ,
- 15 alquilsulfinilo C_1-C_4 , alquilsulfonilo C_1-C_4 o ciano,
- R^8 es hidrógeno, metilo, etilo, halometilo, haloetilo, arilo opcionalmente sustituido o heteroarilo opcionalmente sustituido,
- R^9 es hidrógeno, metilo, etilo o halometilo, y
- R^{10} es hidrógeno, metilo, etilo, halometilo, haloetilo, halogen, ciano o nitro.

20

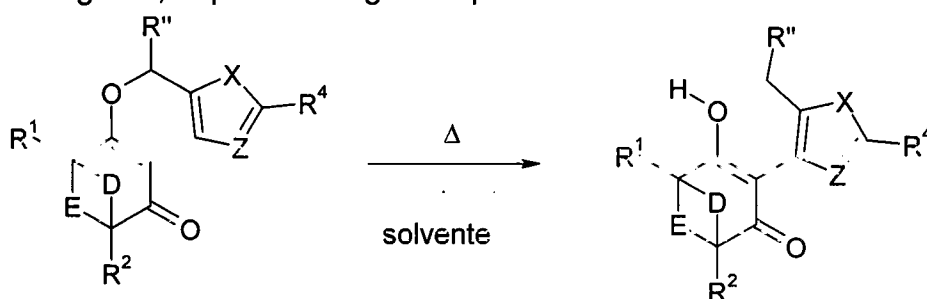
8. Compuestos de acuerdo con la reivindicación 7, donde Het es un grupo de la fórmula R_2



donde X es azufre, Z es nitrógeno o CR^{10} y R^3 , R^4 y R^{10} tienen los significados

25 que se les asignó en la reivindicación 13.

9. Un proceso para la preparación de un compuesto de la fórmula I donde G es hidrógeno, que comprende el reordenamiento térmico opcionalmente en presencia de un solvente adecuado y opcionalmente bajo irradiación por microondas del compuesto de la fórmula (H) donde R^1 , R^2 , E y D tienen el significado que se les asignó en la reivindicación 1 y R^4 es hidrógeno, alquilo C_1-C_6 , haloalquilo C_1-C_6 , alquenilo C_2-C_6 , haloalquenilo C_2-C_6 , alquinilo C_2-C_6 , cicloalquilo C_3-C_6 , cicloalquenilo C_5-C_6 , halógeno, alcoxi C_1-C_6 , alcoxi C_1-C_6 -alquilo C_1-C_6 , haloalcoxi C_1-C_6 , arilo opcionalmente sustituido, ariloxi opcionalmente sustituido, heteroarilo opcionalmente sustituido o heteroariloxi opcionalmente sustituido, en un compuesto de fórmula (G) que es un compuesto de fórmula I donde G es hidrógeno, Het es (R_2) cuando R^3 es CH_2R'' y R'' es hidrógeno, alquilo o halogenoalquilo



fórmula (H)

fórmula (G)

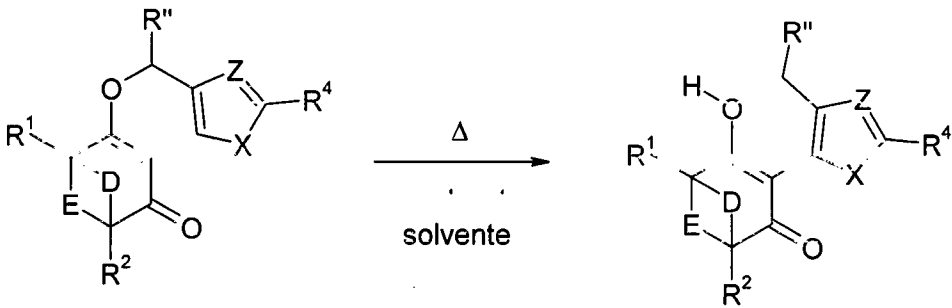
15

10. Un proceso para la preparación de un compuesto de la fórmula I donde G es hidrógeno, que comprende el reordenamiento térmico opcionalmente en presencia de un solvente adecuado y opcionalmente bajo irradiación por microondas del compuesto de la fórmula (K) donde R^1 , R^2 , E y D tienen el significado que se les asignó en la reivindicación 1 y R^4 es hidrógeno, alquilo C_1-C_6 , haloalquilo C_1-C_6 , alquenilo C_2-C_6 , haloalquenilo C_2-C_6 , alquinilo C_2-C_6 , cicloalquilo C_3-C_6 , cicloalquenilo C_5-C_6 , halógeno, alcoxi C_1-C_6 , alcoxi C_1-C_6 -

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alquilo C₁-C₆, haloalcoxi C₁-C₆, arilo opcionalmente sustituido, ariloxi opcionalmente sustituido, heteroarilo opcionalmente sustituido o heteroariloxi opcionalmente sustituido, en un compuesto de fórmula (J) que es un compuesto de fórmula I donde G es hidrógeno , Het es (R₃) cuando R³ es CH₂R'' y R'' es

5 hidrógeno, alquilo o halogenoalquilo



fórmula (K)

fórmula (J)

11. Un método para controlar hierbas y malezas en cultivos de plantas útiles, que comprende la aplicación de una cantidad efectiva desde el punto de vista herbicida de un compuesto de fórmula I, o de una composición que comprende dicho compuesto, a las plantas o a su lugar de emplazamiento.
12. Una composición herbicida, que además de comprender coadyuvantes de formulación, comprende una cantidad efectiva desde el punto de vista herbicida de un compuesto de fórmula I.
13. Una composición de acuerdo con la reivindicación 12, que además de comprender el compuesto de fórmula I, comprende un herbicida adicional como socio de mezcla.
14. Una composición de acuerdo con la reivindicación 12, que además de comprender el compuesto de fórmula I, comprende un químico protector.

15. Una composición de acuerdo con la reivindicación 12, que además de comprender el compuesto de fórmula I, comprende un herbicida adicional como socio de mezcla y como químico protector.

RESUMEN

Compuestos diona bicíclicos, y sus derivados, los cuales son adecuados para utilizar como herbicidas.



Industria y Comercio

SUPERINTENDENCIA DE INDUSTRIA Y COMERCIO

DIVISION DE NUEVAS CREACIONES

TARJETA ARCHIVO PROPIETARIOS

SUPERINTENDENCIA PATENTE DE INVENCION PCT X MODELO DE UTILIDAD DISEÑO INDUSTRIAL

(21) N° de solicitud:

(22) Fecha de solicitud:

(51) Clasificación Internacional:

(71) Solicitante(s) **SYNGENTA LIMITED**

(72) Inventor(es) **CHRISTOPHER JOHN MATHEWS, STEPHANE ANDRE MARIE JEANMART, STEPHEN CHRISTOPHER SMITH, JOHN J. TAYLOR**

(74) Apoderado: **DRA. MARGARITA CASTELLANOS ABONDANO**

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No. de solicitud **PCT/EP2008/006279**

(4) Título: **HERBICIDAS NOVEDOSOS**

From the INTERNATIONAL BUREAU

PCTNOTIFICATION OF THE RECORDING
OF A CHANGE(PCT Rule 92bis.1 and
Administrative Instructions, Section 422)

To:

HÖLSCHER, Ingo
Syngenta Crop Protection Münchwilen AG
Intellectual Property
Schaffhauserstrasse
CH-4332 Stein
SUISSE

Date of mailing (day/month/year) 17 December 2009 (17.12.2009)	IMPORTANT NOTIFICATION
Applicant's or agent's file reference 71619/WO/PCT	
International application No. PCT/EP2008/006279	
International filing date (day/month/year) 30 July 2008 (30.07.2008)	

1. The following indications appeared on record concerning:			
☒ the applicant	☒ the inventor	☐ the agent	☐ the common representative
Name and Address SMITH, Steve Syngenta Limited Jealotts Hill International Research Center Bracknell, Berkshire RG42 6EY United Kingdom		State of Nationality BE	State of Residence GB
		Telephone No.	
		Facsimile No.	
		E-mail address	
2. The International Bureau hereby notifies the applicant that the following change has been recorded concerning:			
☐ the person	☒ the name	☐ the address	☐ the nationality ☐ the residence
Name and Address SMITH, Stephen, Christopher Syngenta Limited Jealotts Hill International Research Center Bracknell, Berkshire RG42 6EY United Kingdom		State of Nationality BE	State of Residence GB
		Telephone No.	
		Facsimile No.	
		E-mail address ☐ Notifications by e-mail authorized	
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☒ the receiving Office	☐ the International Preliminary Examining Authority		
☐ the International Searching Authority	☒ the designated Offices concerned		
☐ the Authority(ies) specified for supplementary search	☐ the elected Offices concerned		
	☐ other:		

The International Bureau of WIPO 34, chemin des Colombettes 1211 Geneva 20, Switzerland	Authorized officer Mondon Catherine e-mail pt06.pct@wipo.int Telephone No. +41 22 338 74 06
Facsimile No. +41 22 338 89 70	

CASTELLANOS & CO LTDA

ABOGADOS
Calle 94 A No. 7 A-09
Bogotá, D.C. – COLOMBIA

Señor

Jefe de la División de Nuevas Creaciones

Superintendencia de Industria y Comercio

Ministerio de Comercio, Desarrollo, Industria y Turismo

E. S. D.

Ref.: **PODER** conferido por la sociedad **SYNGENTA LIMITED**

Yo, **XIMENA CASTELLANOS ABONDANO**, mayor de edad, identificada y domiciliada como aparece al pie de mi firma, atentamente manifiesto a Uds. que sustituyo en la Dra. **MARGARITA CASTELLANOS ABONDANO**, el poder que me confirió la sociedad **SYNGENTA LIMITED**, con domicilio en **European Regional Centre, Priestly Road, Surrey Research Park, Guildford, Surrey GU2 7YH, REINO UNIDO**, debidamente legalizado por Apostilla, bajo el No. **G201564** el día **4 de Julio de 2003**, con las mismas facultades que me fueron conferidas, para tramitar la solicitud de Patente PCT Entrada en Fase Nacional, en Colombia, para: **"HERBICIDAS NOVEDOS"**.

Del Señor Jefe,

Acepto


Ximena Castellanos Abondano
C.C. No. **39.773.330** de Usaquén
T.P.A. No. **58.236** del C.S.J.
Calle 94 A No. 7 A-09 – Bogotá, D.C.
Teléfono: 7560770


Margarita Castellanos Abondano
C.C. No. **39.779.654** de Usaquén
T.P.A. No. **70.819** del C.S.J.
Calle 94 A No. 7 A-09 – Bogotá, D.C.
Teléfono: 7560770

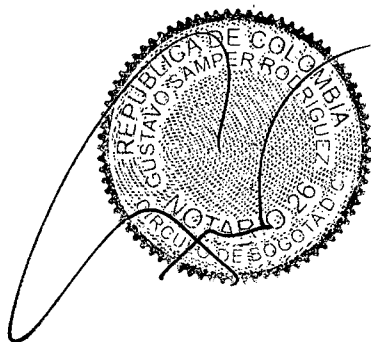
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XCA/MCA/P1891

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Ximena Castellano Abondano
quien se identificó con la C. de C. No. 39A23330
de Uiaque
Tarjeta Profesional No. 58236 CST
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El Notario Veintiseis

Gustavo Samper Rodríguez

Ximena Castellano Abondano

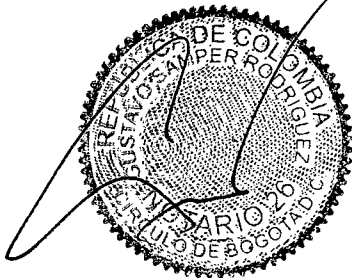


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Mamarrba Castellano Abondano
quien se identificó con la C. de C. No. 39779652
de Bka
Tarjeta Profesional No. 70819 CST
Bogotá, D.C. 29 ENE 2010

El Notario Veintiseis

Gustavo Samper Rodríguez

Mamarrba Castellano Abondano



COLOMBIA

PODER

El (los) SYNGENTA LIMITED
Domiciliados en Priestly Road, Surrey
Research Park, Guildford, Surrey GU2 7YH
Nombran por el presente a XIMENA CASTELLANOS A. y/o
MARGARITA CASTELLANOS A. abogadas con oficinas en
la Carrera 9 No. 93-09, Bogotá-Colombia para

y para obtener la protección de mi (nuestra) propiedad
Industrial y con este fin autorizamos a dichos apoderados para
que nos representen ante cualesquiera autoridades o
personas de los órdenes administrativo, contencioso -
administrativo y judicial en todo lo relacionado con los
siguientes actos y gestiones:

a) Obtención de patentes de invención, modelos y dibujos
industriales; registro de marcas de fábrica, de servicio,
colectivas o defensivas y de denominaciones de origen,
depósito de nombres y enseñanzas comerciales; su renovación,
prórroga, inscripción de traspaso y cambio de nombre o
domicilio, cancelación voluntaria y el registro de licencias de
uso de esos derechos; b) Para la obtención de registros
sanitarios; c) Acciones de oposición, observación,
cancelación, nulidad, medidas cautelares y en general los
juicios civiles, penales, administrativos o contencioso -
administrativos que promovamos o se nos promuevan,
pudiendo en todos los actos y gestiones arriba mencionados
sustituir éste mandato, transigir, desistir, recibir, cancelar,
renunciar, aceptar notificaciones y nombrar apoderados
judiciales y extrajudiciales.

Dado y firmado hoy 2 de Julio del 2003

en Bracknell, Reino Unido

por SYNGENTA LIMITED

(El notario debe suscribir el documento en la pagina siguiente)

(Se requiere legalización consular)

Registered Office:

SYNGENTA LIMITED
EUROPEAN REGIONAL CENTRE
PRIESTLY ROAD
SURREY RESEARCH PARK
GUILDFORD
SURREY GU2 7YH
UNITED KINGDOM

I (we) the undersigned SYNGENTA LIMITED
Of
- PEINO UNIDO

hereby grant(s) Power of Attorney to XIMENA
CASTELLANOS A. and /or MARGARITA CASTELLANOS
a. attorneys residing at Carrera 9 No. 93-09, Bogotá-
Colombia, to

and to obtain the protection of my (our) Industrial Property, for
which purpose we authorize the said attorneys to represent
us before any authorities, or persons, specially those,
administrative, contentious and judicial, in all matters
concerning the following:

a) Obtention of patents, models and designs, registration of
trademarks, service, collective and defensive marks, and of
designations of origin; the deposit of trade names and
ensigns; its renewal, extension, recordal of assignments,
change of name or domicile, voluntary cancellation, and the
registration of licenses of use of the above rights; b) For the
obtention of Sanitary registrations; c) Actions of opposition,
cancellation, nullity, infringement, granting of licenses; and in
general the civil, criminal and administrative suits related to
those rights; actions and suits instituted by us or against us;
and that in all the above matters they may substitute this
power of attorney, compromise, desist, cancel, receive,
renounce, accept notifications and appoint attorneys in fact,
in or out of court.

Given and signed this 2nd JULY 2003 .
in BRACKNELL, UK

by Joanna Chandler.
(Notary shall execute on the other side)

(Consular legalization required)

JOANNA CHANDLER.
AUTHORISED SIGNATORY.

ALVARO CASTELLANOS M. & CIA.

ABOGADOS COLOMBIA

Carrera 9 No. 93-09 - P.O. Box 6742 - Bogotá, Colombia
Tels: (571) 410 73 20 / 26 / 80 - Fax (571) 410 07 06 - email: avcastell@inspex.net.co

Cómo Notario Verificado del Circuito de
Bogotá, hago constar que esta copia
coincide con original que se ha presentado
Bogotá D.C. - Colombia.

29 ENE 2010

JOSE RODRIGUEZ
NOTARIO

XC/am

37367

COLOMBIA

CERTIFICADO NOTARIAL (Sociedad)

Hoy 3 de Jul. del año 2003

compareció (eron) personalmente

a quienes conozco como la(s) persona(s) que firma(n) en representación de la Sociedad (Compañía denominada SYNGENTA LIMITED

- Certifico:
- Que es una sociedad/Compañía legalmente constituida y existente de acuerdo con las leyes de
 - Que el domicilio de dicha Sociedad/Compañía es
 - Que el objeto u objetos para los cuales se otorga el presente poder están comprendidos dentro del objeto social de la mencionada Sociedad/Compañía.
 - Que el Sr. Joanna Chandler está autorizado para otorgar el presente poder. Lo anterior esta probado por medio de los siguientes documentos, que certifico he visto* Estatutos de constitución

(Ciudad) Egham

(Estado) Surrey

Hoy 3 del Julio del 2003

(Notario Público)

*Los documentos debe identificarse con fecha y origen.

NOTARIAL (Corporation)

On this 3rd day of July of 2003 personally appeared Joanna Chandler whom I know to be the person(s) who signed this document as representative(s) of the Corporation /Company named SYNGENTA LTD I certify:

- That Syngenta is a corporation (Company legally constituted and in existence in accordance with the laws of England & Wales
- That the domicile of said Corporation/Company is Guildford UK
- That the purpose or purposes for which the present Power of Attorney is granted are comprised within the object set forth in the charter of the mentioned Corporation/Company.
- That Mr./M^{rs} Joanna Chandler is (are) authorized to grant the present Power of Attorney. The aforementioned was duly proven through the following documents and I duly certify having seen them: Certificate of Incorporation. (City) Egham

(State) Surrey

EXECUTION

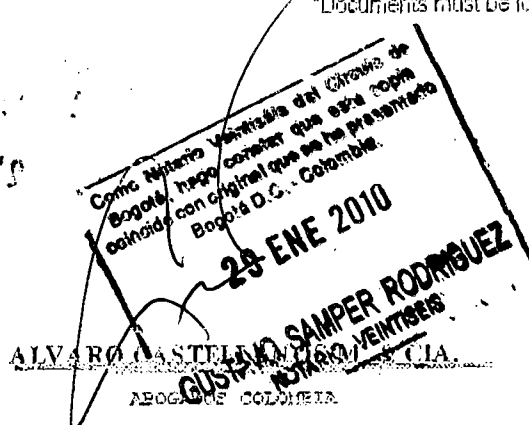
Certified Verified and Authenticated of the Year 2003 of Egham In Surrey this 3rd Day of July 2003

KENNETH GREENWOOD
NOTARY PUBLIC

(Notary Public)

JOHN ELLIOTT AND FREEMAN

*Documents must be identified with date and origin



Carrera 9 No. 53-05 - P.O. Box 3349 - Bogotá, Colombia
Tels.: (571) 616 74 10 / 16 / 86 - Fax (571) 616 07 06 - e-mail: acastell@impro.net.co

XC/cm

APOSTILLE

(Hague Convention of 5 October 1961 / Convention de La Haye du 5 octobre 1961)

UNITED KINGDOM OF GREAT BRITAIN AND NORTHERN IRELAND

1. Country: United Kingdom of Great Britain and Northern Ireland
Pays: Royaume-Uni de Grande-Bretagne et d'Irlande du Nord

This public document / Le présent acte public

2. Has been signed by **K Greenwood**
a été signé par
3. Acting in the capacity of **Notary Public**
agissant en qualité de
4. Bears the seal/stamp of **The Said Notary Public**
est revêtu du sceau/timbre de

5. at London/à Londres

Certified/Attesté

6. the/le **04 July 2003**

7. by Her Majesty's Principal Secretary of State for Foreign and Commonwealth Affairs /
par le Secrétaire d'Etat Principal de Sa Majesté aux Affaires Etrangères et du Commonwealth.

8. Number/sous No **G201564**

9. Stamp:
timbre:

10. Signature: **J. Ireland**



For the Secretary of State / Pour le Secrétaire d'Etat

If this document is to be used in a country which is not party to the Hague Convention of 5 October 1961
it should be presented to the consular section of the mission representing that country.

Como Notario Vientres del Circuito de
Bogotá, hago constar que este copia
coincide con original que se me presentó
Bogotá D.C. - Colombia.

29 ENE 2010

GUSTAVO SAMPER RODRIGUEZ
NOTARIO VIENTRES

-----TRADUCCION OFICIAL No. 03-0718-----

de un documento escrito en INGLES, el cual para su identificación lleva el sello de la Traductora e Intérprete Oficial , según Resoluciones 2755/68 y 01144/97 del Ministerio de Justicia.

(Anexo a un documento de poder de Syngenta Limited)

AUTENTICACIÓN

Certificado, verificado y autenticado en el pueblo de Egham en Surrey

Hoy día 3 de Julio de 2003.

(fdo) ilegible

Kenneth Greenwood – Notario Público

Horne, Engall and Freeman

(Sello en oblea roja)

APOSTILLA

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

- 1. País: Reino Unido de Gran Bretaña e Irlanda del Norte

Este documento público

- 2. ha sido firmado por K. Greenwood
- 3. actuando en su carácter de Notario Público
- 4. lleva el sello de dicho Notario Público

Certificado

- 5. En Londres
- 6. el día 4 de Julio de 2003
- 7. por el Secretario de Estado Principal de Su Majestad para Asuntos Exteriores y de la Comunidad

Como Notario Verificador del Circuito de Bogotá, hago constar que esta copia coincide con original que se ha presentado Bogotá D.C. - Colombia.
29 ENE 2010
GUSTAVO SAMPER RODRIGUEZ
NOTARIO

DOCA. DUQUE DE BERNAL
TRANSCRIPCION OFICIAL
RES. 01144/97 - 01144/97
REJ. DE ALICIA

8 No. G201564

9. Sello

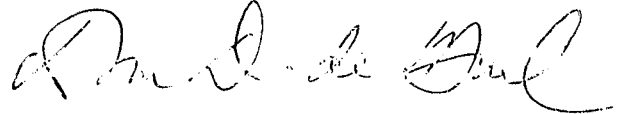
10. Firma: J. Ireland

(fdo) ilegible

Por el Secretario de Estado

ES TRADUCCION FIEL Y COMPLETA, a la cual me remito,

Bogotá, D. C., Julio 24, 2003



DORA DUQUE DE BERNAL

TRADUCTORA E INTERPRETE OFICIAL

BOGOTÁ, D.C. 1973 / 62 Y 01144/97 - MINJUSTICIA

PER. DE COLOMBIA

Como Notario Verificó el Censo de
Bogotá, luego constar que este documento
coincide con original que se ha presentado
Bogotá D.C. - Colombia.

29 ENE 2010

GUSTAVO SAMPER RODRIGUEZ
NOTARIO VEINTISEIS

17485

MINISTERIO DE RELACIONES
EXTERIORES

Para hacer

049710

SEMA INTERCE EN EL
VENECIA CAMPENA
DUCADAS

Como Notario Venticéis del Circuito de
Bogotá, hago constar que esta copia
coincide con original que se ha presentado
Bogotá D.C. - Colombia.

29 ENE 2010

GUSTAVO SAMPER RODRIGUEZ
NOTARIO VENTISEIS

NO SE ASUME
RESPONSABILIDAD DEL TEXTO

2003 JUL 25 P 12:02

JEFE DE LEGALIZACIONES
SANTAFE DE BOGOTA D.C.

Handwritten signature and stamp

357

SUPERINTENDENCIA DE INDUSTRIA Y COMERCIO



No. 10-009280- -00000-0000

Fecha: 2010-01-29 14:56:34 Dep. 2020 DIR.NUEVASCR
Ira. 11 PATENTEIYII Eve: 378 FASENACIONALI
Act. 411 PRESENTACION Folios: 357

SUPERINTENDENCIA DE INDUSTRIA Y COMERCIO

NIT : 800176089-2

RECIBO OFICIAL DE CAJA : 10 - 6,829
FECHA : ENERO 29 DE 2010

***** CONSIGNACION *****

DEPOSITANTE	TIPO PAGO	BANCO	CUENTA	No. PAGO	FECHA PGO	Vr. PAGO
ALVARO CASTELLANOS	CONSIGNACION	BANCO DE BOGOTA	062754387	175518210	29/01/2010	1,984,040.00

***** CONCEPTO *****

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

SON: QUINIENTOS CINCUENTA Y CUATRO MIL PESOS

RESPONSABLE :

RECIBO DE CAJA APLICADO AL EXPEDIENTE No. _____

358

SUPERINTENDENCIA DE INDUSTRIA Y COMERCIO



No. 10-009280- -00000-0000

Fecha: 2010-01-29 14:56:34 Dep. 2020 DIR.NULVASCH
Tra. 11 PATENTEIYII Eve: 378 FASENACIONALI
Act. 411 PRESENTACION Folios: 357

SUPERINTENDENCIA DE INDUSTRIA Y COMERCIO

NIT : 800176089-2

RECIBO OFICIAL DE CAJA : 10 - 6,830
FECHA : ENERO 29 DE 2010

***** CONSIGNACION *****

DEPOSITANTE	TIPO PAGO	BANCO	CUENTA	Nº. PAGO	FECHA PGO	Vr. PAGO
ALVARO CASTELLANOS	CONSIGNACION	BANCO DE BOGOTA	062754397	175518210	29/01/2010	1,984,040.00

***** CONCEPTO *****

CANT.	RENTISTICO	CONCEPTO	TOTAL CONCEPTO
5	50005-01-01 SOLICITUDES	*** REIVINDICACION UNITARIA ADICIONAL	130,000.00
		TOTAL :	\$ 130,000.00

SON: CIENTO TREINTA MIL PESOS

RESPONSABLE :

RECIBO DE CAJA APLICADO AL EXPEDIENTE No. _____