SUPERINTENDENCIA DE INDUSTRIA Y COMERCIO
No. 11-163118- -00000-0000
Fecha: 2011-11-28 15:12:15 Dep. 2020 DIR.NUEVASCR
Tra. 11 PATENTEIYII Eve: 378 FASENACIONALI
Act. 411 PRESENTACION Folios: 382

Industria y Comercio
SUPERINTENDENCIA
República de Colombia
Ministerio de Comercio, Industria y Turismo

PROPIEDAD INDUSTRIAL

Delegatura de Propiedad Industrial
División de Nuevas Creaciones

SOLICITUD DE

PATENTE DE INVENCION
PCT ENTRADA EN FASE NACIONAL

21. EXPEDIENTE No. _____

54. TITULO: **QUINAZOLINAS SUSTIUTIDAS COMO FUNGICIDAS**

52. CLASIFICACION INTERNACIONAL: **C07D 401/04, A01N 43/54, A01P 3/00**

71. SOLICITANTE: **SYNGENTA PARTICIPATIONS AG**

DOMICILIO: **Schwarzwaldallee 215, CH-4058 Basilea – SUIZA**

74. APODERADO: **Dra. MARGARITA CASTELLANOS A.**

22. BOGOTA, D.C., _____

Industria y Comercio
SUPERINTENDENCIA

PETITORIO

SUPERINTENDENCIA DE INDUSTRIA Y COMERCIO

No. 11-163118- -00000-0000

Fecha: 2011-11-28 15:12:15 Dep: 2020 DIR NUEVASCR
Tra. 11 PATENTEIYII Eve: 378 FASENACIONALI
Act. 411 PRESENTACION Folios: 382

FORMULARIO UNICO DE SOLICITUD DE PATENTES
PCT
ENTRADA EN FASE NACIONAL

SOLICITUD DE:

(1)

[X] Patente de Invención. [ ] Patente de Modelo de Utilidad.

[X] Capítulo I [ ] Capítulo II

(2) **SOLICITANTE (71)**	Nombre: **SYNGENTA PARTICIPATIONS AG** Dirección: **Schwarzwaldallee 215, CH-4058 Basilea - SUIZA** Nacionalidad o Domicilio: **Basilea - SUIZA** Lugar de Constitución: **SUIZA** Teléfono: Fax: E-mail:	**IDENTIFICACIÓN** C.C. [ ] NIT [ ] C.E. [ ] Otro [ ] Cual Número
(3) **REPRESENTANTE O APODERADO**	Nombre: **MARGARITA CASTELLANOS A.** Dirección: **CALLE 94A No. 7A-09** Teléfono: **756 0770** Fax: **755 0158** E-mail: info@castellanosyco.com	**IDENTIFICACIÓN** C.C. [X] NIT [ ] C.E. [ ] Otro [ ] Cual Número **39'779.654** TPA **70.819**
(4) **INVENTOR (ES) (72)**	**(VER ANEXO # 1)**	

(5) **PCT (86)**

Solicitud Internacional No. **PCT/EP2010/057220** Fecha **26/05/2010**

Publicación Internacional No. **WO 2010/136475 A1** Fecha **02/12/2010**

(6) **Título (54)** **QUINAZOLINAS SUSTITUIDAS COMO FUNGICIDAS**

(7) **Clasificación Internacional (51)** C07D 401/04, A01N 43/54, A01P 3/00

(8) **Prioridad** SI [X] NO [ ]

(33) País de Origen	(32) Fecha	(31) Número de Solicitud
IN	29/05/2009	1102/DEL/2009

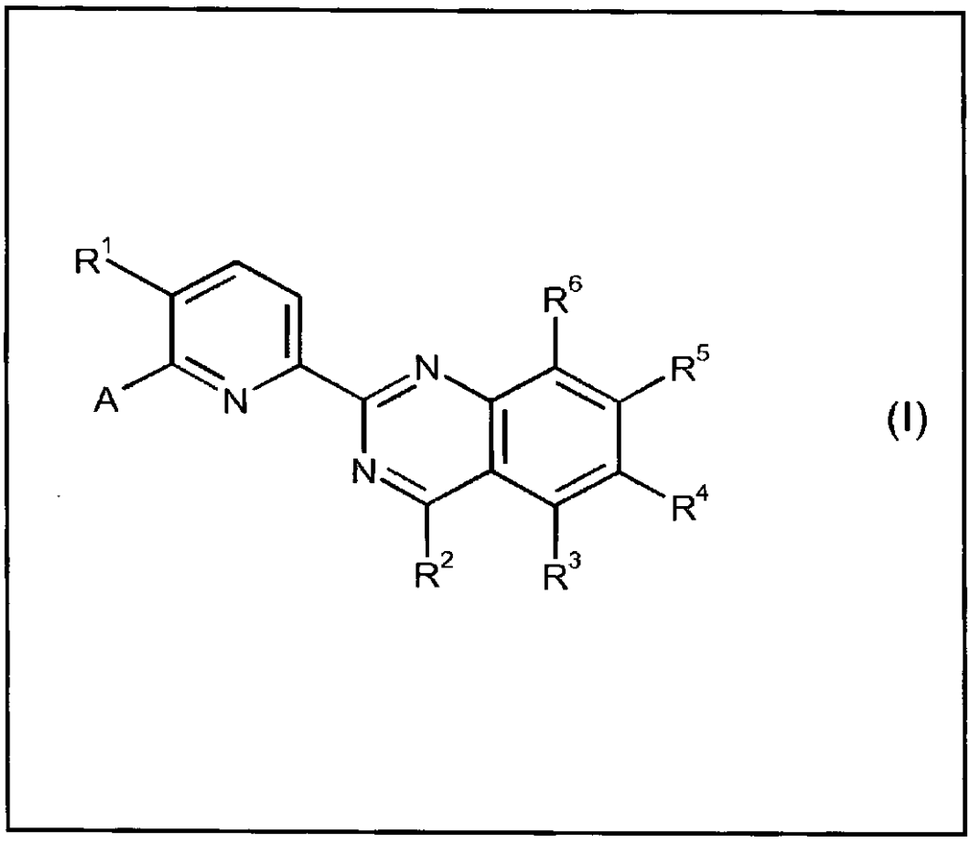
(9) **Comprobante de pago No.** 11-115590 y 11-115791 **Fecha** Noviembre 28 de 2011

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

(10) Anexos

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

(11) FIGURA CARACTERISTICA:



(I)

(12) Solicito la concesión de la patente,

NOMBRE: MARGARITA CASTELLANOS ABONDANO

FIRMA:

C.C. No. 39'779.654 Usaquén - TPA 70.819 C.S.J.

28 NOV. 2011

Instrucciones para el diligenciamiento del presente formulario, ver reverso de esta página. - MCA/gcb - P2367

CASTELLANOS & CO LTDA
ABOGADOS
Calle 94 A No. 7 A-09
Bogotá, D.C. – COLOMBIA

ANEXO # 1
INVENTORES

1. **LAURA QUARANTA**
   Syngenta Crop Protection Münchwilen AG
   Schaffhauserstrasse
   CH-4332 Stein
   SUIZA

2. **CLEMENS LAMBERTH**
   Syngenta Crop Protection Münchwilen AG
   Schaffhauserstrasse
   CH-4332 Stein
   SUIZA

3. **DAVID GUILLAUME CLAUDE FRANÇOIS LEFRANC**
   Syngenta Crop Protection Münchwilen AG
   Schaffhauserstrasse
   CH-4332 Stein
   SUIZA

4. **JAYANT UMARYE**
   Syngenta Bioscience Pvt. Limited
   Santa Monica Works
   Colim, Ilhas
   Goa 403110
   INDIA

5. **PETER RENOLD**
   Syngenta Crop Protection Münchwilen AG
   Schaffhauserstrasse
   CH-4332 Stein
   SUIZA

6. **ANDREW EDMUNDS**
   Syngenta Crop Protection Münchwilen AG
   Schaffhauserstrasse
   CH-4332 Stein
   SUIZA

7. **MARTIN POULIOT**
   Syngenta Crop Protection Münchwilen AG
   Schaffhauserstrasse
   CH-4332 Stein
   SUIZA

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COLOMBIA

PODER

El (los) Gregory W. Warren
SYNGENTA PARTICIPATIONS AG

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 fabrica, de servicio, colectivas o defensivas y de denominaciones de origen, depósito de nombres y enseñas 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 24 de Marzo de 2004

en Research Triangle Park, Carolina del Norte 27709
por Gregory W. Warren

(El notario debe suscribir el documento en la pagina siguiente)

(Se requiere legalización consular)

POWER OF ATTORNEY

I (we) the undersigned Gregory W. Warren
Syngenta Participations AG

hereby grant(s) Power of Attorney to **XIMENA CASTELLANOS A. and /or MARGARITA CASTELLANOS** a. attorneys residing at Carrera 9 No. 93-09, Bogota- Colombia, to prosecute
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 24th March 2004

in Research Triangle Park, North Carolina 27709

by Gregory W. Warren Gregory W. Warren

(Notary shall execute on the other side)

(Consular legalization required)

Como Notario Veintiséis del Circulo de Bogotá, hago constar que esta copia coincide con original que se ha presentado
Bogotá D.C. - Colombia.

28 NOV 2011

GUSTAVO SAMPER RODRIGUEZ
NOTARIO VEINTISEIS

ALVARO CASTELLANOS M. & CIA.
ABOGADOS COLOMBIA

Carrera 9 No. 93-09- P.O.Box 6349 - Bogotá, Colombia
Téls.: (571) 610 74 20 / 60 / 80 - Fax (571) 610 07 06 - e-mail acastell@impsat.net.co

XC/cm

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COLOMBIA

CERTIFICADO NOTARIAL (Sociedad)

Hoy 24 de Marzo del año 2004.
compareció (eron) personalmente Gregory W. Warren
a quienes conozco como la(s) personas que firma(n) en representación de la Sociedad (Compañía denominada
Certifico: Syngenta Participations AG
a) Que es una sociedad/Compañía legalmente constituida y existente de acuerdo con las leyes de
b) Que el domicilio de dicha Sociedad/Compañía es Basel, Suiza
c) Que el objeto u objetos para los cuales se otorga el presente poder están comprendidos deniro del objeto social de la mencionada Sociedad /Compañía.
d) Que el Sr. Gregory W. Warren
esta autorizado para otorgar el presente poder. Lo anterior esta probado por medio de los siguientes documentos, que certifico he visto*

(Ciudad) REsearch Triangle Park

(Estado) Carolina del Norte 27709

Hoy del 24 de Marzo de 2004

(Notario Público) LANEISHA C. HAYES

*Los documentos debe identificarse con fecha y origen.

NOTARIAL (Corporation)

On this 24th day of March of 2004 personally appeared Gregory W. Warren whom I know to be the person(s) who signed this document as representative(s) of the Corporation /Company named Syngenta Participations AG
I certify:
a) That Syngenta Participations AG is a corporation (Company legally constituted and in existence in accordance with the laws of Basel, Switzerland
b) That the domicile of said Corporation/Company is Basel, Switzerland
c) 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.
d) That Mr. Gregory W. Warren
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*: on the 24th day of March, 2004 in Research Triangle Park, North Carolina 27709.

(City) Research Triangle Park

(State) North Carolina, 27709

this 24th day of March of the year 2004

(Notary Public) Laneisha C. Hayes

*Documents must be identified with date and origin
3/24/04 - Research Triangle Park, North Carolina 27709 - Syngenta Biotech, Inc.

LANEISHA C. HAYES NOTARY PUBLIC WAKE COUNTY, N.C.

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Bogotá D.C. Colombia.
28 NOV 2011
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NOTARIO VEINTISEIS

ALVARO CASTELLANOS M. & CIA.
ABOGADOS COLOMBIA
Carrera 9 No. 93-09- P.O.Box 6349 - Bogotá, Colombia
Tels.: (571) 610 74 20 / 60 / 80 - Fax (571) 610 07 06 - e-mail acastell@impsat.net.co

Wake County Register of Deeds
Post Office Box 1897
Raleigh, North Carolina 27602-1897

Laura M. Riddick
Register Of Deeds

STATE OF NORTH CAROLINA

WAKE COUNTY

CERTIFICATE OF REGISTER OF DEEDS THAT NOTARY PUBLIC WAS ACTING NOTARY AT TIME OF SIGNATURE

I, LAURA M. RIDDICK, REGISTER OF DEEDS OF THE AFORESAID COUNTY AND STATE, DO HEREBY CERTIFY THAT Laneisha C. Hayes WAS, AT THE TIME OF SIGNING THE FOREGOING (OR ANNEXED) CERTIFICATE, A DULY COMMISSIONED AND QUALIFIED NOTARY PUBLIC IN AND FOR THE COUNTY OF WAKE, STATE OF NORTH CAROLINA, AND AS SUCH FULL FAITH AND CREDIT IS DUE TO HIS OFFICIAL ACTS; AND, FURTHER, THAT HIS SIGNATURE THERETO IS IN HIS OWN PROPER HANDWRITING.

IN TESTIMONY WHEREOF, I HAVE HEREUNTO SET MY HAND AND SEAL OF OFFICE THIS, THE 30TH DAY OF MARCH, 2004.

Laura M. Riddick
REGISTER OF DEEDS

(SEAL) LAURA M. RIDDICK * REGISTER OF DEEDS SEAL * WAKE COUNTY, N.C.

DEPUTY / ~~ASSISTANT~~

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NOTARIO VEINTISEIS

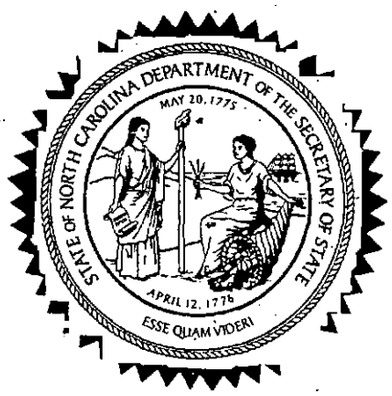
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APOSTILLE
(Convention de La Haye 5 octobre 1961)

1. COUNTRY: **UNITED STATES OF AMERICA**
2. This Public Document has been signed by CARLA N. BROWN
3. acting in the capacity of DEPUTY REGISTER OF DEEDS ~~LAURA M. RIDDICK, REGISTER OF DEEDS~~
4. bears the seal/stamp of COUNTY OF WAKE, NORTH CAROLINA

CERTIFIED

5. at Raleigh, North Carolina
6. the 1ST DAY OF APRIL, 2004
7. by Deputy Secretary of State, State of North Carolina
8. No. 8117
9. Seal/Stamp:



10. Signature

Rodney S. Maddox

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GUSTAVO SAMPER RODRIGUEZ
NOTARIO VEINTISEIS

----------TRADUCCION OFICIAL No. -040417----------

de un documento escrito en INGLES, el cual para su identificación lleva el sello de la Traductora e Intérprete oficial, Res. 2755/68 y 01144/97 de Minjusticia, al igual que la presente.----------

----------(Anexos a un poder otorgado por Syngenta Participations AG)

(fdo) illegible

3/24/04 Research Triangle Park, NC 27709

ESTADO DE CAROLINA DEL NORTE

CONDADO DE WAKE

Yo, Laura M.Riddick, Registrador de Escrituras del condado y estado antes mencionados, certifico por medio del presente que Laneisha C. Hayes, al momento de firmar este documento era un Notario Público debidamente comisionado y habilitado en y para el Condado de Wake, Estado de Carolina del Norte, y como tal debe dares plena fe y crédito a sus actos oficiales, y además, que su firma en el mismo es de su propia letra.

En testimonio de lo cual, he impuesto aquí mi firma y sello de oficio, hoy día 30 de Marzo de 2004.

Laura M. Riddick, Registrador de Escrituras

Por (fdo) ilegible

Como Notario Veintiséis del Círculo de Bogotá, hago constar que esta copia coincide con original que se ha presentado
Bogotá D.C. Colombia.
28 NOV 2011
GUSTAVO SAMPER RODRIGUEZ
NOTARIO VEINTISEIS

DORA DUQUE DE BERNAL
TRADUCTORA E INTÉRPRETE OFICIAL
RES. 02[illegible] Y 01144/97 - MINJUSTICIA
REP. DE COLOMBIA

Delegado

APOSTILLA

(Convención de La Haya de octubre 5, 1961)

1. País: Estados Unidos de América

2. Este documento público ha sido firmado por CARLA N. BROWN

3. actuando en su carácter de Delegado del Registrador de Escrituras

4. lleva el sello de Laura M. Riddick, Registrador de Escrituras

Condado de Wake, Carolina del Norte

CERTIFICADO

5. En Raleigh.Carolina del Norte

6. el día 1 de Abril de 2004

7. por el Secretario de Estado Delegado, Estado de Carolina del Norte

8. No. 8117

9. Sello: (sello en oblea ocre)

10. Firma

(fdo) Rodney S. Maddox

ES TRADUCCION FIEL Y COMPLETA, a la cual me remito,

Bogotá, Abril 15, 2004

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Bogotá D.C. Colombia.
28 NOV 2011
GUSTAVO SAMPER RODRIGUEZ
NOTARIO VEINTISEIS

DORA DUQUE DE BERNAL
TRADUCTORA E INTERPRETE OFICIAL
RES. 02755/68 Y 01144/97 - MINJUSTICIA
REP. DE COLOMBIA

NOTARIA VEINTISEIS

EN BLANCO
NOTARIA VEINTISEIS

EN BLANCO
NOTARIA VEINTISEIS

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Bogotá D.C., Colombia.

28 NOV 2011

GUSTAVO SAMPER RODRIGUEZ
NOTARIO VEINTISEIS

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International Bureau

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2 December 2010 (02.12.2010)

PCT

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26 May 2010 (26.05.2010)

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(30) Priority Data:
1102/DEL/2009 29 May 2009 (29.05.2009) IN

(71) Applicant *(for all designated States except US)*: **SYNGENTA PARTICIPATIONS AG** [CH/CH]; Schwarzwaldallee 215, CH-4058 Basel (CH).

(72) Inventors; and

(75) Inventors/Applicants *(for US only)*: **QUARANTA, Laura** [IT/CH]; Syngenta Crop Protection Münchwilen AG, Schaffhauserstrasse, CH-4332 Stein (CH). **LAMBERTH, Clemens** [DE/CH]; Syngenta Crop Protection, Münchwilen AG, Schaffhauserstrasse, CH-Stein 4332 (CH). **LEFRANC, David, Guillaume, Claude, François** [FR/CH]; Syngenta Crop Protection Münchwilen AG, Schaffhauserstrasse, CH-Stein 4332 (CH). **UMARYE, Jayant** [IN/IN]; Syngenta Biosciences Pvt. Limited, Santa Monica Works, Corlim, Ilhas, Goa 403110 (IN). **RENOLD, Peter** [CH/CH]; Syngenta Crop Protection Münchwilen AG, Schaffhauserstrasse, CH-4332 Stein (CH). **EDMUNDS, Andrew** [GB/CH]; Syngenta Crop Protection Münchwilen AG, Schaffhauserstrasse, CH-4332 Stein (CH). **POULIOT, Martin** [CA/CH]; Syngenta Crop Protection Münchwilen AG, Schaffhauserstrasse, CH-4332 Stein (CH).

(74) Agent: **HERRMANN, Joerg**; Syngenta Crop Protection Münchwilen AG, Patent Department, Schaffhauserstrasse, CH-4332 Stein (CH).

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

(84) Designated States *(unless otherwise indicated, for every kind of regional protection available)*: ARIPO (BW, GH, GM, KE, LR, LS, MW, MZ, NA, SD, SL, SZ, TZ, UG, ZM, ZW), Eurasian (AM, AZ, BY, KG, KZ, MD, RU, TJ, TM), European (AL, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HR, HU, IE, IS, IT, LT, LU, LV, MC, MK, MT, NL, NO, PL, PT, RO, SE, SI, SK, SM, TR), OAPI (BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG).

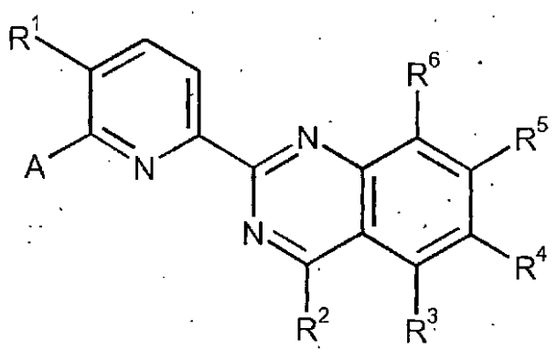
Declarations under Rule 4.17:

— *as to applicant's entitlement to apply for and be granted a patent (Rule 4.17(ii))*

Published:

— *with international search report (Art. 21(3))*

(54) Title: SUBSTITUTED QUINAZOLINES AS FUNGICIDES



(I)

(57) Abstract: The present invention relates to a compound of formula (I) wherein wherein the substituents have the definitions as defined in claim 1 or a salt or a N-oxide thereof, their use and methods for the control and/or prevention of microbial infection, particularly fungal infection, in plants and to processes for the preparation of these compounds.

WO 2010/136475 A1

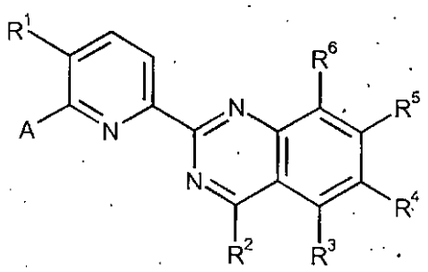
SUBSTITUTED QUINAZOLINES AS FUNGICIDES

The present invention relates to novel quinazoline containing compounds, their use in compositions and methods for the control and/or prevention of microbial infection, particularly fungal infection, in plants and to processes for the preparation of these compounds.

The incidence of serious microbial infections, particularly fungal infections, either systemic or topical, continues to increase for plants.

Fungicides are compounds, of natural or synthetic origin, which act to protect plants against damage caused by fungi. Current methods of agriculture rely heavily on the use of fungicides. In fact, some crops cannot be grown usefully without the use of fungicides. Using fungicides allows a grower to increase the yield of the crop and consequently, increase the value of the crop. Numerous fungicidal agents have been developed. However, the treatment of fungal infestations continues to be a major problem. Furthermore, fungicide resistance has become a serious problem, rendering these agents ineffective for some agricultural uses. As such, a need exists for the development of new fungicidal compounds.

Accordingly, the present invention provides a compound of formula I:



(I)

wherein:

R^1 is hydrogen, hydroxyl, halo, cyano, C_{1-8} alkyl, C_{1-8} haloalkyl, C_{1-8} alkoxy, C_{1-8} haloalkoxy, C_{1-8} alkylthio or C_{3-10} cycloalkyl;

R^2 is hydrogen, hydroxyl, halo, C_{1-8} alkyl, C_{3-10} cycloalkyl C_{1-8} alkoxy, C_{1-8} alkenyloxy or C_{1-8} alkynyloxy;

R^3, R^4, R^5 and R^6 are, independently, hydrogen, hydroxyl, halo, cyano, nitro, amino, mono- and bis-C_{1-8} alkyl amino, C_{1-8} alkyl, C_{2-8} alkenyl, C_{2-8} alkynyl, C_{1-8} haloalkyl, C_{1-8} alkoxy, C_{1-8} haloalkoxy, C_{1-8} alkylthio or C_{3-10} cycloalkyl;

A is halo, C_{1-10} alkyl, C_{2-10} alkenyl, C_{2-10} alkynyl, C_{1-8} haloalkyl, C_{1-8} alkoxy, C_{3-10} cycloalkyl, C_{3-10} cycloalkyloxy, aryl, arylalkyl, aryloxy, arylalkyloxy or arylthio; preferably A is halo, C_{1-8} alkyl, C_{2-8} alkenyl, C_{2-8} alkynyl, C_{1-8} haloalkyl, C_{1-8} alkoxy, C_{3-10} cycloalkyl, C_{3-10} cycloalkyloxy, aryl, arylalkyl, aryloxy, arylalkyloxy or arylthio;

or a salt or a N-oxide thereof, provided that if A is methyl and each R^1, R^3, R^4, R^5 and R^6 is hydrogen R^2 is not chlorine.

Unless otherwise stated, the substituents are unsubstituted or substituted, preferably the substituents are unsubstituted or substituted by the substituents given below. Unless otherwise stated, the following terms used in the specification and claims have the meanings given below:

"Alkyl" means a linear saturated monovalent hydrocarbon radical of one to eight carbon atoms or a branched saturated monovalent hydrocarbon radical of three to ten carbon atoms, or the number of carbon atoms as indicated, e.g. methyl, ethyl, *n*-propyl, *iso*-propyl, *n*-butyl, *sec*-butyl, *iso*-butyl, *tert*-butyl, *n*-pentyl, *iso*-amyl, *n*-hexyl and the like. It is noted that this definition applies both when the term is used alone and when it is used as part of a compound term, such as "haloalkyl" and similar terms. Preferably, linear alkyl groups contain one to six carbon atoms, more preferably one to three carbon atoms and most preferably are selected from methyl, ethyl or *n*-propyl. Preferably, branched alkyl groups contain three to six carbon atoms and more preferably are selected from *iso*-propyl (1-methylethyl), *sec*-butyl (1-methylpropyl), *iso*-butyl (2-methylpropyl), *tert*-butyl (1,1-dimethylethyl) or *iso*-amyl (3-methylbutyl).

"Cycloalkyl" means a monovalent cyclic hydrocarbon radical of three to eight ring carbons and, more preferably, three to six ring carbons. Cycloalkyl groups are fully

saturated. Preferably, cycloalkyl groups are selected from cyclopropyl, cyclobutyl, cyclopentyl and cyclohexyl.

"Heterocyclic" means a heterocyclic moiety containing at least one atom of carbon, and at least one element other than carbon, such as sulfur, oxygen or nitrogen within a ring structure. These structures may comprise either simple aromatic rings or non-aromatic rings. Some examples are pyridine, pyrimidine and dioxane.

"Alkenyl" means a linear monovalent saturated hydrocarbon radical of two to eight carbon atoms, or a branched monovalent hydrocarbon radical of three to eight carbon atoms containing at least one double bond, e.g. ethenyl, propenyl and the like. Where appropriate, an alkenyl group can be of either the (E)- or (Z)-configuration. Preferably, linear alkenyl groups contain two to six carbon atoms and more preferably are selected from ethenyl, prop-1-enyl, prop-2-enyl, prop-1,2-dienyl, but-1-enyl, but-2-enyl, but-3-enyl, but-1,2-dienyl, but-1,3-dienyl, pent-1-enyl, pent-3-enyl and hex-1-enyl. Preferably, branched alkenyl groups contain three to six carbon atoms and more preferably are selected from 1-methylethenyl, 1-methylprop-1-enyl, 1-methylprop-2-enyl, 2-methylprop-1-enyl, 2-methylprop-2-enyl and 4-methyl-pent-3-enyl.

"Cycloalkenyl" means a monovalent cyclic hydrocarbon radical of three to eight ring carbons and, more preferably, three to six ring carbons containing at least one double bond. Preferably, cycloalkenyl groups are selected from cyclopropenyl, cyclobutenyl, cyclopentenyl and cyclohexenyl.

"Alkynyl" means a linear monovalent saturated hydrocarbon radical of two to eight carbon atoms, or a branched monovalent hydrocarbon radical of five to eight carbon atoms, containing at least one triple bond, e.g. ethynyl, propynyl and the like. Preferably, linear alkynyl groups contain two to six carbon atoms and more preferably are selected from ethynyl, prop-1-ynyl, prop-2-ynyl, but-1-ynyl, but-2-ynyl and but-3-ynyl. Preferably, branched alkynyl groups contain four to six carbon atoms and more preferably are selected from 1-methylprop-2-ynyl, 3-methylbut-1-ynyl, 1-methylbut-2-ynyl, 1-methylbut-3-ynyl and 1-methylbut-3-ynyl.

"Alkoxy" means a radical -OR, where R is alkyl, alkenyl or alkynyl as defined above and, preferably, wherein R is alkyl. Alkoxy groups include, but are not limited to, methoxy, ethoxy, 1-methylethoxy, propoxy, butoxy, 1-methylpropoxy and 2-methylpropoxy. Preferably alkoxy means methoxy or ethoxy.

"Alkenoxy" means a radical -OR, where R is alkenyl as defined above.

"Alkynoxy" means a radical -OR, where R is alkynyl as defined above.

"Cycloalkyloxy" means a radical -OR, where R is cycloalkyl as defined above.

"Alkoxyalkyl" means a radical -ROR, where each R is, independently, alkyl as defined above

"Aryl" or "aromatic ring moiety" refers to an aromatic substituent which may be a single ring or multiple rings which are fused together, linked covalently, thus aryl groups derived from arenes by removal of a hydrogen atom from a ring carbon atom, and arenes are monoyclic and polycyclic aromatic hydrocarbons. The term "Aryl" may mean substituted or unsubstituted aryl unless otherwise indicated and hence the aryl moieties may be unsubstituted or substituted with one or more of the same or different substituents. Representative examples of aryl include, for example, phenyl, naphthyl, azulenyl, indanyl, indenyl, anthracenyl, phenanthrenyl, tetrahydronaphthyl, biphenyl, diphenylmethyl and 2,2-diphenyl-1-ethyl. therefore

Suitably, substituents for "aryl" groups may be selected from the list including aryl, cycloalkyl, cycloalkenyl and heterocyclic moiety containing at least one atom of carbon, and at least one element other than carbon, such as sulfur, oxygen or nitrogen within a ring structure, halogen, alkyl, haloalkyl, cycloalkyl, cycloalkylalkyl, alkenyl, haloalkenyl, cycloalkenyl, alkynyl, haloalkynyl, alkoxy, haloalkoxy, cycloalkyloxy, haloalkenyloxy, haloalkynyloxy, alkylthio, haloalkylthio, cycloalkylthio, alkylcarbonyl, haloalkylcarbonyl, cycloalkylcarbonyl, alkenylcarbonyl, alkynylcarbonyl, formyl, alkoxyalkyl, cyano, nitro, hydroxy, mercapto, amino, alkylamino, dialkylamino, -C(O)(C_{1-4} alkoxy), $-C(O)NH_2$, $-C(O)NH(C_{1-4}$ alkyl), $-C(O)N(C_{1-4}$ alkyl)(C_{1-4} alkyl), $-OC(O)NH(C_{1-4}$ alkyl), $-OC(O)N(C_{1-4}$

alkyl)(C_{1-4} alkyl),–NHC(O)(C_{1-4} alkyl),- NHC(O)(C_{1-4} alkoxy), -N(C_{1-4} alkyl)C(O)(C_{1-4} alkyl), -N(C_{1-4} alkyl)C(O)(C_{1-4} alkoxy), -OC(O) (C_{1-4} alkyl), -OC(O)(C_{1-4} alkoxy), -Si(C_{1-4} alkyl)$_3$, -Si(C_{1-4} alkoxy)$_3$, and aryloxy. Preferred substituents are aryl, cycloalkyl, cycloalkenyl and heterocyclic moiety containing at least one atom of carbon, and at least one element other than carbon, such as sulfur, oxygen or nitrogen within a ring structure, alkyl, alkenyl, alkynyl, cycloalkyl, halo, haloalkyl, alkoxy, haloalkoxy, nitro and cyano and are more preferably halogen (in particular, fluoro or chloro), cyano, alkyl (in particular, methyl and ethyl), haloalkyl (in particular, trifluoromethyl), alkoxy (in particular, methoxy or ethoxy) and haloalkoxy.

The aryl, cycloalkyl, cycloalkenyl or heterocyclic substituent of the aryl, cycloalkyl, cycloalkenyl or heterocyclic group may be unsubstituted or further substituted, wherein the substituents are selected from the list including halogen, alkyl, haloalkyl, cycloalkyl, cycloalkylalkyl, alkenyl, haloalkenyl, cycloalkenyl, alkynyl, haloalkynyl, alkoxy, haloalkoxy, cycloalkyloxy, haloalkenyloxy, haloalkynyloxy, alkylthio, haloalkylthio, cycloalkylthio, alkylcarbonyl, haloalkylcarbonyl, cycloalkylcarbonyl, alkenylcarbonyl, alkynylcarbonyl, alkoxycarbonyl, alkoxyalkyl, cyano, nitro, hydroxy, mercapto, amino, alkylamino and dialkylamino. Preferred aryl substituent of the aryl group may be be unsbstituted aryl or aryl substituted by substituents selected from the list including halogen, alkyl, alkenyl, alkynyl, cycloalkyl, halo, haloalkyl, alkoxy, haloalkoxy and cyano and are more preferably halogen (in particular, fluoro or chloro), cyano, alkyl (in particular, methyl and ethyl), haloalkyl (in particular, trifluoromethyl), alkoxy (in particular, methoxy or ethoxy) and haloalkoxy.

Typical examples for unsubstituted or substituted aryl include 2-fluorophenyl, 3-fluorophenyl, 4-fluorophenyl, 2-chlorophenyl, 3-chlorophenyl, 4-chlorophenyl, 2-bromophenyl, 3-bromophenyl, 4-bromophenyl, 2-methylphenyl, 3-methylphenyl, 4-methylphenyl, 2-methoxyphenyl, 3-methoxyphenyl, 4-methoxyphenyl, 2-cyanophenyl, 3-cyanophenyl, 4-cyanophenyl, 2-trifluoromethylphenyl, 3-trifluoromethylphenyl, 4-trifluoromethylphenyl, 2-trifluoromethoxyphenyl, 3-trifluoromethoxyphenyl, 4-trifluoromethoxyphenyl, 2,3-difluorophenyl, 2,4-difluorophenyl, 2,5-difluorophenyl, 2,6-difluorophenyl, 3,4-difluorophenyl, 3,5-difluorophenyl, 2,3-dichlorophenyl, 2,4-dichlorophenyl, 2,5-dichlorophenyl, 2,6-dichlorophenyl, 3,4-dichlorophenyl, 3,5-

dichlorophenyl, 2,3-dibromophenyl, 2,4-dibromophenyl, 2,5-dibromophenyl, 2,6-dibromophenyl, 3,4-dibromophenyl, 3,5-dibromophenyl, 2,3-dimethylphenyl, 2,4-dimethylphenyl, 2,5-dimethylphenyl, 2,6-dimethylphenyl, 3,4-dimethylphenyl, 3,5-dimethylphenyl, 2,3-dimethoxyphenyl, 2,4-dimethoxyphenyl, 2,5-dimethoxyphenyl, 2,6-dimethoxyphenyl, 3,4-dimethoxyphenyl, 3,5-dimethoxyphenyl, 2,3-dicyanophenyl, 2,4-dicyanophenyl, 2,5-dicyanophenyl, 2,6-dicyanophenyl, 3,4-dicyanophenyl, 3,5-dicyanophenyl, 2,3-di(trifluoromethyl)phenyl, 2,4-di(trifluoromethyl)phenyl, 2,5-di(trifluoromethyl)phenyl, 2,6-di(trifluoromethyl)phenyl, 3,4-di(trifluoromethyl)phenyl, 3,5-di(trifluoromethyl)phenyl, 2,3-di(trifluoromethoxy)phenyl, 2,4-di(trifluoromethoxy)phenyl, 2,5-di(trifluoromethoxy)phenyl, 2,6-di(trifluoromethoxy)phenyl, 3,4-di(trifluoromethoxy)phenyl, 3,5-di(trifluoromethoxy)phenyl, 4-chloro-3-fluorophenyl, 3-fluoro-4-methylphenyl, 3-fluoro-4-methoxyphenyl, 3-chloro-4-fluorophenyl, 3-chloro-4-methylphenyl, 3-chloro-4-methoxyphenyl, 4-fluoro-3-methylphenyl, 4-chloro-3-methylphenyl, 4-methoxy-3-methylphenyl, 4-fluoro-3-methoxyphenyl, 4-chloro-3-methoxyphenyl, 3-methoxy-4-methylphenyl, 3-chloro-5-fluorophenyl, 3-chloro-5-methylphenyl, 3-chloro-5-methoxyphenyl, 3-fluoro-5-methylphenyl, 3-fluoro-5-methoxyphenyl, 3-methoxy-5-methylphenyl.

"Halo" or "halogen" means fluoro, chloro, bromo or iodo, preferably chloro or fluoro.

"Haloalkyl" means alkyl as defined above substituted with one or more of the same or different halo atoms. Therefore this definition of haloalkyl may also include perhalogenated alkyl groups. Examples of haloalkyl groups include, but are not limited to chloromethyl, fluoromethyl, dichloromethyl, difluoromethyl, trichloromethyl, trifluoromethyl, 2-fluoroethyl, 2-trifluoroethyl, 1-difluoroethyl, 2-trifluoro-1-difluoroethyl, 2-chloro-ethyl, 2-trichloro-1-dichloroethyl 2-iodoethyl, 3-fluoropropyl, 3-chloropropyl, 2-trifluoro-1-chloroethyl and 1-difluoro-2-difluoro-3-trifluoropropyl.

"Haloalkenyl" means alkenyl as defined above substituted with one or more of the same or different halo atoms.

"Haloalkynyl" means alkynyl as defined above substituted with one or more of the same or different halo atoms.

"Haloalkoxy" means a radical -OR, wherein R is haloalkyl as defined above.

"Haloalkenyloxy" means a radical -OR, wherein R is haloalkenyl as defined above.

"Haloalkynyloxy" means a radical -OR, wherein R is haloalkynyl as defined above.

"Arylalkyl" means a radical $-R^aR^b$ where R^a is an alkylene group and R^b is an unsubstituted or substituted aryl group as defined above; "Arylalkenyl" means a radical $-R^aR^b$ where R^a is an alkenylene group as defined below and R^b is an unsubstituted or substituted aryl group as defined above; "Arylalkynyl" means a radical $-R^aR^b$ where R^a is an alkynylene group as defined below and R^b is an unsubstituted or substituted aryl group as defined above. An example of an arylalkyl group is the benzyl group. When R^a is an alkylene group or an alkenylene group or an alkynylene, this group may also be substituted with one or more of the same or different substitutents, suitably, the substituents being as defined above for "aryl".

"Cycloalkylalkyl" means a radical $-R^aR^b$ where R^a is an alkylene group, as defined below and R^b is a cycloalkyl group as defined above.

"Cycloalkylalkenyl" means a radical $-R^aR^b$ where R^a is a an alkenylene group as defined below and R^b is a cycloalkyl group as defined above.

"Cycloalkylalkenyl" means a radical $-R^aR^b$ where R^a is an alkynylene group as defined below and R^b is a cycloalkyl group as defined above.

"Alkylene" means a linear saturated divalent hydrocarbon radical of one to six carbon atoms or a branched saturated divalent hydrocarbon radical of three to six carbon atoms, e.g. methylene, ethylene, propylene, 2-methylpropylene and the like. Preferred alkylene groups are the divalent radicals of the alkyl groups defined above.

"Alkenylene" means a linear divalent hydrocarbon radical of two to six carbon atoms or a branched divalent hydrocarbon radical of three to six carbon atoms, containing at least one double bond, e.g. ethenylene, propenylene and the like. Preferred alkenylene groups are the divalent radicals of the alkenyl groups defined above.

"Alkynylene" means a linear divalent hydrocarbon radical of two to six carbon atoms or a branched divalent hydrocarbon radical of three to six carbon atoms, containing at least one triple bond, e.g. ethynylene, propynylene and the like. Preferred alkynylene groups are the divalent radicals of the alkynyl groups defined above.

"Aryloxy" means a radical -OR, wherein R is an aryl group as defined above.

"Arylalkyloxy" means a radical -OR wherein R is an arylalkyl group as defined above.

"Arylalkenyleneoxy" means a radical -OR wherein R is an arylalkenylene group as defined above.

"Arylalkynyleneoxy" means a radical -OR wherein R is an arylalkynylenel group as defined above.

"Alkylthio" means a radical -SR, where R is an alkyl as defined above. Alkylthio groups include, but are not limited to, methylthio, ethylthio, propylthio, *tert*-butylthio, hexylthio, and the like.

"Alkenylthio" means a radical -SR, where R is an alkenyl as defined above.

"Alkynylthio" means a radical -SR, where R is an alkynyl as defined above.

"Cycloalkylthio" means a radical -SR, where R is a cycloalkyl group as defined above.

"Haloalkylthio" means a radical -SR, where R is a haloalkyl group as defined above.

"Arylthio" means a radical -SR, where R is an aryl group as defined above

"Alkylcarbonyl" means a radical -C(O)R, wherein R is alkyl as defined above.

"Alkenylcarbonyl" means a radical -C(O)R, wherein R is alkenyl as defined above.

"Alkynylcarbonyl" means a radical -C(O)R, wherein R is alkynyl as defined above.

"Cycloalkylcarbonyl" means a radical -C(O)R, wherein R is cycloalkyl as defined above.

"Alkoxycarbonyl" means a radical -C(O)OR, wherein R is alkyl as defined above.

"Haloalkylcarbonyl" means a radical -C(O)R, wherein R is haloalkyl as defined above.

"Cyano" means a -CN group.

"Hydroxy" or "hydroxyl" means an -OH group.

"Nitro" means an $-NO_2$ group.

"Amino" means an $-NH_2$ group.

"Alkylamino" means a radical -NRH, where R is alkyl as defined above.

"Dialkylamino" means a radical -NRR, where each R is, independently, alkyl as defined above.

"Mercapto" means an -SH group.

The groups defined above (as already noted for 'aryl' and 'arylalkyl' groups) when used alone or as part of a compound term (e.g. alkyl when used alone or as part of, for

example, haloalkyl) may be unsubstituted or substituted by one or more substituents. In particular, alkyl, alkenyl, alkynyl, cycloalkyl, cycloalkenyl, alkoxy, cycloalkyloxy, haloalkyl, haloalkoxy, alkylthio, aryl, arylalkyl, aryloxy and arylalkyloxy groups may be unsubstituted or substituted.

Suitably, these optional substituents are independently selected from halogen, alkyl, haloalkyl, cycloalkyl, cycloalkylalkyl, alkenyl, haloalkenyl, cycloalkenyl, alkynyl, haloalkynyl, alkoxy, haloalkoxy, cycloalkyloxy, haloalkenyloxy, haloalkynyloxy, alkylthio, haloalkylthio, cycloalkylthio, formyl, alkylcarbonyl, haloalkylcarbonyl, cycloalkylcarbonyl, alkenylcarbonyl, alkynylcarbonyl, alkoxyalkyl, cyano, nitro, hydroxy, mercapto, amino, alkylamino, dialkylamino, aryl, cycloalkyl, cycloalkenyl and heterocyclic moiety containing at least one atom of carbon, and at least one element other than carbon, such as sulfur, oxygen or nitrogen within a ring structure, -C(O)(C_{1-4} alkoxy), –C(O)NH_2, –C(O)NH(C_{1-4} alkyl), –C(O)N(C_{1-4} alkyl)(C_{1-4} alkyl), –OC(O)NH(C_{1-4} alkyl), –OC(O)N(C_{1-4} alkyl)(C_{1-4} alkyl),–NHC(O)(C_{1-4} alkyl),- NHC(O)(C_{1-4} alkoxy), -N(C_{1-4} alkyl)C(O)(C_{1-4} alkyl), -N(C_{1-4} alkyl)C(O)(C_{1-4} alkoxy), -OC(O) (C_{1-4} alkyl), -OC(O)(C_{1-4} alkoxy), -Si(C_{1-4} alkyl)$_3$, -Si(C_{1-4} alkoxy)$_3$, and aryloxy. Preferred substituents are alkyl, alkenyl, alkynyl, cycloalkyl, halo, haloalkyl, alkoxy, haloalkoxy and cyano and are more preferably halogen (in particular, fluoro or chloro), cyano, alkyl (in particular, methyl and ethyl), haloalkyl (in particular, trifluoromethyl), alkoxy (in particular, methoxy or ethoxy),haloalkoxy, aryl, cycloalkyl, cycloalkenyl and heterocyclic moiety containing at least one atom of carbon, and at least one element other than carbon, such as sulfur, oxygen or nitrogen within a ring structure.

The compounds of formula I may exist in different geometric or optical isomeric forms or in different tautomeric forms. One or more centres of chirality may be present, in which case compounds of the formula I may be present as pure enantiomers, mixtures of enantiomers, pure diastereomers or mixtures of diastereomers. There may be double bonds present in the molecule, such as C=C or C=N bonds, in which case compounds of formula I may exist as single isomers or mixtures of isomers. Centres of tautomerisation may be present. This invention covers all such isomers and tautomers and mixtures thereof in all proportions as well as isotopic forms such as deuterated compounds. Also atropisomerism may occur as a result of a restricted rotation abaout a single bond.

Suitable salts of the compounds of formula I include acid addition salts such as those with an inorganic acid such as hydrochloric, hydrobromic, sulphuric, nitric or phosphoric acid, or an organic carboxylic acid such as oxalic, tartaric, lactic, butyric, toluic, hexanoic or phthalic acid, or a sulphonic acid such as methane, benzene or toluene sulphonic acid. Other examples of organic carboxylic acids include haloacids such as trifluoroacetic acid.

N-oxides are oxidised forms of tertiary amines or oxidised forms of nitrogen containing heteroaromatic compounds. They are described in many books for example in "Heterocyclic N-oxides" by Angelo Albini and Silvio Pietra, CRC Press, Boca Raton, Florida, 1991.

In particularly preferred embodiments of the invention, the preferred groups for R^1 to R^6 and A in any combination thereof, are as set out below.

In one embodiment according to formula (I), R^1 is hydrogen, halo, cyano, C_{1-8} alkyl, C_{1-8} alkoxy, C_{1-8} alkenyloxy, C_{1-8} alkynyloxy, C_{1-8} haloalkyl, or C_{1-8} alkylthio. In a further embodiment, R^1 is hydrogen, halo, C_{1-3} alkyl, C_{1-3} alkoxy, C_{1-3} alkenyloxy, C_{1-3} alkynyloxy, C_{1-3} haloalkyl, or C_{1-3} alkylthio.

In a further embodiment according to formula (I), R^1 is hydrogen, halo, C_{1-3} alkyl, C_{1-3} alkoxy, C_{1-3} alkenyloxy, C_{1-3} alkynyloxy, or C_{1-4} haloalkyl. In a still further embodiment, R^1 is hydrogen, fluoro, chloro, methyl, ethyl, methoxy, ethoxy or trifluoromethyl and, more preferably, hydrogen, methyl or methoxy.

In one embodiment, R^2 is hydrogen according to formula (I), hydroxyl, halo, C_{1-5} alkyl C_{1-5} alkoxy, C_{1-5} alkenyloxy or C_{1-5} alkynyloxy. In a further embodiment, R^2 is hydrogen, hydroxyl, chloro, methyl or methoxy and, more preferably, hydrogen, methyl or methoxy.

In one embodiment according to formula (I), R^3, R^4, R^5 and R^6 are, independently, hydrogen, halo, cyano, C_{1-8} alkyl, C_{1-8} haloalkyl, C_{1-8} alkoxy, C_{1-8} alkenyloxy, C_{1-8} alkynyloxy, or C_{1-8} haloalkoxy. In a further embodiment, R^3, R^4, R^5 and R^6 are, independently, hydrogen, halo, cyano, C_{1-3} alkyl, C_{1-3} haloalkyl, C_{1-3} alkoxy, C_{1-3}

alkenyloxy, C_{1-3} alkynyloxy, or C_{1-3} haloalkoxy. In a further embodiment, R^3, R^4, R^5 and R^6 are, independently, hydrogen, halo, cyano, C_{1-3} alkyl or C_{1-3} alkoxy, C_{1-3} alkenyloxy, C_{1-3} alkynyloxy. In a still further embodiment, R^3, R^4, R^5 and R^6 are, independently, hydrogen, bromo, cyano, chloro, fluoro, methyl or methoxy.

In one embodiment according to formula (I), A is halo, C_{1-8} haloalkyl, unsubstituted or substituted aryl, unsubstituted or substituted arylalkyl or unsubstituted or substituted aryloxy. In a further embodiment, A is halo, unsubstituted or substituted phenyl, unsubstituted or substituted naphthyl, unsubstituted or substituted benzyl, unsubstituted or substituted phenoxy, unsubstituted or substituted phenylthio or unsubstituted or substituted arylethynyl (in particular, phenylethynyl). In a further embodiment, A is halogen, unsubstituted or substituted phenyl, unsubstituted or substituted benzyl or unsubstituted or substituted phenoxy and, more preferably, unsubstituted or substituted phenyl and unsubstituted or substituted benzyl . Suitable substituents are as defined above but, more suitably, may be halo, cyano, nitro, hydroxyl, C_{1-3} alkyl, C_{1-3} haloalkyl, C_{1-3} alkoxy, C_{1-3} alkylcarbonyl, C_{1-3} alkoxycarbonyl or a combination of any of these substituents or, even more suitably, chloro, fluoro, methyl, trifluoromethyl or methoxy or a combination of any of these substituents.

In one more preferred embodiment according to formula (I), R^1 is hydrogen, halo, cyano, C_{1-3} alkyl, C_{1-3} alkoxy, C_{1-3} haloalkyl, or C_{1-3} alkylthio; R^2 is hydrogen, hydroxyl, halo, C_{1-5} alkyl, C_{3-5} cycloalkyl, C_{1-5} alkynyloxy or C_{1-5} alkoxy; R^3, R^4, R^5 and R^6 are, independently, hydrogen, halo, hydroxyl, cyano, C_{1-8} alkyl, C_{1-8} haloalkyl, C_{1-8} alkoxy, C_{1-8} haloalkoxy, amino or mono- or di-C_{1-8} alkyl amino and A is halo, C_{1-8} alkyl, C_{2-8} alkenyl, C_{2-8} alkynyl, C_{1-8} haloalkyl, C_{1-8} alkoxy, C_{3-10} cycloalkyl, C_{3-10} cycloalkyloxy, aryl, arylalkyl, aryloxy, arylalkyloxy or arylthio;

In one even more preferred embodiment according to formula (I), R^1 is hydrogen, fluoro, chloro, methyl, ethyl, methoxy, ethoxy or trifluoromethyl, preferably hydrogen, methyl or methoxy . R^2 is hydrogen, hydroxyl, chloro, methyl or methoxy, preferably hydrogen, , methyl or methoxy; R^3, R^4, R^5 and R^6 are, independently, hydrogen, halo, cyano, C_{1-3} alkyl, C_{1-3} haloalkyl, C_{1-3} alkoxy, C_{1-3} haloalkoxy, amino or mono- or di-C_{1-8} alkyl amino, preferably independently, hydrogen, halo, cyano, C_{1-3} alkyl or C_{1-3} alkoxy, more

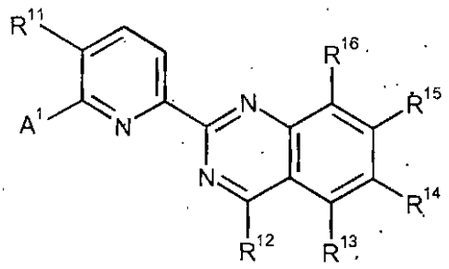
preverably independently, hydrogen, halo, cyano, C_{1-3} alkyl or C_{1-3} alkoxy; A is halo, C_{1-8} alkyl, unsubstituted or substituted aryl, unsubstituted or substituted arylalkyl or unsubstituted or substituted aryloxy, preferably halo, unsubstituted or substituted phenyl, unsubstituted or substituted naphthyl, unsubstituted or substituted benzyl, unsubstituted or substituted phenoxy, unsubstituted or substituted phenylthio or unsubstituted or substituted arylethynyl, more preferably unsubstituted or substituted phenyl, unsubstituted or substituted naphthyl, unsubstituted or substituted benzyl, unsubstituted or substituted phenoxy, unsubstituted or substituted phenylthio or unsubstituted or substituted arylethynyl.

In a preferred embodiment according to formula (I), R^1 is hydrogen, halo, C_{1-3} alkyl, C_{1-3} haloalkyl or C_{1-3} alkoxy, R^2 is hydrogen, hydroxyl, halo, C_{1-5} alkyl, C_{3-5} cycloalkyl or C_{1-5} alkoxy, R^3, R^4, R^5 and R^6 are, independently hydrogen, halo, C_{1-3} alkyl, C_{1-3} haloalkyl or C_{1-3} alkoxy and A is halo, unsubstituted or substituted aryl, unsubstituted or substituted arylalkyl, unsubstituted or substituted aryloxy or unsubstituted or substituted arylthio, wherein the optional subsituents are selected from halo, cyano, nitro, hydroxyl, C_{1-3} alkyl, C_{1-3} haloalkyl, C_{1-3} alkylcarbonyl, C_{1-3} alkoxycarbonyl and C_{1-3} alkoxy or a combination of any of these substituents.

In a more preferred embodiment according to formula (I), R^1 is hydrogen, fluoro, chloro, methyl, ethyl, trifluoromethyl, ethoxy or methoxy, preferably hydrogen, fluoro, chloro, methyl, ethyl, ethoxy or methoxy, R^2 is hydrogen, chloro, methyl or methoxy, R^3, R^4, R^5 and R^6 are, independently, hydrogen, fluoro, chloro, methyl, hydroxyl, trifluoromethyl or methoxy and A is bromo, chloro, iodo, unsubstituted or substituted phenyl, unsubstituted or substituted phenylmethyl, unsubstituted or substituted phenoxy, unsubstituted or substituted phenylthio or unsubstituted or substituted phenylethynyl, wherein the optional substituents are selected from fluoro, chloro, cyano, methyl, trifluoromethyl or methoxy or a combination of any of these substituents.

In a most preferred embodiment according to formula (I) A is halogen, unsubstituted or substituted phenyl, unsubstituted or substituted benzyl or unsubstituted or substituted phenoxy, especially A is unsubstituted or substituted phenyl and unsubstituted or substituted benzyl.

Accordingly, the preferred compound of formula I of the present invention is a compound of formula (I'):



(I')

wherein:

R^{11} is hydrogen, hydroxyl, halo, cyano, unsubstituted C_{1-8} alkyl, substituted C_{1-8} alkyl, C_{1-8} haloalkyl, unsubstituted C_{1-8} alkoxy, substituted C_{1-8} alkoxy, C_{1-8} haloalkoxy, unsubstituted C_{1-8} alkylthio, substituted C_{1-8} alkylthio, unsubstituted C_{3-10} cycloalkyl or substituted C_{3-10} cycloalkyl;

R^{12} is hydrogen, hydroxyl, halo, unsubstituted C_{1-8} alkyl, substituted C_{1-8} alkyl, substituted C_{3-10} cycloalkyl,unsubstituted C_{3-10} cycloalkyl, C_{1-8} haloalkyl, unsubstituted C_{1-8} alkoxy, substituted C_{1-8} alkoxy, unsubstituted C_{2-8} alkenyloxy, substituted C_{2-8} alkenyloxy, unsubstituted C_{2-8} alkynyloxy; or substituted C_{2-8} alkynyloxy;

R^{13}, R^{14}, R^{15} and R^{16} are, independently, hydrogen, hydroxyl, halo, cyano, nitro, $-NR^{17}R^{18}$ where R^{17} and R^{18} are independently H, C_{1-4}alkyl or substituted C_{1-4}alkyl or combine with the interjacent nitrogen to form a five- or six-membered ring which may comprise one or two or three heteroatoms (one or two N, O or S atoms in addition to the interjacent nitrogen atom), in which case the heterocyclic ring is unsubstituted or the heterocyclic ring is substituted by one or two C_{1-4}alkyl groups, unsubstituted C_{1-8} alkyl, substituted C_{1-8} alkyl, unsubstituted C_{2-8} alkenyl, substituted C_{2-8} alkenyl,unsubstituted C_{2-8} alkynyl, substituted C_{2-8} alkynyl, C_{1-8} haloalkyl, unsubstituted C_{1-8} alkoxy, substituted C_{1-8} alkoxy, C_{1-8} haloalkoxy, unsubstituted C_{1-8} alkylthio, substituted C_{1-8} alkylthio, unsubstituted C_{3-10} cycloalkyl or substituted C_{3-10} cycloalkyl;

A^1 is halo, unsubstituted C_{1-8} alkyl, substituted C_{1-8} alkyl, unsubstituted C_{2-10} alkenyl, substituted C_{2-8} alkenyl,unsubstituted C_{2-8} alkynyl, substituted C_{2-8} alkynyl, C_{1-8} haloalkyl, unsubstituted C_{1-8} alkoxy, substituted C_{1-8} alkoxy, unsubstituted C_{3-10}

cycloalkyl, substituted C_{3-10} cycloalkyl, unsubstituted C_{3-10} cycloalkyloxy, substituted C_{3-10} cycloalkyloxy, unsubstituted aryl, substituted aryl, unsubstituted arylalkyl, substituted arylalkyl, unsubstituted arylalkenyl, substituted arylalkenyl, unsubstituted arylalkynyl, substituted arylalkynyl,unsubstituted aryloxy, substituted aryloxy, unsubstituted arylalkyloxy, substituted arylalkyloxy, unsubstituted arylthio or substituted arylthio;

or a salt or a N-oxide thereof, provided that if A^1 is methyl and each R^{11}, R^{13}, R^{14}, R^{15} and R^{16} is hydrogen R^{12} is not chlorine.

The alkyl groups, the alkenyl groups, the alkynyl groups and the alkoxy group in the compound of formula **(I')** are either linerar or branched.

The preferred substituents of the substituted alkyl groups, the substituted alkenyl groups, the substituted alkynyl groups and the substituted alkoxy group in the compound of formula **(I')** are selected from the following substituents F, Cl, Br, I, –OH, -CN, nitro, -C_{1-4}alkoxy, -C_{1-4} alkylthio, -$NR^{17}R^{18}$ where R^{17} and R^{18} are independently H, -C_{1-4}alkyl or substituted -C_{1-4}alkyl or combine with the interjacent nitrogen to form a five- or six-membered ring which may comprise one or two or three heteroatoms (one or two N, O or S atoms in addition to the interjacent nitrogen atom), in which case the heterocyclic ring is unsubstituted or the heterocyclic ring is substituted by one or two C_{1-4} alkyl groups, -C(O)H, –C(O)(C_{1-4} alkyl), -C(O)(C_{1-4} alkoxy), –C(O)NH_2, –C(O)NH(C_{1-4} alkyl), –C(O)N(C_{1-4} alkyl)(C_{1-4} alkyl), –OC(O)NH(C_{1-4} alkyl), –OC(O)N(C_{1-4} alkyl)(C_{1-4} alkyl),–NHC(O)(C_{1-4} alkyl),- NHC(O)(C_{1-4} alkoxy), -N(C_{1-4} alkyl)C(O)(C_{1-4} alkyl), -N(C_{1-4} alkyl)C(O)(C_{1-4} alkoxy), -OC(O) (C_{1-4} alkyl), -OC(O)(C_{1-4} alkoxy), -Si(C_{1-4} alkyl)$_3$, -Si(C_{1-4} alkoxy)$_3$, aryl, aryloxy, -(C_{1-8} – perhaloalkyl) , arylC_{1-4}alkynyl, -C_{1-6}alkynyl, wherein the alkyl, alkenyl, alkynyl, alkoxy, aryl groups are either substituted or unsubstituted, preferably these substituents of the substituted groups bear only one further substituent, more preferably are hese substituents of the substituted groups not further substituted.

The more preferred substituents of the substituted C_1 to C_4 alkyl groups are selected from the following substituents –OH, CN, F, Cl, C_{1-4}alkoxy, C_{1-4}alkylamino. The alkyl groups are branched or linear. The most preferred alkyl groups are methyl, ethyl, propyl, iso-propyl,

butyl, iso-butyl (2-methylpropyl), pentyl, 1-methylpentyl, 1-ethylpentyl, iso-pentyl (3-methylbutyl), hexyl, heptyl, octyl, or nonyl.

Preferably the alkyl groups in the compound of formula **(I')** and/or the alkoxy groups in the compound of formula **(I')** bear not more than two further substituents, more preferably the alkyl groups in the compound of formula **(I')** and/or the alkoxy groups in the compound of formula **(I')** bear not more than one further substituent, most preferred the alkyl groups in the compound of formula **(I')** and/or the alkoxy groups in the compound of formula **(I')** are not further substituted.

In the preferred compounds of the formula **(I')** the preferred alkyl groups and the preferred alkoxy groups are methyl, ethyl, propyl, methoxy and ethoxy groups. Methyl, ethyl and methoxy groups are very particularly preferred.

The preferred substituents in the compound of formula **(I')** of the substituted aryl groups in the compound of formula **(I')** are selected from the following substituents F, Cl, Br, I, –OH, -CN, nitro, -C_{1-4} alkyl, -C_{1-4} alkoxy, C_{1-4} alkenyloxy, -C_{1-4} alkynyloxy, -C_{1-4} alkoxyC_{1-4} alkyl, -C_{1-4} alkylthio, -$NR^{17}R^{18}$ where R^{17} and R^{18} are independently H, -C_{1-4}alkyl or substituted -C_{1-4} alkyl or combine with the interjacent nitrogen to form a five- or six-membered ring which may comprise one or two or three heteroatoms (one or two N, O or S atoms in addition to the interjacent nitrogen atom), in which case the heterocyclic ring is unsubstituted or the heterocyclic ring is substituted by one or two -C_{1-4}alkyl groups, -C(O)H, –C(O)(C_{1-4} alkyl), –C(O)(C_{1-4} alkoxy), -C(O)NH_2, –C(O)NH(C_{1-4} alkyl), –C(O)N(C_{1-4} alkyl)(C_{1-4} alkyl), –NHC(O)(C_{1-4}alkyl), -N(C_{1-4} alkyl)C(O)(C_{1-4} alkyl), –NHC(O)(C_{1-4} alkoxy), -N(C_{1-4} alkyl)C(O)(C_{1-4} alkoxy), -OC(O)NH(C_{1-4} alkyl), -OC(O)N(C_{1-4} alkyl) (C_{1-4} alkyl), -C(O)H, OC(O) (C1-4 alkyl), -OC(O)(C1-4 alkoxy), -Si(C_{1-4} alkyl)$_3$, -Si(C_{1-4} alkoxy)$_3$, aryl, aryloxy, -(C_{1-8} – perhaloalkyl), -C_{1-8} alkynyl, wherein the alkyl, alkenyl, alkenyl, aryl groups are either substituted or unsubstituted.

The more preferred substituents of the substituted aryl groups are selected from the following substituents F, Cl, CN, –OH, nitro, -C_{1-4} alkyl, -C_{1-4} alkoxy, –C(O)(C_{1-4} alkoxy), -C(O)H, -C(O)(C_{1-4} Alkyl) wherein the alkyl groups are either substituted or unsubstituted..

The aryl groups are preferably naphthyl, phenantrenyl or phenyl groups, more preferably phenyl groups.

The preferred substituents of the substituted aryl groups in the compound of formula **(I')** are selected from the following substituents, F, Cl, $-C_{1-4}$Alkyl, C_{1-4}alkoxy, –CN, -C(O)(C_{1-4} alkoxy), –C(O)(C_{1-4} Alkyl).

In formula **(I')** preferably

R^{11} is hydrogen, halo, unsubstituted C_{1-4} alkyl, substituted C_{1-4} alkyl, C_{1-4} haloalkyl, unsubstituted C_{1-4} alkoxy, substituted C_{1-4} alkoxy, C_{1-4} haloalkoxy;

R^{12} is hydrogen, hydroxyl, halo, unsubstituted C_{1-8} alkyl, substituted C_{1-8} alkyl, unsubstituted C_{3-10} cycloalkyl, substituted C_{3-10} cycloalkyl C_{1-8} haloalkyl, unsubstituted C_{1-8} alkoxy, substituted C_{1-8} alkoxy, unsubstituted C_{2-8} alkenyloxy, substituted C_{2-8} alkenyloxy, unsubstituted C_{2-8} alkynyloxy; or substituted C_{2-8} alkynyloxy;

R^{13}, R^{14}, R^{15} and R^{16} are, independently, hydrogen, halo, nitro, amino, unsubstituted C_{1-4} alkyl, substituted C_{1-4} alkyl, unsubstituted C_{2-4} alkenyl, substituted C_{2-4} alkenyl, unsubstituted C_{2-4} alkynyl, substituted C_{2-4} alkynyl, unsubstituted C_{1-4} alkoxy, substituted C_{1-4} alkoxy;

A^1 is halo, unsubstituted C_{1-4} alkyl, substituted C_{1-4} alkyl, unsubstituted C_{2-4} alkenyl, substituted C_{2-4} alkenyl, ,unsubstituted C_{2-4} alkynyl, substituted C_{2-4} alkynyl, C_{1-4} haloalkyl, unsubstituted C_{1-4} alkoxy, substituted C_{1-4} alkoxy, unsubstituted C_{3-6} cycloalkyl, substituted C_{3-6} cycloalkyl, unsubstituted C_{3-6} cycloalkyloxy, substituted C_{3-6} cycloalkyloxy, unsubstituted aryl, substituted aryl, unsubstituted arylalkyl, substituted arylalkyl, unsubstituted arylalkynyl, substituted arylalkynyl,unsubstituted aryloxy, substituted aryloxy, unsubstituted arylalkyloxy, substituted arylalkyloxy, unsubstituted arylthio or substituted arylthio;

or a salt or a N-oxide thereof, provided that if A^1 is methyl and each R^{11}, R^{13}, R^{14}, R^{15} and R^{16} is hydrogen R^{12} is not chlorine.

More preferably in formula **(I')**

R^{11} is hydrogen, F, Cl, , CN, unsubstituted C_{1-3} alkyl, substituted C_{1-3}alkyl, C_{1-3} haloalkyl, C_{1-3} alkoxy;

R^{12} is hydrogen, unsubstituted C_{1-4} alkyl, substituted C_{1-4} alkyl, C_{1-4} haloalkyl, unsubstituted C_{1-4} alkoxy, substituted C_{1-4} alkoxy;

R^{13}, R^{14}, R^{15} and R^{16} are, independently, hydrogen, halo, nitro, amino, unsubstituted C_{1-4} alkyl, substituted C_{1-4} alkyl, unsubstituted C_{2-4} alkenyl, substituted C_{2-4} alkenyl,unsubstituted C_{2-4} alkynyl, substituted C_{2-4} alkynyl, , unsubstituted C_{1-4} alkoxy, substituted C_{1-4} alkoxy;

A^1 is halo, unsubstituted C_{1-4} alkyl, substituted C_{1-4} alkyl, unsubstituted aryl, substituted aryl, unsubstituted arylalkyl, substituted arylalkyl, unsubstituted arylalkynyl, substituted arylalkynyl,unsubstituted aryloxy, substituted aryloxy, unsubstituted arylalkyloxy, substituted arylalkyloxy, unsubstituted arylthio or substituted arylthio;

or a salt or a N-oxide thereof.

Preferably at least two of the substituents R^{13}, R^{14}, R^{15} and R^{16} are H, more preferably at least three of the substituents R^{13}, R^{14}, R^{15} and R^{16} are H.

More preferably in formula (I')

R^{11} is hydrogen, F, Cl, , unsubstituted C_{1-2} alkyl, substituted C_{1-2} alkyl, C_{1-2} alkoxy;

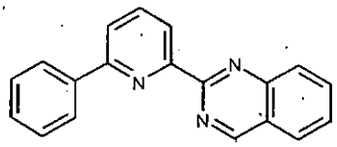
R^{12} is hydrogen, unsubstituted C_{1-4} alkyl, substituted C_{1-4} alkyl, C_{1-4} haloalkyl, unsubstituted C_{1-4} alkoxy, substituted C_{1-4} alkoxy;

R^{13}, R^{14}, R^{15} and R^{16} are, independently, hydrogen, halo, nitro, amino, unsubstituted C_{1-4} alkyl, substituted C_{1-4} alkyl, unsubstituted C_{2-4} alkenyl, substituted C_{2-4} alkenyl,unsubstituted C_{2-4} alkynyl, substituted C_{2-4} alkynyl, C_{1-4} alkoxy wherein at least two (more preferably at least three) of the substituents R^{13}, R^{14}, R^{15} and R^{16} are H

A^1 is halo, unsubstituted aryl, substituted aryl, unsubstituted arylalkyl, substituted arylalkyl, unsubstituted arylalkynyl, substituted arylalkynyl,unsubstituted aryloxy, substituted aryloxy, unsubstituted arylalkyloxy, substituted arylalkyloxy, unsubstituted arylthio or substituted arylthio;

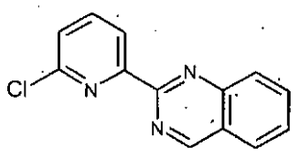
or a salt or a N-oxide thereof.

More particularly, compounds for use in the present invention are shown in Table 1 below. In Table 1 the free valencies are the point of attachment of the relevant subtituent. Therefore the compound I.a 016 is the following compound (2-(6-phenyl-pyridin-2-yl)-quinazoline):



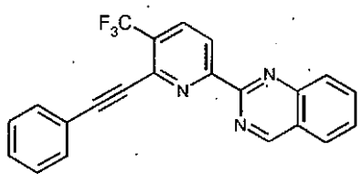
compound I.a 016

Likewise the compound I.a 001 is the following compound (2-(6-chloro-pyridin-2-yl)-quinazoline):



compound I.a 001

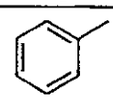
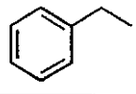
and the compound I.a 035 is the following compound (2-(5-trifluormethyl-6-phenylethynyl-pyridin-2-yl)-quinazoline):

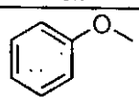
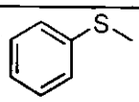
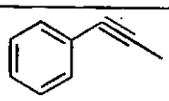
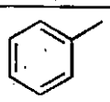
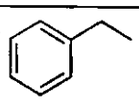
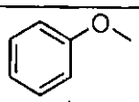
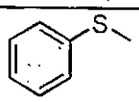
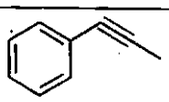
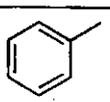
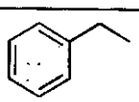
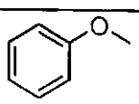
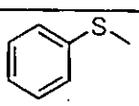
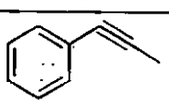
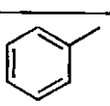
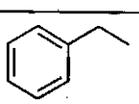


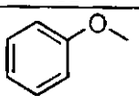
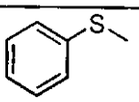
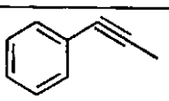
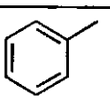
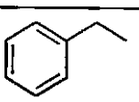
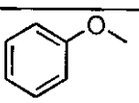
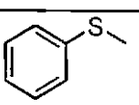
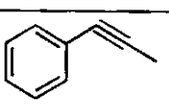
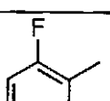
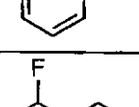
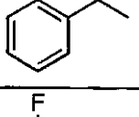
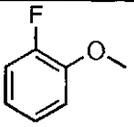
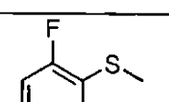
compound I.a 035

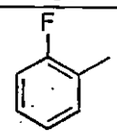
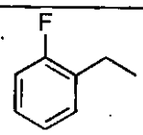
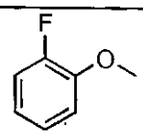
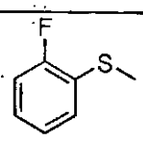
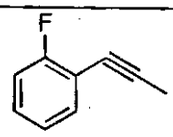
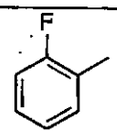
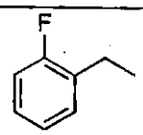
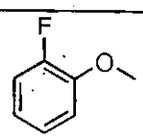
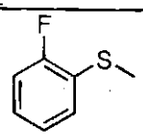
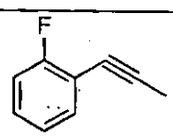
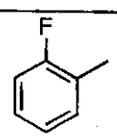
TABLE 1

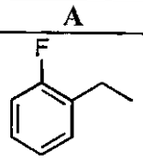
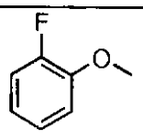
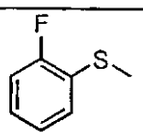
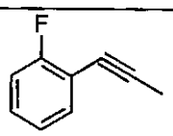
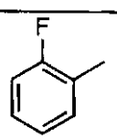
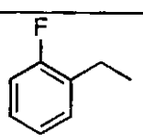
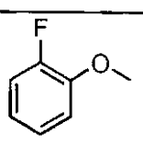
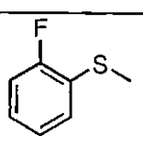
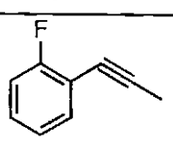
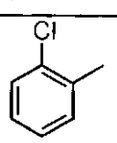
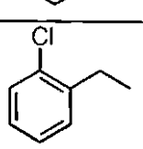
No.	A	R^1
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002	Cl	CH_3
003	Cl	CH_2CH_3
004	Cl	CF_3
005	Cl	OCH_3
006	Br	H
007	Br	CH_3
008	Br	CH_2CH_3
009	Br	CF_3
010	Br	OCH_3
011	I	H

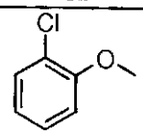
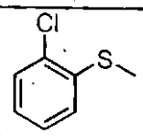
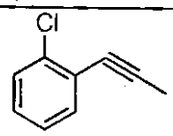
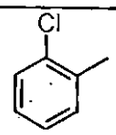
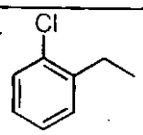
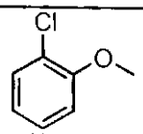
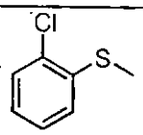
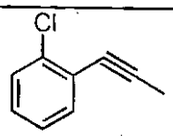
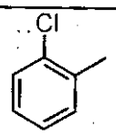
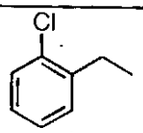
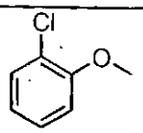
No.	A	R^1
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013	I	CH_2CH_3
014	I	CF_3
015	I	OCH_3
016		H
017		H

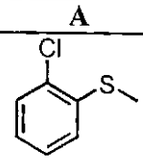
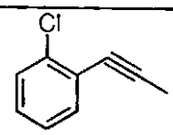
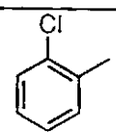
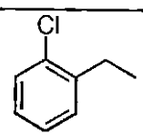
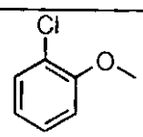
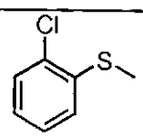
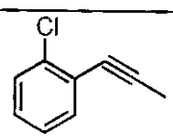
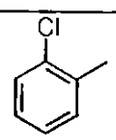
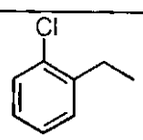
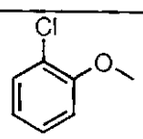
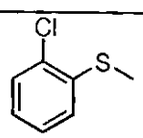
No.	A	R^1
018		H
019		H
020		H
021		CH_3
022		CH_3
023		CH_3
024		CH_3
025		CH_3
026		CH_2CH_3
027		CH_2CH_3
028		CH_2CH_3
029		CH_2CH_3
030		CH_2CH_3
031		CF_3
032		CF_3

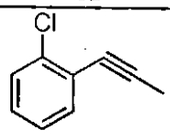
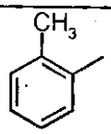
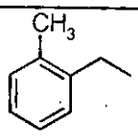
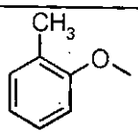
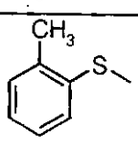
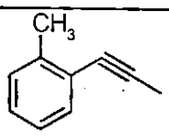
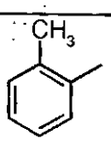
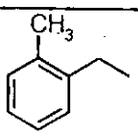
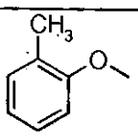
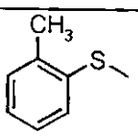
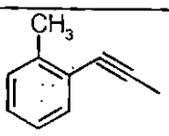
No.	A	R^1
033		CF_3
034		CF_3
035		CF_3
036		OCH_3
037		OCH_3
038		OCH_3
039		OCH_3
040		OCH_3
041		H
042		H
043		H
044		H
045		H

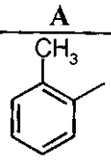
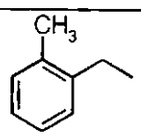
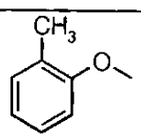
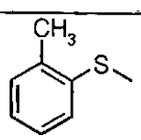
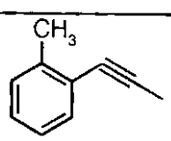
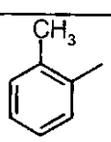
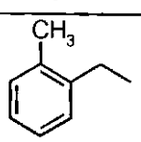
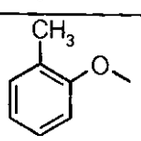
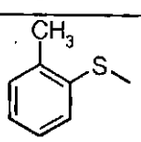
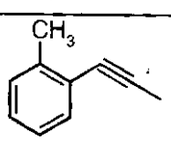
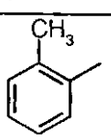
No.	A	R^1
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056		CF_3

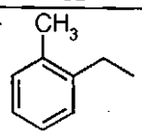
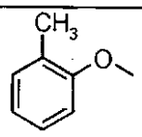
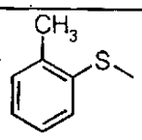
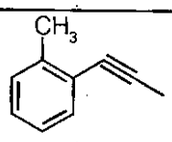
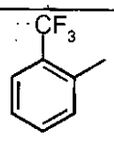
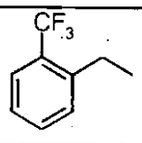
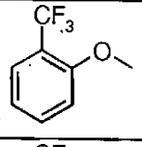
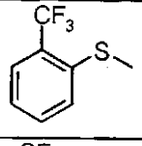
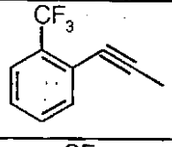
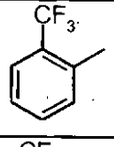
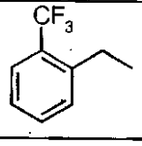
No.	A	R^1
057		CF_3
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060		CF_3
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062		OCH_3
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066		H
067		H

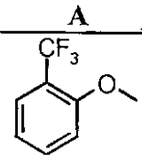
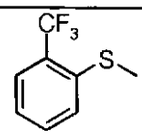
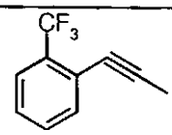
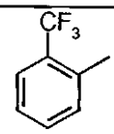
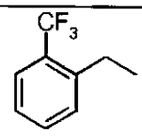
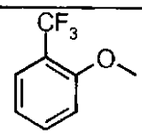
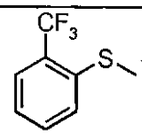
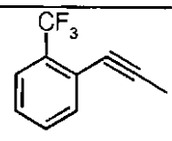
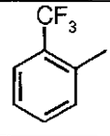
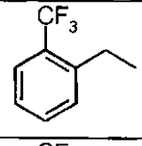
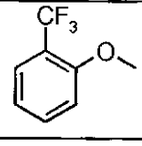
No.	A	R^1
068		H
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070		H
071		CH_3
072		CH_3
073		CH_3
074		CH_3
075		CH_3
076		CH_2CH_3
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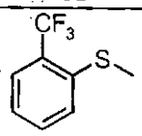
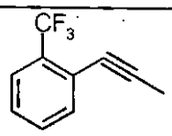
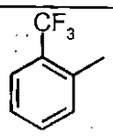
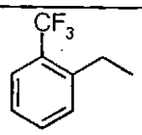
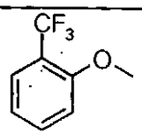
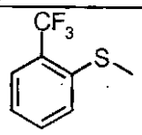
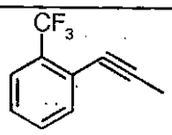
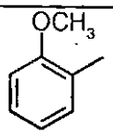
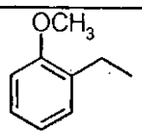
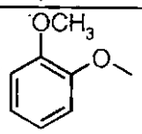
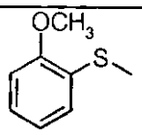
No.	A	R^1
079		CH_2CH_3
080		CH_2CH_3
081		CF_3
082		CF_3
083		CF_3
084		CF_3
085		CF_3
086		OCH_3
087		OCH_3
088		OCH_3
089		OCH_3

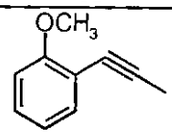
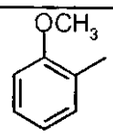
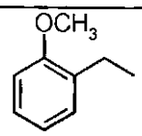
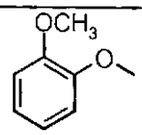
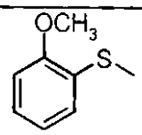
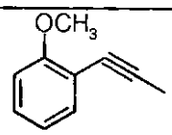
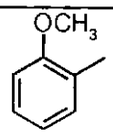
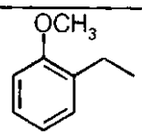
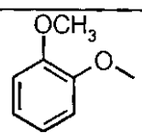
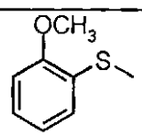
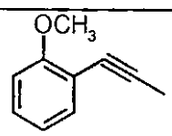
No.	A	R^1
090		OCH_3
091		H
092		H
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095		H
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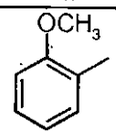
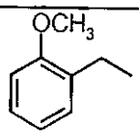
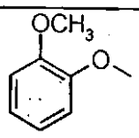
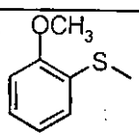
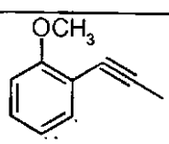
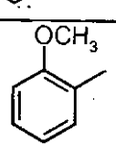
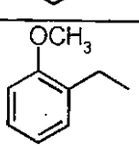
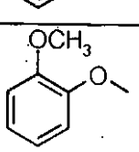
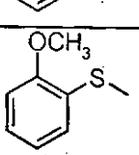
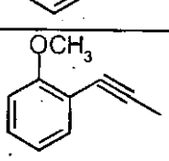
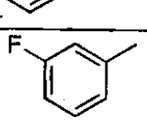
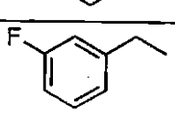
No.	A	R^1
101		CH_2CH_3
102		CH_2CH_3
103		CH_2CH_3
104		CH_2CH_3
105		CH_2CH_3
106		CF_3
107		CF_3
108		CF_3
109		CF_3
110		CF_3
111		OCH_3

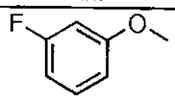
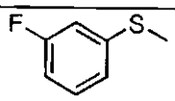
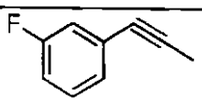
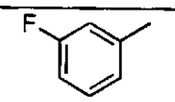
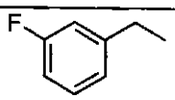
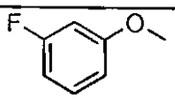
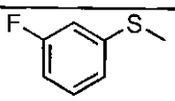
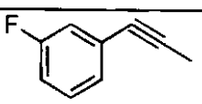
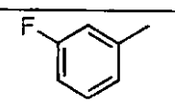
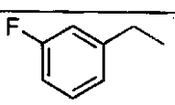
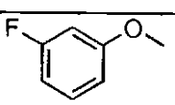
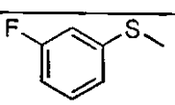
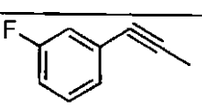
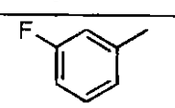
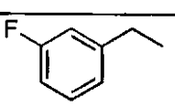
No.	A	R^1
112		OCH_3
113		OCH_3
114		OCH_3
115		OCH_3
116		H
117		H
118		H
119		H
120		H
121		CH_3
122		CH_3

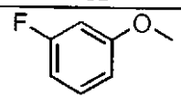
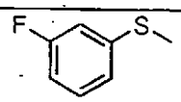
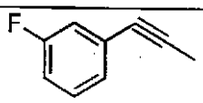
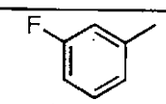
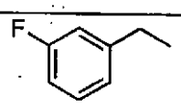
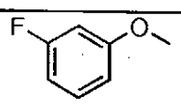
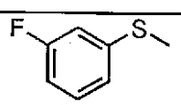
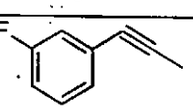
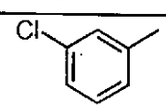
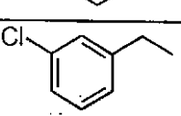
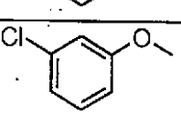
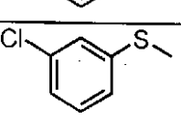
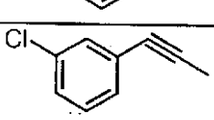
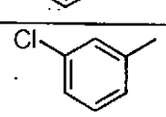
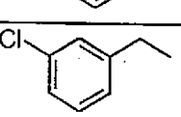
No.	A	R^1
123		CH_3
124		CH_3
125		CH_3
126		CH_2CH_3
127		CH_2CH_3
128		CH_2CH_3
129		CH_2CH_3
130		CH_2CH_3
131		CF_3
132		CF_3
133		CF_3

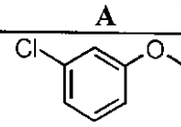
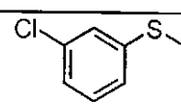
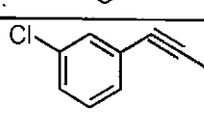
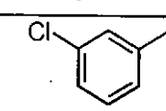
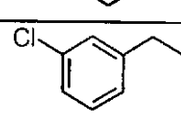
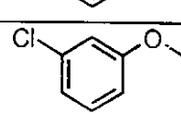
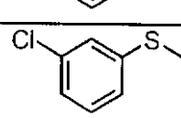
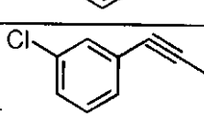
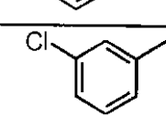
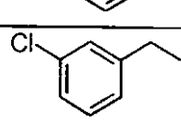
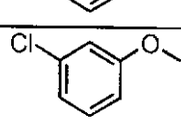
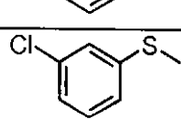
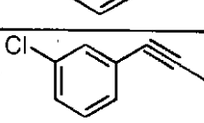
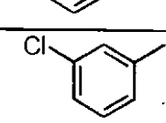
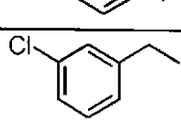
No.	A	R^1
134		CF_3
135		CF_3
136		OCH_3
137		OCH_3
138		OCH_3
139		OCH_3
140		OCH_3
141		H
142		H
143		H
144		H

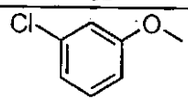
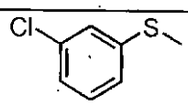
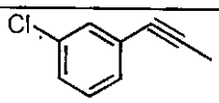
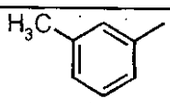
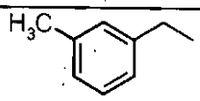
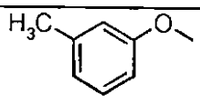
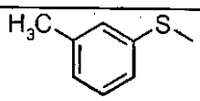
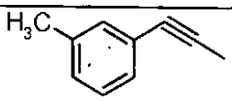
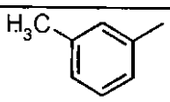
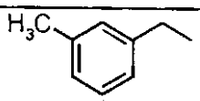
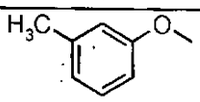
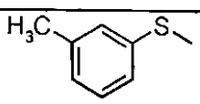
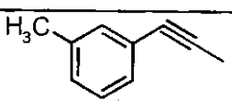
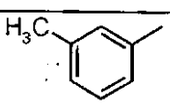
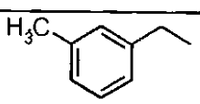
No.	A	R^1
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151		CH_2CH_3
152		CH_2CH_3
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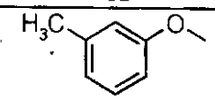
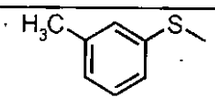
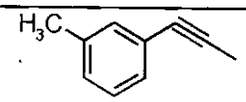
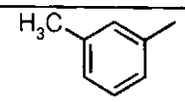
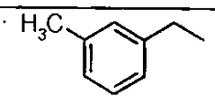
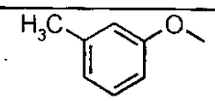
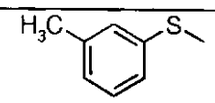
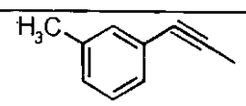
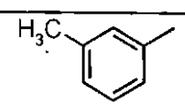
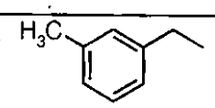
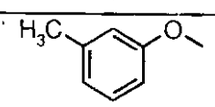
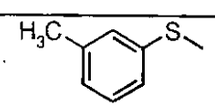
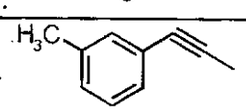
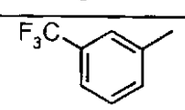
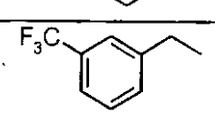
No.	A	R^1
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160		CF_3
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162		OCH_3
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164		OCH_3
165		OCH_3
166		H
167		H

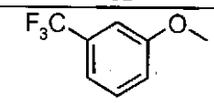
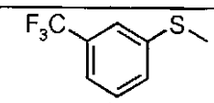
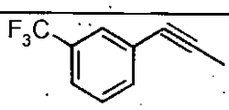
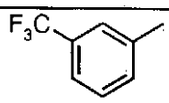
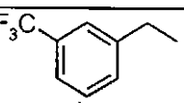
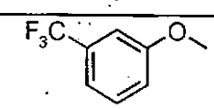
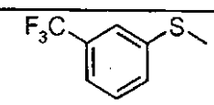
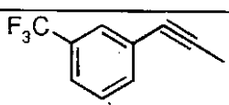
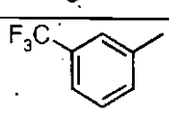
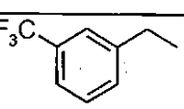
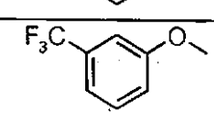
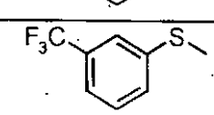
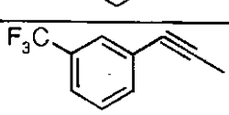
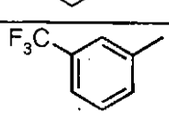
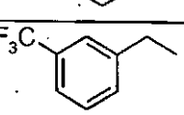
No.	A	R^1
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176		CH_2CH_3
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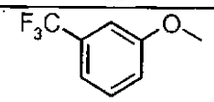
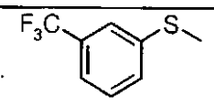
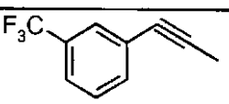
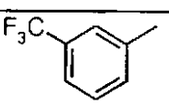
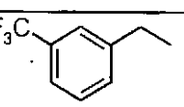
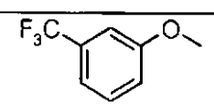
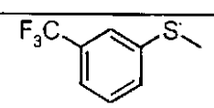
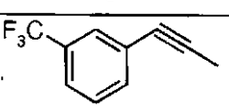
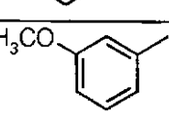
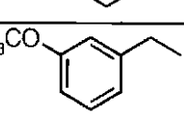
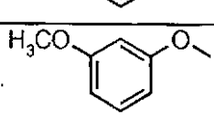
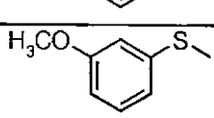
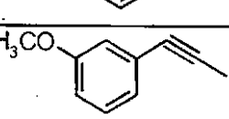
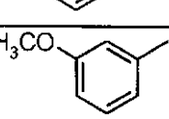
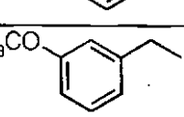
No.	A	R^1
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184		CF_3
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186		OCH_3
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190		OCH_3
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192		H
193		H
194		H
195		H
196		CH_3
197		CH_3

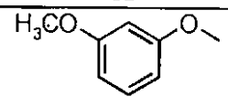
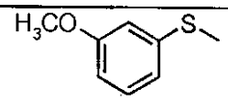
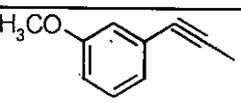
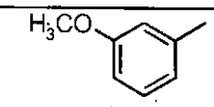
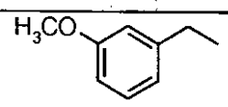
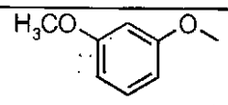
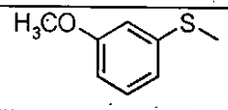
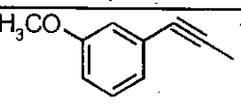
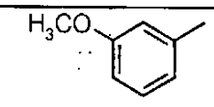
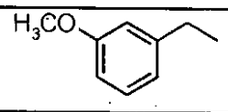
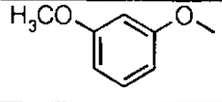
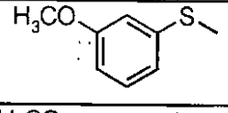
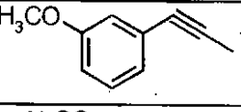
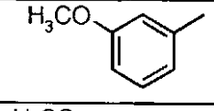
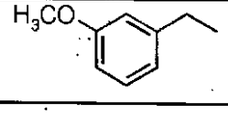
No.	A	R^1
198		CH_3
199		CH_3
200		CH_3
201		CH_2CH_3
202		CH_2CH_3
203		CH_2CH_3
204		CH_2CH_3
205		CH_2CH_3
206		CF_3
207		CF_3
208		CF_3
209		CF_3
210		CF_3
211		OCH_3
212		OCH_3

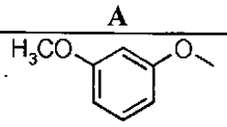
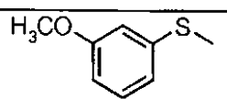
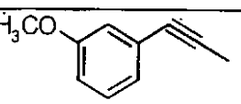
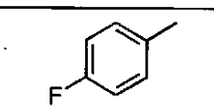
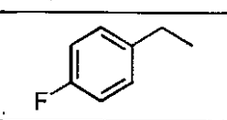
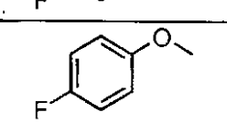
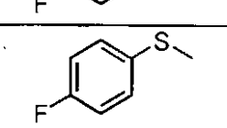
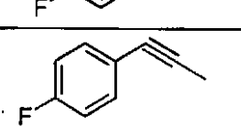
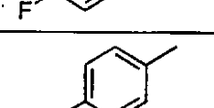
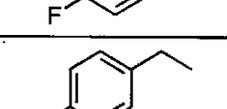
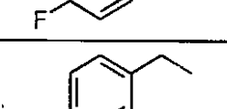
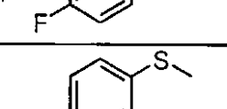
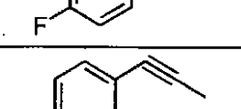
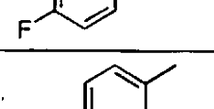
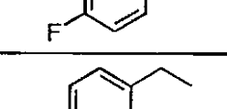
No.	A	R^1
213		OCH_3
214		OCH_3
215		OCH_3
216		H
217		H
218		H
219		H
220		H
221		CH_3
222		CH_3
223		CH_3
224		CH_3
225		CH_3
226		CH_2CH_3
227		CH_2CH_3

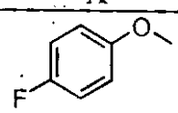
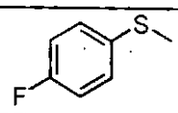
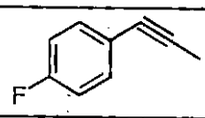
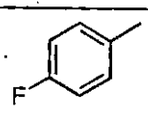
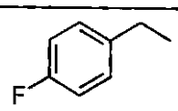
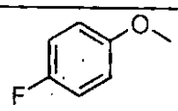
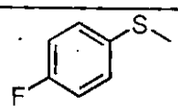
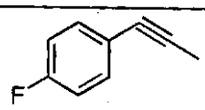
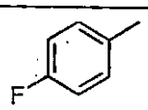
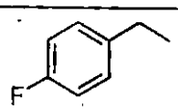
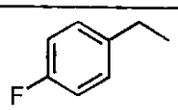
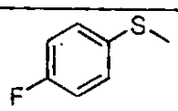
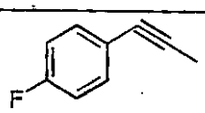
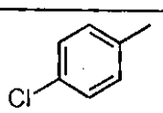
No.	A	R^1
228		CH_2CH_3
229		CH_2CH_3
230		CH_2CH_3
231		CF_3
232		CF_3
233		CF_3
234		CF_3
235		CF_3
236		OCH_3
237		OCH_3
238		OCH_3
239		OCH_3
240		OCH_3
241		H
242		H

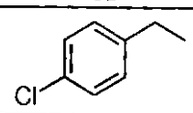
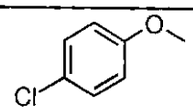
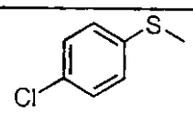
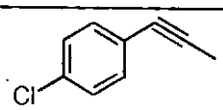
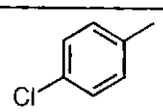
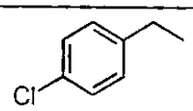
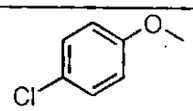
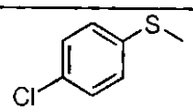
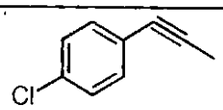
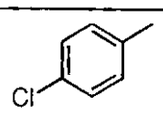
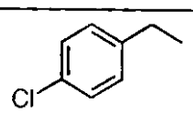
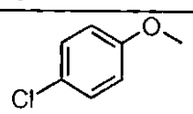
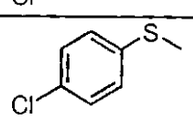
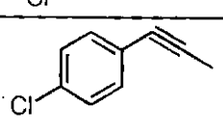
No.	A	R^1
243		H
244		H
245		H
246		CH_3
247		CH_3
248		CH_3
249		CH_3
250		CH_3
251		CH_2CH_3
252		CH_2CH_3
253		CH_2CH_3
254		CH_2CH_3
255		CH_2CH_3
256		CF_3
257		CF_3

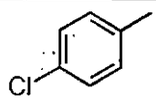
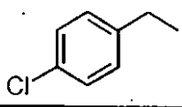
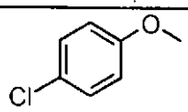
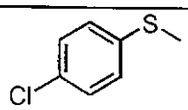
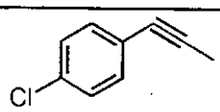
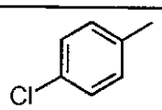
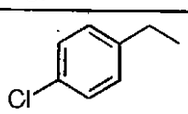
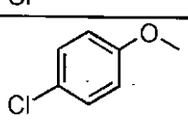
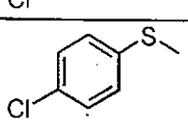
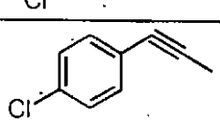
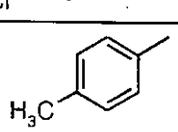
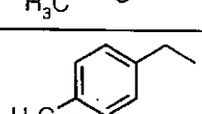
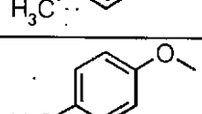
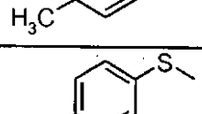
No.	A	R^1
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259		CF_3
260		CF_3
261		OCH_3
262		OCH_3
263		OCH_3
264		OCH_3
265		OCH_3
266		H
267		H
268		H
269		H
270		H
271		CH_3
272		CH_3

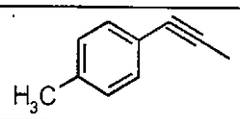
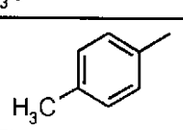
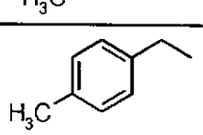
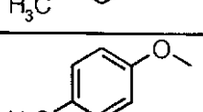
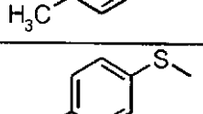
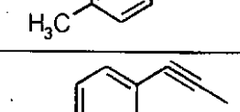
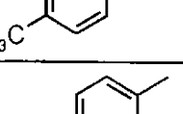
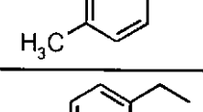
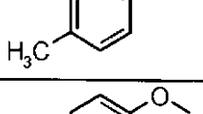
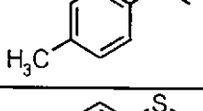
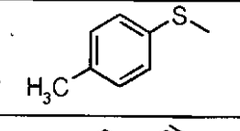
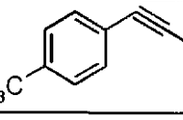
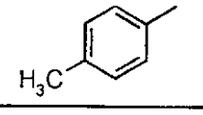
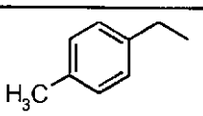
No.	A	R^1
273		CH_3
274		CH_3
275		CH_3
276		CH_2CH_3
277		CH_2CH_3
278		CH_2CH_3
279		CH_2CH_3
280		CH_2CH_3
281		CF_3
282		CF_3
283		CF_3
284		CF_3
285		CF_3
286		OCH_3
287		OCH_3

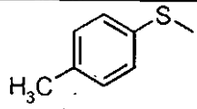
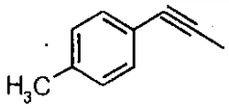
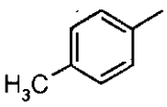
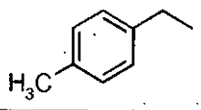
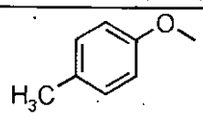
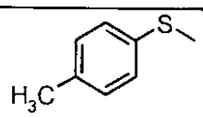
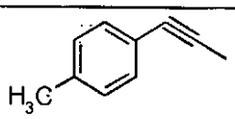
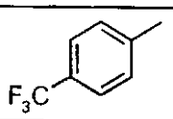
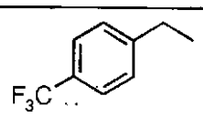
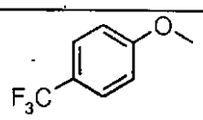
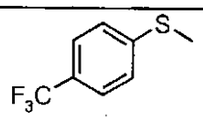
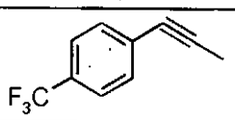
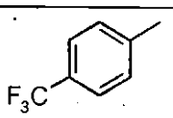
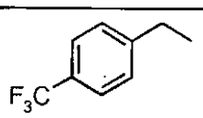
No.	A	R^1
288		OCH_3
289		OCH_3
290		OCH_3
291		H
292		H
293		H
294		H
295		H
296		CH_3
297		CH_3
298		CH_3
299		CH_3
300		CH_3
301		CH_2CH_3
302		CH_2CH_3

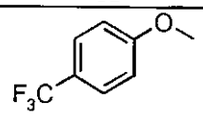
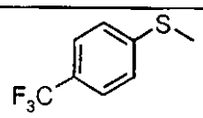
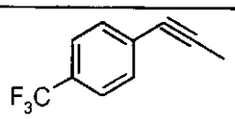
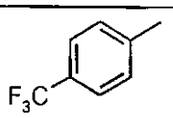
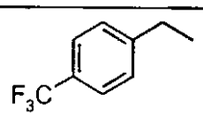
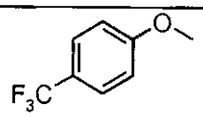
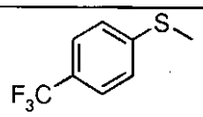
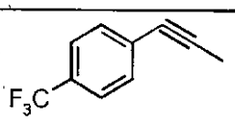
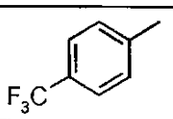
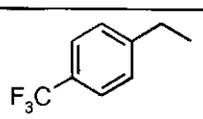
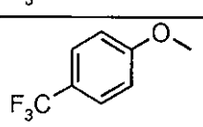
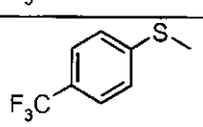
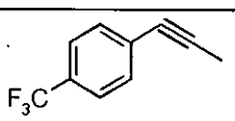
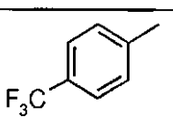
No.	A	R^1
303		CH_2CH_3
304		CH_2CH_3
305		CH_2CH_3
306		CF_3
307		CF_3
308		CF_3
309		CF_3
310		CF_3
311		OCH_3
312		OCH_3
313		OCH_3
314		OCH_3
315		OCH_3
316		H

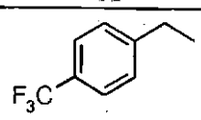
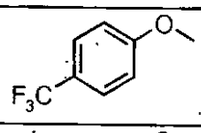
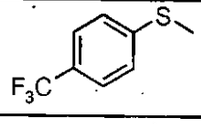
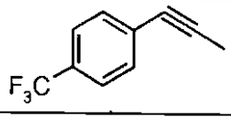
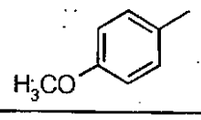
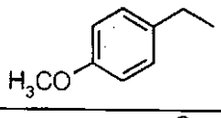
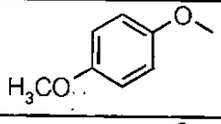
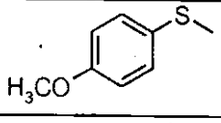
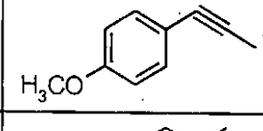
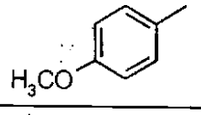
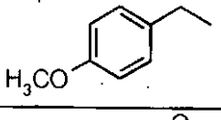
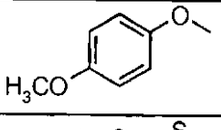
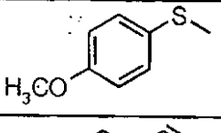
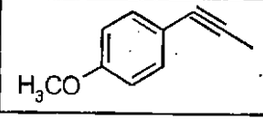
No.	A	R^1
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320		H
321		CH_3
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323		CH_3
324		CH_3
325		CH_3
326		CH_2CH_3
327		CH_2CH_3
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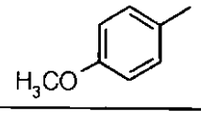
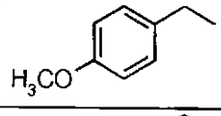
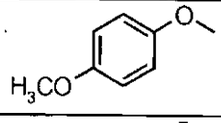
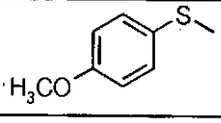
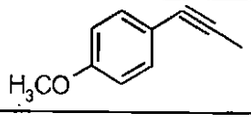
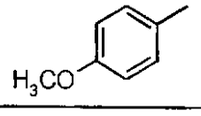
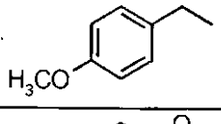
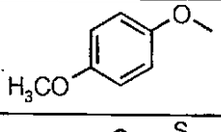
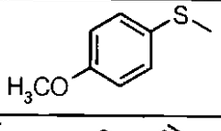
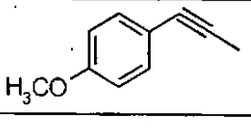
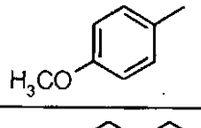
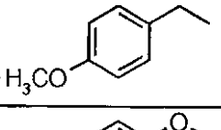
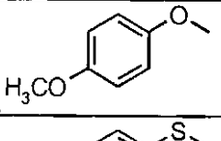
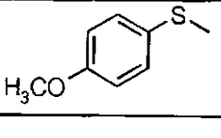
No.	A	R^1
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332		CF_3
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334		CF_3
335		CF_3
336		OCH_3
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338		OCH_3
339		OCH_3
340		OCH_3
341		H
342		H
343		H
344		H

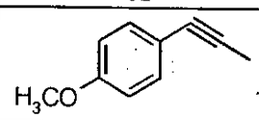
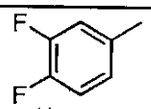
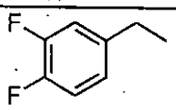
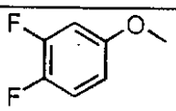
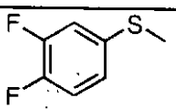
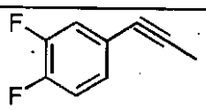
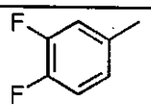
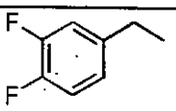
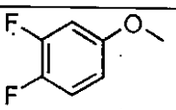
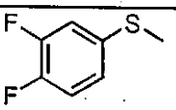
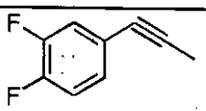
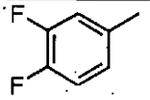
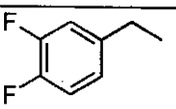
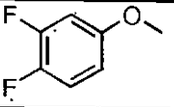
No.	A	R^1
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352		CH_2CH_3
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355		CH_2CH_3
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358		CF_3

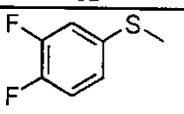
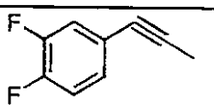
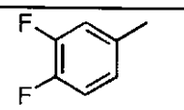
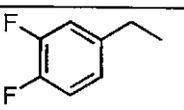
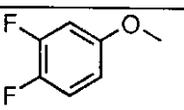
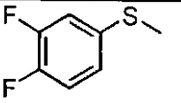
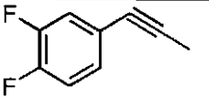
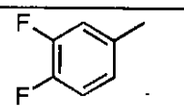
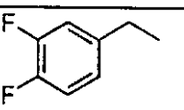
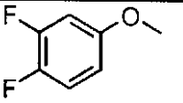
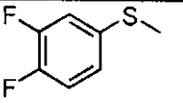
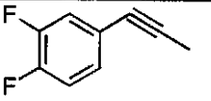
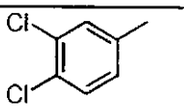
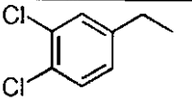
No.	A	R^1
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360		CF_3
361		OCH_3
362		OCH_3
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372		CH_3

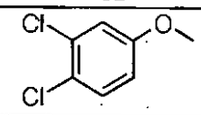
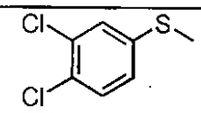
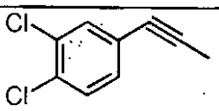
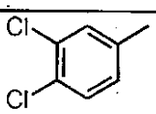
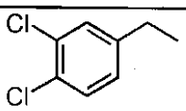
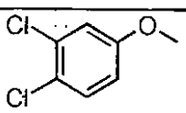
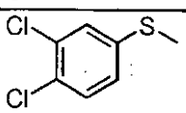
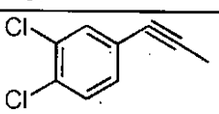
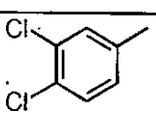
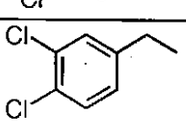
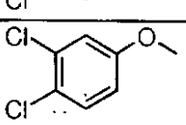
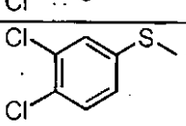
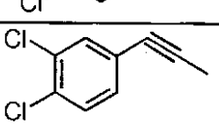
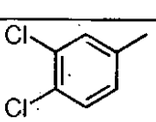
No.	A	R^1
373		CH_3
374		CH_3
375		CH_3
376		CH_2CH_3
377		CH_2CH_3
378		CH_2CH_3
379		CH_2CH_3
380		CH_2CH_3
381		CF_3
382		CF_3
383		CF_3
384		CF_3
385		CF_3
386		OCH_3

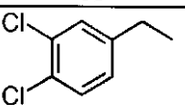
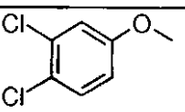
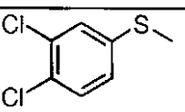
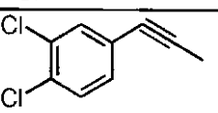
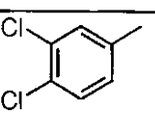
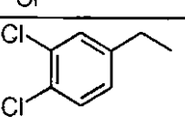
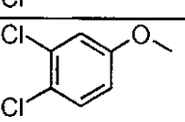
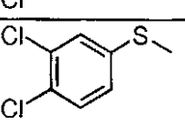
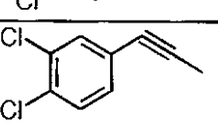
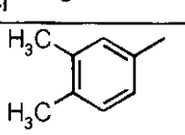
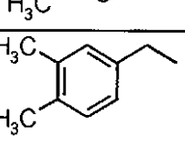
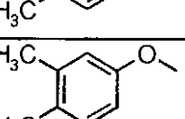
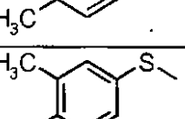
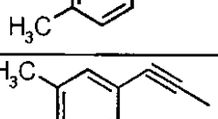
No.	A	R^1
387		OCH_3
388		OCH_3
389		OCH_3
390		OCH_3
391		H
392		H
393		H
394		H
395		H
396		CH_3
397		CH_3
398		CH_3
399		CH_3
400		CH_3

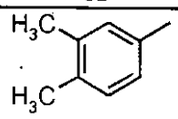
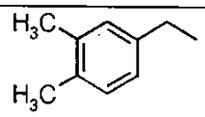
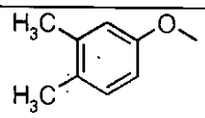
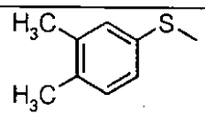
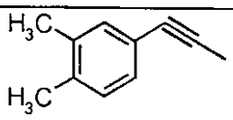
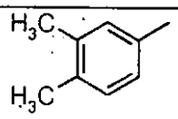
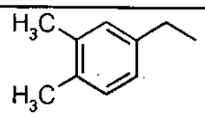
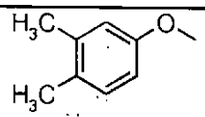
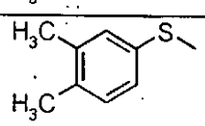
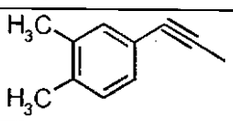
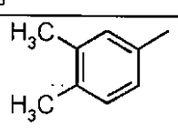
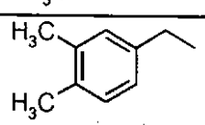
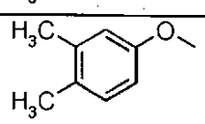
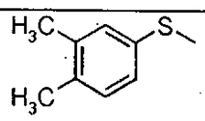
No.	A	R^1
401		CH_2CH_3
402		CH_2CH_3
403		CH_2CH_3
404		CH_2CH_3
405		CH_2CH_3
406		CF_3
407		CF_3
408		CF_3
409		CF_3
410		CF_3
411		OCH_3
412		OCH_3
413		OCH_3
414		OCH_3

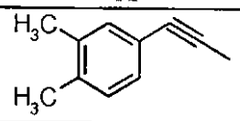
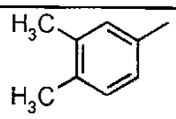
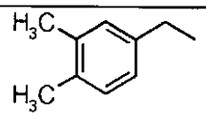
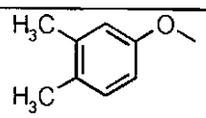
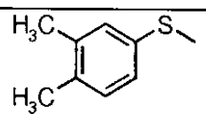
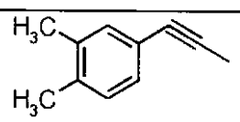
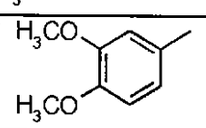
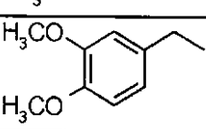
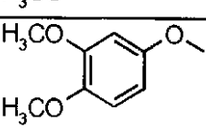
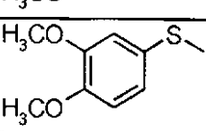
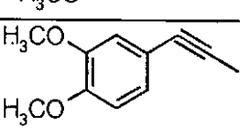
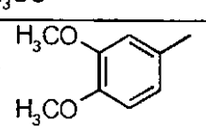
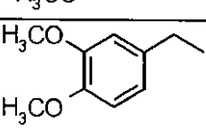
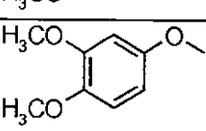
No.	A	R^1
415		OCH_3
416		H
417		H
418		H
419		H
420		H
421		CH_3
422		CH_3
423		CH_3
424		CH_3
425		CH_3
426		CH_2CH_3
427		CH_2CH_3
428		CH_2CH_3

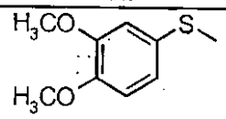
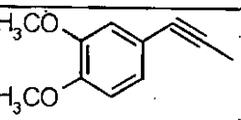
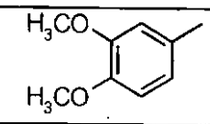
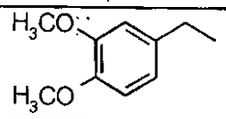
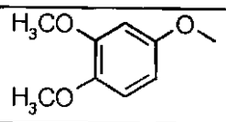
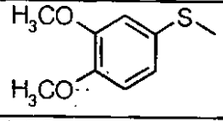
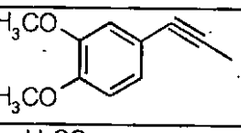
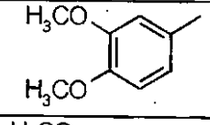
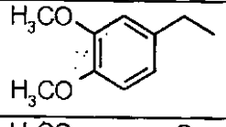
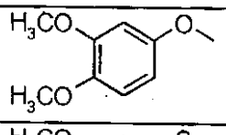
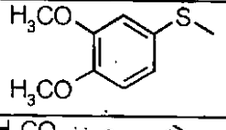
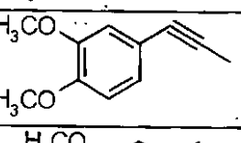
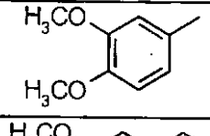
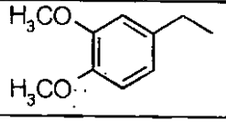
No.	A	R^1
429		CH_2CH_3
430		CH_2CH_3
431		CF_3
432		CF_3
433		CF_3
434		CF_3
435		CF_3
436		OCH_3
437		OCH_3
438		OCH_3
439		OCH_3
440		OCH_3
441		H
442		H

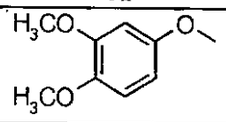
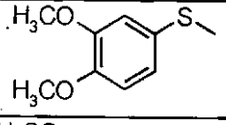
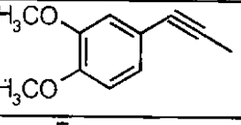
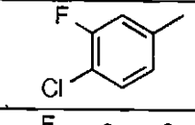
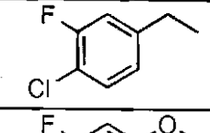
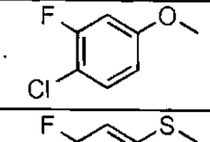
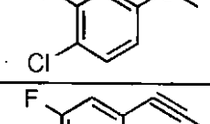
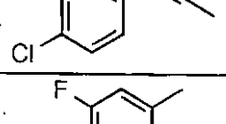
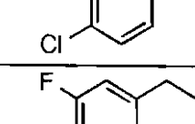
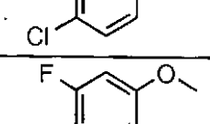
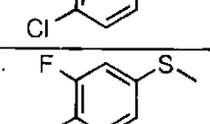
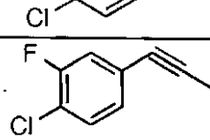
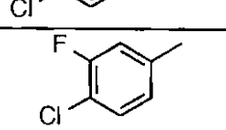
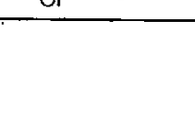
No.	A	R^1
443		H
444		H
445		H
446		CH_3
447		CH_3
448		CH_3
449		CH_3
450		CH_3
451		CH_2CH_3
452		CH_2CH_3
453		CH_2CH_3
454		CH_2CH_3
455		CH_2CH_3
456		CF_3

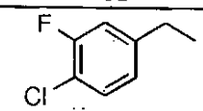
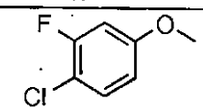
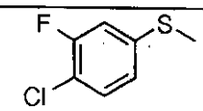
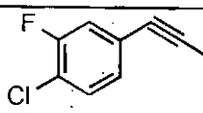
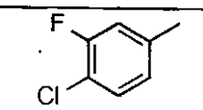
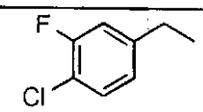
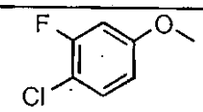
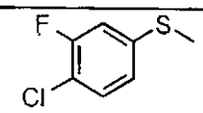
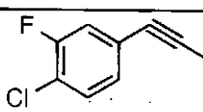
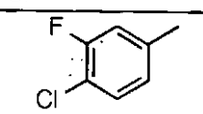
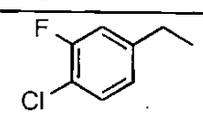
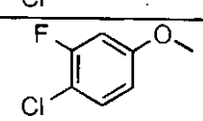
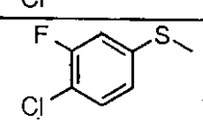
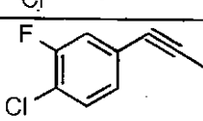
No.	A	R^1
457		CF_3
458		CF_3
459		CF_3
460		CF_3
461		OCH_3
462		OCH_3
463		OCH_3
464		OCH_3
465		OCH_3
466		H
467		H
468		H
469		H
470		H

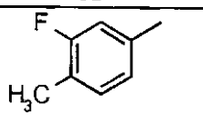
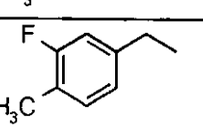
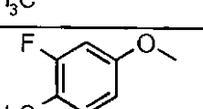
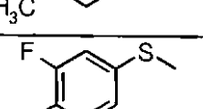
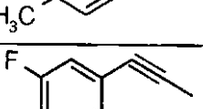
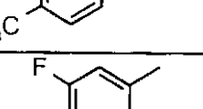
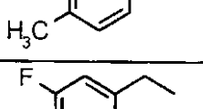
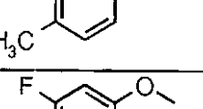
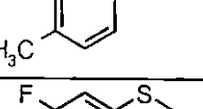
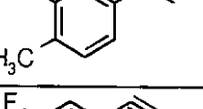
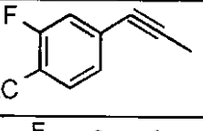
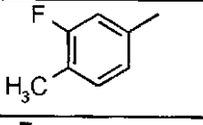
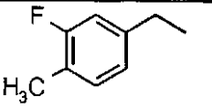
No.	A	R^1
471		CH_3
472		CH_3
473		CH_3
474		CH_3
475		CH_3
476		CH_2CH_3
477		CH_2CH_3
478		CH_2CH_3
479		CH_2CH_3
480		CH_2CH_3
481		CF_3
482		CF_3
483		CF_3
484		CF_3

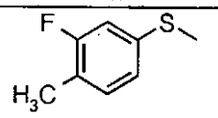
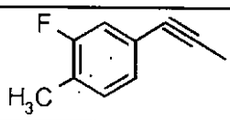
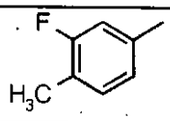
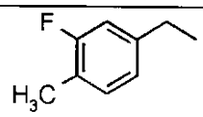
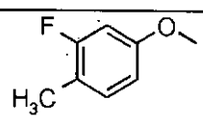
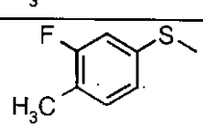
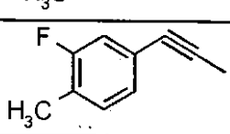
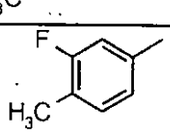
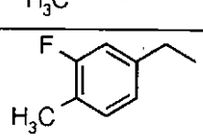
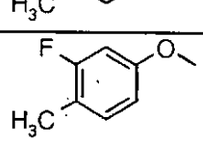
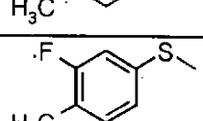
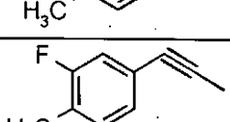
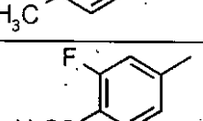
No.	A	R^1
485		CF_3
486		OCH_3
487		OCH_3
488		OCH_3
489		OCH_3
490		OCH_3
491		H
492		H
493		H
494		H
495		H
496		CH_3
497		CH_3
498		CH_3

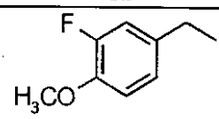
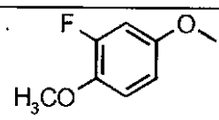
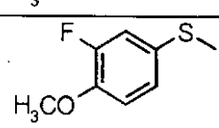
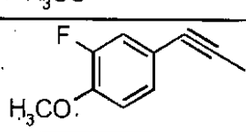
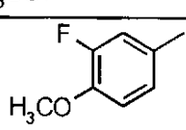
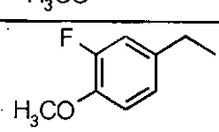
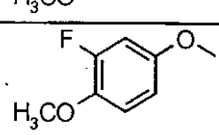
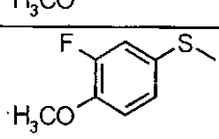
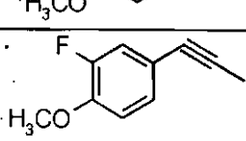
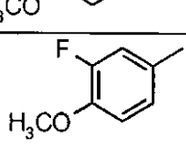
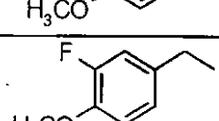
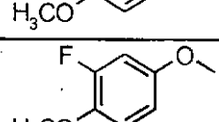
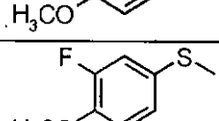
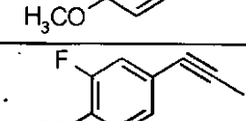
No.	A	R^1
499		CH_3
500		CH_3
501		CH_2CH_3
502		CH_2CH_3
503		CH_2CH_3
504		CH_2CH_3
505		CH_2CH_3
506		CF_3
507		CF_3
508		CF_3
509		CF_3
510		CF_3
511		OCH_3
512		OCH_3

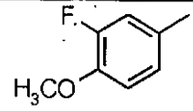
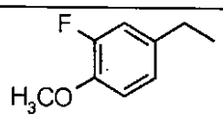
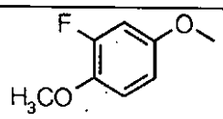
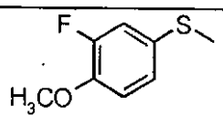
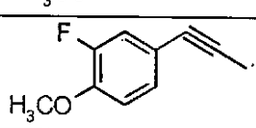
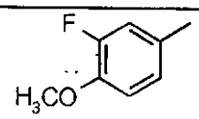
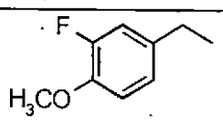
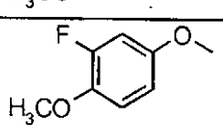
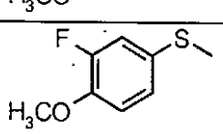
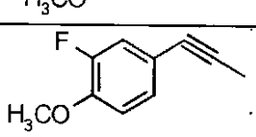
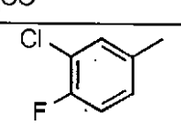
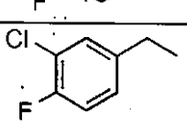
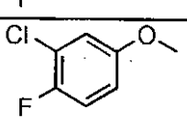
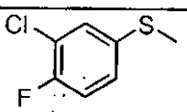
No.	A	R^1
513		OCH_3
514		OCH_3
515		OCH_3
516		H
517		H
518		H
519		H
520		H
521		CH_3
522		CH_3
523		CH_3
524		CH_3
525		CH_3
526		CH_2CH_3

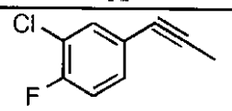
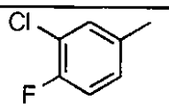
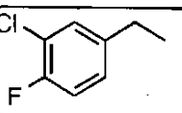
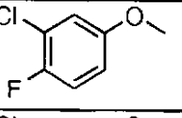
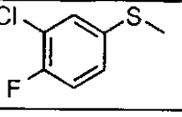
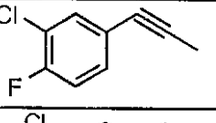
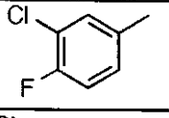
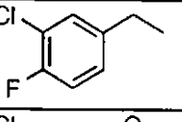
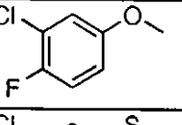
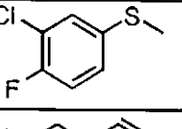
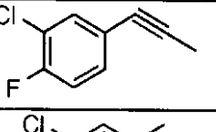
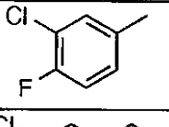
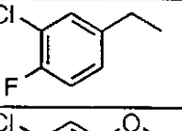
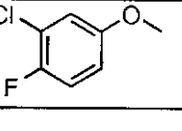
No.	A	R^1
527		CH_2CH_3
528		CH_2CH_3
529		CH_2CH_3
530		CH_2CH_3
531		CF_3
532		CF_3
533		CF_3
534		CF_3
535		CF_3
536		OCH_3
537		OCH_3
538		OCH_3
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540		OCH_3

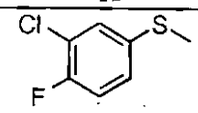
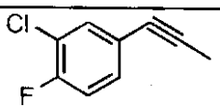
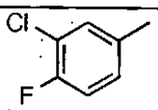
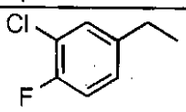
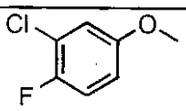
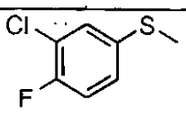
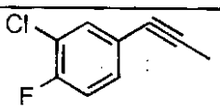
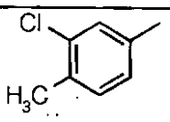
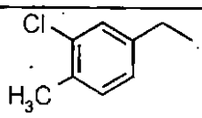
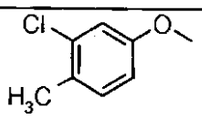
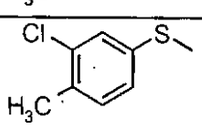
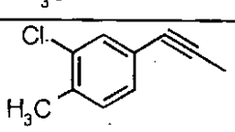
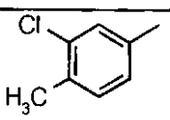
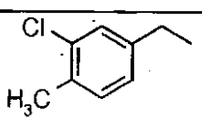
No.	A	R^1
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542		H
543		H
544		H
555		H
556		CH_3
557		CH_3
558		CH_3
559		CH_3
560		CH_3
561		CH_2CH_3
562		CH_2CH_3
563		CH_2CH_3

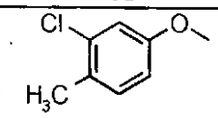
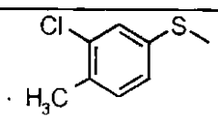
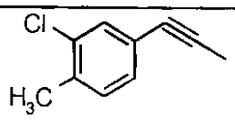
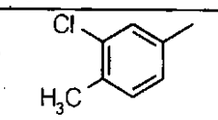
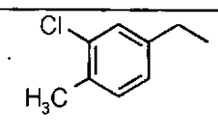
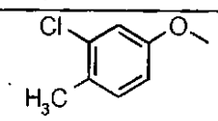
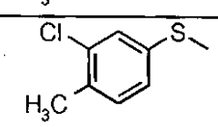
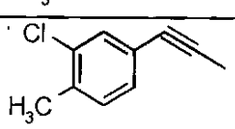
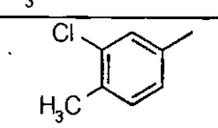
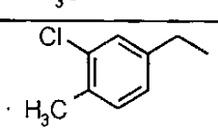
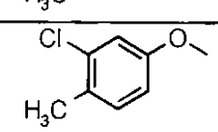
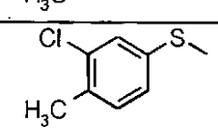
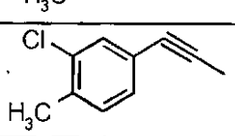
No.	A	R^1
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565		CH_2CH_3
566		CF_3
567		CF_3
568		CF_3
569		CF_3
570		CF_3
571		OCH_3
572		OCH_3
573		OCH_3
574		OCH_3
575		OCH_3
576		H

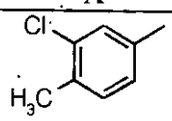
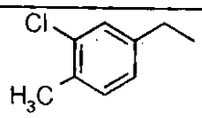
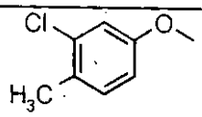
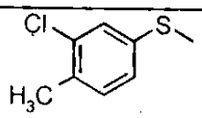
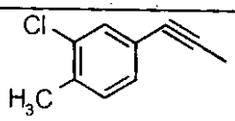
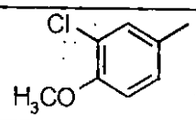
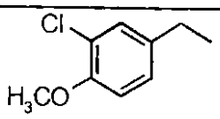
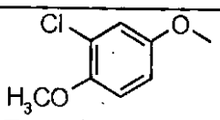
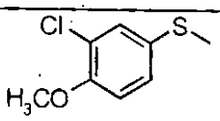
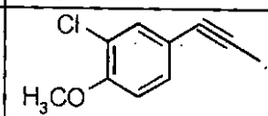
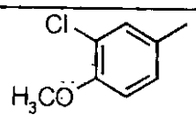
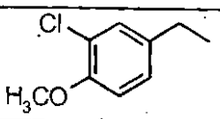
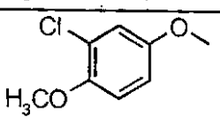
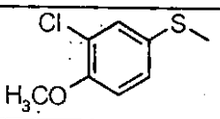
No.	A	R^1
577		H
578		H
579		H
580		H
581		CH_3
582		CH_3
583		CH_3
584		CH_3
585		CH_3
586		CH_2CH_3
587		CH_2CH_3
588		CH_2CH_3
589		CH_2CH_3
590		CH_2CH_3

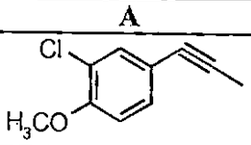
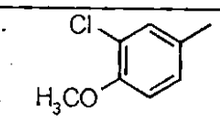
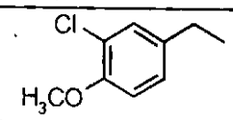
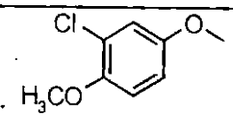
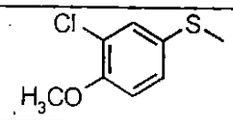
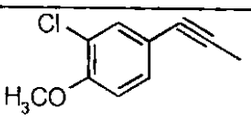
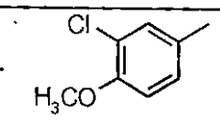
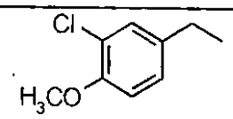
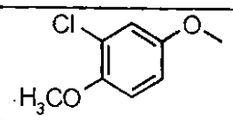
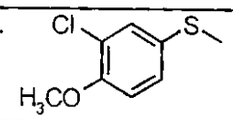
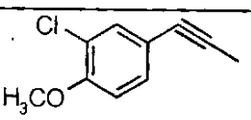
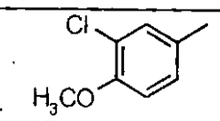
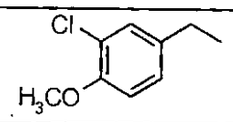
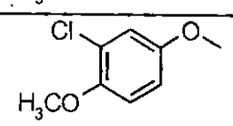
No.	A	R^1
591		CF_3
592		CF_3
593		CF_3
594		CF_3
595		CF_3
596		OCH_3
597		OCH_3
598		OCH_3
599		OCH_3
600		OCH_3
601		H
602		H
603		H
604		H

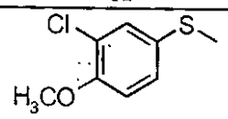
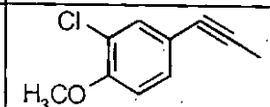
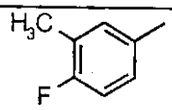
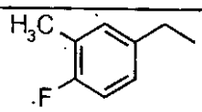
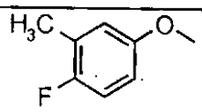
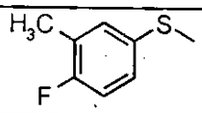
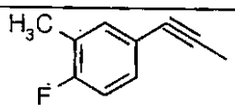
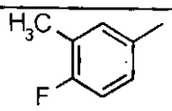
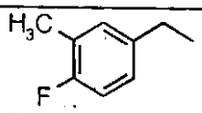
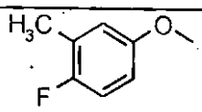
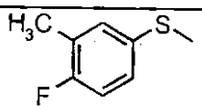
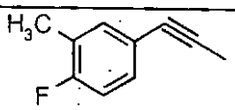
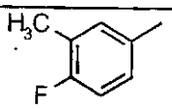
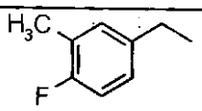
No.	A	R^1
605		H
606		CH_3
607		CH_3
608		CH_3
609		CH_3
610		CH_3
611		CH_2CH_3
612		CH_2CH_3
613		CH_2CH_3
614		CH_2CH_3
615		CH_2CH_3
616		CF_3
617		CF_3
618		CF_3

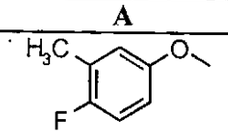
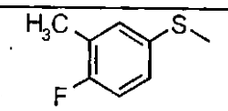
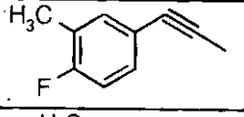
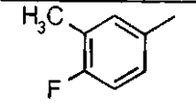
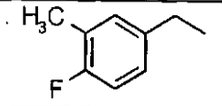
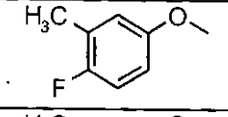
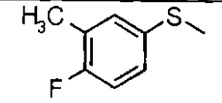
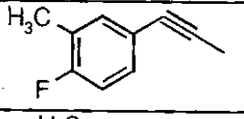
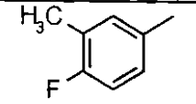
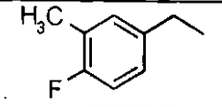
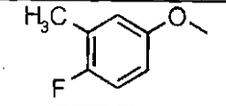
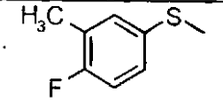
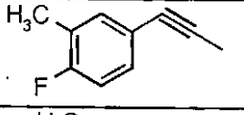
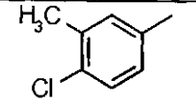
No.	A	R^1
619		CF_3
620		CF_3
621		OCH_3
622		OCH_3
623		OCH_3
624		OCH_3
625		OCH_3
626		H
627		H
628		H
629		H
630		H
631		CH_3
632		CH_3

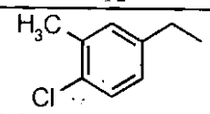
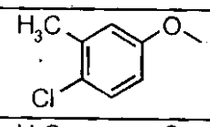
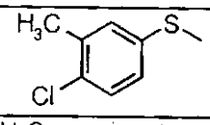
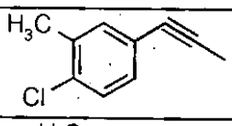
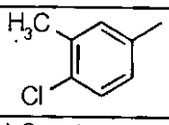
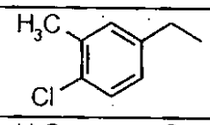
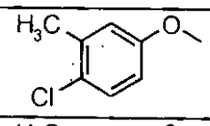
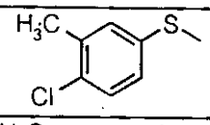
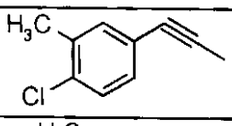
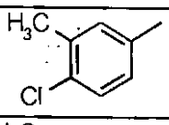
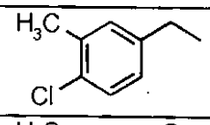
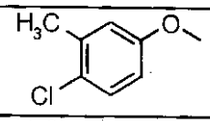
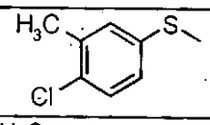
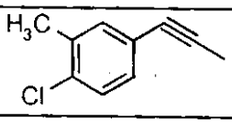
No.	A	R^1
633		CH_3
634		CH_3
635		CH_3
636		CH_2CH_3
637		CH_2CH_3
638		CH_2CH_3
639		CH_2CH_3
640		CH_2CH_3
641		CF_3
642		CF_3
643		CF_3
644		CF_3
645		CF_3

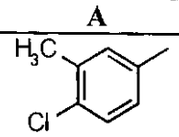
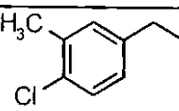
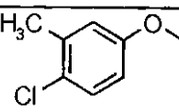
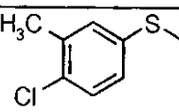
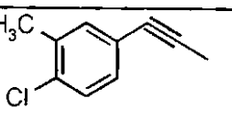
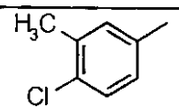
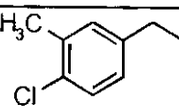
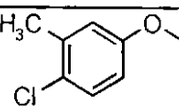
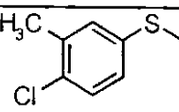
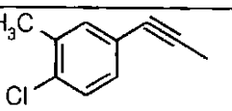
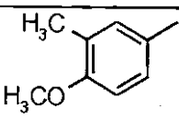
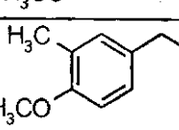
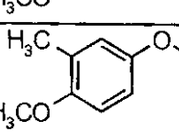
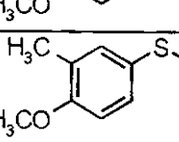
No.	A	R^1
646		OCH_3
647		OCH_3
648		OCH_3
649		OCH_3
650		OCH_3
651		H
652		H
653		H
654		H
655		H
656		CH_3
657		CH_3
658		CH_3
659		CH_3

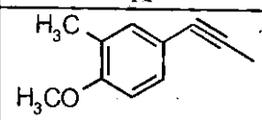
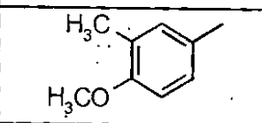
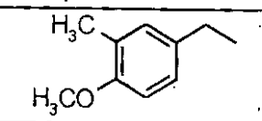
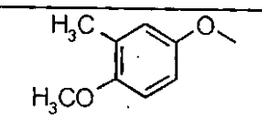
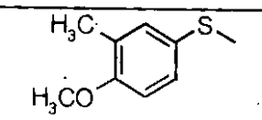
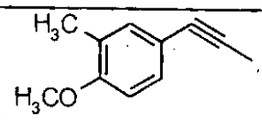
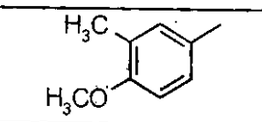
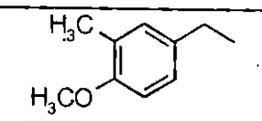
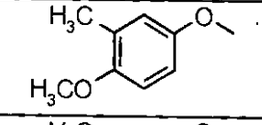
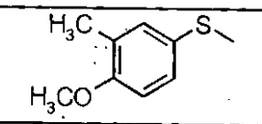
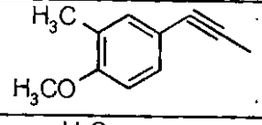
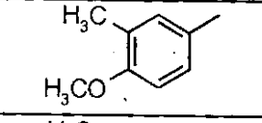
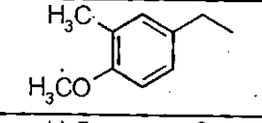
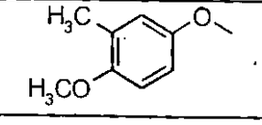
No.	A	R^1
660		CH_3
661		CH_2CH_3
662		CH_2CH_3
663		CH_2CH_3
664		CH_2CH_3
665		CH_2CH_3
666		CF_3
667		CF_3
668		CF_3
669		CF_3
670		CF_3
671		OCH_3
672		OCH_3
673		OCH_3

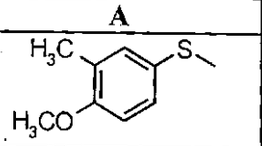
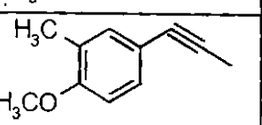
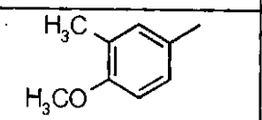
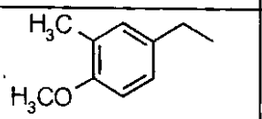
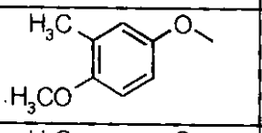
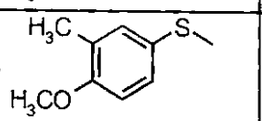
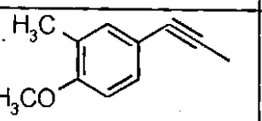
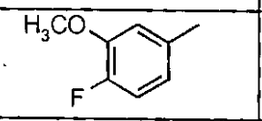
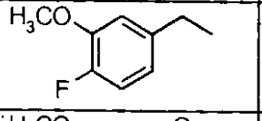
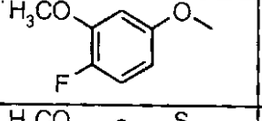
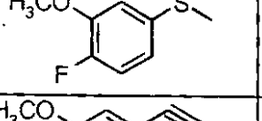
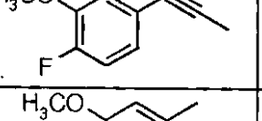
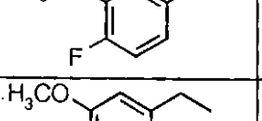
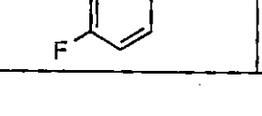
No.	A	R^1
674		OCH_3
675		OCH_3
676		H
677		H
678		H
679		H
680		H
681		CH_3
682		CH_3
683		CH_3
684		CH_3
685		CH_3
686		CH_2CH_3
687		CH_2CH_3

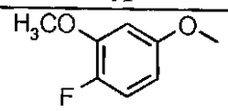
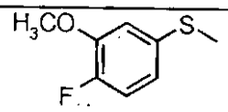
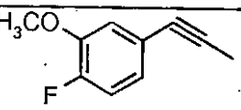
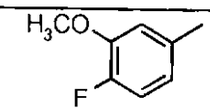
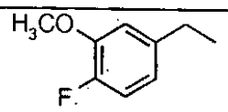
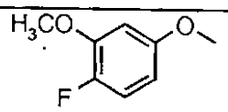
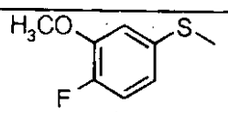
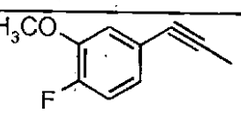
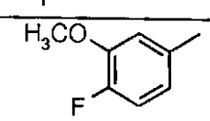
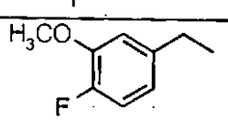
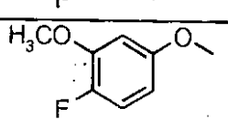
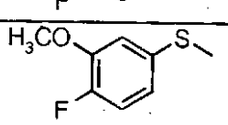
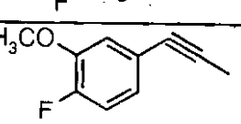
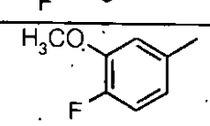
No.	A	R^1
688		CH_2CH_3
689		CH_2CH_3
690		CH_2CH_3
691		CF_3
692		CF_3
693		CF_3
694		CF_3
695		CF_3
696		OCH_3
697		OCH_3
698		OCH_3
699		OCH_3
700		OCH_3
701		H

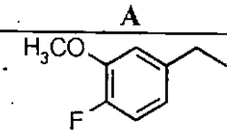
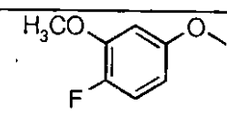
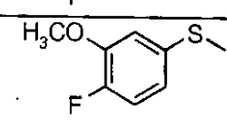
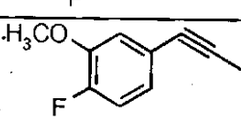
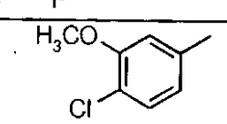
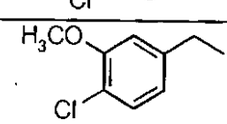
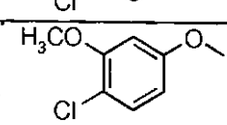
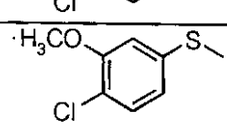
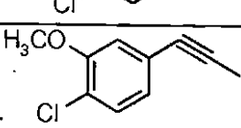
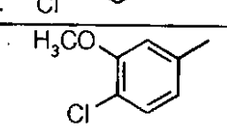
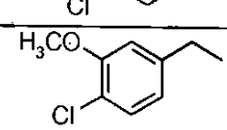
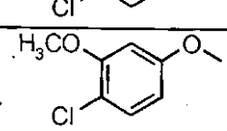
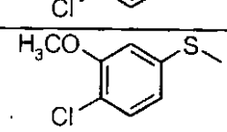
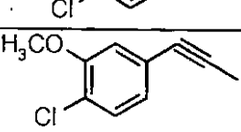
No.	A	R^1
702		H
703		H
704		H
705		H
706		CH_3
707		CH_3
708		CH_3
709		CH_3
710		CH_3
711		CH_2CH_3
712		CH_2CH_3
713		CH_2CH_3
714		CH_2CH_3
715		CH_2CH_3

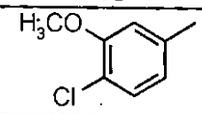
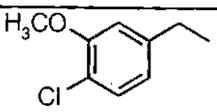
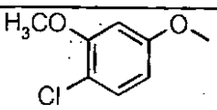
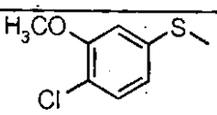
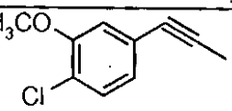
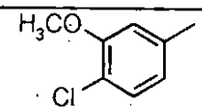
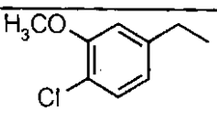
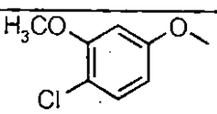
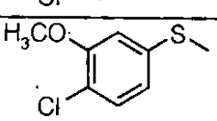
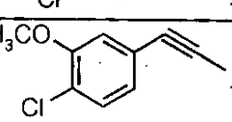
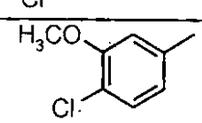
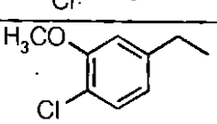
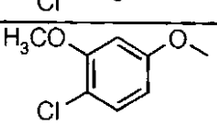
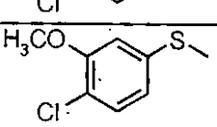
No.	A	R^1
716		CF_3
717		CF_3
718		CF_3
719		CF_3
720		CF_3
721		OCH_3
722		OCH_3
723		OCH_3
724		OCH_3
725		OCH_3
726		H
727		H
728		H
729		H

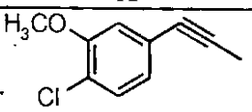
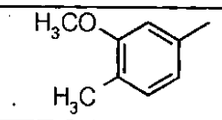
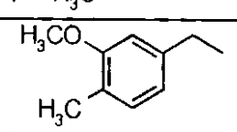
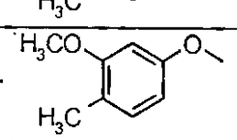
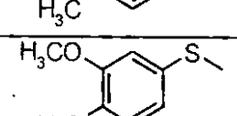
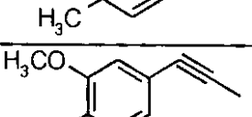
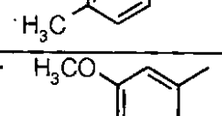
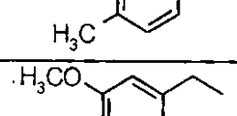
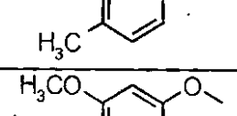
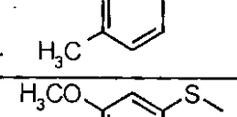
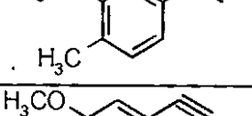
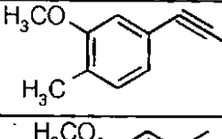
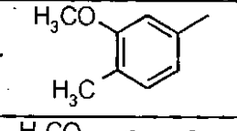
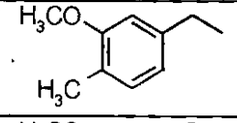
No.	A	R^1
730		H
731		CH_3
732		CH_3
733		CH_3
734		CH_3
735		CH_3
736		CH_2CH_3
737		CH_2CH_3
738		CH_2CH_3
739		CH_2CH_3
740		CH_2CH_3
741		CF_3
742		CF_3
743		CF_3

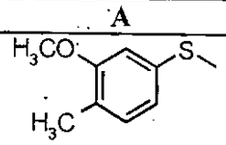
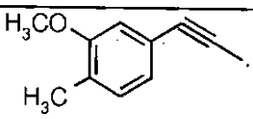
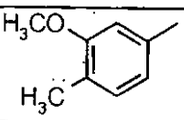
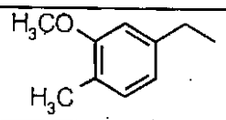
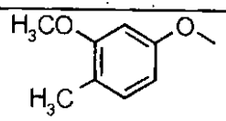
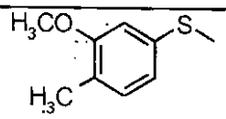
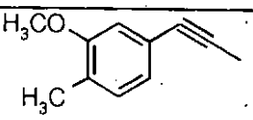
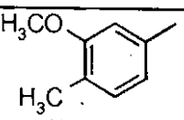
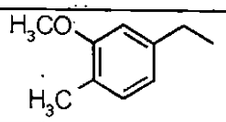
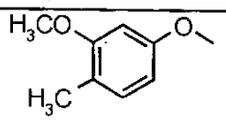
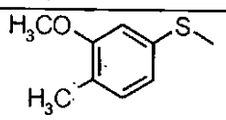
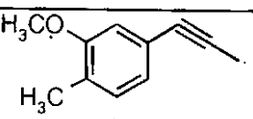
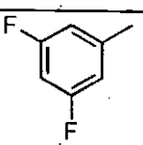
No.	A	R^1
744		CF_3
745		CF_3
746		OCH_3
747		OCH_3
748		OCH_3
749		OCH_3
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751		H
752		H
753		H
754		H
755		H
756		CH_3
757		CH_3

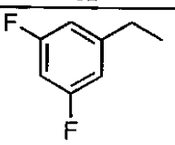
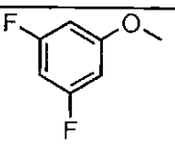
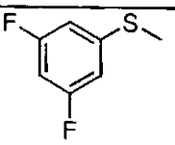
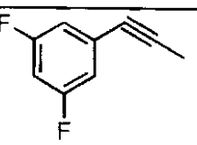
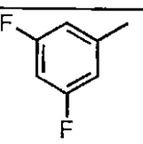
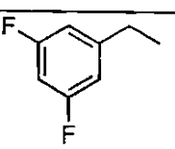
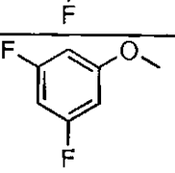
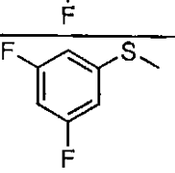
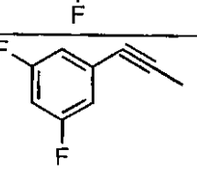
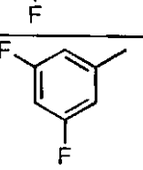
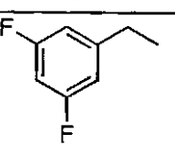
No.	A	R^1
758		CH_3
759		CH_3
760		CH_3
761		CH_2CH_3
762		CH_2CH_3
763		CH_2CH_3
764		CH_2CH_3
765		CH_2CH_3
766		CF_3
767		CF_3
768		CF_3
769		CF_3
770		CF_3
771		OCH_3

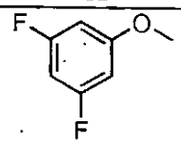
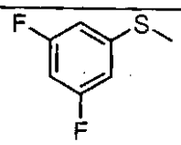
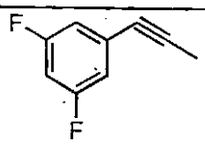
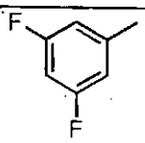
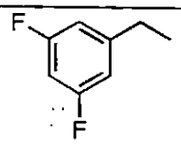
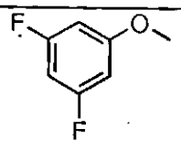
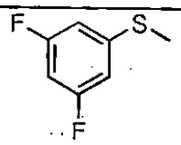
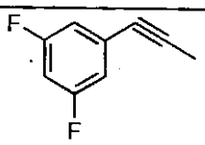
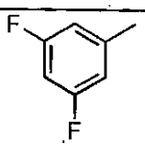
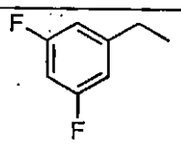
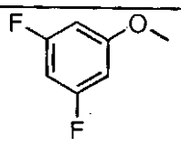
No.	A	R^1
772		OCH_3
773		OCH_3
774		OCH_3
775		OCH_3
776		H
777		H
778		H
779		H
780		H
781		CH_3
782		CH_3
783		CH_3
784		CH_3
785		CH_3

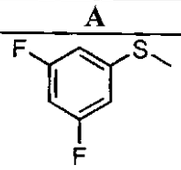
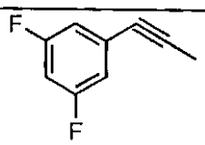
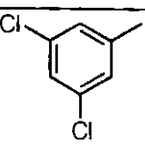
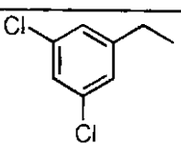
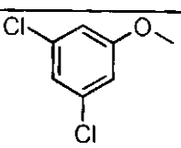
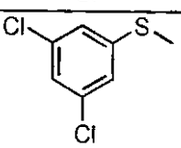
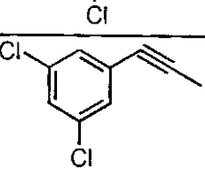
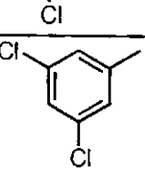
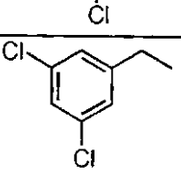
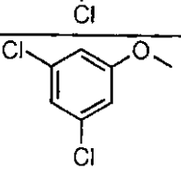
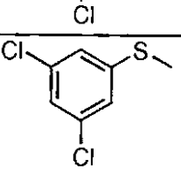
No.	A	R^1
786		CH_2CH_3
787		CH_2CH_3
788		CH_2CH_3
789		CH_2CH_3
790		CH_2CH_3
791		CF_3
792		CF_3
793		CF_3
794		CF_3
795		CF_3
796		OCH_3
797		OCH_3
798		OCH_3
799		OCH_3

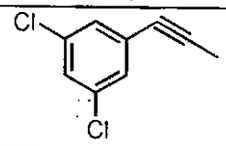
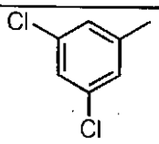
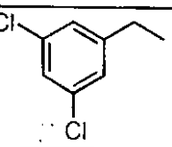
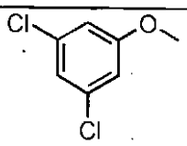
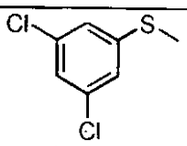
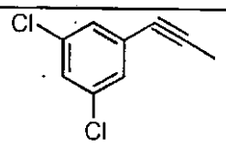
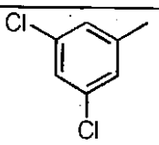
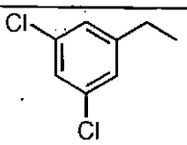
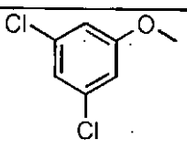
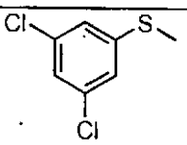
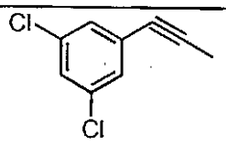
No.	A	R^1
800		OCH_3
801		H
802		H
803		H
804		H
805		H
806		CH_3
807		CH_3
808		CH_3
809		CH_3
810		CH_3
811		CH_2CH_3
812		CH_2CH_3
813		CH_2CH_3

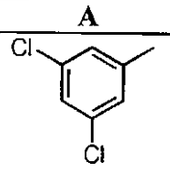
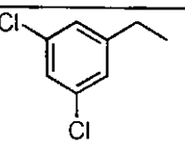
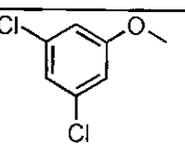
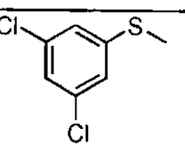
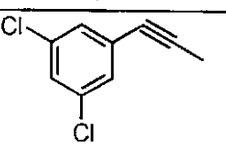
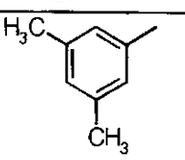
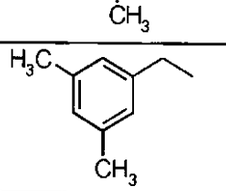
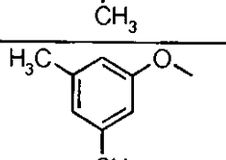
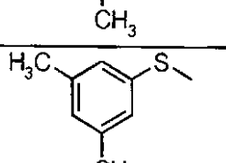
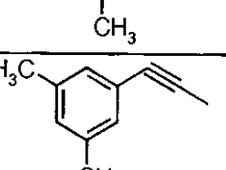
No.	A	R^1
814		CH_2CH_3
815		CH_2CH_3
816		CF_3
817		CF_3
818		CF_3
819		CF_3
820		CF_3
821		OCH_3
822		OCH_3
823		OCH_3
824		OCH_3
825		OCH_3
826		H

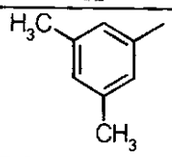
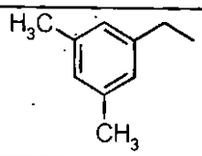
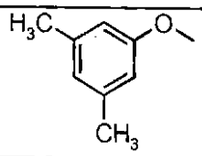
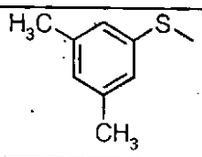
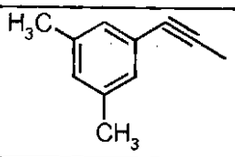
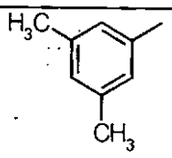
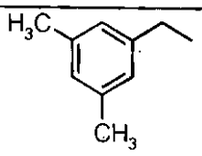
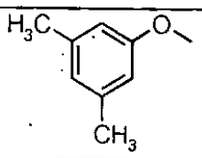
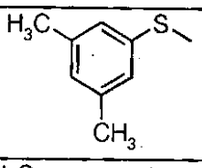
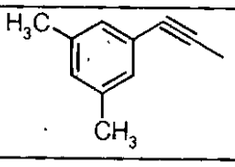
No.	A	R^1
827		H
828		H
829		H
830		H
831		CH_3
832		CH_3
833		CH_3
834		CH_3
835		CH_3
836		CH_2CH_3
837		CH_2CH_3

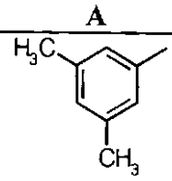
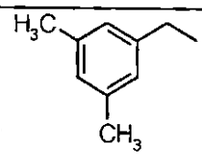
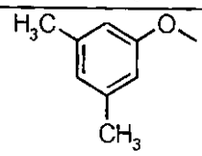
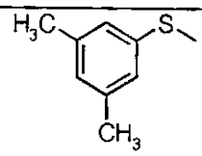
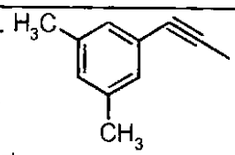
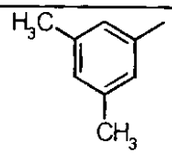
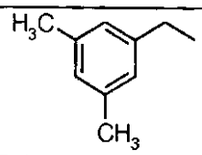
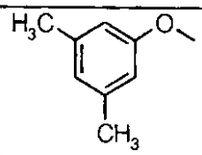
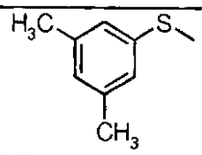
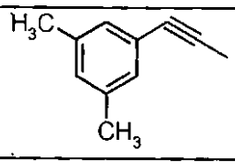
No.	A	R^1
838		CH_2CH_3
839		CH_2CH_3
840		CH_2CH_3
841		CF_3
842		CF_3
843		CF_3
844		CF_3
845		CF_3
846		OCH_3
847		OCH_3
848		OCH_3

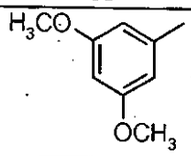
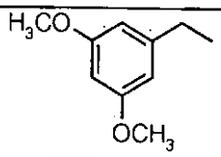
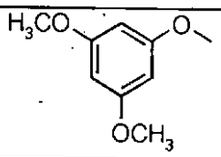
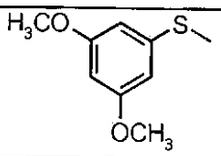
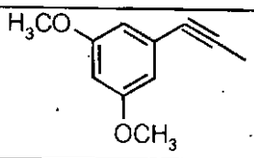
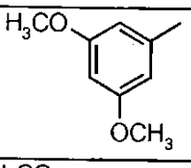
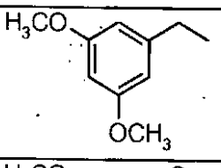
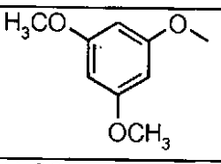
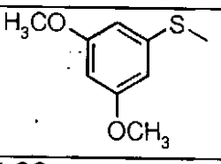
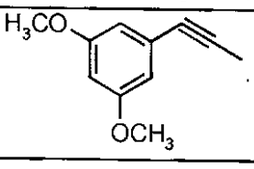
No.	A	R^1
849		OCH_3
850		OCH_3
851		H
852		H
853		H
854		H
855		H
856		CH_3
857		CH_3
858		CH_3
859		CH_3

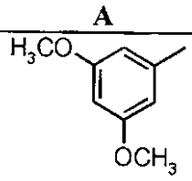
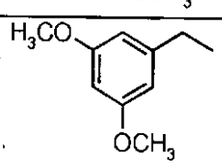
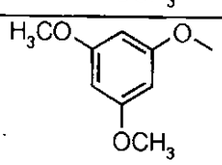
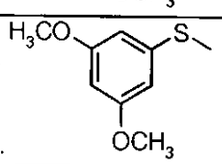
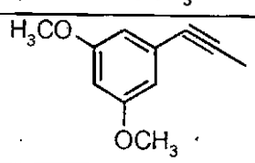
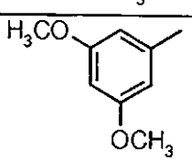
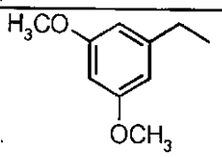
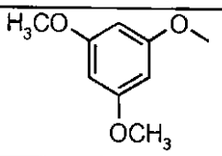
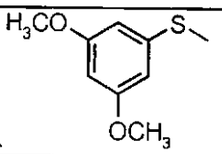
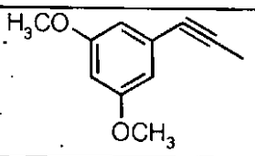
No.	A	R^1
860		CH_3
861		CH_2CH_3
862		CH_2CH_3
863		CH_2CH_3
864		CH_2CH_3
865		CH_2CH_3
866		CF_3
867		CF_3
868		CF_3
869		CF_3
870		CF_3

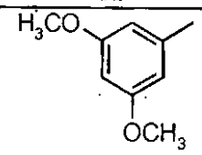
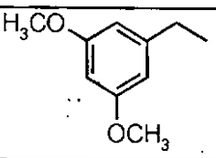
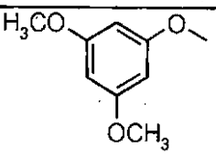
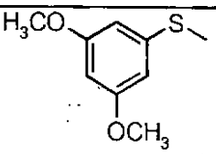
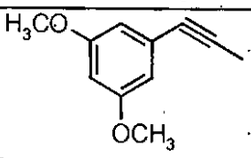
No.	A	R^1
871		OCH_3
872		OCH_3
873		OCH_3
874		OCH_3
875		OCH_3
876		H
877		H
878		H
879		H
880		H

No.	A	R^1
881		CH_3
882		CH_3
883		CH_3
884		CH_3
885		CH_3
886		CH_2CH_3
887		CH_2CH_3
888		CH_2CH_3
889		CH_2CH_3
890		CH_2CH_3

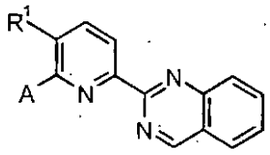
No.	A	R^1
891		CF_3
892		CF_3
893		CF_3
894		CF_3
895		CF_3
896		OCH_3
897		OCH_3
898		OCH_3
899		OCH_3
900		OCH_3

No.	A	R^1
901		H
902		H
903		H
904		H
905		H
906		CH_3
907		CH_3
908		CH_3
909		CH_3
910		CH_3

No.	A	R^1
911		CH_2CH_3
912		CH_2CH_3
913		CH_2CH_3
914		CH_2CH_3
915		CH_2CH_3
916		CF_3
917		CF_3
918		CF_3
919		CF_3
920		CF_3

No.	A	R^1
921		OCH_3
922		OCH_3
923		OCH_3
924		OCH_3
925		OCH_3

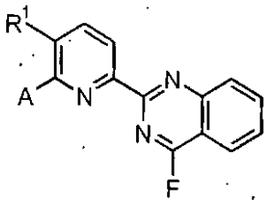
wherein there are
a) 925 compounds of formula (I.a):



(I.a)

wherein R^1 and A are as defined in Table 1.

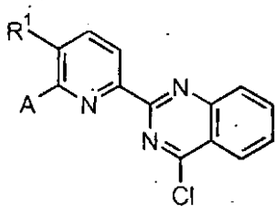
b) 925 compounds of formula (I.b):



(I.b)

wherein R^1 and A are as defined in Table 1.

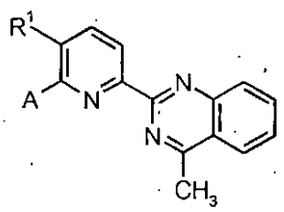
c) 925 compounds of formula (I.c):



(I.c)

wherein R^1 and A are as defined in Table 1.

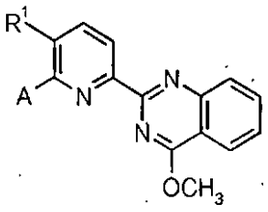
d) 925 compounds of formula (I.d):



(I.d)

wherein R^1 and A are as defined in Table 1.

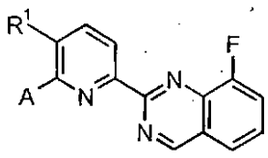
e) 925 compounds of formula (I.e):



(I.e)

wherein R^1 and A are as defined in Table 1.

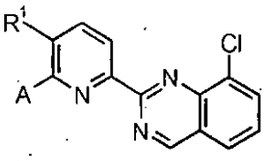
f) 925 compounds of formula (I.f):



(I.f)

wherein R^1 and A are as defined in Table 1.

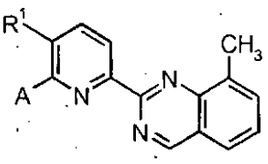
g) 925 compounds of formula (I.g):



(I.g)

wherein R^1 and A are as defined in Table 1.

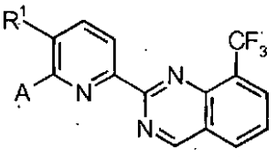
h) 925 compounds of formula (I.h):



(I.h)

wherein R^1 and A are as defined in Table 1.

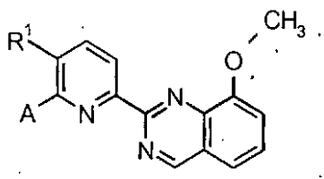
i) 925 compounds of formula (I.i):



(I.i)

wherein R^1 and A are as defined in Table 1.

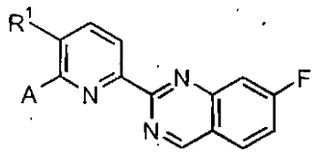
j) 925 compounds of formula (I.j):



(I.j)

wherein R^1 and A are as defined in Table 1.

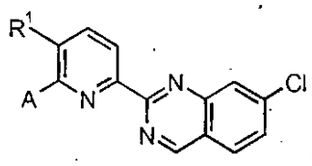
k) 925 compounds of formula (I.k):



(I.k)

wherein R^1 and A are as defined in Table 1.

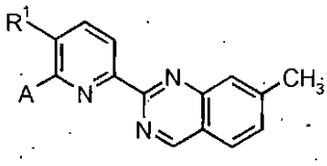
m) 925 compounds of formula (I.m):



(I.m)

wherein R^1 and A are as defined in Table 1.

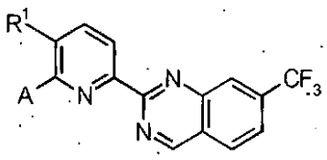
n) 925 compounds of formula (I.n):



(I.n)

wherein R^1 and A are as defined in Table 1.

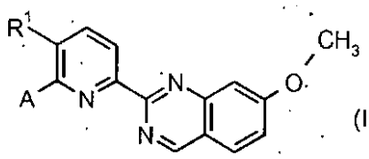
o) 925 compounds of formula (I.o):



(I.o)

wherein R^1 and A are as defined in Table 1.

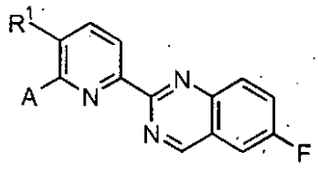
p) 925 compounds of formula (I.p):



(I.p)

wherein R^1 and A are as defined in Table 1.

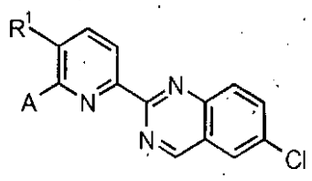
q) 925 compounds of formula (I.q):



(I.q)

wherein R^1 and A are as defined in Table 1.

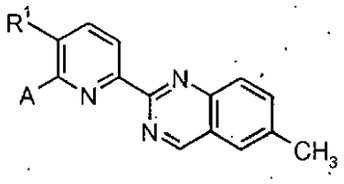
r) 925 compounds of formula (I.r):



(I.r)

wherein R^1 and A are as defined in Table 1.

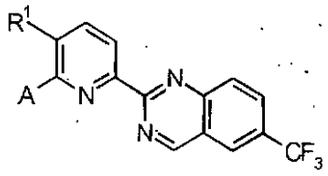
s) 925 compounds of formula (I.s):



(I.s)

wherein R^1 and A are as defined in Table 1.

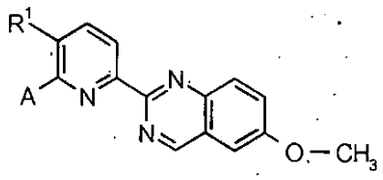
t) 925 compounds of formula (I.t):



(I.t)

wherein R^1 and A are as defined in Table 1.

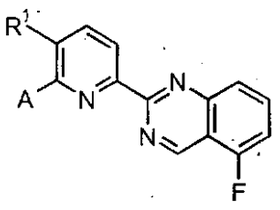
u) 925 compounds of formula (I.u):



(I.u)

wherein R^1 and A are as defined in Table 1.

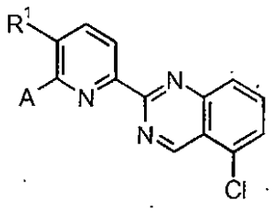
v) 925 compounds of formula (I.v):



(I.v)

wherein R^1 and A are as defined in Table 1.

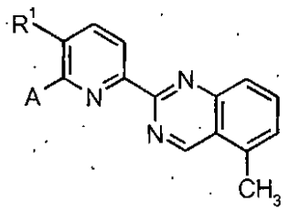
w) 925 compounds of formula (I.w):



(I.w)

wherein R^1 and A are as defined in Table 1.

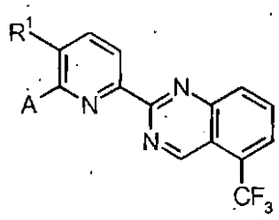
x) 925 compounds of formula (I.x):



(I.x)

wherein R^1 and A are as defined in Table 1.

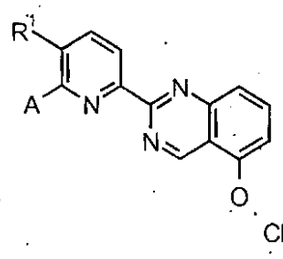
y) 925 compounds of formula (I.y):



(I.y)

wherein R^1 and A are as defined in Table 1.

z) 925 compounds of formula (I.z):



(I.z)

wherein R^1 and A are as defined in Table 1.

Preferred individual compounds are:

2-(5-methyl-6-*o*-tolylpyridin-2-yl)-quinazoline (Compound I.a 096);

2-[6-(4-fluoro-3-methylphenyl)-5-methylpyridin-2-yl]-quinazoline (Compound I.a 681),

2-[6-(3-fluoro-4-methoxy-phenyl)-5-methylpyridin-2-yl]-quinazoline (Compound I.a 581);

2-[6-(3,5-dimethylphenyl)-5-methylpyridin-2-yl]-quinazoline (Compound I.a 881);

2-[6-(3,5-difluorophenyl)-5-methylpyridin-2-yl]-quinazoline (Compound I.a 831);

2-[6-(3,4-difluorophenyl)-5-methylpyridin-2-yl]-quinazoline (Compound I.a 421);

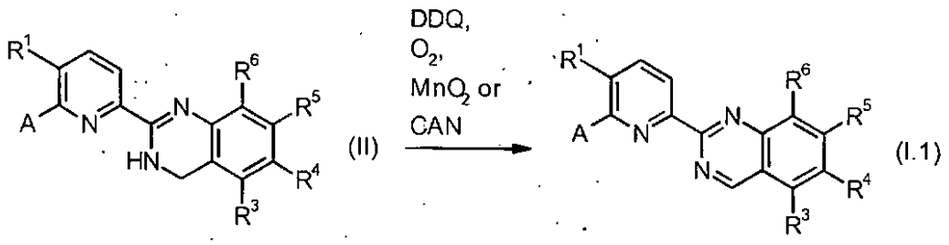
6-Methyl-2-(5-methyl-6-phenylpyridin-2-yl)-quinazoline (Compound I.s 021);
2-[6-(2-chlorobenzyl)-pyridin-2-yl]-quinazoline (Compound I.a 067);
2-[6-(2-methylbenzyl)-pyridin-2-yl]-quinazoline (Compound I.a 092);
2-(6-benzyl-5-methylpyridin-2-yl)-quinazoline (Compound I.a 022);
2-(6-benzylpyridin-2-yl)-6-methylquinazoline (Compound I.s 017);
2-[6-(2,5-dimethyl-phenyl)-pyridin-2-yl]-quinazoline;
2-(6-benzyl-pyridin-2-yl)-4-methoxy-quinazoline;
2-[6-(2-fluoro-3-methyl-benzyl)-5-methyl-pyridin-2-yl]-quinazoline;
2-[6-(2-fluoro-3-methyl-benzyl)-pyridin-2-yl]-quinazoline;
4-methyl-2-(5-methyl-6-phenyl-pyridin-2-yl)-quinazoline;
2-[6-(4-methoxy-2-methyl-phenyl)-5-methyl-pyridin-2-yl]-quinazoline;
2-[6-(2-fluoro-5-methyl-phenyl)-5-methyl-pyridin-2-yl]-quinazoline;
2-[6-(4-fluoro-2-methyl-phenyl)-pyridin-2-yl]-quinazoline;
2-(6-cyclopropylethynyl-5-methyl-pyridin-2-yl)-quinazoline;
2-(6-phenoxy-pyridin-2-yl)-quinazoline;
2-(5-methyl-6-phenoxy-pyridin-2-yl)-quinazoline;
5-methyl-2-(5-methyl-6-phenyl-pyridin-2-yl)-quinazoline; and
2-[5-methoxy-6-(4-methoxy-phenyl)-pyridin-2-yl]-quinazoline.

Compounds of the invention and for use in the methods of the invention can be made, for example, by following the reaction schemes and the methods detailed below. The starting materials used for the preparation of the compounds of the invention may be purchased from usual commercial suppliers or may be prepared by known methods. The starting materials as well as the intermediates may be purified before use in the next step by state of the art methodologies such as chromatography, crystallization, distillation and filtration.

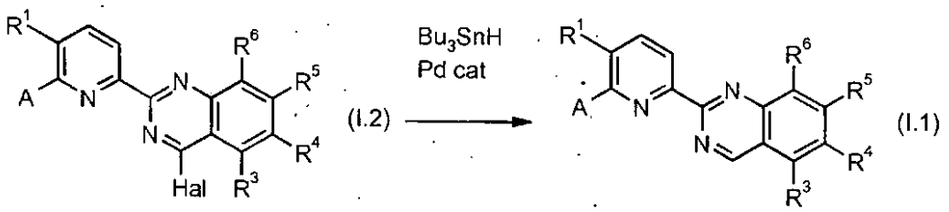
Preparation of compounds of formula I

Compounds of formula (I) can be made as shown in the following schemes.

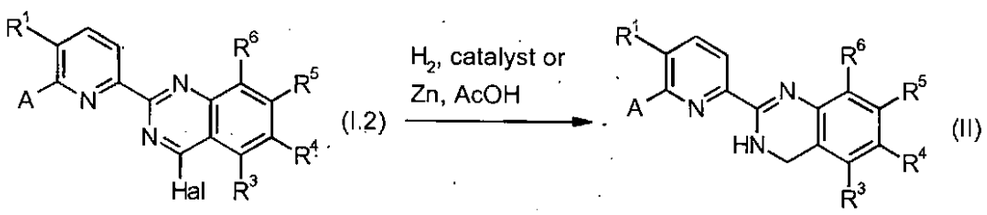
The compounds of formula I.1, wherein R^1, R^3, R^4, R^5, R^6 and A are as defined for formula I can be obtained by transformation of a compound of formula II, wherein R^1, R^3, R^4, R^5, R^6 and A are as defined for formula I with an oxidation agent, such as 2,3-dichloro-5,6-dicycano-*p*-benzoquinone, oxygen, manganese(IV) oxide or ammonium cerium(IV) nitrate.



The compounds of formula I.1, wherein R^1, R^3, R^4, R^5, R^6 and A are as defined for formula I can be obtained by transformation of a compound of formula 1.2, wherein R^1, R^3, R^4, R^5, R^6 and A are as defined for formula I with a reducing agent such as Bu3SnH and a palladium catalyst.

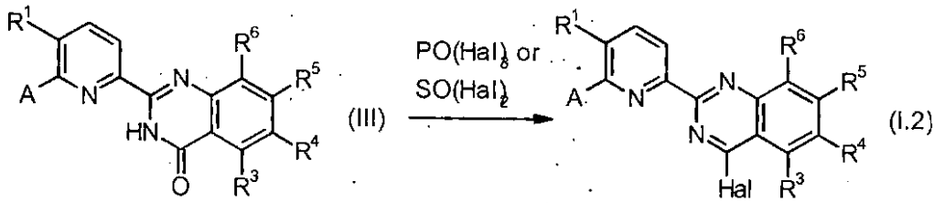


The compounds of formula II, wherein R^1, R^3, R^4, R^5, R^6 and A are as defined for formula I can be obtained by transformation of a compound of formula I.2, wherein R^1, R^3, R^4, R^5, R^6 and A are as defined for formula I and Hal is halogen, preferably chlorine or bromine, with a reduction agent such as hydrogen and a catalyst such as palladium on charcoal or raney-nickel, or with zinc and acetic acid.

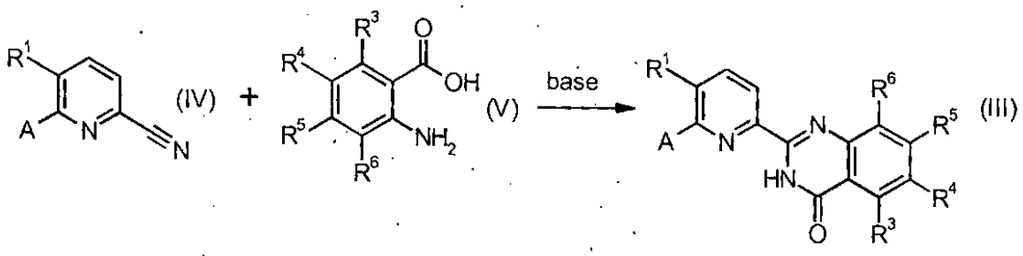


The compounds of formula I.2, wherein R^1, R^3, R^4, R^5, R^6 and A are as defined for formula I and Hal is halogen, preferably chlorine or bromine, can be obtained by

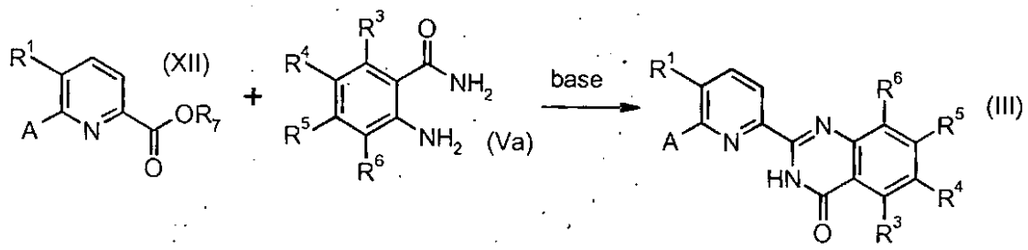
transformation of a compound of formula III, wherein R^1, R^3, R^4, R^5, R^6 and A are as defined for formula I with a phosphorus oxyhalide, e.g. phosphorus oxychloride or phosphorus oxybromide, or a thionyl halide, e.g. thionyl chloride or thionyl bromide.



The compounds of formula III, wherein R^1, R^3, R^4, R^5, R^6 and A are as defined for formula I can be obtained by transformation of a compound of formula IV, wherein R^1 and A are as defined for formula I with an anthranilic acid of formula V, wherein R^3, R^4, R^5 and R^6 are as defined for formula I and a base, such as sodium hydride, sodium methylate, sodium ethylate or potassium methylate.

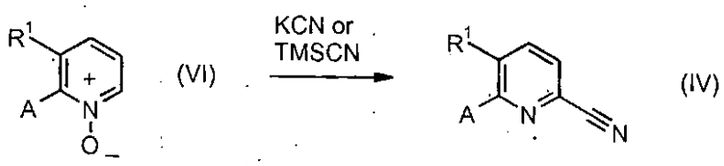


Alternatively, the compound of formula III wherein R^1, R^3, R^4, R^5, R^6 and A are as defined for formula I can be obtained by transformation of a compound of formula XII wherein R^1 and A are as defined for formula I and R7 is H with an anthranilic amide of formula Va, wherein R^3, R^4, R^5 and R^6 are as defined for formula I in a two-step procedure using a coupling reagent such as DCC, BOP or TBTU followed by treatment with a base such as NaOH in an alcoholic solvent. When R7 is C1-C6 alkyl the reaction can be peformed in one step using a metal alcoholate in a alcoholic solvent.

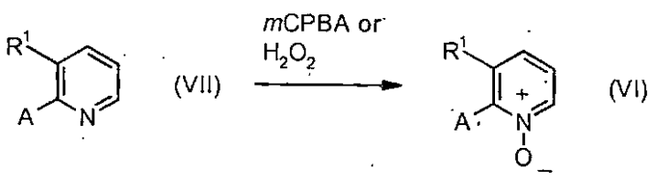


The anthranilic acid compounds of formula V are known compounds or may be obtained readily from known compounds using processes that are routine in the art and with which the skilled man will be familiar.

The compounds of formula IV, wherein R^1 and A are as defined for formula I can be obtained by transformation of a compound of formula VI, wherein R^1 and A are as defined for formula I with a cyanide, such as sodium cyanide, potassium cyanide or trimethylsilylcyanide and a base, such as triethylamine, ethyldiisopropylamine or pyridine.

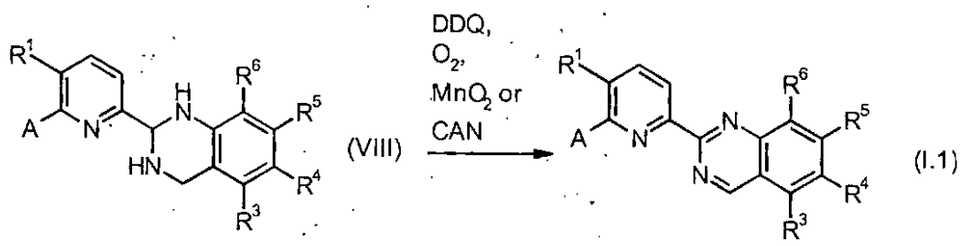


The compounds of formula VI, wherein R^1 and A are as defined for formula I can be obtained by transformation of a compound of formula VII, wherein R^1 and A are as defined for formula I with an oxidatizing agent, such as *meta*-chloroperbenzoic acid, hydrogen peroxide or oxone.

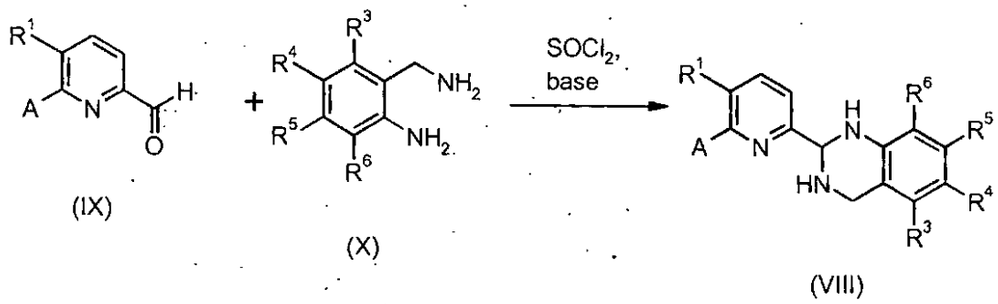


The mono- and disubstituted pyridines of formula VII are known compounds or may be obtained readily from known compounds using processes that are routine in the art and with which the skilled man will be familiar.

Alternatively, the compounds of formula I.1, wherein R^1, R^3, R^4, R^5, R^6 and A are as defined for formula I can be obtained by transformation of a compound of formula VIII, wherein R^1, R^3, R^4, R^5, R^6 and A are as defined for formula I with an oxidation agent, such as 2,3-dichloro-5,6-dicycano-*p*-benzoquinone, oxygen, manganese(IV) oxide or ammonium cerium(IV) nitrate.

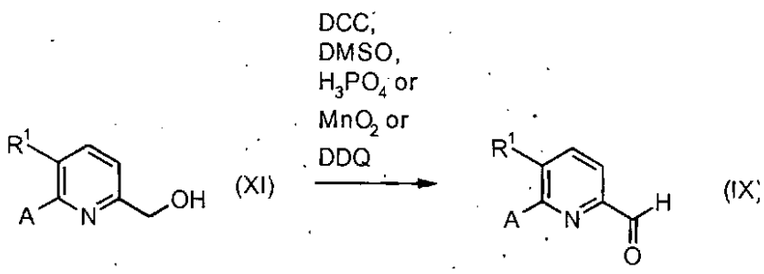


The compounds of formula VIII, wherein R^1, R^3, R^4, R^5, R^6 and A are as defined for formula I can be obtained by transformation of a compound of formula IX, wherein R^1 and A are as defined for formula I with a compound of formula X, wherein R^3, R^4, R^5 and R^6 are as defined for formula I, and thionyl chloride and a base, such as triethylamine, ethyldiisopropylamine or pyridine.

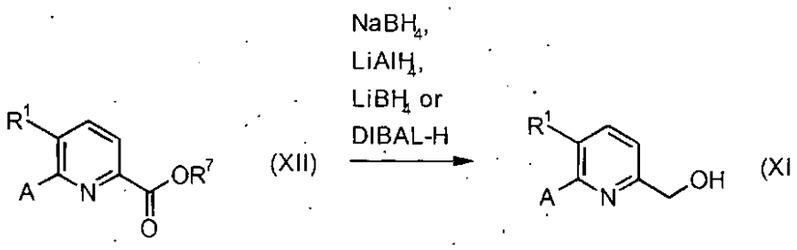


The 2-aminobenzylamines of formula X are known compounds or may be obtained readily from known compounds using processes that are routine in the art and with which the skilled man will be familiar.

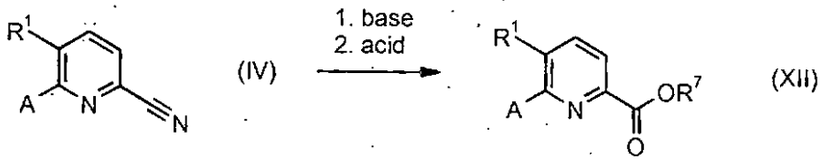
The compounds of formula IX, wherein R^1 and A are as defined for formula I can be obtained by transformation of a compound of formula XI, wherein R^1 and A are as defined for formula I with N,N'-dicyclohexylcarbodiimide, dimethylsulfoxide and an acid, such as phosphoric acid, hydrochloric acid or sulfuric acid, or with manganese dioxide or 2,3-dichloro-5,6-dicycano-*p*-benzoquinone.



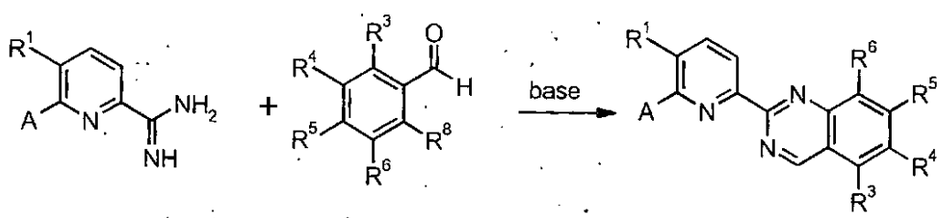
The compounds of formula XI, wherein R^1 and A are as defined for formula I can be obtained by transformation of a compound of formula XII, wherein R^1 and A are as defined for formula I and R^7 is hydrogen or C_1-C_6alkyl, with an reducing agent, such as sodium borohydride, lithium aluminium hydride, lithium borohydride or diisobutylaluminum hydride.



The compounds of formula XII, wherein R^1 and A are as defined for formula I and R^7 is hydrogen or C_1-C_6alkyl, can be obtained by transformation of a compound of formula IV, wherein R^1 and A are as defined for formula I with a base, such as sodium methoxide, sodium ethoxide, potassium methoxide or potassium ethoxide in an alcohol and subsequent treatment with an acid, such as hydrochloric acid or sulfuric acid.



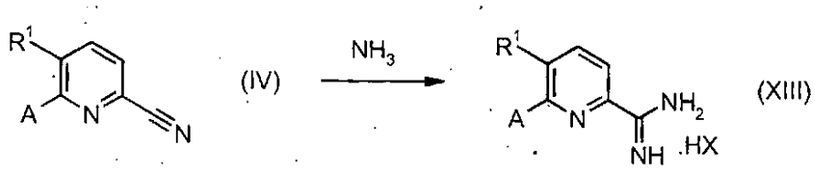
Alternatively the compounds of formula I.1, wherein R^1, R^3, R^4, R^5, R^6 and A are as defined for formula I can be obtained by transformation of a compound of formula XIII, wherein R^1 and A are as defined for formula I, or a salt of it, with a benzaldehyde of formula XIV, wherein R^3, R^4, R^5 and R^6 are as defined for formula I and R^8 is a halogen, such as fluoro, chloro or bromo, or an amino group and a base, such as sodium carbonate, sodium bicarbonate or potassium carbonate.



(XIII) (XIV) (I.1)

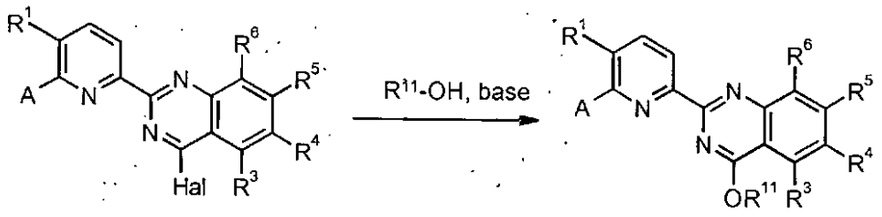
The 2-halobenzaldehydes of formula XIV are known compounds or may be obtained readily from known compounds using processes that are routine in the art and with which the skilled man will be familiar.

The compounds of formula XIII, wherein R^1 and A are as defined for formula I can be obtained by transformation of a compound of formula IV, wherein R^1 and A are as defined for formula I with ammonia.



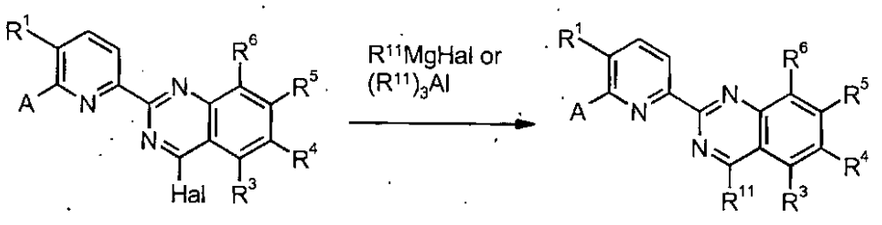
The compounds of formula I.3, wherein R^1, R^3, R^4, R^5, R^6 and A are as defined for formula I and R^{11} is C_{1-8} alkyl can be obtained by reaction of a compound of formula I.2, wherein R^1, R^3, R^4, R^5, R^6 and A are as defined for formula I and Hal is

halogen, preferably chlorine or bromine, with an alcohol R^{11}-OH and a base, such as sodium hydride, potassium hydride, sodium carbonate, potassium carbonate, sodium hydroxide or potassium hydroxide.



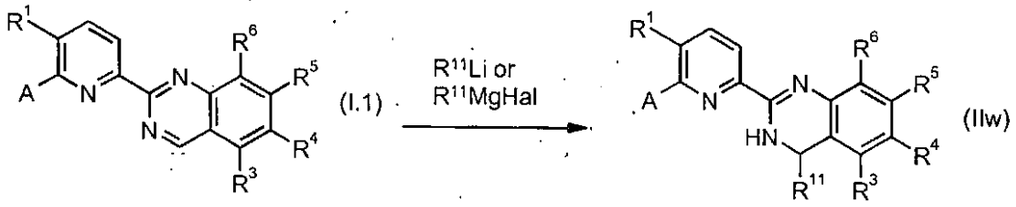
(I.2) (I.3)

The compounds of formula I.4, wherein R^1, R^3, R^4, R^5, R^6 and A are as defined for formula I and R^{11} is C_{1-8} alkyl can be obtained by alkylation of a compound of formula I.2, wherein R^1, R^3, R^4, R^5, R^6 and A are as defined for formula I and Hal is halogen, preferably chlorine or bromine, with an organometallic species, such as methylmagnesium chloride, methylmagnesium bromide, trimethylaluminum or $R^{11}B(OR^7)_2$ or trimethylboroxine.

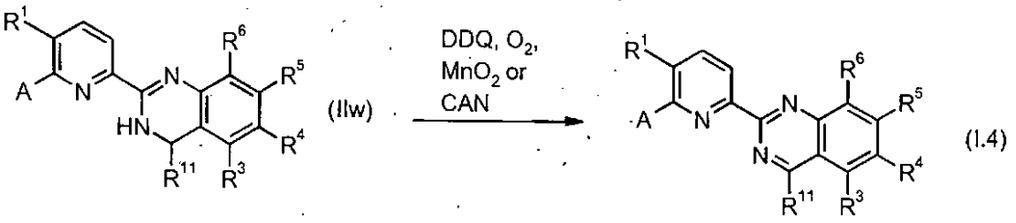


(I.2) (I.4)

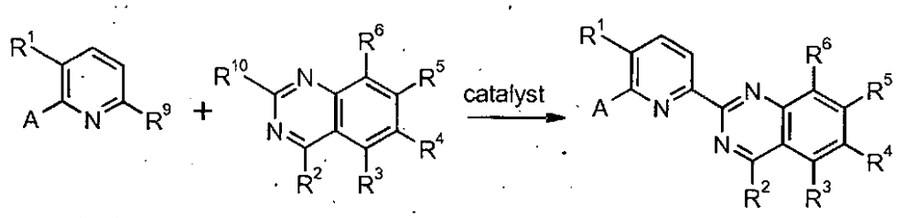
As an alternative The compounds of formula (IIw), wherein R^1, R^3, R^4, R^5, R^6 and A are as defined for formula I and R^{11} is C_{1-8} alkyl can be obtained by alkylation of a compound of formula I.1, wherein R^1, R^3, R^4, R^5, R^6 and A are as defined for formula I, with an organometallic species, such as methylmagnesium chloride, methylmagnesium bromide or alkyllithium.



The compounds of formula I.4, wherein R^1, R^3, R^4, R^5, R^6 and A are as defined for formula I and R^{11} is C_{1-8} alkyl can be obtained by transformation of a compound of formula IIw, wherein R^1, R^3, R^4, R^5, R^6 and A are as defined for formula I and R^{11} is C_{1-8} alkyl with an oxidating agent, such as 2,3-dichloro-5,6-dicycano-*p*-benzoquinone, oxygen, manganese(IV) oxide or ammonium cerium(IV) nitrate.

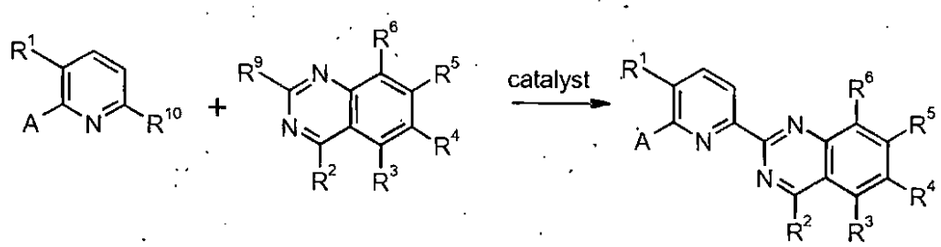


Alternatively the compounds of formula I, wherein R^1, R^2, R^3, R^4, R^5, R^6 and A are as defined for formula I can be obtained by transformation of a compound of formula XV, wherein R^1 and A are as defined for formula I and R^9 is InR^7_2, MgCl, MgBr, ZnCl, ZnBr, SnR^7_3 or $B(OR^7)_2$ with a compound of formula XVI, wherein R^2, R^3, R^4, R^5 and R^6 are as defined for formula I, R^7 is hydrogen or C_1-C_6alkyl and R^{10} is a halogen, preferably chloro, bromo or iodo or a sulfonic ester such as a mesylate or tosylate and a catalyst, such as tetrakistriphenylphosphine, palladium dichloride, [1,1-bis(diphenylphosphino)ferrocene]dichloropalladium(II), palladium acetate or bis(diphenylphosphine)palladium(II) chloride.



The metallo-substituted pyridines of formula XV and the 2-haloquinazolines of formula XVI are known compounds or may be obtained readily from known compounds using processes that are routine in the art and with which the skilled man will be familiar.

Alternatively the compounds of formula I, wherein R^1, R^2, R^3, R^4, R^5, R^6 and A are as defined for formula I can be obtained by transformation of a compound of formula XVII, wherein R^1 and A are as defined for formula I and R^{10} is a halogen, preferably chloro, bromo or iodo or a sulfonic ester such as a mesylate or tosylate with a compound of formula XVIII, wherein R^2, R^3, R^4, R^5 and R^6 are as defined for formula I, R^9 is In, MgCl, MgBr, ZnCl, ZnBr, SnR^7_3 or $B(OR^7)_2$ and R^7 is hydrogen or C_1-C_8alkyl and a catalyst, such as tetrakistriphenylphosphine, palladium dichloride, [1,1-bis(diphenylphosphino)ferrocene]dichloropalladium(II), palladium acetate or bis(diphenylphosphine)palladium(II) chloride.



(XVII) (XVIII) (I.1)

The di- and tri-substituted pyridines of formula XVII and the 2-metallo-substituted quinazolines of formula XVIII are known compounds or may be obtained readily from known compounds using processes that are routine in the art and with which the skilled man will be familiar.

The compounds of the present invention are useful in preventing microbial infection (in particular, fungal infection) or controlling plant pathogenic microbes (in particular, fungi) when they are applied to a plant or plant propagation material or the locus thereof in a microbicidally (fungicidally) effective amount. Accordingly, therefore, the present invention also provides a method of preventing and/or controlling microbial (fungal) infection in plants and/or plant propagation material

comprising applying to the plant or plant propagation material or the locus thereof a microbicidally (fungicidally) effective amount of a compound of formula I. the present invention also provides a method of preventing and/or controlling microbial (fungal) infection in plants and/or plant propagation material comprising applying to the plant or plant propagation material or the locus thereof a microbicidally (fungicidally) effective amount of a compound of formula I and/or

By 'preventing' or 'controlling' is meant reducing the infestation of microbes (fungus) to such a level that an improvement is demonstrated.

By 'plant propagation material' is meant generative parts of a plant including seeds of all kinds (fruit, tubers, bulbs, grains etc), roots, rhizomes, cuttings, cut shoots and the like. Plant propagation material may also include plants and young plants which are to be transplanted after germination or after emergence from the soil.

By 'locus' is meant the place (e.g. the field) on which the plants to be treated are growing, or where the seeds of cultivated plants are sown, or the place where the seed will be placed into the soil.

The compounds of the present invention may be used against phytopathogenic fungi of the following classes: Fungi imperfecti (e.g. *Alternaria* spp.), Basidiomycetes (e.g. *Corticium* spp., *Ceratobasidium* spp., *Waitea* spp., *Thanatephorus* spp., *Rhizoctonia* spp., *Hemileia* spp., *Puccinia* spp., *Phakopsora* spp., *Ustilago* spp., *Tilletia* spp.), Ascomycetes (e.g. *Venturia* spp., *Blumeria* spp., *Erysiphe* spp., *Podosphaera* spp., *Uncinula* spp., *Monilinia* spp., *Sclerotinia* spp., *Colletotrichum* spp., *Glomerella* spp., *Fusarium* spp., *Gibberella* spp., *Monographella* spp., *Phaeosphaeria* spp., *Mycosphaerella* spp., *Cercospora* spp., *Pyrenophora* spp., *Rhynchosporium* spp., *Magnaporthe* spp., *Gaeumannomyces* spp., *Oculimacula* spp., *Ramularia* spp., *Botryotinia* spp.) and Oomycetes (e.g. *Phytophthora* spp., *Pythium* spp., *Plasmopara* spp., *Peronospora* spp., *Pseudoperonospora* spp. *Bremia* spp). Outstanding activity is observed against powdery mildews (e.g. *Erysiphe necator*) and leaf spots (e.g. *Mycosphaerella* spp.). Furthermore, the novel compounds of formula

I are effective against phytopathogenic gram negative and gram positive bacteria (e.g. *Xanthomonas* spp, *Pseudomonas* spp, *Erwinia amylovora, Ralstonia* spp.) and viruses (e.g. tobacco mosaic virus).

The compounds of the present invention are suitable for controlling microbial (fungal) disease on a number of plants and their propagation material including, but not limited to the following target crops: cereals (wheat, barley, rye, oats, maize (including field corn, pop corn and sweet corn), rice, sorghum and related crops); beet (sugar beet and fodder beet); pomes, drupes and soft fruit (apples, pears, plums, peaches, almonds, cherries, strawberries, raspberries and blackberries); leguminous plants (beans, lentils, peas, soybeans); oil plants (rape, mustard, sunflowers, poppy, olives, coconut, castor oil plants, cocoa beans and groundnuts); cucumber plants (pumpkins, marrows, cucumbers, melons); fibre plants (cotton, flax, hemp, jute); vegetables (spinach, lettuce, asparagus, cabbages, carrots, eggplants, onions, pepper, tomatoes, potatoes, paprika, okra); plantation crops (bananas, fruit trees (e.g. oranges, lemons, grapefruit, mandarins), rubber trees, tree nurseries); lauraceae (avocado, cinnamomum, camphor) ornamentals (flowers, shrubs, broad-leaved trees and evergreens, such as conifers); as well as other plants such as vines, bushberries (such as blueberries), caneberries, cranberries, peppermint, rhubarb, spearmint, sugar cane, tobacco, nuts, coffee, eggplants, tea, pepper, bvines, hops and turf grasses including, but not limited to, cool-season turf grasses (for example, bluegrasses (*Poa L.*), such as Kentucky bluegrass (*Poa pratensis* L.), rough bluegrass (*Poa trivialis* L.), Canada bluegrass (*Poa compressa* L.) and annual bluegrass (*Poa annua* L.); bentgrasses (*Agrostis* L.), such as creeping bentgrass (*Agrostis palustris* Huds.), colonial bentgrass (*Agrostis tenius* Sibth.), velvet bentgrass (*Agrostis canina* L.) and redtop (*Agrostis alba* L.); fescues (*Festuca* L.), such as tall fescue (*Festuca arundinacea* Schreb.), meadow fescue (*Festuca elatior* L.) and fine fescues such as creeping red fescue (*Festuca rubra* L.), chewings fescue (*Festuca rubra* var. commutata Gaud.), sheep fescue (*Festuca ovina* L.) and hard fescue (*Festuca longifolia*); and ryegrasses (*Lolium* L.), such as perennial ryegrass (*Lolium perenne* L.) and annual (Italian) ryegrass (*Lolium multiflorum* Lam.)) and warm-season turf grasses (for example, Bermudagrasses (*Cynodon* L. C. Rich), including hybrid and common Bermudagrass;

Zoysiagrasses (*Zoysia Willd.*), St. Augustinegrass (*Stenotaphrum secundatum* (Walt.) Kuntze); and centipedegrass (*Eremochloa ophiuroides* (Munro.) Hack.)).

In addition 'crops' are to be understood to include those crops that have been made tolerant to pests and pesticides, including crops which are insect resistant or disease resistant as well as crops which are tolerant to herbicides or classes of herbicides, as a result of conventional methods of breeding or genetic engineering. Tolerance to e.g. herbicides means a reduced susceptibility to damage caused by a particular herbicide compared to conventional crop breeds. Crops can be modified or bred so as to be tolerant, for example, to HPPD inhibitors such as mesotrione or EPSPS inhibitors such as glyphosate.

The compounds of formula I may be in unmodified form or, preferably, may be incorporated into microbicidal (fungicidal) compositions. Typically the compounds of formula I are therefore formulated together with carriers and adjuvants conventionally employed in the art of formulation, using methods well known to the person skilled in the field of formulation.

The invention therefore also relates to a composition for the control of microbial (fungal) infection comprising a compound of formula I and an agriculturally acceptable carrier or diluent.

The agrochemical composition will usually contain from 0.1 to 99% by weight, preferably from 0.1 to 95% by weight, of the compound of formula I, 99.9 to 1% by weight, preferably 99.8 to 5% by weight, of a solid or liquid adjuvant, and from 0 to 25% by weight, preferably from 0.1 to 25% by weight, of a surfactant.

Rates and frequency of use of the formulations are those conventionally used in the art and will depend on the risk of infestation by the pathogen, the developmental stage of the plant and on the location, timing and application method. Advantageous rates of application are normally from 5g to 2kg of active ingredient (a.i.) per hectare (ha), preferably from 10g to 1kg a.i./ha, most preferably from 20g to

600g a.i./ha. When used as seed drenching agent, convenient rates of application are from 10mg to 1g of active substance per kg of seeds.

In practice, as indicated above, the agrochemical compositions comprising compound of formula I are applied as a formulation containing the various adjuvants and carriers known to or used in the industry. They may thus be formulated as granules, as wettable or soluble powders, as emulsifiable concentrates, as coatable pastes, as dusts, as flowables, as solutions, as suspensions or emulsions, or as controlled release forms such as microcapsules. These formulations are described in more detail below and may contain as little as about 0.5% to as much as about 95% or more by weight of the active ingredient. The optimum amount will depend on formulation, application equipment and nature of the plant pathogenic microbe to be controlled.

Suspension concentrates are aqueous formulations in which finely divided solid particles of the active compound are suspended. Such formulations include anti-settling agents and dispersing agents and may further include a wetting agent to enhance activity as well an anti-foam and a crystal growth inhibitor. In use, these concentrates are diluted in water and normally applied as a spray to the area to be treated. The amount of active ingredient may range from about 0.5% to about 95% of the concentrate.

Wettable powders are in the form of finely divided particles which disperse readily in water or other liquid carriers. The particles contain the active ingredient retained in a solid matrix. Typical solid matrices include fuller's earth, kaolin clays, silicas and other readily wet organic or inorganic solids. Wettable powders normally contain about 5% to about 95% of the active ingredient plus a small amount of wetting, dispersing or emulsifying agent.

Emulsifiable concentrates are homogeneous liquid compositions dispersible in water or other liquid and may consist entirely of the active compound with a liquid or solid emulsifying agent, or may also contain a liquid carrier, such as xylene, heavy

aromatic naphthas, isophorone and other non-volatile organic solvents. In use, these concentrates are dispersed in water or other liquid and normally applied as a spray to the area to be treated. The amount of active ingredient may range from about 0.5% to about 95% of the concentrate.

Granular formulations include both extrudates and relatively coarse particles and are usually applied without dilution to the area in which control of plant pathogenic microbe is required. Typical inert carriers for granular formulations include sand, fuller's earth, attapulgite clay, bentonite clays, montmorillonite clay, vermiculite, perlite, calcium carbonate, brick, pumice, pyrophyllite, kaolin, dolomite, plaster, wood flour, ground corn cobs, ground peanut hulls, sugars, sodium chloride, sodium sulphate, sodium silicate, sodium borate, magnesia, mica, iron oxide, zinc oxide, titanium oxide, antimony oxide, cryolite, gypsum, diatomaceous earth, calcium sulphate and other organic or inorganic materials which absorb or which can be coated with the active compound. In addition, the inert granular carrier can be partially or wholly replaced by a granular fertilizer material. Granular formulations normally contain about 5% to about 25% active ingredients which may include surface-active agents such as heavy aromatic naphthas, kerosene and other petroleum fractions, or vegetable oils; and/or stickers such as dextrins, glue or synthetic resins.

Dusts are free-flowing admixtures of the active ingredient with finely divided solids such as talc, clays, flours and other organic and inorganic solids which act as dispersants and carriers.

Microcapsules are typically droplets or granules of the active ingredient enclosed in an inert porous shell which allows escape of the enclosed material to the surroundings at controlled rates. Encapsulated droplets are typically about 1 to 50 microns in diameter. The enclosed liquid typically constitutes about 50 to 95% of the weight of the capsule and may include solvent in addition to the active compound. Encapsulated granules are generally porous granules with porous membranes sealing the granule pore openings, retaining the active species in liquid form inside the granule pores. Granules typically range from 1 millimetre to 1 centimetre and

preferably 1 to 2 millimetres in diameter. Granules are formed by extrusion, agglomeration or prilling, or are naturally occurring. Examples of such materials are vermiculite, sintered clay, kaolin, attapulgite clay, sawdust and granular carbon. Shell or membrane materials include natural and synthetic rubbers, cellulosic materials, styrene-butadiene copolymers, polyacrylonitriles, polyacrylates, polyesters, polyamides, polyureas, polyurethanes and starch xanthates.

Other useful formulations for agrochemical applications include simple solutions of the active ingredient in a solvent in which it is completely soluble at the desired concentration, such as acetone, alkylated naphthalenes, xylene and other organic solvents. Pressurised sprayers, wherein the active ingredient is dispersed in finely-divided form as a result of vaporisation of a low boiling dispersant solvent carrier, may also be used.

Suitable agricultural adjuvants and carriers that are useful in formulating the compositions of the invention in the formulation types described above are well known to those skilled in the art. Suitable examples of the different classes are found in the non-limiting list below.

Liquid carriers that can be employed include water, toluene, xylene, petroleum naphtha, crop oil, acetone, methyl ethyl ketone, cyclohexanone, acetic anhydride, acetonitrile, acetophenone, amyl acetate, 2-butanone, chlorobenzene, cyclohexane, cyclohexanol, alkyl acetates, diacetonalcohol, 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-dimethyl formamide, dimethyl sulfoxide, 1,4-dioxane, dipropylene glycol, dipropylene glycol methyl ether, dipropylene glycol dibenzoate, diproxitol, alkyl pyrrolidinone, ethyl acetate, 2-ethyl hexanol, ethylene carbonate, 1,1,1-trichloroethane, 2-heptanone, alpha pinene, d-limonene, ethylene glycol, ethylene glycol butyl ether, ethylene glycol methyl ether, gamma-butyrolactone, glycerol, glycerol diacetate, glycerol monoacetate, glycerol triacetate, hexadecane, hexylene glycol, isoamyl acetate, isobornyl acetate, isooctane, isophorone, isopropyl benzene,

isopropyl myristate, lactic acid, laurylamine, mesityl oxide, methoxy-propanol, methyl isoamyl ketone, methyl isobutyl ketone, methyl laurate, methyl octanoate, methyl oleate, methylene chloride, m-xylene, n-hexane, n-octylamine, octadecanoic acid, octyl amine acetate, oleic acid, oleylamine, o-xylene, phenol, polyethylene glycol (PEG400), propionic acid, propylene glycol, propylene glycol monomethyl ether, p-xylene, toluene, triethyl phosphate, triethylene glycol, xylene sulfonic acid, paraffin, mineral oil, trichloroethylene, perchloroethylene, ethyl acetate, amyl acetate, butyl acetate, methanol, ethanol, isopropanol, and higher molecular weight alcohols such as amyl alcohol, tetrahydrofurfuryl alcohol, hexanol, octanol, etc. ethylene glycol, propylene glycol, glycerine, N-methyl-2-pyrrolidinone, and the like. Water is generally the carrier of choice for the dilution of concentrates.

Suitable solid carriers include talc, titanium dioxide, pyrophyllite clay, silica, attapulgite clay, kieselguhr, chalk, diatomaxeous earth, lime, calcium carbonate, bentonite clay, fuller's earth, cotton seed hulls, wheat flour, soybean flour, pumice, wood flour, walnut shell flour, lignin and the like.

A broad range of surface-active agents are advantageously employed in both said liquid and solid compositions, especially those designed to be diluted with carrier before application. These agents, when used, normally comprise from 0.1% to 15% by weight of the formulation. They can be anionic, cationic, non-ionic or polymeric in character and can be employed as emulsifying agents, wetting agents, suspending agents or for other purposes. Typical surface active agents include salts of alkyl sulfates, such as diethanolammonium lauryl sulphate; alkylarylsulfonate salts, such as calcium dodecylbenzenesulfonate; alkylphenol-alkylene oxide addition products, such as nonylphenol-C.sub. 18 ethoxylate; alcohol-alkylene oxide addition products, such as tridecyl alcohol-C.sub. 16 ethoxylate; soaps, such as sodium stearate; alkylnaphthalenesulfonate salts, 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 dialkyl phosphate esters.

Other adjuvants commonly utilized in agricultural compositions include crystallisation inhibitors, viscosity modifiers, suspending agents, spray droplet modifiers, pigments, antioxidants, foaming agents, anti-foaming agents, light-blocking agents, compatibilizing agents, antifoam agents, sequestering agents, neutralising agents and buffers, corrosion inhibitors, dyes, odorants, spreading agents, penetration aids, micronutrients, emollients, lubricants, sticking agents, and the like.

In addition, further, other biocidally active ingredients or compositions may be combined with the compound of formula I and used in the methods of the invention and applied simultaneously or sequentially with the compound of formula I. When applied simultaneously, these further active ingredients may be formulated together with the compound of formula I or mixed in, for example, the spray tank. These further biocidally active ingredients may be fungicides, herbicides, insecticides, bactericides, acaricides, nematicides and/or plant growth regulators. Accordingly, the present invention provides a composition comprising (i) a compound of formula I and a further fungicide, (ii) a compound of formula I and a herbicide, (iii) a compound of formula I and an insecticide; (iv) a compound of formula I and a bactericide; (v) a compound of formula I and an acaricide, (vi) a compound of formula I and a nematicide and/or (vii) a compound of formula I and a plant growth regulator. In addition, the compounds of the invention may also be applied with one or more systemically acquired resistance inducers ("SAR" inducer). SAR inducers are known and described in, for example, US Patent No. 6,919,298 and include, for example, salicylates and the commercial SAR inducer acibenzolar-S-methyl.

The amount of the mixture and a further, other biocidally active ingredients or compositions combined with the compound of formula I to be applied, will depend on various factors, such as the compounds employed; the subject of the treatment, such as, for example plants, soil or seeds; the type of treatment, such as, for example

spraying, dusting or seed dressing; the purpose of the treatment, such as, for example prophylactic or therapeutic; the type of fungi to be controlled or the application time.

It has been found that the use of a further, other biocidally active ingredients or compositions in combination with the compound of formula I surprisingly and substantially enhance the effectiveness of the latter against fungi, and vice versa. Additionally, the method of the invention is effective against a wider spectrum of such fungi that can be combated with the active ingredients of this method, when used solely.

The active ingredient mixture comprises compounds of formula I and a further, other biocidally active ingredients or compositions preferably in a mixing ratio of from 1000:1 to 1:1000, especially from 50:1 to 1:50, more especially in a ratio of from 20:1 to 1:20, even more especially from 10:1 to 1:10, very especially from 5:1 and 1:5, special preference being given to a ratio of from 2:1 to 1:2, and a ratio of from 4:1 to 2:1 being likewise preferred, above all in a ratio of 1:1, or 5:1, or 5:2, or 5:3, or 5:4, or 4:1, or 4:2, or 4:3, or 3:1, or 3:2, or 2:1, or 1:5, or 2:5, or 3:5, or 4:5, or 1:4, or 2:4, or 3:4, or 1:3, or 2:3, or 1:2, or 1:600, or 1:300, or 1:150, or 1:35, or 2:35, or 4:35, or 1:75, or 2:75, or 4:75, or 1:6000, or 1:3000, or 1:1500, or 1:350, or 2:350, or 4:350, or 1:750, or 2:750, or 4:750. Those mixing ratios are understood to include, on the one hand, ratios by weight and also, on other hand, molar ratios.

A synergistic activity of the combination is apparent from the fact that the fungicidal activity of the composition of compounds of formula I and a further, other biocidally active ingredients or compositions is greater than the sum of the fungicidal activities of compounds of formula I and a further, other biocidally active ingredients or compositions.

The method of the invention comprises applying to the useful plants, the locus thereof or propagation material thereof in admixture or separately, a synergistically effective aggregate amount of a compound of formula I and a further, other biocidally active ingredients or compositions.

Some of said combinations according to the invention have a systemic action and can be used as foliar, soil and seed treatment fungicides.

With the combinations according to the invention it is possible to inhibit or destroy the phytopathogenic microorganisms which occur in plants or in parts of plants (fruit, blossoms, leaves, stems, tubers, roots) in different useful plants, while at the same time the parts of plants which grow later are also protected from attack by phytopathogenic microorganisms.

The combinations of the present invention are of particular interest for controlling a large number of fungi in various useful plants or their seeds, especially in field crops such as potatoes, tobacco and sugarbeets, and wheat, rye, barley, oats, rice, maize, lawns, cotton, soybeans, oil seed rape, pulse crops, sunflower, coffee, sugarcane, fruit and ornamentals in horticulture and viticulture, in vegetables such as cucumbers, beans and cucurbits.

The combinations according to the invention are applied by treating the fungi, the useful plants, the locus thereof, the propagation material thereof, the natural substances of plant and/or animal origin, which have been taken from the natural life cycle, and/or their processed forms, or the industrial materials threatened by fungus attack with a combination compounds of formula I and a further, other biocidally active ingredients or compositions in a synergistically effective amount.

The combinations according to the invention may be applied before or after infection of the useful plants, the propagation material thereof, the natural substances of plant and/or animal origin, which have been taken from the natural life cycle, and/or their processed forms, or the industrial materials by the fungi.

In particular, the composition of the invention comprises at least one additional fungicidally active compound in addition to the compound of formula (I). Preferably, the composition of the invention comprises one additional fungicidally

active compoundor two or three or more additional fungicidally active compounds in addition to the compound of formula (I)

In particular, composition encompassed by the present invention include, but are not limited to, compositions comprising a compound of formula I and acibenzolar-S-methyl (CGA245704), a compound of formula I and ancymidol, a compound of formula I and alanycarb, a compound of formula I and aldimorph, a compound of formula I and amisulbrom, a compound of formula I and anilazine, a compound of formula I and azaconazole, a compound of formula I and azoxystrobin, a compound of formula I and BAY 14120, a compound of formula I and benalaxyl, a compound of formula I and benthiavalicarb, a compound of formula I and benomyl, a compound of formula I and biloxazol, a compound of formula I and bitertanol, a compound of formula I and bixafen, a compound of formula I and blasticidin S, a compound of formula I and boscalid, a compound of formula I and bromuconazole, a compound of formula I and bupirimate, a compound of formula I and captafol, a compound of formula I and captan, a compound of formula I and carbendazim, a compound of formula I and carbendazim, a compound of formula I and chlorhydrate, a compound of formula I and carboxin, a compound of formula I and carpropamid, a compound of formula I and carvone, a compound of formula I and CGA41396, a compound of formula I and CGA41397, a compound of formula I and chinomethionate, a compound of formula I and chloroneb, a compound of formula I and chlorothalonil, a compound of formula I and chlorozolinate, a compound of formula I and clozylacon, a compound of formula I and copper containing compounds (e.g. a compound of formula I and copper oxychloride, a compound of formula I and cuprous oxide, a compound of formula I and mancopper, a compound of formula I and oxine-copper a compound of formula I and copper hydroxide, a compound of formula I and copper oxyquinolate, a compound of formula I and copper sulphate, a compound of formula I and copper tallate and a compound of formula I and Bordeaux mixture), a compound of formula I and cyflufenamid, a compound of formula I and cymoxanil, a compound of formula I and cyproconazole, a compound of formula I and cyprodinil, a compound of formula I and debacarb, a compound of formula I and di-2-pyridyl disulphide 1,1'-dioxide, a compound of formula I and dichlofluanid, a compound of formula I

and diclomezine, a compound of formula I and dichlozoline, a compound of formula I and dichlone, a compound of formula I and dicloran, a compound of formula I and diclocymet, a compound of formula I and diethofencarb, a compound of formula I and difenoconazole, a compound of formula I and difenzoquat, a compound of formula I and diflumetorim, a compound of formula I and *O,O*-di-*iso*-propyl-*S*-benzyl thiophosphate, a compound of formula I and dimefluazole, a compound of formula I and dimetconazole, a compound of formula I and dimethomorph, a compound of formula I and dimethirimol, a compound of formula I and dimoxystrobin, a compound of formula I and diniconazole, a compound of formula I and dinocap, a compound of formula I and dithianon, a compound of formula I and dodecyl dimethyl ammonium chloride, a compound of formula I and dodemorph, a compound of formula I and dodine, a compound of formula I and doguadine, a compound of formula I and edifenphos, a compound of formula I and enestrobin, a compound of formula I and epoxiconazole, a compound of formula I and ethaboxam, a compound of formula I and ethirimol, a compound of formula I and etridiazole, a compound of formula I and famoxadone, a compound of formula I and fenamidone (RPA407213), a compound of formula I and fenarimol, a compound of formula I and fenbuconazole, a compound of formula I and fenfuram, a compound of formula I and fenhexamid (KBR2738), a compound of formula I and fenoxanil, a compound of formula I and fenpiclonil, a compound of formula I and fenpropidin, a compound of formula I and fenpropimorph, a compound of formula I and fentin acetate, a compound of formula I and fentin hydroxide, a compound of formula I and ferbam, a compound of formula I and ferimzone, a compound of formula I and fluazinam, a compound of formula I and fluopicolide, a compound of formula I and fludioxonil, a compound of formula I and fluoxastrobin, a compound of formula I and flumetover, a compound of formula I and SYP-LI90 (flumorph), a compound of formula I and fluopyram, a compound of formula I and fluoroimide, a compound of formula I and fluquinconazole, a compound of formula I and flusilazole, a compound of formula I and flusulfamide, a compound of formula I and flutolanil, a compound of formula I and flutriafol, a compound of formula I and folpet, a compound of formula I and fosetyl-aluminium, a compound of formula I and fuberidazole, a compound of formula I and furalaxyl, a compound of formula I and furametpyr, a compound of formula I and guazatine, a

compound of formula I and hexaconazole, a compound of formula I and hydroxyisoxazole, a compound of formula I and hymexazole, a compound of formula I and IKF-916 (cyazofamid), a compound of formula I and imazalil, a compound of formula I and imibenconazole, a compound of formula I and iminoctadine, a compound of formula I and iminoctadine triacetate, a compound of formula I and ipconazole, a compound of formula I and iprobenfos, a compound of formula I and iprodione, a compound of formula I and iprovalicarb (SZX0722), a compound of formula I and isopropanyl butyl carbamate, a compound of formula I and isoprothiolane, a compound of formula I and kasugamycin, a compound of formula I and kresoxim-methyl, a compound of formula I and LY186054, a compound of formula I and LY211795, a compound of formula I and LY248908, a compound of formula I and maneb, a compound of formula I and mancopper, a compound of formula I and mancozeb, a compound of formula I and mandipropamid, a compound of formula I and mefenoxam, a compound of formula I and mepanipyrim, a compound of formula I and mepronil, a compound of formula I and metalaxyl, a compound of formula I and metconazole, a compound of formula I and methasulfocarb, a compound of formula I and metiram, a compound of formula I and metiram-zinc, a compound of formula I and metominostrobin, a compound of formula I and metrafenone, a compound of formula I and myclobutanil, a compound of formula I and myclozoline, a compound of formula I and neoasozin, a compound of formula I and nickel dimethyldithiocarbamate, a compound of formula I and nicobifen, a compound of formula I and nitrothal-*iso*propyl, a compound of formula I and nuarimol, a compound of formula I and ofurace, a compound of formula I and organomercury compounds, a compound of formula I and orysastrobin, a compound of formula I and oxadixyl, a compound of formula I and oxasulfuron, a compound of formula I and oxine-copper, a compound of formula I and oxolinic acid, a compound of formula I and oxpoconazole, a compound of formula I and oxycarboxin, a compound of formula I and pefurazoate, a compound of formula I and penconazole, a compound of formula I and pencycuron, a compound of formula I and penthiopyrad, a compound of formula I and phenazin oxide, a compound of formula I and phosdiphen, a compound of formula I and phosphorus acids, a compound of formula I and phthalide, a compound of formula I and picoxystrobin (ZA1963), a compound of

formula I and polyoxin D, a compound of formula I and polyram, a compound of formula I and probenazole, a compound of formula I and prochloraz, a compound of formula I and procymidone, a compound of formula I and propamocarb, a compound of formula I and propiconazole, a compound of formula I and propineb, a compound of formula I and propionic acid, a compound of formula I and proquinazid, a compound of formula I and prothioconazole, a compound of formula I and pyraclostrobin, a compound of formula I and pyrazophos, a compound of formula I and pyribencarb, a compound of formula I and pyrifenox, a compound of formula I and pyrimethanil, a compound of formula I and pyroquilon, a compound of formula I and pyroxyfur, a compound of formula I and pyrrolnitrin, a compound of formula I and quaternary ammonium compounds, a compound of formula I and quinomethionate, a compound of formula I and quinoxyfen, a compound of formula I and quintozene, a compound of formula I and silthiofam, a compound of formula I and simeconazole, a compound of formula I and sipconazole (F-155), a compound of formula I and sodium pentachlorophenate, a compound of formula I and spiroxamine, a compound of formula I and streptomycin, a compound of formula I and sulphur, a compound of formula I and schwefel, a compound of formula I and tebuconazole, a compound of formula I and tecloftalam, a compound of formula I and tecnazene, a compound of formula I and tetraconazole, a compound of formula I and thiabendazole, a compound of formula I and thifluzamid, a compound of formula I and 2-(thiocyanomethylthio)benzothiazole, a compound of formula I and thiophanate-methyl, a compound of formula I and thiram, a compound of formula I and tiadinil, a compound of formula I and timibenconazole, a compound of formula I and tolclofos-methyl, a compound of formula I and tolylfluanid, a compound of formula I and triadimefon, a compound of formula I and triadimenol, a compound of formula I and triazbutil, a compound of formula I and triazoxide, a compound of formula I and tricyclazole, a compound of formula I and tridemorph, a compound of formula I and trifloxystrobin (CGA279202), a compound of formula I and triforine, a compound of formula I and triflumizole, a compound of formula I and triticonazole, a compound of formula I and validamycin A, a compound of formula I and vapam, a compound of formula I and valiphenal a compound of formula I and vinclozolin, a compound of formula I and zineb, a compound of formula I and ziram, a compound of formula I

and zoxamide, a compound of formula I and 3-[5-(4-chlorophenyl)-2,3-dimethylisoxazolidin-3-yl]pyridine, a compound of formula I and 5-chloro-7-(4-methylpiperidine-1-yl)-6-(2,4,6-trifluorophenyl)[1,2,4]triazolo[1,5-a]pyrimidine, a compound of formula I and N-(4-chloro-2-nitrophenyl)-N-ethyl-4-methyl-benzsulfonamide, a compound of formula I and 3-difluoromethyl-1-methyl-1H-pyrazole-4-carboxylic acid (9-isopropyp-1,2,3,4-tetrahaydro-1,4-methano-naphthalen-5-yl)-amide (isopyrazam), a compound of formula I and 3-difluoromethyl-1-methyl-1H-pyrazole-4-carboxylic acid (2-bicyclopropyl-2-yl-phenyl)-amide (sedaxane), a compound of formula I and N-(3',4'-dichloro-5-fluoro-1,1'-biphenyl-2-yl)-3-(difluoromethyl)-1-methyl-1H-pyrazole-4-carboxamide and a compound of formula I and glyphosate

More particularly, the composition according to the present invention comprises a compound of formula I and acibenzolar-S-methyl, a compound of formula I and azoxystrobin, a compound of formula I and chlorothalonil, a compound of formula I and cyproconazole, a compound of formula I and cyprodinil, a compound of formula I and difenoconazole, a compound of formula I and fenpropidin, a compound of formula I and fluazinam, a compound of formula I and fludioxonil, a compound of formula I and hexaconazole, a compound of formula I and isopyrazam, a compound of formula I and mandipropamid, a compound of formula I and mefenoxam, a compound of formula I and penconazole, a compound of formula I and propiconazole, a compound of formula I and pyroquilon, a compound of formula I and sedaxane or a compound of formula I and thiabendazole.

The formulations of the invention and for use in the methods of the invention can be applied to the areas where control is desired by conventional methods such as spraying, atomising, dusting, scattering, coating or pouring. Dust and liquid compositions, for example, can be applied by the use of power-dusters, broom and hand sprayers and spray dusters. The formulations can also be applied from airplanes as a dust or a spray or by rope wick applications. One method of applying the formulation of the invention is foliar application. In addition, both solid and liquid formulations may also be applied to the soil in the locus of the plant to be treated

allowing the active ingredient to penetrate the plant through the roots. The formulations of the invention may also be used for dressing applications on plant propagation material to provide protection against microbial (fungal) infections on the plant propagation material as well as against phytopathogenic microbes (fungi) occurring in the soil. Suitably, the active ingredient may be applied to plant propagation material to be protected by impregnating the plant propagation material, in particular, seeds, either with a liquid formulation or coating it with a solid formulation. In special cases, other types of application are also possible, for example, the specific treatment of plant cuttings or twigs serving propagation. It is noted that, whereas it is preferred to formulate commercial products as concentrates, the end user will normally use dilute formulations.

Furthermore, the compounds of formula I find general use as fungicides and may therefore also be used in methods to control pathogenic fungi in related areas, for example in the protection of technical materials, in food storage or in hygiene management. As such, the present invention further provides the use of a compound of formula I for preventing and/or controlling fungal infection on technical materials, in food storage or in hygiene management. In addition, the present invention also provides a method for controlling and/or preventing infestation of technical materials by fungi comprising applying the compound of formula I to the technical material or the locus thereof in a fungicidally effective amount.

"Technical materials" include but are not limited to organic and inorganic materials such as wood, paper, leather, natural and synthetic fibers, composites thereof such as particle board, plywood, wall-board and the like, woven and non-woven fabrics, construction surfaces and materials (e.g. building material), cooling and heating system surfaces and materials, ventilation and air conditioning system surfaces and materials, and the like. The compounds and combinations according the present invention can be applied to such materials or surfaces in an amount effective to inhibit or prevent disadvantageous effects such as decay, discoloration or mold in like manner as described above. Structures and dwellings constructed using or

incorporating technical materials in which such compounds or combinations have been applied are likewise protected against attack by fungi

The technical material can be treated with a compound of formula I in a number of ways, including, but not limited to, by including the compound in the technical material itself, absorbing, impregnating, treating (in closed pressure or vacuum systems) said material with said compound, dipping or soaking the building material, or coating the material for example by curtain coating, roller, brush, spray, atomisation, dusting, scattering or pouring application. The compound of the invention can be formulated for use in treatment of technical materials by using techniques well known to the person skilled in the art. Such formulations may utilise, for example, the formulation materials listed above in relation to agrochemical formulations.

Furthermore, the compounds of the present invention may find use as plant growth regulators or in plant health applications.

Plant growth regulators (PGRs) are generally any substances or mixtures of substances intended to accelerate or retard the rate of growth or maturation, or otherwise alter the development of plants or their produce.

Plant growth regulators (PGRs) affect growth and differentiation of plants.

More specifically, various plant growth regulators (PGRs) can, for example, reduce plant height, stimulate seed germination, induce flowering, darken leaf coloring, change the rate of plant growth and modify the timing and efficiency of fruiting.

Plant health applications include, for example, improvement of advantageous properties/crop characteristics including: emergence, crop yields, protein content, increased vigour, faster maturation, increased speed of seed emergence, improved nitrogen utilization efficiency, improved water use efficiency, improved oil content

and /or quality, improved digestibility, faster ripening, improved flavor, improved starch content, more developed root system (improved root growth), improved stress tolerance (e.g. against drought, heat, salt, light, UV, water, cold), reduced ethylene (reduced production and/or inhibition of reception), tillering increase, increase in plant height, bigger leaf blade, less dead basal leaves, stronger tillers, greener leaf color, pigment content, photosynthetic activity, less input needed (such as fertilizers or water), less seeds needed, more productive tillers, earlier flowering, early grain maturity, less plant verse (lodging), increased shoot growth, enhanced plant vigor, increased plant stand and early and better germination.

Advantageous properties, obtained especially from treaded seeds, are e.g. improved germination and field establishment, better vigor, more homogeneous field establishment.

Advantageous properties, obtained especially from foliar and/or in-furrow application are e.g. improved plant growth and plant development, better growth, more tillers, greener leafes, largers leaves, more biomass, better roots, improved stress tolerance of the plants, more grain yield, more biomass harvested, improved quality of the harvest (content of fatty acids, metabolites, oil etc), more marketable products (e.g. improved size), improved process (e.g. longer shelf-life, better extraction of compounds), improved quality of seeds (for being seeded in the following seasons for seed production); or any other advantages familiar to a person skilled in the art.

The term plant health thus comprises various sorts of improvements of plants that are not connected to the control of harmful microbes.

The present invention will now be described by way of the following non-limiting examples. Those skilled in the art will promptly recognize appropriate variations from the procedures both as to reactants and as to reaction conditions and techniques

EXAMPLES

Example 1: The preparation of 2-[6-(3-fluoro-4-methoxy-phenyl)-5-methylpyridin-2-yl]-quinazoline (Compound Table 3/Entry 92)

a) Preparation of 2-(3-fluoro-4-methoxyphenyl)-3-methylpyridine

3-Fluoro-4-methoxyphenylboronic acid (14.8 g, 87.2 mmol) and 77.5 ml of a sodium carbonate solution (3 M in water) are added to solution of 2-bromo-3-methylpyridine (10 g, 58 mmol) in 600 ml of 1,2-dimethoxyethane. After degasing this mixture with argon for 15 min, [1,1-bis(diphenylphosphino)ferrocene]dichloropalladium(II), complex with dichloromethane (950 mg, 1.1 mmol) is added and the reaction mixture is stirred for 2 h at 95 °C. Subsequently the reaction mixture is cooled, diluted with water and extracted with ethyl acetate. The combined organic layer is washed with sodium hydroxide solution (1 M in water) and brine, dried over sodium sulfate and evaporated under reduced pressure. The remainder is purified by chromatography on silica gel, using a mixture of cyclohexane / ethyl acetate 2 : 1 as eluent to obtain 2-(3-fluoro-4-methoxyphenyl)-3-methylpyridine. ^{1}H-NMR ($CDCl_3$): δ = 2.40 (s, 3H), 3.97 (s, 3H), 7.06 (t, 1H), 7.19 (dd, 1H), 7.28 – 7.35 (m, 2H), 7.59 (d, 1H), 8.53 (d, 1H). MS: m/z = 218 (M+1).

b) Preparation of 2-(3-fluoro-4-methoxyphenyl)-3-methylpyridine 1-oxide

3-Chloroperbenzoic acid (21.5 g, 87.5 mmol) is added to a solution of 2-(3-fluoro-4-methoxyphenyl)-3-methylpyridine (9.5 g, 44 mmol) in 95 ml of dichloromethane. The reaction mixture is stirred for 16 h at room temperature and extracted with sodium hydroxide solution (2 M in water). The organic layer is then washed with aqueous sodium thiosulfate solution, sodium hydroxide solution (1 M in water) and brine, dried over sodium sulfate and evaporated under reduced pressure to obtain 2-(3-fluoro-4-methoxyphenyl)-3-methylpyridine 1-oxide, which can be used in the next step without further purification. ^{1}H-NMR ($CDCl_3$): δ = 2.15 (s, 3H), 3.95 (s, 3H), 7.08 – 7.21 (m, 5H), 8.24 (d, 1H). MS: m/z = 234 (M+1).

c) Preparation of 6-(3-fluoro-4-methoxyphenyl)-5-methylpyridine-2-carbonitrile

Trimethylsilylcyanide (4.6 g, 47 mmol) is added to a solution of 2-(3-fluoro-4-methoxyphenyl)-3-methylpyridine 1-oxide (8.8 g, 38 mmol) in 135 ml of 1,2-dichloroethane. The resulting solution is stirred for 1 h at room temperature. Subsequently, *N,N*-dimethylcarbamoyl chloride (5.0 g, 47 mmol) is added slowly within 30 min. The reaction mixture is stirred for 16 h at 65°C, then quenched by slow addition of water. After phase separation, the organic layer is washed with sodium hydroxide solution (2 M in water) and water, dried over sodium sulfate and evaporated under reduced pressure. The remainder is purified by chromatography on silica gel, using a mixture of cyclohexane / ethyl acetate 3 : 1 as eluent to obtain 6-(3-fluoro-4-methoxyphenyl)-5-methylpyridine-2-carbonitrile. ^{1}H-NMR ($CDCl_3$): δ = 2.48 (s, 3H), 3.98 (s, 3H), 7.07 (t, 1H), 7.30 – 7.36 (m, 2H), 7.59 (dd, 1H), 7.72 (dd, 1H). MS: m/z = 243 (M+1).

d) Preparation of 2-[6-(3-fluoro-4-methoxyphenyl)-5-methylpyridin-2-yl]-3H-quinazolin-4-one

7.6 ml of a sodium methoxide solution (5.4 M in methanol) are added to a suspension of 6-(3-fluoro-4-methoxyphenyl)-5-methylpyridine-2-carbonitrile (5.0 g, 20 mmol) in 50 ml of methanol. The resulting mixture is stirred for 2 h at 65 °C. Subsequently, anthranilic acid (8.7 g, 64 mmol) is added and the reaction mixture is stirred for 16 h at 95 °C, then cooled, diluted with ethyl acetate and extracted with sodium hydroxide solution (2 M in water). The combined organic layer is then washed with brine, dried over sodium sulfate and evaporated under reduced pressure. The residue is taken up in 15 ml of dichloromethane, stirred for 10 min and filtered to obtain 2-[6-(3-fluoro-4-methoxyphenyl)-5-methylpyridin-2-yl]-3H-quinazolin-4-one. ^{1}H-NMR (d_6-DMSO): δ = 2.51 (s, 3H), 3.94 (s, 3H), 7.29 (t, 1H), 7.55 – 7.64 (m, 2H), 7.82 (d, 1H), 7.88 – 8.01 (m, 3H), 8.20 (d, 1H), 8.32 (d, 1H). MS: m/z = 362 (M+1).

e) Preparation of 4-chloro-2-[6-(3-fluoro-4-methoxyphenyl)-5-methylpyridin-2-yl]-quinazoline

2-[6-(3-fluoro-4-methoxyphenyl)-5-methylpyridin-2-yl]-3H-quinazolin-4-one (2.5 g, 6.9 mmol) are stirred in 20 ml of phosphorous oxychloride for 1 h at 60 °C. The reaction mixture is cooled and evaporated under reduced pressure. The residue is taken up in dichloromethane and extracted with sodium hydroxide solution (2 M in water). The organic layer is washed with brine, dried over sodium sulfate and evaporated under reduced pressure to obtain 4-chloro-2-[6-(3-fluoro-4-methoxyphenyl)-5-methylpyridin-2-yl]-quinazoline, which can be used in the next step without further purification. ^{1}H-NMR ($CDCl_3$): δ = 2.44 (s, 3H), 3.92 (s, 3H), 7.31 (t, 1H), 7.47 (d, 1H), 7.55 (d, 1H), 7.82 – 8.02 (m, 3H), 8.13 – 8.22 (m, 2H), 8.43 (d, 1H). MS: m/z = 380 (M+1).

f) Preparation of 2-[6-(3-fluoro-4-methoxyphenyl)-5-methylpyridin-2-yl]-3,4-dihydroquinazoline

Palladium (10 % on charcoal, 36 mg, 0.34 mmol) is added to a suspension of 4-chloro-2-[6-(3-fluoro-4-methoxyphenyl)-5-methylpyridin-2-yl]-quinazoline (2.6 g, 6.8 mmol) and triethylamine (4.1 g, 41 mmol) in 300 ml of methanol under argon. The argon is exchanged for hydrogen and the reaction mixture is stirred for 16 h at room temperature under hydrogen. Subsequently the reaction mixture is filtered through celite and evaporated under reduced pressure. The residue is taken up in dichloromethane and extracted with a saturated aqueous sodium hydrogen carbonate solution. The organic layer is washed with brine, dried over sodium sulfate and evaporated under reduced pressure to obtain 2-[6-(3-fluoro-4-methoxyphenyl)-5-methylpyridin-2-yl]-3,4-dihydroquinazoline, which can be used in the next step without further purification. ^{1}H-NMR ($CDCl_3$): δ = 2.42 (s, 3H), 3.99 (s, 3H), 4.88 (bs, 1H), 5.32 (d, 2H), 7.02 (t, 1H), 7.05 – 7.13 (m, 4H), 7.21 (t, 1H), 7.32 (dd, 1H), 7.38 (dd, 1H), 7.76 (d, 1H). MS: m/z = 348 (M+1).

g) Preparation of 2-[6-(3-fluoro-4-methoxyphenyl)-5-methylpyridin-2-yl]-quinazoline (Table 3/Entry 92))

2,3-Dichloro-5,6-dicycano-*p*-benzoquinone (2.1 g, 9.2 mmol) is added to a suspension of 2-[6-(3-fluoro-4-methoxyphenyl)-5-methylpyridin-2-yl]-3,4-dihydroquinazoline (2.9 g, 8.4 mmol) in 150 ml of toluene. The reaction mixture is

stirred for 30 min at room temperature, diluted with ethyl acetate and extracted with a saturated aqueous sodium hydrogen carbonate solution. The organic layer is washed with aqueous sodium thiosulfate solution and brine, dried over sodium sulfate and evaporated under reduced pressure. The remainder is purified by chromatography on silica gel, using a mixture of cyclohexane / ethyl acetate / dichloromethane 2 : 1 : 1 as eluent to obtain 2-[6-(3-fluoro-4-methoxyphenyl)-5-methylpyridin-2-yl]-quinazoline (Compound No I.a.581). ^{1}H-NMR ($CDCl_3$): δ = 2.48 (s, 3H), 3.97 (s, 3H), 7.08 (t, 1H), 7.43 (dd, 1H), 7.49 (dd, 1H), 7.69 (t, 1H), 7.81 (d, 1H), 7.93 – 8.00 (m, 2H), 8.21 (d, 1H), 8.54 (d, 1H), 9.60 (s, 1H). MS: m/z = 346 (M+1).

Example 2: This example illustrates the preparation of 2-(6-benzylpyridin-2-yl)-quinazoline (CompoundTable 6/Entry 17))

a) Preparation of 2-(6-bromopyridin-2-yl)-1,2,3,4-tetrahydroquinazoline

A solution of pyridine (5.1 g, 64 mmol) in 50 ml of dichloromethane is added to a solution of thionyl chloride (7.6 g, 64 mmol) in 50 ml of dichloromethane at 0 °C. The mixture is stirred for 15 min at 0 °C, then 6-bromopyridine-2-carboxaldehyde (10 g, 54 mmol) is added slowly at 0 °C. The resulting mixture is stirred for 1 h at room temperature, then a solution of 2-aminobenzylamine (7.2 g, 59 mmol) in 50 ml of dichloromethane is added dropwise. The reaction mixture is stirred for 1 h at room temperature, then diluted with 50 ml of a sodium acetate solution (8.8 g in water), basified with sodium hydroxide solution (2 M in water) and extracted with dichloromethane. The organic layer is washed with brine, dried over sodium sulfate and evaporated under reduced pressure. The remainder is purified by chromatography on silica gel, using a mixture of cyclohexane / ethyl acetate 2 : 1 as eluent to obtain 2-(6-bromopyridin-2-yl)-1,2,3,4-tetrahydroquinazoline. ^{1}H-NMR ($CDCl_3$): δ = 4.02 (d, 1H), 4.27 (d, 1H), 5.01 (bs, 1H), 5.23 (s, 1H), 6.68 – 6.76 (m, 2H), 6.93 (d, 1H), 7.07 (t, 1H), 7.44 (d, 1H), 7.58 – 7.63 (m, 2H). MS: m/z = 291 (M+1).

b) Preparation of 2-(6-bromopyridin-2-yl)-quinazoline

2,3-Dichloro-5,6-dicycano-*p*-benzoquinone (121 g, 0.53 mol) is added to a suspension of 2-(6-bromopyridin-2-yl)-1,2,3,4-tetrahydroquinazoline (77 g, 0.26 mol)

in 1450 ml of toluene. The reaction mixture is stirred for 30 min at room temperature, basified with sodium hydroxide solution (5 M in water) and extracted with ethyl acetate. The organic layer is washed with brine, dried over sodium sulfate and evaporated under reduced pressure. The remainder is purified by chromatography on silica gel, using a mixture of cyclohexane / ethyl acetate / dichloromethane 2 : 1 : 1 as eluent to obtain 2-(6-bromopyridin-2-yl)-quinazoline. ^{1}H-NMR ($CDCl_3$): δ = 7.63 (d, 1H), 7.69 – 7.78 (m, 2H), 7.93 – 8.01 (m, 2H), 8.20 (d, 1H), 8.64 (d, 2H), 9.59 (s, 1H). MS: m/z = 287 (M+1).

c) Preparation of 2-(6-benzylpyridin-2-yl)-quinazoline

A solution of 2-(6-bromopyridin-2-yl)-quinazoline (9.0 g, 32 mmol) in 450 ml of tetrahydrofurane is degassed with argon for 10 min. Tetrakis(triphenylphosphin)palladium (0.36 g, 0.32 mmol) is added and the mixture is stirred for 30 min at 65 °C. 70 ml of a benzylzinc bromide solution (0.5 M in tetrahydrofurane) are added and the reaction mixture is heated to reflux for 16 h. Subsequently the mixture is cooled and 250 ml of a EDTA solution (12 % in water) are added and the mixture is stirred for further 72 h at room temperature, then diluted with sodium hydroxide solution (1 M in water) and extracted with ethyl acetate. The organic layer is washed with brine, dried over sodium sulfate and evaporated under reduced pressure. The remainder is purified by chromatography on silica gel, using a mixture of cyclohexane / ethyl acetate 2 : 1 as eluent to obtain 2-(6-benzylpyridin-2-yl)-quinazoline (Compound No I.a.017). ^{1}H-NMR ($CDCl_3$): δ = 4.48 (s, 2H), 7.12 (d, 1H), 7.23 – 7.35 (m, 5H), 7.70 (t, 1H), 7.77 (t, 1H), 7.93 – 8.02 (m, 2H), 8.22 (d, 1H), 8.51 (d, 1H), 9.62 (s, 1H). MS: m/z = 298 (M+1).

Example 3: This example illustrates the preparation of 2-(6-*o*-tolyloxypyridin-2-yl)-quinazoline (CompoundTable 4/Entry 22)

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A mixture of 2-(6-bromopyridin-2-yl)-quinazoline (200 mg, 0.7 mmol), *o*-cresol (94 mg, 0.7 mmol), copper(I) bromide (20 mg, 0.14 mmol) and cesium carbonate (570 mg, 1.75 mmol) is degassed with argon. Then 2,2,6,6-tetramethyl-3,5-heptandion

(103 mg, 0.56 mmol) and 2 ml of N,N-dimethylformamide are added and this mixture is heated in a sealed tube for 22 h at 135 °C. Subsequently the mixture is cooled and 20 ml of a EDTA solution (12 % in water) are added and the mixture is stirred for further 72 h at room temperature, then diluted with sodium hydroxide solution (1 M in water) and extracted with ethyl acetate. The organic layer is washed with brine, dried over sodium sulfate and evaporated under reduced pressure. The remainder is purified by chromatography on silica gel, using a mixture of cyclohexane / ethyl acetate 2 : 1 as eluent to obtain 2-(6-*o*-tolyloxypyridin-2-yl)-quinazoline (Compound No I.a.093). ^{1}H-NMR ($CDCl_3$): δ = 2.28 (s, 3H), 6.63 (d, 1H), 7.12 – 7.31 (m, 4H), 7.64 (t, 1H), 7.80 (t, 1H), 7.89 – 7.95 (m, 2H), 8.22 (d, 1H), 8.39 (d, 1H), 9.57 (s, 1H). MS: m/z = 314 (M+1).

Example 4: This example illustrates the preparation of 2-[6-(4-chlorophenylsulfanyl)-pyridin-2-yl]-quinazoline (CompoundTable 9/Entry 3)

A mixture of 2-(6-bromopyridin-2-yl)-quinazoline (200 mg, 0.7 mmol), 4-chlorothiophenol (139 mg, 0.77 mmol), N,N-dimethylformamide (128 mg, 1.75 mmol) and potassium carbonate (121 mg, 0.87 mmol) is heated unter argon in a sealed tube for 3 h at 110 °C. Subsequently the mixture is cooled, diluted with sodium hydroxide solution (1 M in water) and extracted with ethyl acetate. The organic layer is washed with brine, dried over sodium sulfate and evaporated under reduced pressure. The remainder is purified by chromatography on silica gel, using a mixture of cyclohexane / ethyl acetate 2 : 1 as eluent to obtain 2-[6-(4-chlorophenylsulfanyl)-pyridin-2-yl]-quinazoline (Compound No I.a.319). ^{1}H-NMR ($CDCl_3$): δ = 6.92 (d, 1H), 7.43 (d, 2H), 7.58 – 7.70 (m, 4H), 7.92 – 8.01 (m, 2H), 8.21 (d, 1H), 8.39 (d, 1H), 9.60 (s, 1H). MS: m/z = 350 (M+1).

Example 5: This example illustrates the preparation of 4-Methyl-2-(5-methyl-6-phenyl-pyridin-2-yl)-quinazoline (Compound Table 11/Entry 8)

a) Synthesis of 3-methyl-2-phenyl-pyridine:

To a stirred solution of 2-bromo-3-methylpyridine (30 g, 174 mmol) in dimethoxyethane (1.3 L) was added in one portion phenylboronic acid (42.5 g, 349 mmol) at room temperature, followed by an aqueous solution of sodium carbonate (3 M in water, 233 mL, 698 mmol). The mixture was degassed with argon for about 30 minutes, after which [1,1'-bis(diphenylphosphino)ferrocene]dichloropalladium(II), complex with dichloromethane (4.3 g, 5.0 mmol) was added, under argon. The reaction was stirred at 95°C for 2 hours. The crude mixture was diluted with ethyl acetate and water and the organic layer was decanted. It was washed once with an aqueous solution of sodium hydroxide (0.5 M) and once with brine. The organic layer was collected, dried with sodium sulphate and concentrated *in vacuo*. The crude mixture was purified by flash chromatography on silica gel (eluent: ethyl acetate/cyclohexane 1 : 3). The title compound was obtained as a pale orange oil. ^{1}H-NMR ($CDCl_3$): δ = 2.37 (s, 3H), 7.19 (dd, 1H), 7.37–7.41 (m, 2H), 7.42–7.49 (dd, 1H), 7.52–7.56 (m, 2H), 7.60 (d, 1H), 8.55 (d, 1H).

b) Synthesis of 3-methyl-2-phenyl-pyridine 1-oxide:

To a stirred solution of 3-methyl-2-phenyl-pyridine (26.9 g, 159 mmol) in dichloromethane (220 mL) under nitrogen atmosphere was added *m*-chloroperbenzoic acid (70% pure, 78.4 g, 318 mmol) in small portions, at 0°C. The mixture was stirred overnight at room temperature. It was then cooled to 0°C and an aqueous solution of sodium hydroxide (2 M) was added slowly (CAUTION: exothermic) until a basic pH was reached. To this mixture was then added a saturated aqueous solution of sodium thiosulphate slowly at 0°C (CAUTION: highly exothermic). The biphasic solution was stirred for an additional 30 minutes after which the organic layer was decanted, washed with an aqueous solution of sodium hydroxide (1 M), decanted, dried over sodium sulphate and concentrated *in vacuo*. The crude compound was obtained as a white solid. ^{1}H-NMR ($CDCl_3$): δ = 2.13 (s, 3H), 7.15–7.22 (m, 2H), 7.47 (d, 2H), 7.43–7.49 (m, 1H), 7.51–7.57 (m, 2H), 8.27 (d, 1H).

c) Synthesis of 5-methyl-6-phenyl-1H-pyridin-2-one:

A solution of 3-methyl-2-phenyl-pyridine 1-oxide (12 g, 65 mmol) in acetic anhydride (120 mL) was equally partitioned in four microwave vials and sealed. The vials were irradiated for 45 minutes in a microwave oven at 175°C. The crude mixture was concentrated *in vacuo*. The crude was taken up in ethyl acetate (100 mL) and an aqueous solution of lithium hydroxide (1 M) was added until ph~9 was reached. The mixture was vigorously stirred for an hour after which the organic layer was decanted. The aqueous layer was extracted three times with ethyl acetate. The organic layers were collected, dried over magnesium sulphate and concentrated *in vacuo*. The crude mixture was purified by flash chromatography on silica gel (eluent gradient: pure dichloromethane to 6 % methanol in dichloromethane). The title compound was obtained as a white solid. ^{1}H NMR ($CDCl_3$) = 2.10 (s, 3H), 6.51 (d, 1H), 7.36 (d, 1H), 7.41–7.46 (m, 2H), 7.48–7.52 (m, 3H), 9.73 (s, 1H).

d) Synthesis of 6-bromo-3-methyl-2-phenyl-pyridine:

To a solution of 5-methyl-6-phenyl-1H-pyridin-2-one (1.6 g, 8.6 mmol) in toluene (35 mL) was added in one portion phosphorus oxybromide (5.0 g, 17.3 mmol). The mixture was refluxed for 2 hours, and then cooled to 0°C, covered with ethyl acetate and quenched with an aqueous solution of sodium hydroxide (2 M) at 0°C. The organic layer was decanted, dried and concentrated. The crude mixture was filtered over a pad of silica gel with a mixture of 25% ethyl acetate in cyclohexane. The title compound was obtained as a colourless oil. ^{1}H NMR ($CDCl_3$) = 2.34 (s, 3H), 7.39 (d, 1H), 7.40–7.48 (m, 5H), 7.53 (d, 1H).

e) Synthesis of 3-methyl-2-phenyl-6-tributylstannanyl-pyridine:

In a dry flask, under argon, a solution of *n*-butyl lithium in tetrahydrofuran (1.5 M, 17 mL, 25.7 mmol) was added dropwise to a solution of 6-bromo-3-methyl-2-phenyl-pyridine (5.8 g, 23.4 mmol) in anhydrous tetrahydrofuran (100 mL), at -78°C. The solution was stirred at that temperature for 45 minutes, after which tributyltin chloride (6.4 mL, 23.4 mmol) was added dropwise, at -78°C. The solution was allowed to warm up to room temperature over an hour, before which a saturated aqueous solution

of ammonium chloride was added. The organic layer was decanted. The aqueous layer was further extracted twice with ethyl acetate. The organic layers were collected, dried over magnesium sulphate and concentrated *in vacuo*. The title compound was obtained as a pale yellow oil. ^{1}H NMR ($CDCl_3$): 0.92 (m, 9H), 1.14 (m, 6H), 1.48 (m, 6H), 1.60 (m, 6H), 7.28 (d, 1H), 7.47–7.50 (m, 2H), 7.52–7.58 (m, 2H), 7.61 (m, 2H).

f) Synthesis of 2-bromo-4-methylquinazoline:

To a degassed mixture of 2,4-dibromoquinazoline (200 mg, 0.69 mmol), trimethylboroxine (0.10 mL, 0.69 mmol) and potassium carbonate (300 mg, 2.1 mmol) in anhydrous dioxane (2.5 mL) in a microwave vial was added tetrakis(triphenylphosphine)palladium(0) (80 mg, 69 µmol) under argon. The vial was sealed and irradiated in a microwave oven for 5 minutes at 150 °C. The crude mixture was diluted with dichloromethane and washed with water. The organic layer was decanted, dried over magnesium sulphate and concentrated *in vacuo*. The crude mixture was purified by flash chromatography on silica gel (eluent gradient: 0% to 25% ethyl acetate in cyclohexane) to yield the title compound. ^{1}H NMR ($CDCl_3$): 2.96 (s, 3H), 7.58 (app. t, 1H), 7.93 (app. t, 1H), 7.98 (d, 1H), 8.10 (d, 1H).

g) Synthesis of 4-Methyl-2-(5-methyl-6-phenyl-pyridin-2-yl)-quinazoline:

To a degassed, stirred solution of 3-methyl-2-phenyl-6-tributylstannanyl-pyridine (247 mg, 0.54 mmol), 2-bromo-4-methylquinazoline (74 mg, 0.33 mmol) and lithium chloride (39 mg, 0.92 mmol) in anhydrous *N,N*-dimethylformamide (2 mL) in a supelco vial, was added tetrakis(triphenylphosphine)palladium(0) (38 mg, 33 µmol). The vial was sealed and heated to 100°C, overnight. The crude mixture was then diluted with acetonitrile and washed 3 times with hexane. The acetonitrile layer was concentrated *in vacuo* and taken up in ethyl acetate. It was washed 3 times with water, dried over magnesium sulphate and concentrated. The organic layer was decanted, dried and concentrated. The crude thus obtained was purified by flash chromatography on silica gel (eluent gradient: 0% to 30% ethyl acetate in cyclohexane). The title compound was obtained as a white solid. m.p.: 141-143°C. ^{1}H

NMR ($CDCl_3$): 2.36 (s, 3H), 2.96 (s, 3H), 7.29-7.33 (m, 1H), 7.38 (app. t, 2H), 7.51 (t, 1H), 7.60 (d, 2H), 7.68 (d, 1H), 7.77 (t, 1H), 8.02 (d, 1H), 8.10 (d, 1H), 8.40 (d, 1H).

Throughout this description, temperatures are given in degrees Celsius and "m.p." means melting point

Conditions A

MS ZMD Mass Spectrometer from Waters (single quadrupole mass spectrometer), ionization method: electrospray, polarity: positive ionization, capillary (kV) 3.00, cone (V) 30.00, Extractor (V) 3.00, source temperature (°C) 150, desolvation temperature (°C) 320, cone gas flow (L/Hr) 50, desolvation gas flow (L/Hr) 400, mass range: 150 to 800 Da.

LC Alliance 2795 LC HPLC from Waters: quaternary pump, heated column compartment and diode-array detector.

Column: Waters Atlantis dc18; length: 20 mm; internal diameter: 3 mm; particle size: 3 µm, temperature (°C) 40, DAD wavelength range (nm): 200 to 500, solvent gradient: A = 0.1% of formic acid in water and B: 0.1% of formic acid in acetonitrile.

Time (min)	A%	B%	Flow (ml/min)
0.0	80	20	1.7
2.5	0.0	100	1.7
2.8	0.0	100	1.7
2.9	80	20	1.7

Condition B

MS ZQ Mass Spectrometer from Waters (single quadrupole mass spectrometer), ionization method: electrospray, polarity: positive ionization, capillary (kV) 3.00, cone (V) 30.00, extractor (V) 3.00, source temperature (°C) 100, desolvation temperature (°C) 200, cone gas flow (L/Hr) 200, desolvation gas flow (L/Hr) 250, mass range: 150 to 800 Da.

LC 1100er Series HPLC from Agilent: quaternary pump, heated column compartment and diode-array detector.

Column: Waters Atlantis dc18; length: 20 mm; internal diameter: 3 mm; particle size: 3 µm, temperature (°C) 40, DAD wavelength range (nm): 200 to 500, solvent gradient: A = 0.1% of formic acid in water and B: 0.1% of formic acid in acetonitrile.

Time (min)	A%	B%	Flow (ml/min)
0.0	80	20	1.7
2.5	0.0	100	1.7
2.8	0.0	100	1.7
2.9	80	20	1.7

Condition C

MS ACQUITY SQD Mass Spectrometer from Waters (Single quadrupole mass spectrometer) -Ionisation method: Electrospray - Polarity: positive ions-Capillary (kV) 3.00, Cone (V) 20.00, Extractor (V) 3.00, Source Temp (°C) 150, Desolvation Temp (°C) 400, Cone Gas Flow (L/Hr) 60, Desolvation Gas Flow (L/Hr) 700 - Massrange: 100 to 800 Da - DAD Wavelength range (nm): 210 to 400 .

LC Method Waters ACQUITY UPLC with the following HPLC gradient conditions (Solvent A: Water/Methanol 9:1,0.1% formic acid and Solvent B: Acetonitrile,0.1% formic acid)

Column: Waters ACQUITY UPLC HSS T3; Column length: 30 mm; Internal diameter of column: 2.1 mm; Particle Size: 1.8 micron; Temperature: 60°C.

Time (minutes)	A (%)	B (%)	Flow rate (ml/min)
0	80	20	1.5
0.1	75	25	1.5
0.2	70	30	0.75
1.20	0	100	0.75
1.40	0	100	0.75

1.45	80	20	0.75

Conditions E

MS ZQ Mass Spectrometer from Waters (Single quadrupole mass spectrometer); Ionisation method: Electrospray ;Polarity: positive ions; Capillary (kV) 3.00, Cone (V) 30.00, Extractor (V) 2.00, Source Temperature (°C) 100, Desolvation Temperature (°C) 250, Cone Gas Flow (L/Hr) 50, Desolvation Gas Flow (L/Hr) 400 ; Mass range: 150 to 1000 Da

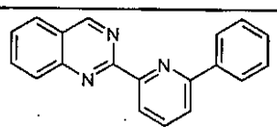
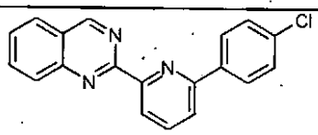
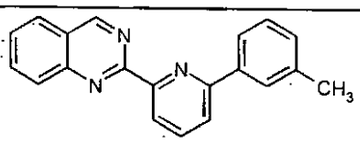
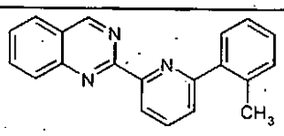
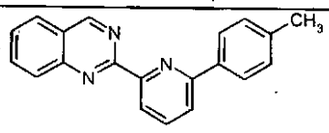
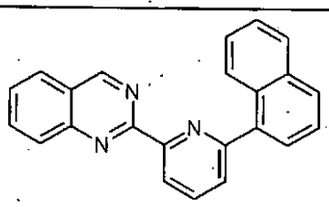
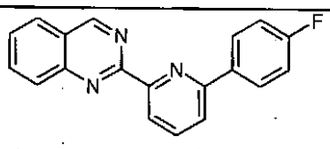
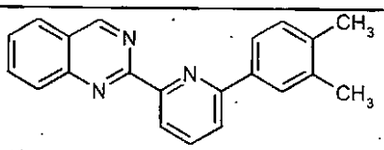
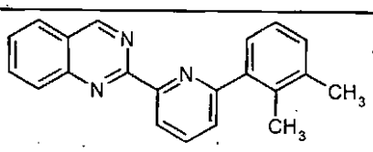
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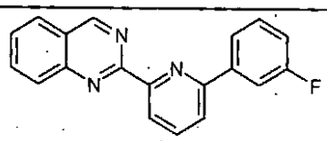
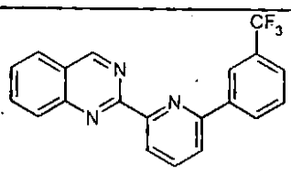
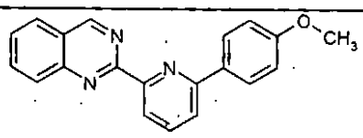
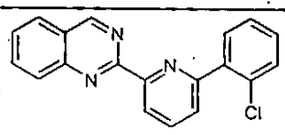
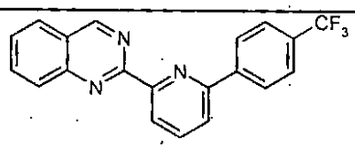
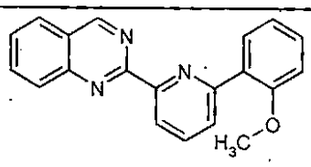
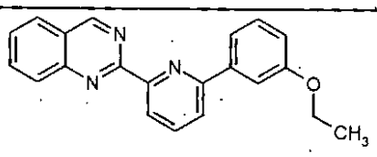
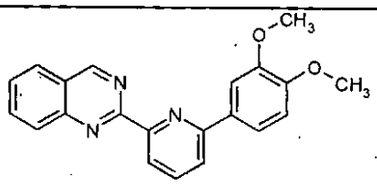
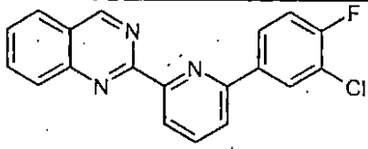
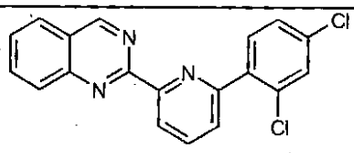
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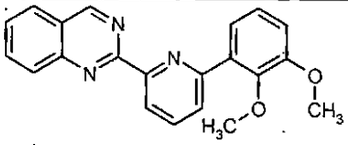
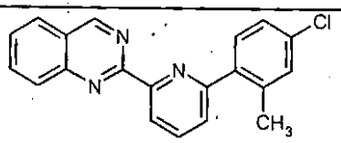
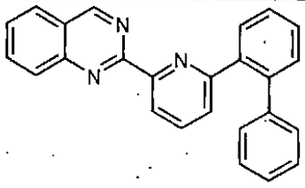
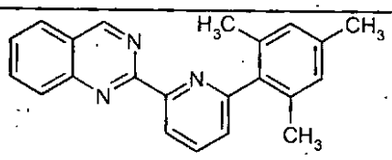
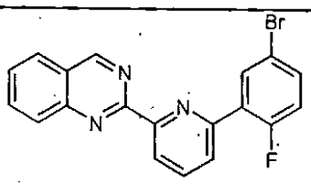
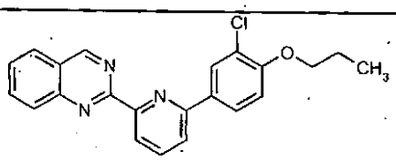
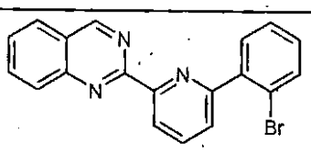
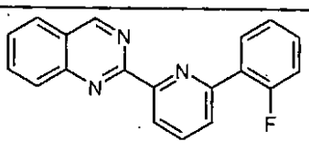
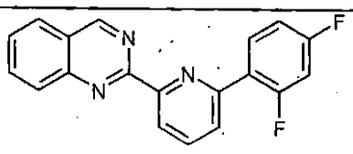
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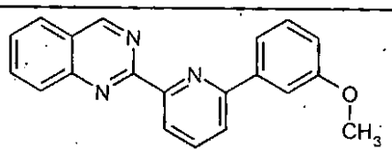
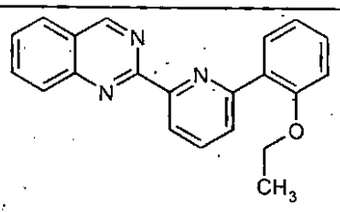
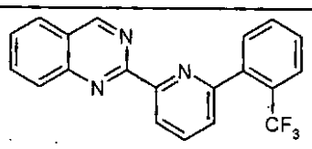
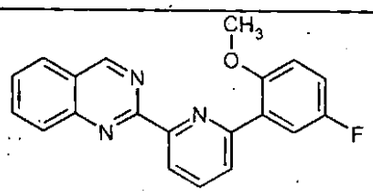
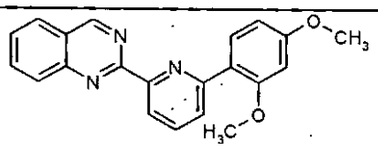
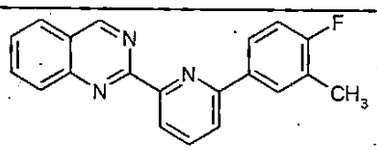
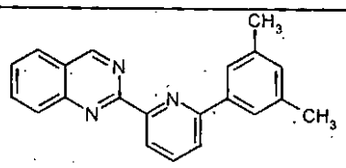
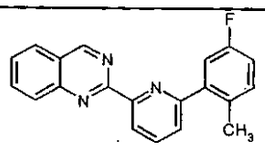
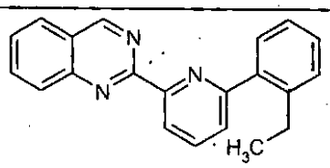
TABLE 2

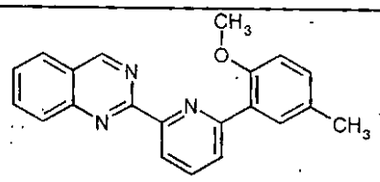
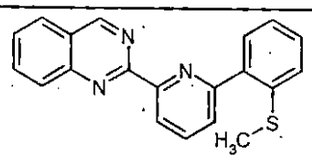
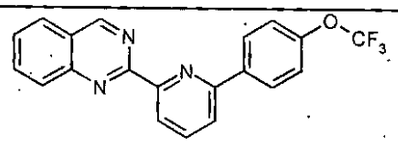
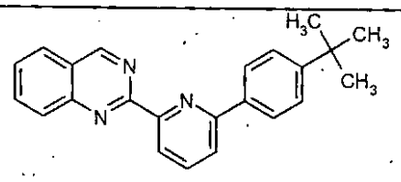
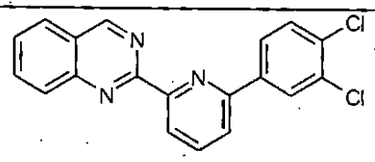
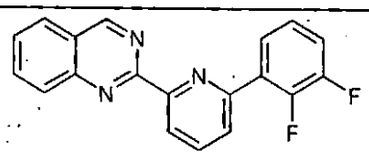
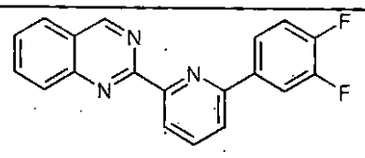
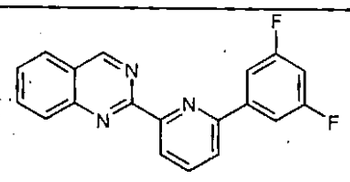
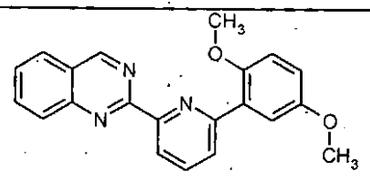
Table 2 shows retention time and $(M+H)^+$ value and/or melting point value measured for selected compounds of the formula I.a where R^1 is H and A is optionally substituted aryl

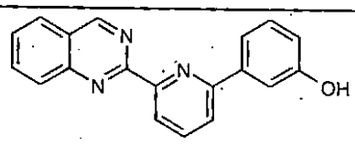
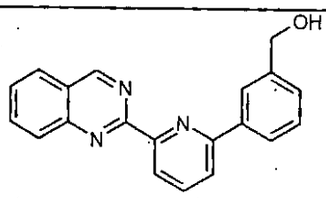
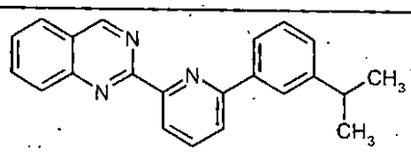
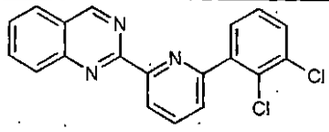
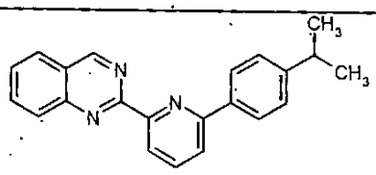
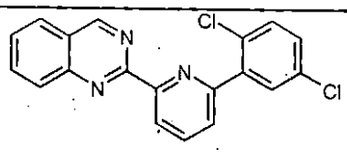
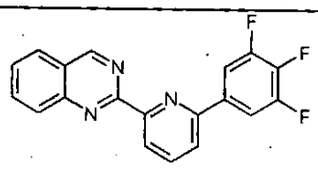
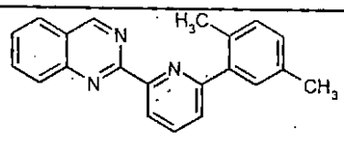
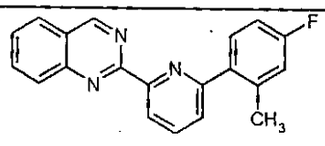
Entry	Structure	RT (min)	$(M+H)^+$ (measured)	LC/MS method	MeltingPoint (C)
1		1.42	284.17	A	
2		1.66	318.09	A	
3		1.56	298.17	A	
4		1.43	298.17	A	
5		1.55	298.17	A	
6		1.66	334.11	A	
7		1.5	302.13	A	
8		1.64	312.16	A	
9		1.5	312.16	A	

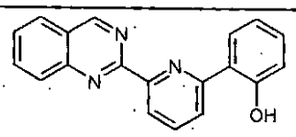
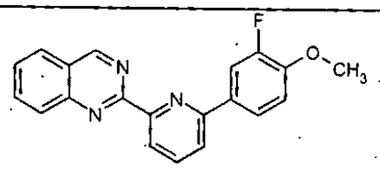
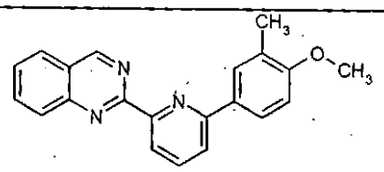
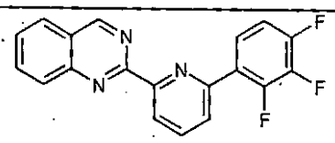
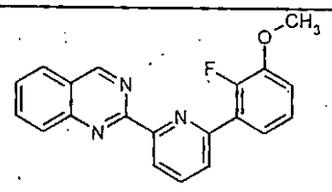
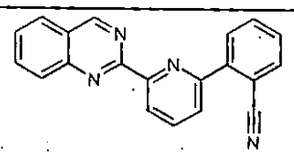
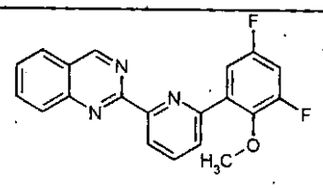
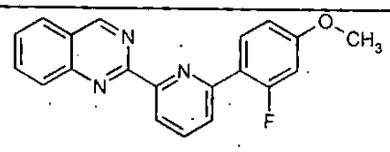
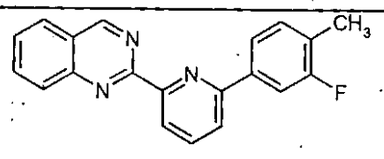
10		1.51	302.14	A	
11		1.76	352.32	A	
12		1.39	314.14	A	
13		1.51	318.09	A	
14		1.76	352.13	A	
15		0.91	314.14	A	
16		1.59	328.13	A	
17		1.26	344.31	A	
18		1.72	336.05	A	
19		1.77	351.99	A	

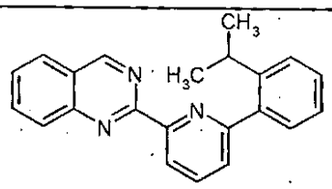
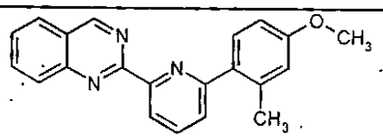
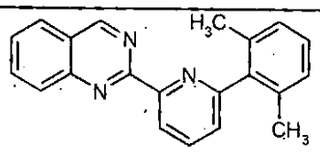
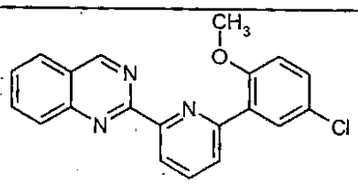
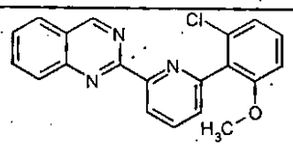
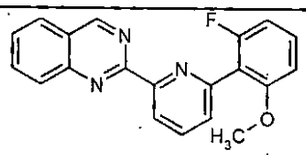
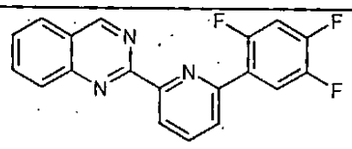
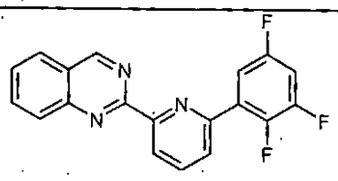
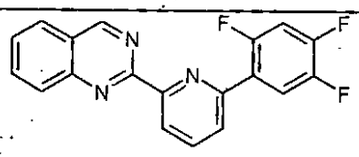
20		1.14	344.41	A	
21		1.72	332.08	A	
22		1.69	360.40	A	
23		1.57	326.33	A	
24		1.76	379.96	A	
25		1.95	376.07	A	
26		1.56	363.96	A	
27		1.46	302.13	A	
28		1.55	320.17	A	

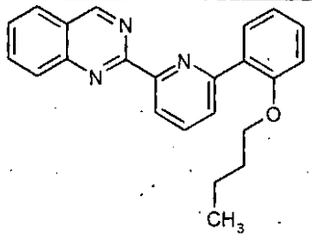
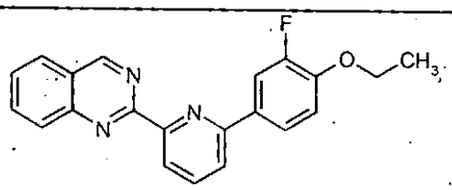
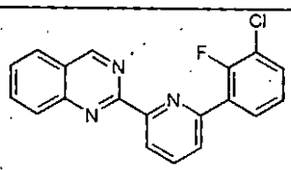
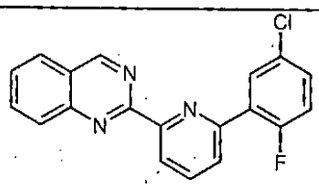
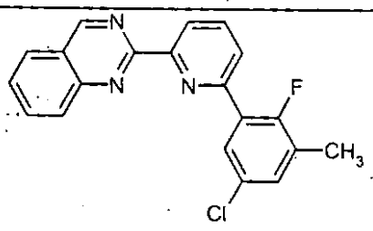
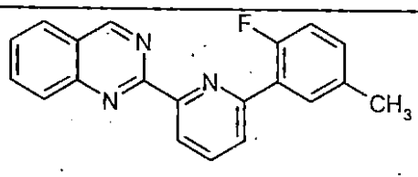
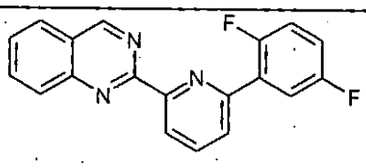
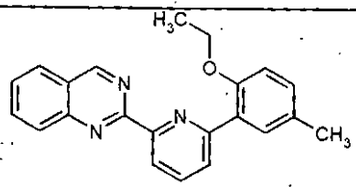
29		1.46	314.19	A	
30		0.99	328.35	A	
31		1.59	352.30	A	
32		1.28	332.31	A	
33		0.95	344.11	A	
34		1.62	316.26	A	
35		1.71	312.16	A	
36		1.56	316.19	A	
37		1.6	312.16	A	

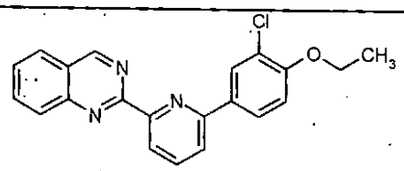
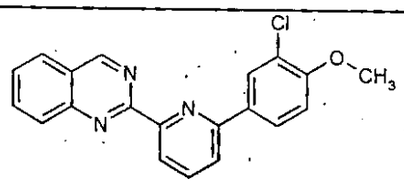
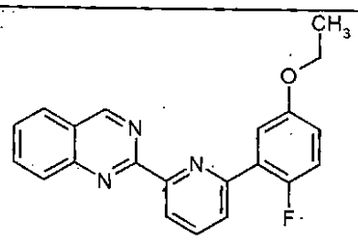
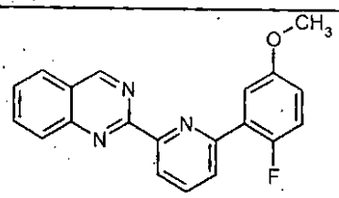
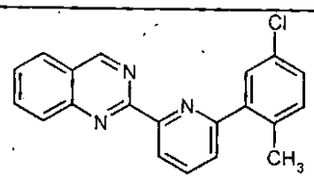
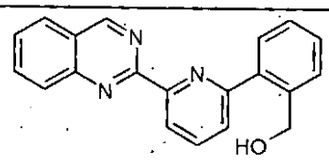
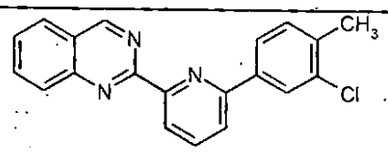
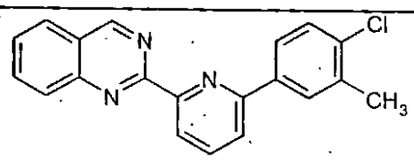
38		1.14	328.27	A	
39		1.48	330.09	A	
40		1.79	368.17	A	
41		1.99	340.40	A	
42		1.87	351.99	A	
43		1.56	320.10	A	
44		1.59	320.24	A	
45		1.62	320.10	A	
46		1.06	344.10	A	

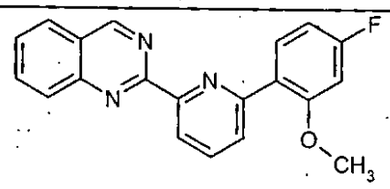
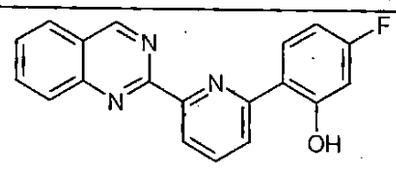
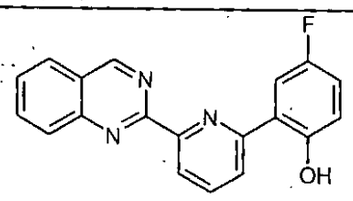
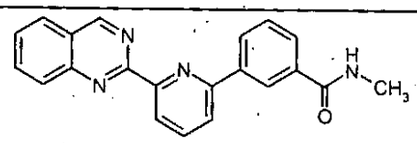
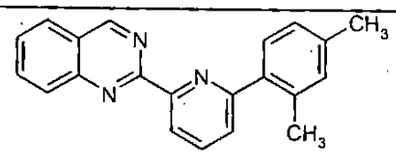
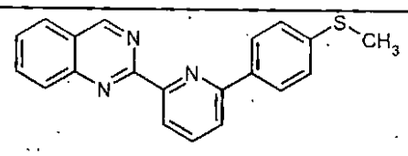
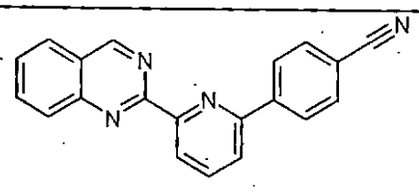
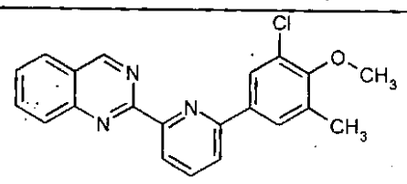
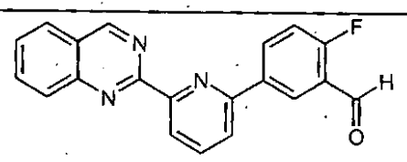
47		1.1	300.13	A	
48		1.02	314.13	A	
49		1.83	326.20	A	
50		1.69	352.00	A	
51		1.82	326.25	A	
52		1.77	352.00	A	
53		1.69	338.20	A	
54		1.58	312.15	A	120-122
55		1.52	316.12	A	128-131

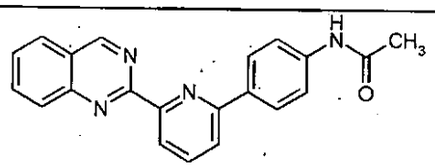
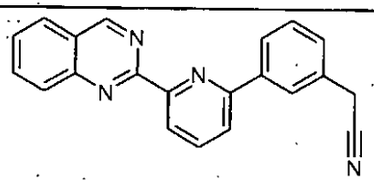
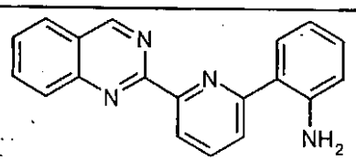
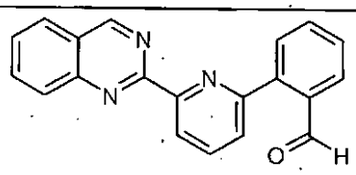
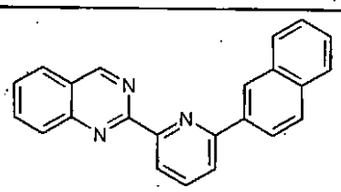
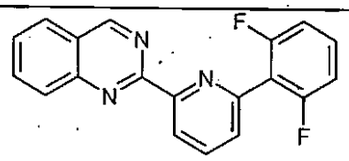
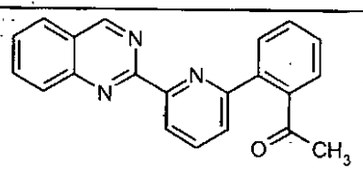
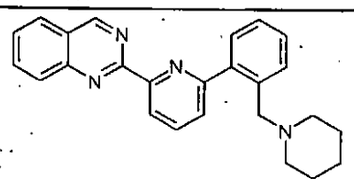
56		1.7	300.13	A	
57		1.49	332.10	A	
58		1.52	328.37	A	
59		1.65	338.06	A	
60		1.46	332.09	A	142-143
61		1.26	309.12	A	
62		1.6	350.24	A	
63		1.52	332.10	A	
64		1.66	316.12	A	

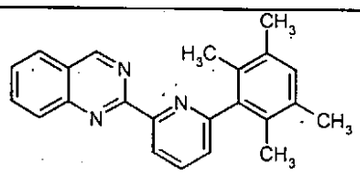
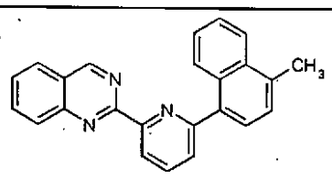
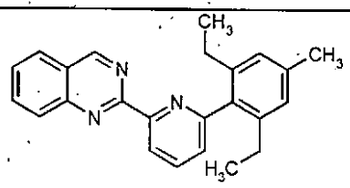
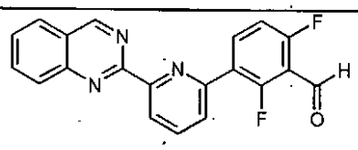
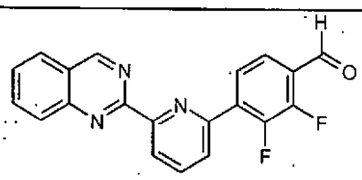
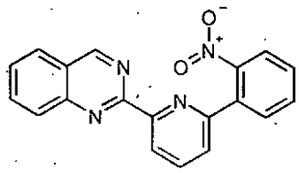
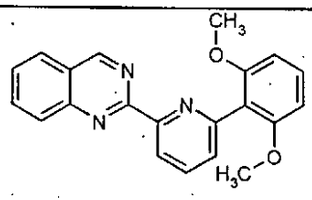
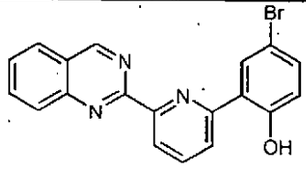
65		1.7	326.39	A	
66		1.32	328.37	A	
67		1.47	312.21	A	
68		1.46	348.22	A	
69		1.43	348.05	A	
70		1.25	332.27	A	
71		1.67	338.06	A	
72		1.67	338.06	A	
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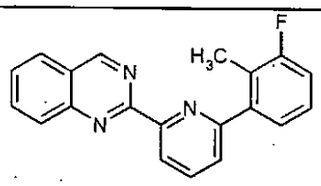
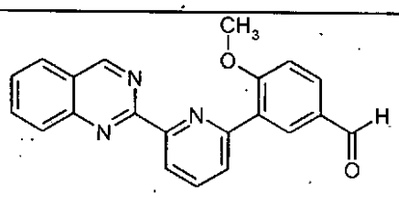
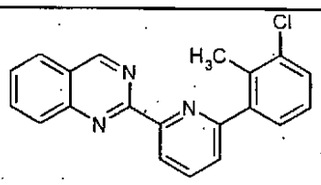
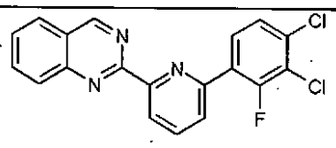
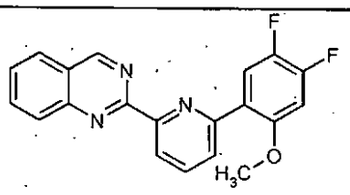
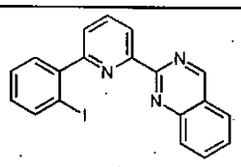
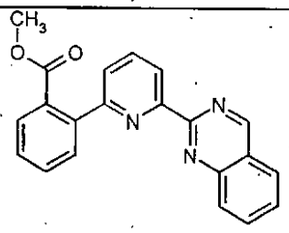
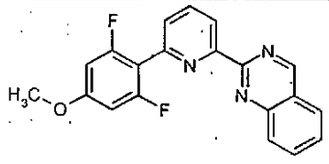
74		1.34	356.42	A	
75		1.64	346.10	A	
76		1.71	336.05	A	
77		1.73	336.04	A	
78		1.89	350.15	A	
79		1.65	316.11	A	
80		1.59	320.32	A	
81		1.18	342.49	A	

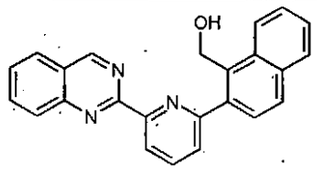
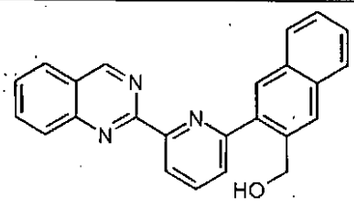
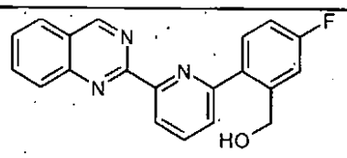
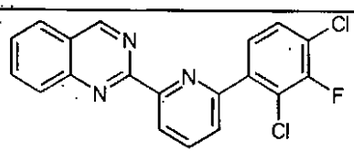
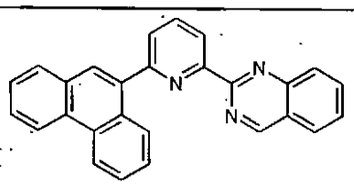
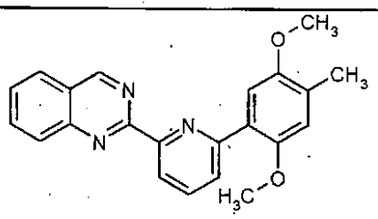
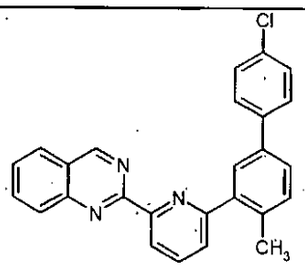
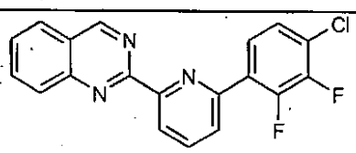
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83		1.61	348.05	A	
84		1.69	346.35	A	
85		1.53	332.29	A	
86		1.76	332.06	A	
87		1.36	314.28	A	
88		1.82	332.07	A	
89		1.81	332.07	A	

90		1.17	332.29	A	183-185
91		1.84	318.10	A	
92		1.82	318.10	A	
93		1.17	341.17	A	
94		1.53	312.32	A	
95		1.62	330.21	A	
96		1.42	309.19	A	
97		1.79	362.10	A	
98		1.4	330.18	A	

99		1.03	341.10	A	
100		1.34	323.11	A	
101		0.68	299.19	C	
102		0.44	312.06	C	
103		1.02	334.2	C	
104		0.83	320.17	C	
105		0.74	326.19	C	
106		0.59	381.27	C	

107		1.05	340.26	C	
108		1.05	348.22	C	
109		1.16	354.27	C	
110		0.8	348.3	C	
111		0.86	348.11	C	
112		0.76	329.17	C	
113		0.55	344.1	C	
114		1.15	378.03	C	

124		0.94	316.2	C	
125		0.69	342.21	C	
126		1.02	332.17	C	
127		1.11	370.1	C	
128		0.9	350.16	C	
129					164-165
130					155-158
131					142-144

115		0.99	364.16	C	
116		0.98	364.21	C	
117		0.84	332.2	C	
118		1.04	370.09	C	
119		1.12	384.22	C	
121		0.69	358.22	C	
122		1.23	408.2	C	
123		1.05	354.11	C	

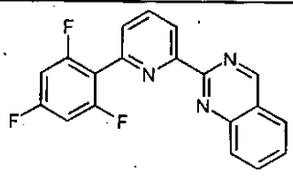
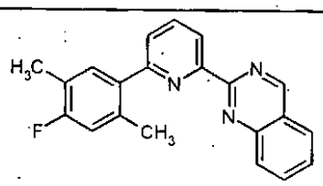
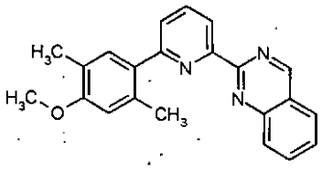
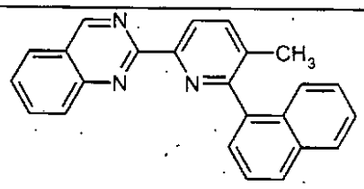
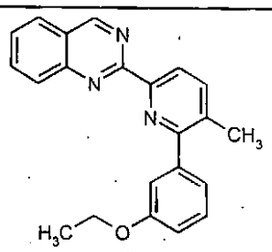
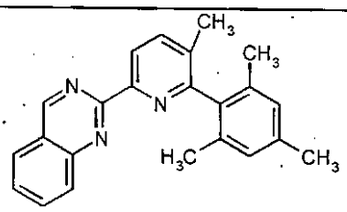
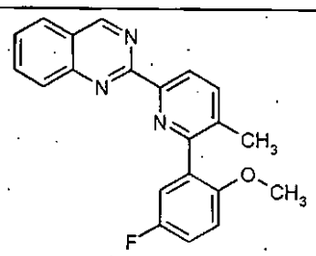
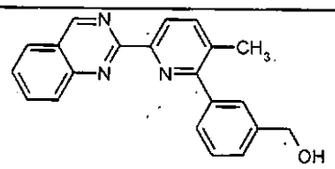
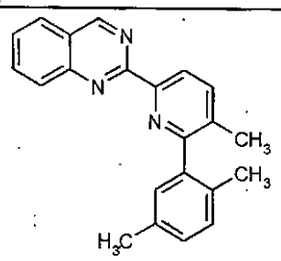
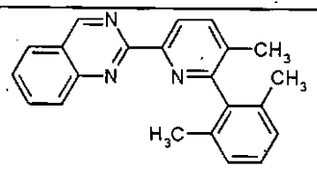
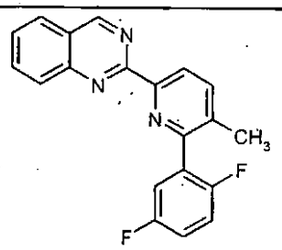
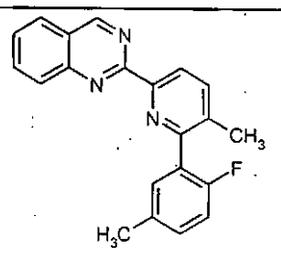
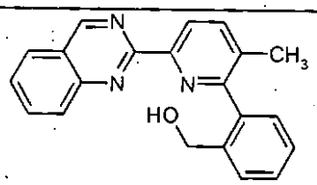
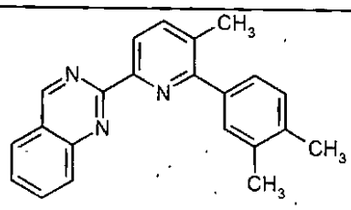
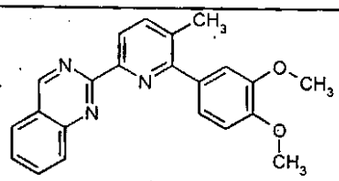
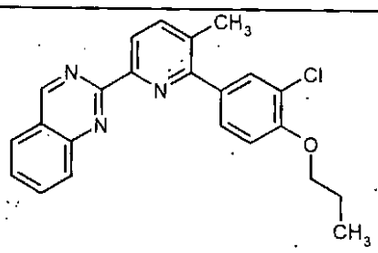
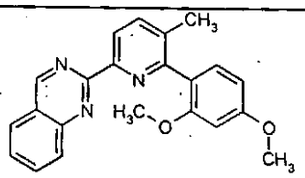
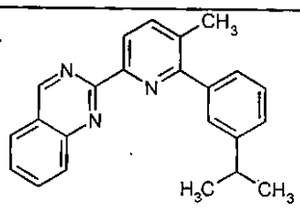
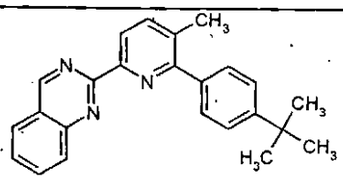
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133					138-140
134					150-152

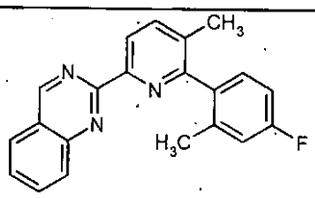
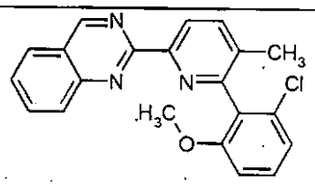
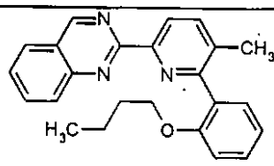
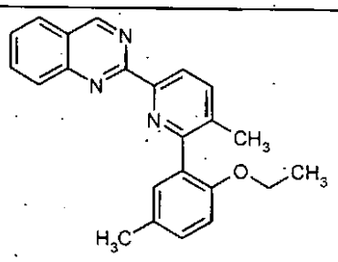
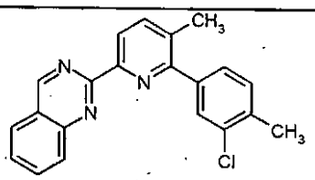
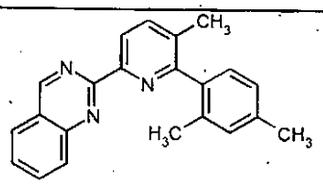
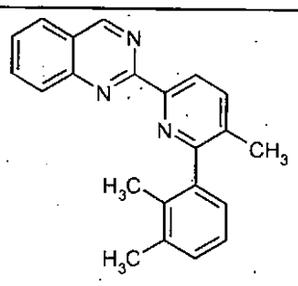
TABLE 3

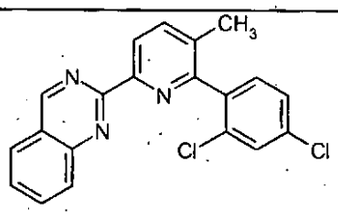
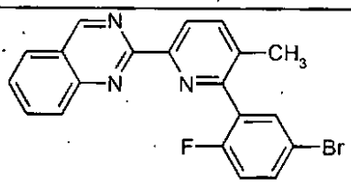
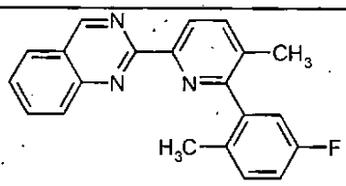
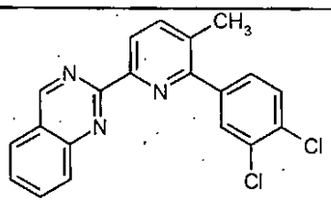
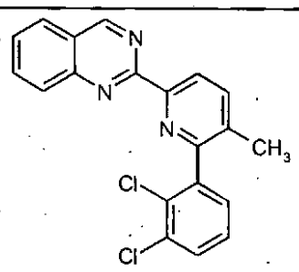
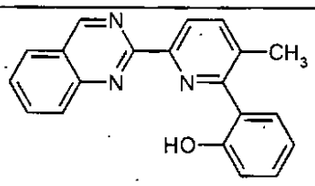
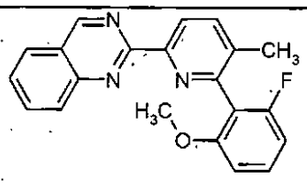
Table 3 shows retention time and $(M+H)^+$ value and/or melting point value measured for selected compounds of the formula I.a where R^1 is Methyl and A is optionally substituted aryl

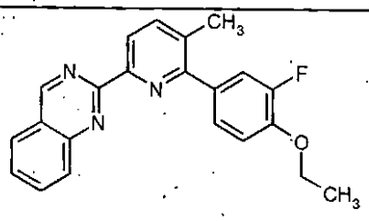
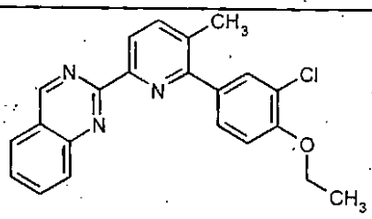
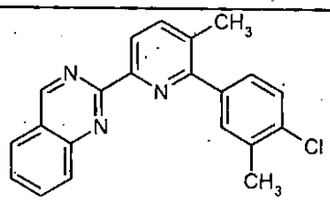
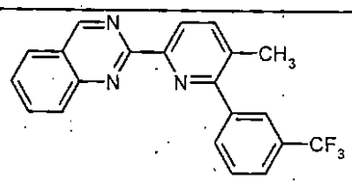
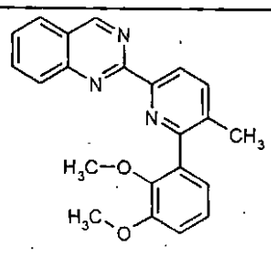
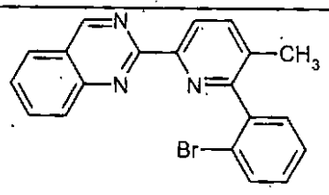
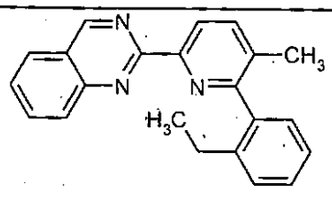
Entry	Structure	RT (min.)	$(M+H)^+$ (measured)	LC/MS	Melting Point °C
1		1.78	348.07	B	
2		1.68	342.09	B	

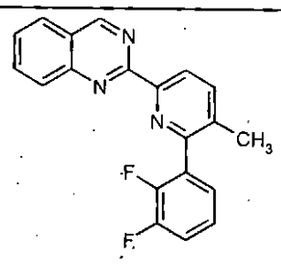
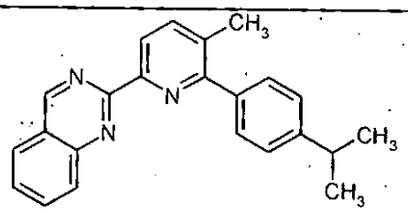
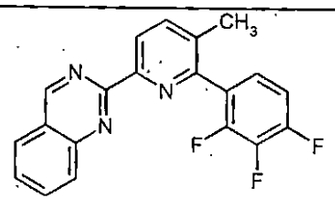
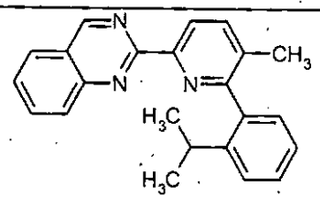
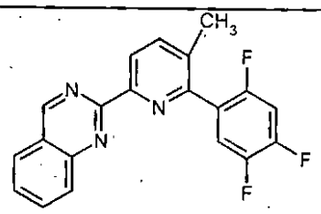
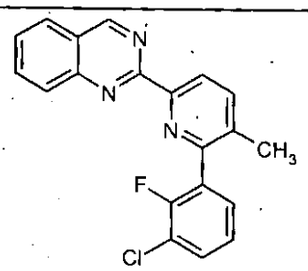
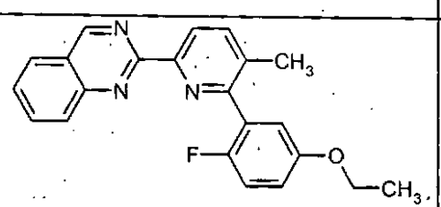
3		1.69	340.12	B	
4		1.56	346.05	B	
5		1.13	328.13	B	
6		1.66	326.12	B	
7		1.61	326.12	B	
8		1.72	334.08	B	
9		1.81	330.08	B	

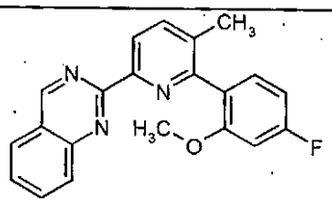
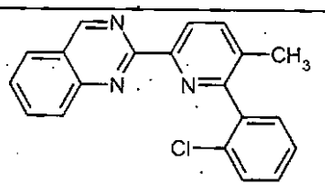
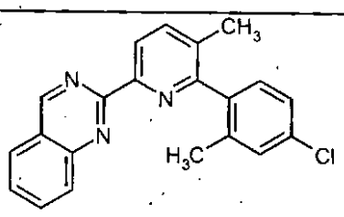
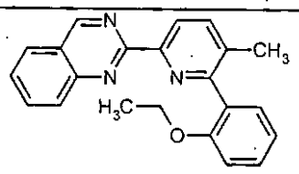
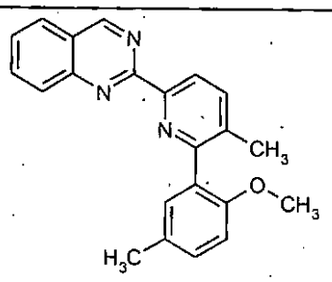
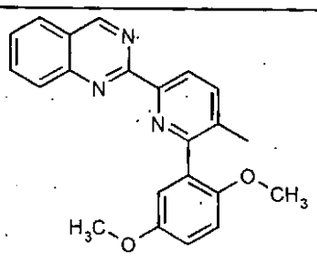
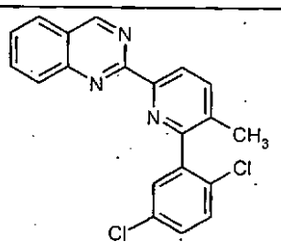
10		1.41	328.12	B	
11		1.67	326.12	B	
12		1.3	358.09	B	
13		2.07	390.07	B	
14		1.35	358.13	B	
15		1.92	340.15	B	
16		2.01	354.16	B	

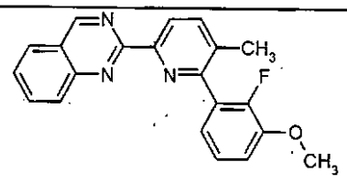
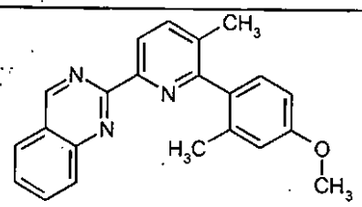
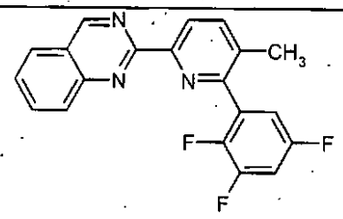
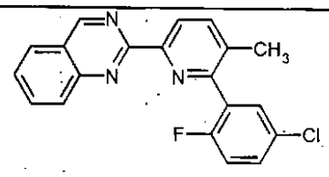
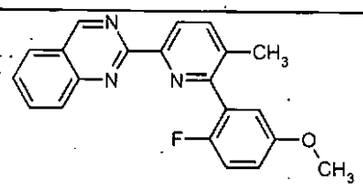
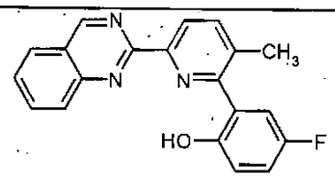
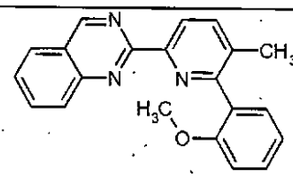
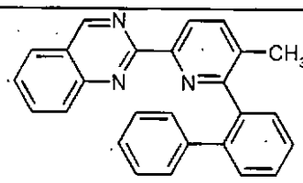
17		1.64	330.09	B	
18		1.69	362.05	B	
19		1.74	370.14	B	
20		1.6	356.11	B	
21		1.98	346.05	B	
22		1.61	326.12	B	
23		1.6	326.12	B	

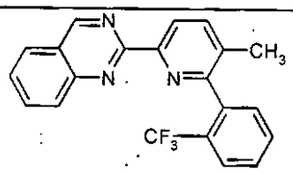
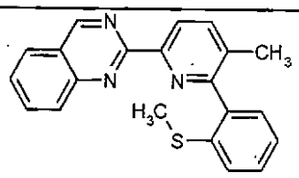
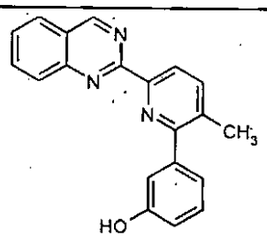
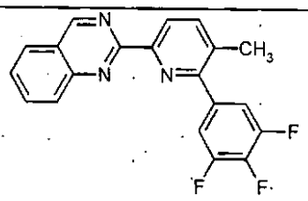
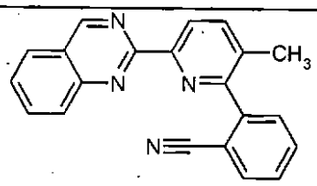
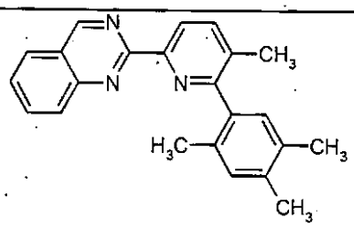
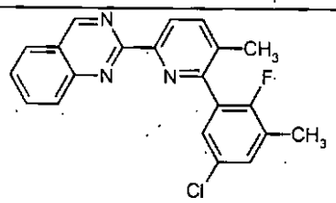
24		1.97	365.98	B	
25		1.92	395.98	B	
26		1.73	330.09	B	
27		2.02	365.98	B	
28		1.92	365.98	B	
29		1.43	314.12	B	
30		1.55	346.12	B	

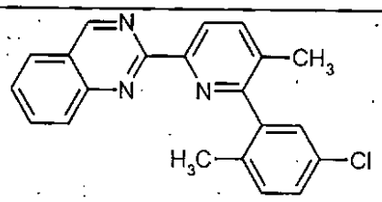
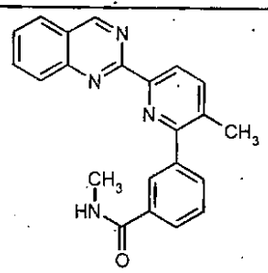
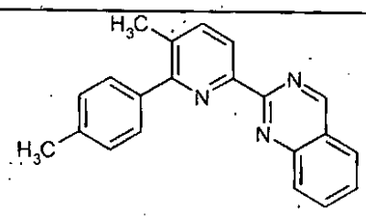
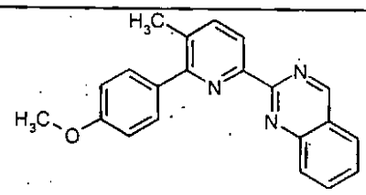
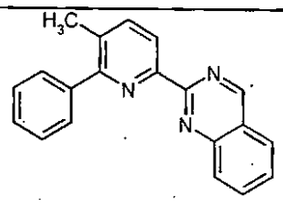
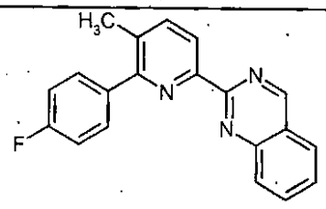
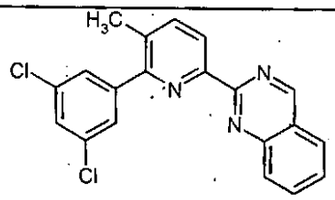
31		1.76	360.10	B	
32		1.9	376.05	B	
33		1.93	346.05	B	
34		1.93	366.05	B	
35		1.43	358.13	B	
36		1.77	375.98	B	
37		1.7	326.12	B	

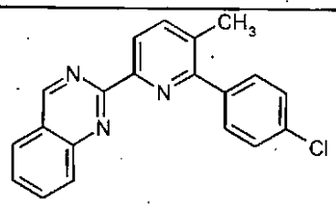
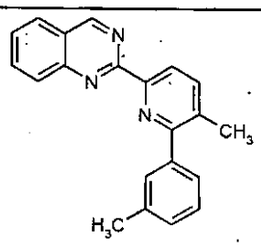
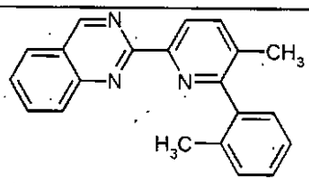
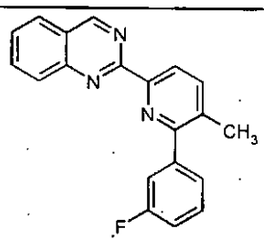
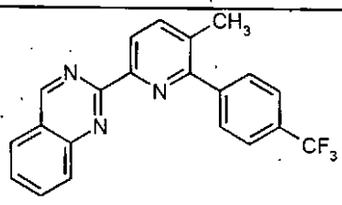
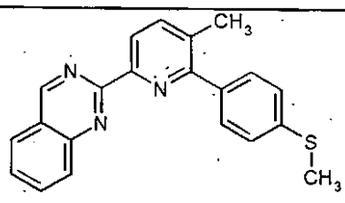
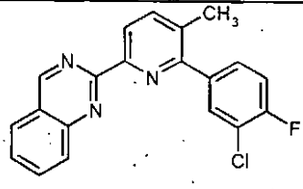
38		1.73	334.11	B	
39		1.9	340.15	B	
40		1.79	352.09	B	
41		1.84	340.15	B	
42		1.79	352.09	B	
43		1.85	350.07	B	
44		1.82	360.13	B	

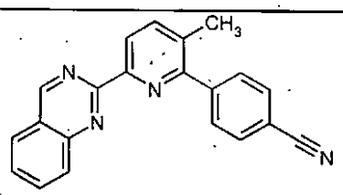
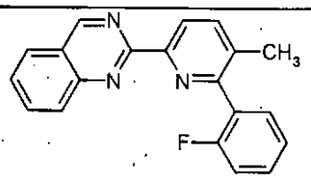
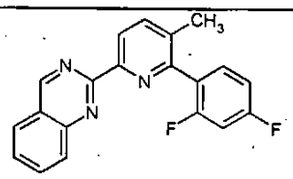
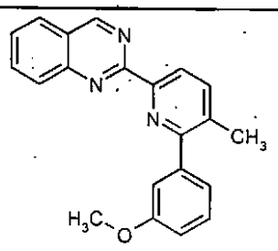
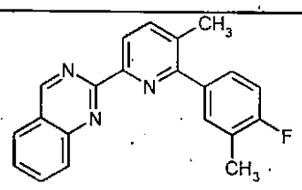
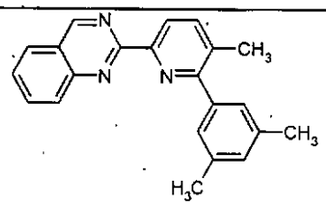
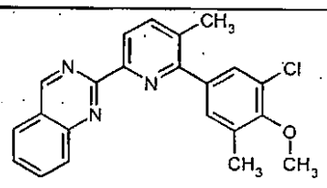
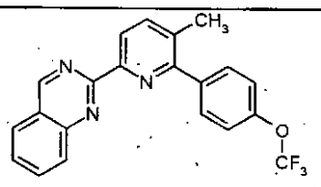
45		1.48	346.12	B	
46		1.73	332.09	B	
47		1.86	346.05	B	
48		1.45	342.16	B	
49		1.49	342.15	B	
50		1.42	358.13	B	
51		1.96	365.99	B	

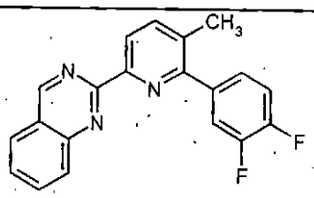
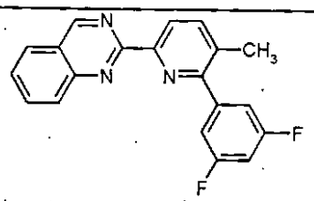
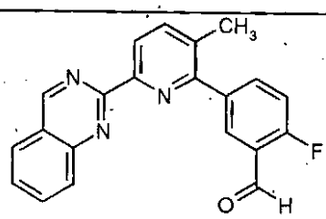
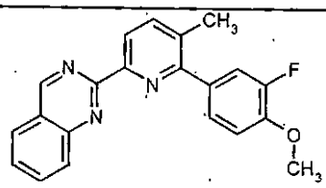
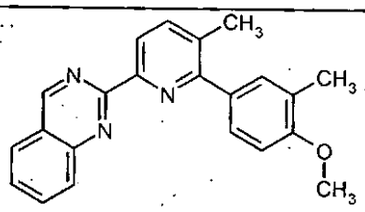
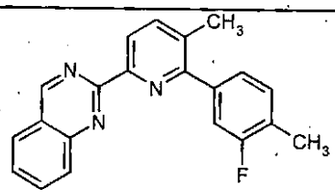
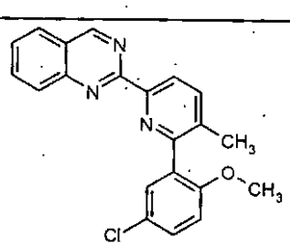
52		1.62	346.12	B	
53		1.42	342.16	B	
54		1.8	352.09	B	
55		1.87	350.08	B	
56		1.69	346.12	B	
57		1.67	332.10	B	
58		1.35	328.14	B	
59		1.82	3374.10	B	

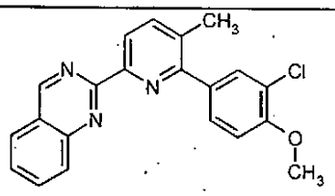
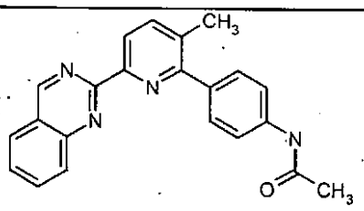
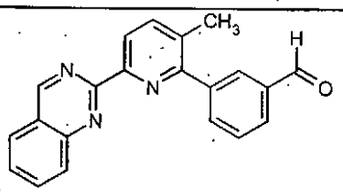
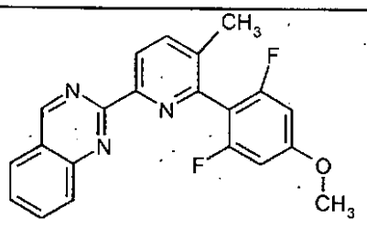
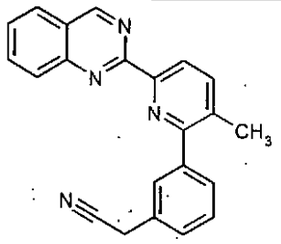
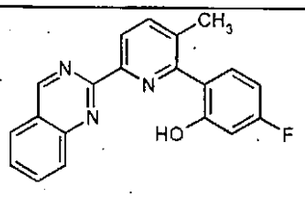
60		1.8	366.05	B	
61		1.65	344.11	B	
62		1.17	314.12	B	
63		1.86	352.07	B	
64		1.48	323.09	B	
65		1.71	340.15	B	
66		2.01	364.04	B	

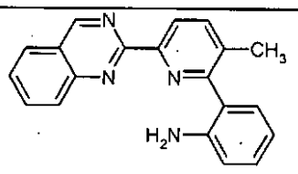
67		1.89	346.05	B	
68		1.22	355.09	B	
69					182-183
70					176-177
71					191-193
72					183-186
73					oil

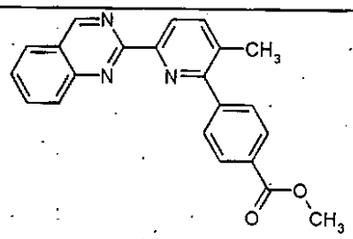
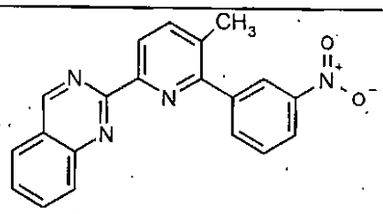
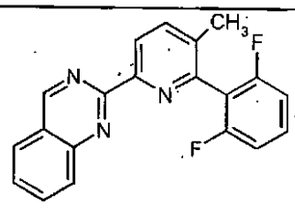
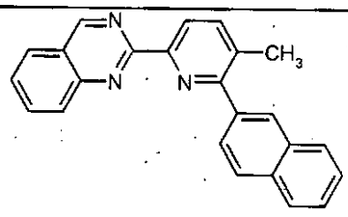
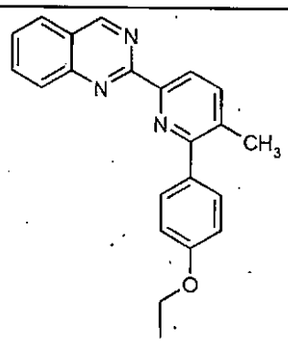
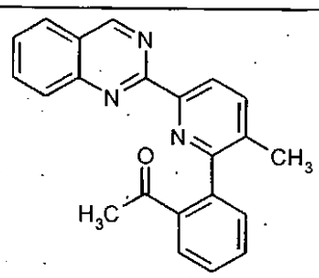
74		1.56	332.80	B	oil
75		1.38	312.40	B	oil
76		1.28	312.40	B	143-144
77		1.44	316.40	B	oil
78		1.7	366.40	B	
79		1.46	344.50	B	
80		1.74	350.80	B	

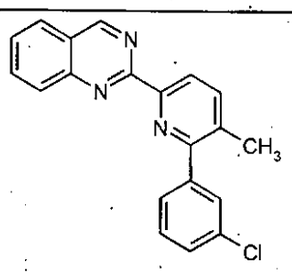
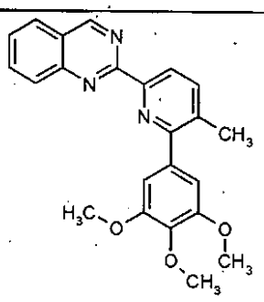
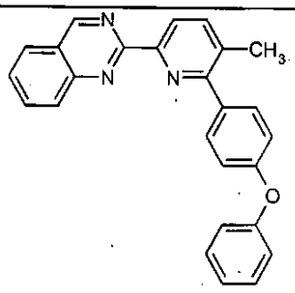
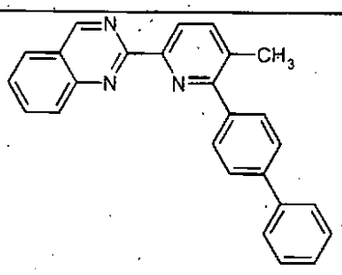
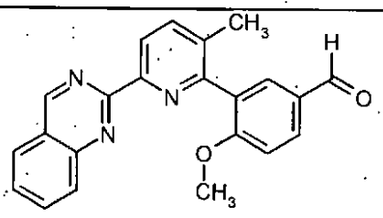
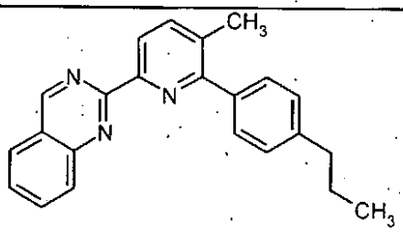
81		1.41	323.40	B	
82		1.41	316.40	B	oil
83		1.49	334.30	B	
84		1.32	328.40	B	oil
85		1.48	330.40	B	137-139
86		1.51	326.40	B	oil
87		1.69	376.90	B	
88		1.82	382.40	B	

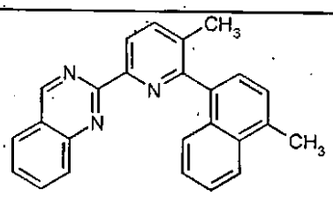
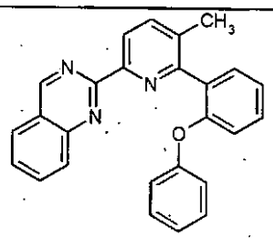
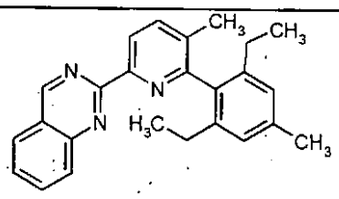
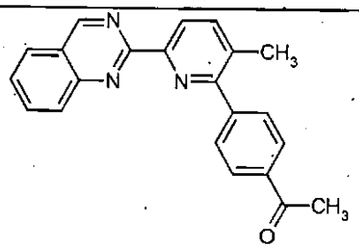
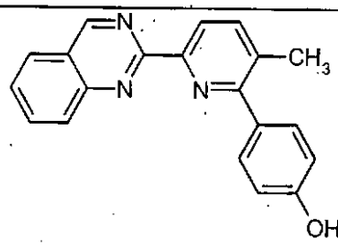
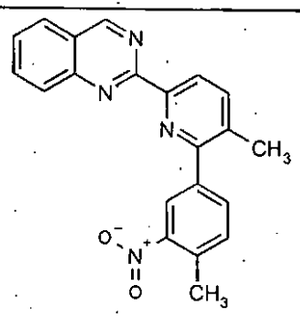
89		1.52	334.30	B	158-160
90		1.56	334.30	B	141-143
91		1.34	344.40	B	
92		1.39	346.40	B	173-174
93		1.34	342.40	B	oil
94		1.54	330.40	B	oil
95		1.52	362.80	B	

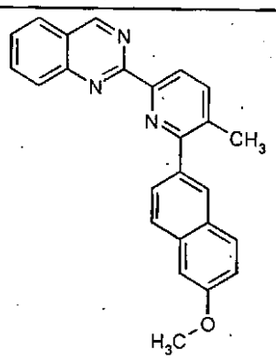
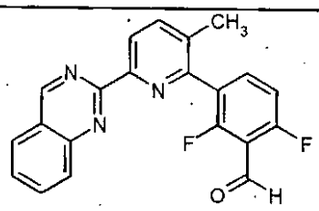
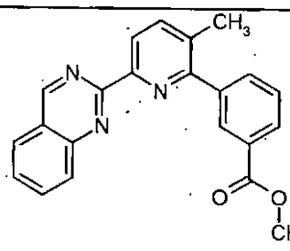
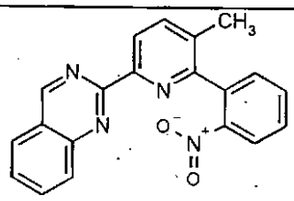
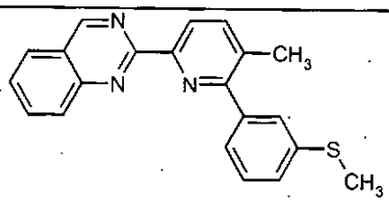
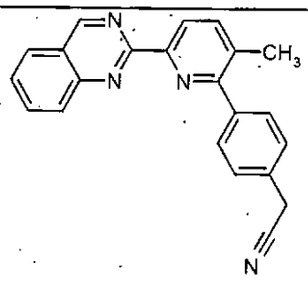
96		1.51	362.80	B	
97		0.95	355.40	B	
98		1.74	326.40	B	
99		1.66	364.40	B	
100		1.26	337.40	B	
101		1.46	332.40	B	

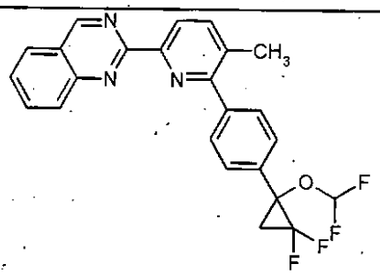
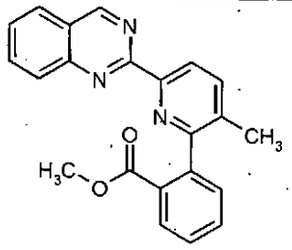
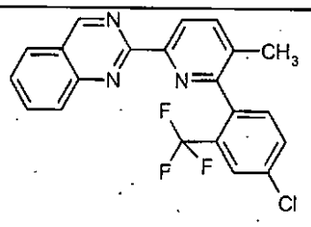
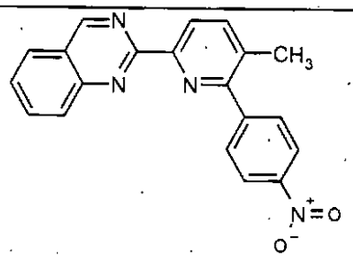
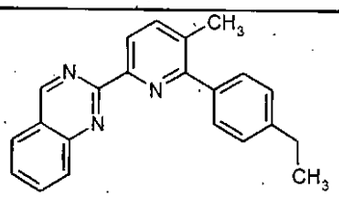
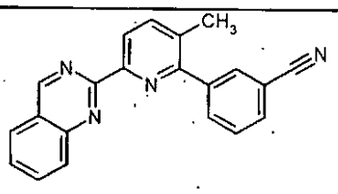
102		0.57	313.27	C	

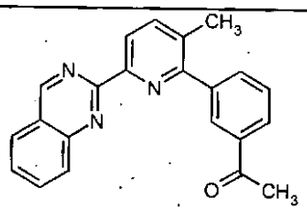
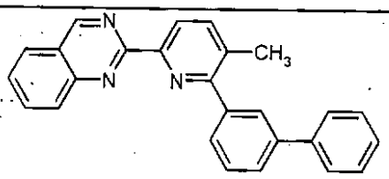
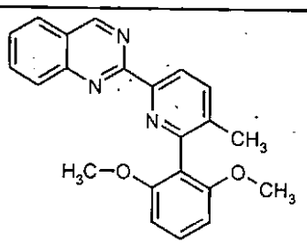
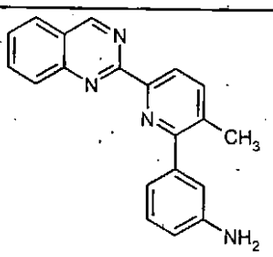
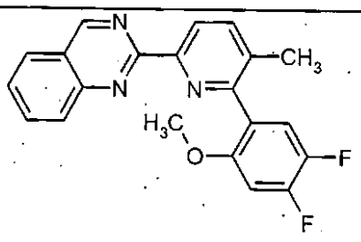
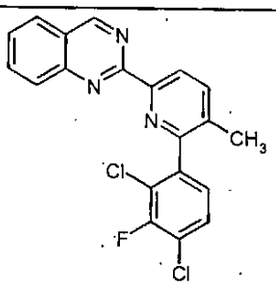
103		0.89	356.26	C	
104		0.89	343.24	C	
105		0.9	334.25	C	
106		1.01	348.28	C	
107		0.88	342.27	C	
108		0.67	340.25	C	

109		1	332.23	C	
110		0.79	388.3	C	
111		1.09	390.29	C	
112		1.1	374.3	C	
113		0.72	356.25	C	
114		1.08	340.31	C	

115		1.04	362.3	C	
116		1.03	390.28	C	
117		1.17	368.35	C	
118		0.81	340.28	C	
119		0.51	314.28	C	
120		0.96	357.28	C	

121		0.99	378.3	C	
122		0.81	362.11	C	
123		0.88	356.27	C	
124		0.81	343.22	C	
125		0.96	344.27	C	
126		0.76	337.28	C	

127		1.02	440.29	C	
128		0.75	356.28	C	
129		1.08	400.22	C	
130		0.9	343.26	C	
131		0.99	326.31	C	
132		0.82	323.32	C	

133		0.8	340.29	C	
134		1.09	374.31	C	
135		0.63	358.27	C	
136		0.44	313.29	C	
137		0.9	364.27	C	
138		1.07	384.18	C	

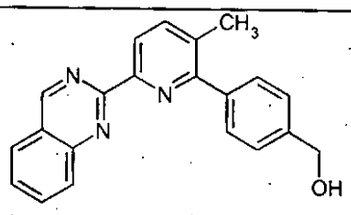
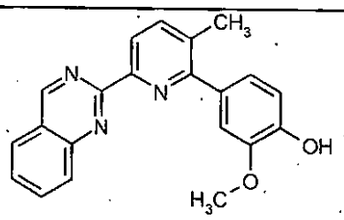
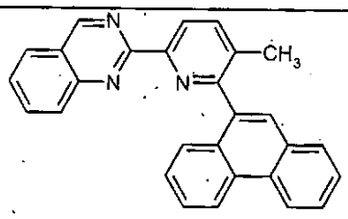
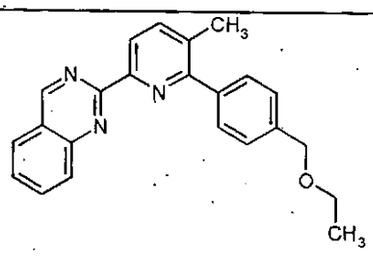
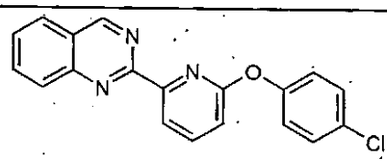
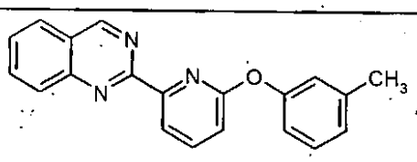
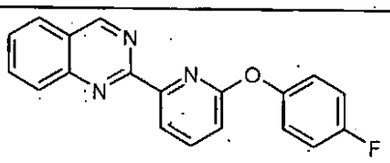
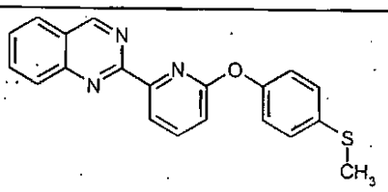
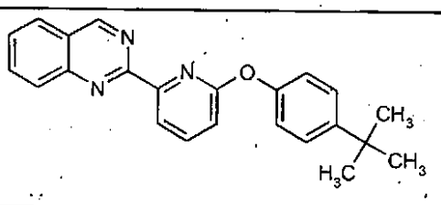
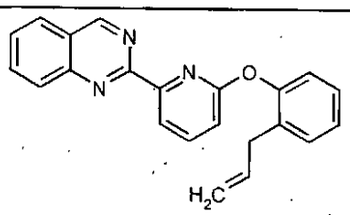
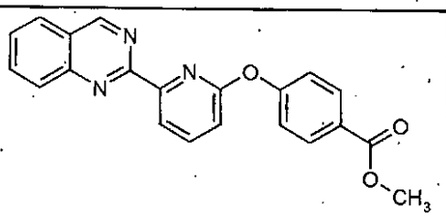
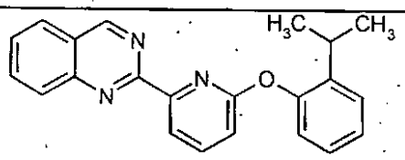
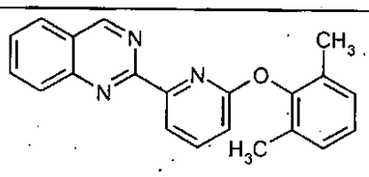
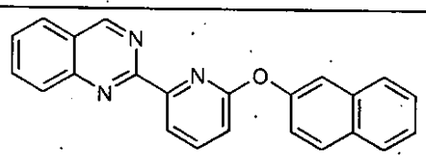
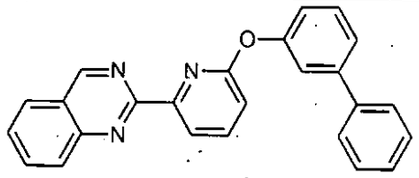
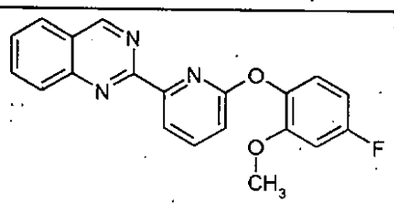
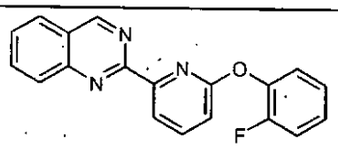
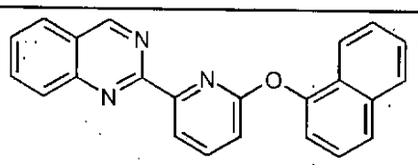
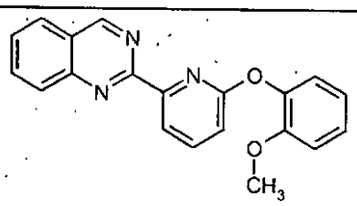
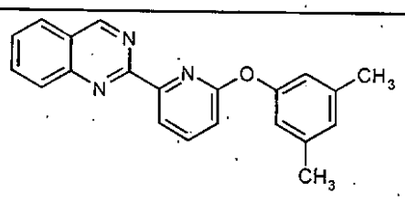
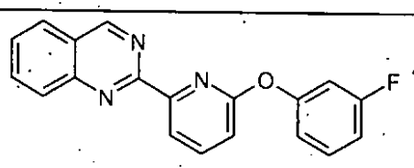
139		0.58	328.29	C	
140		0.57	344.24	C	
141		1.13	398.31	C	
142		0.92	356.33	C	

TABLE 4

Table 4 shows retention time and $(M+H)^+$ value and/or melting point value measured for selected compounds of the formula I.a where R^1 is H and A is optionally substituted aryloxy

Entry	Structure	RT(min)	$(M+H)^+$ (measured)	LC/MS	MP °C
1		1.66	334.07	A	

2		1.6	314.14	A	
3		1.5	318.11	A	
4		1.64	346.08	A	
5		1.95	356.42	A	
6		1.74	340.30	A	
7		1.51	358.08	A	
8		1.83	342.38	A	
9		1.67	328.26	A	

10		1.73	350.38	A	
11		1.93	376.14	A	
12		1.47	348.35	A	
13		1.48	318.17	A	
14		1.71	350.22	A	
15		1.37	330.29	A	
16		1.73	328.25	A	
17		1.54	318.10	A	

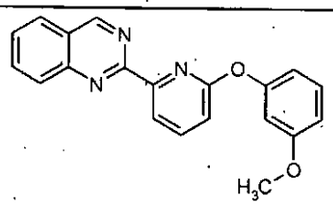
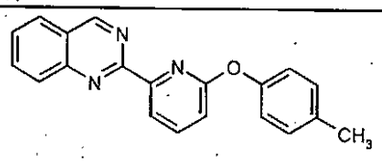
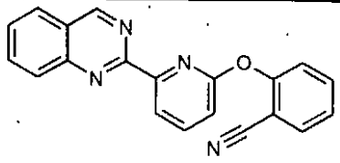
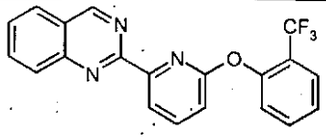
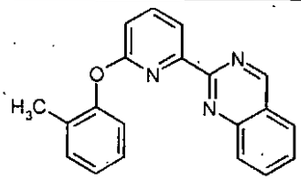
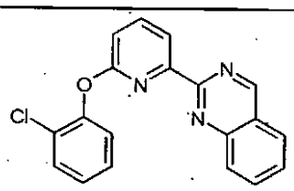
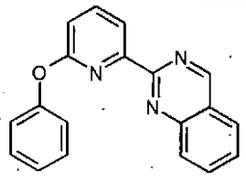
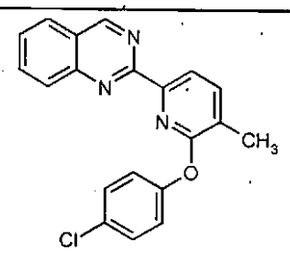
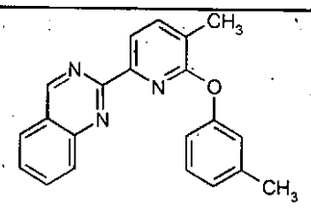
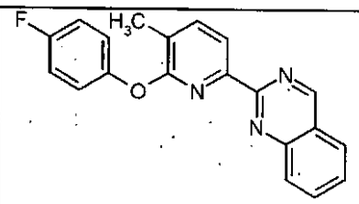
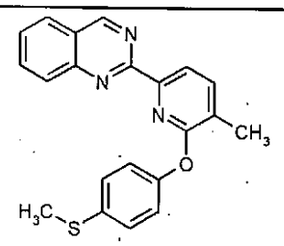
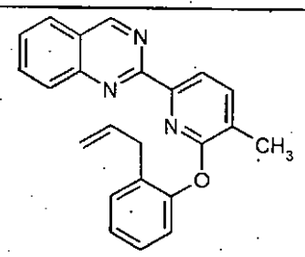
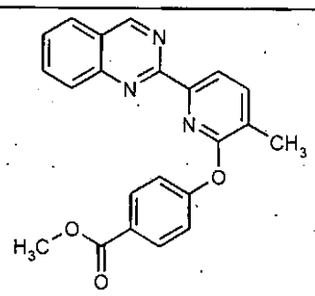
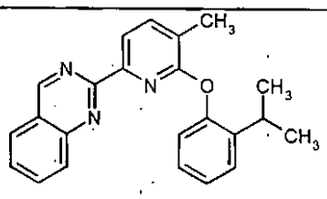
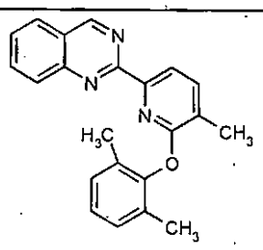
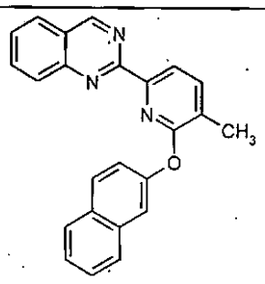
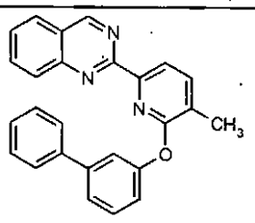
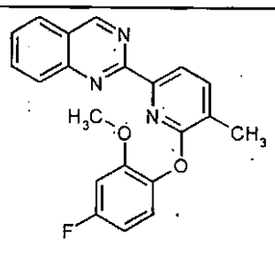
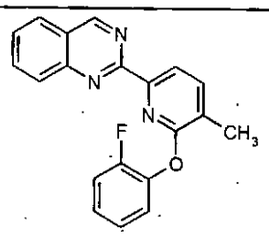
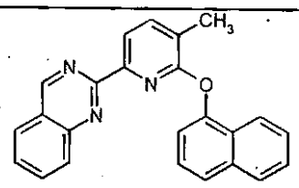
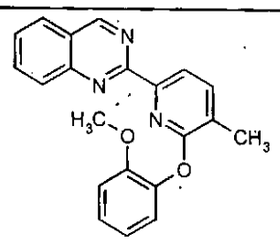
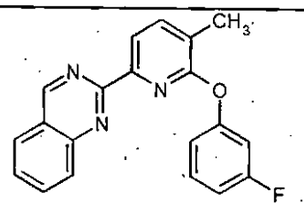
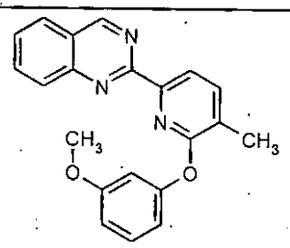
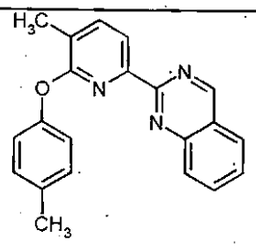
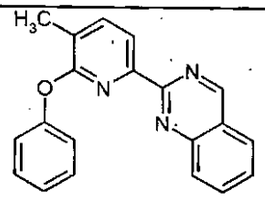
18		1.49	330.23	A	
19		1.6	314.25	A	
20		1.38	325.10	A	
21		1.7	368.17	A	
22		X	X	X	oil
23		X	X	X	137-138
24		1.81	300.00	E	oil

TABLE 5

Table 5 shows retention time and $(M+H)^+$ value and/or melting point value measured for selected compounds of the formula I.a where R1 is Methyl and A is optionally substituted aryloxy

Entry	Structure	RT(min)	$(M+H)^+$ (measured)	LC/MS	MP °C
1		2.04	348.07	B	
2		1.96	328.14	B	
3		1.91	332.10	B	137-138
4		2.02	360.07	B	
5		2.1	354.16	B	

6		1.87	372.09	B	
7		2.21	356.14	B	
8		2.08	342.16	B	
9		2.11	364.10	B	
10		2.27	390.14	B	
11		1.84	362.09	B	

12		1.88	332.10	B	
13		2.09	364.10	B	
14		1.76	344.11	B	
15		1.92	332.10	B	
16		1.87	344.11	B	
17		1.98	328.14	B	
18					oil

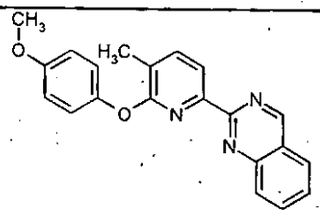
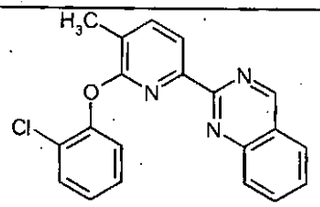
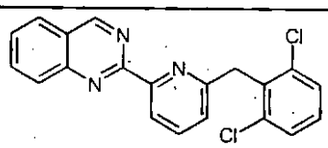
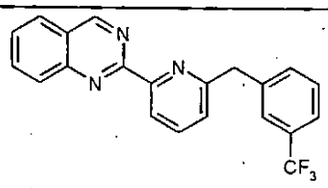
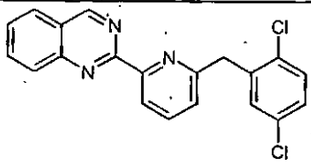
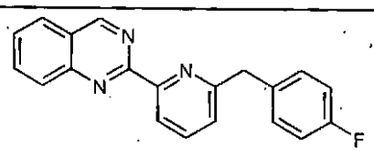
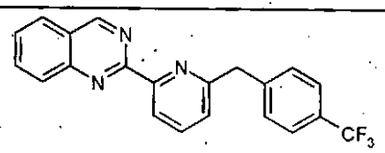
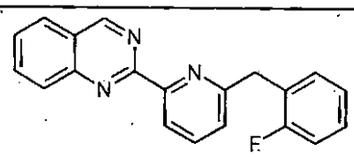
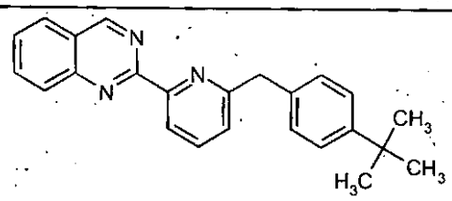
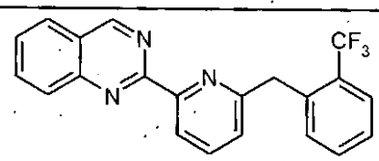
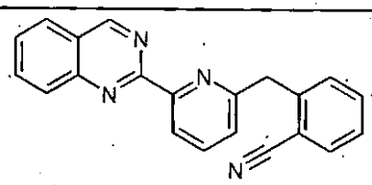
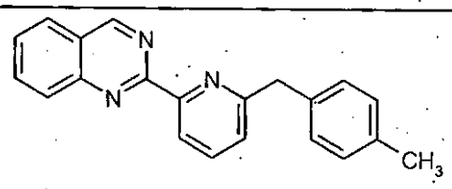
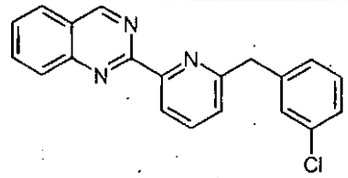
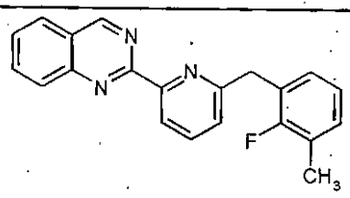
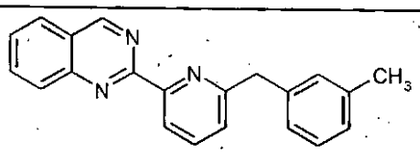
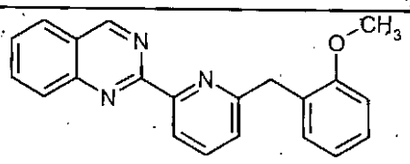
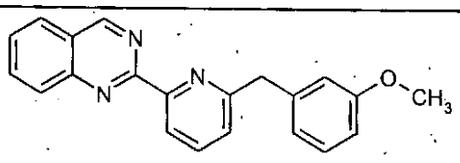
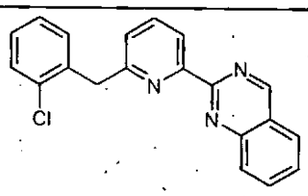
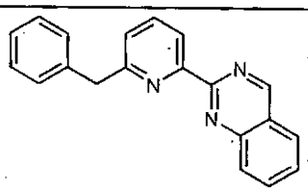
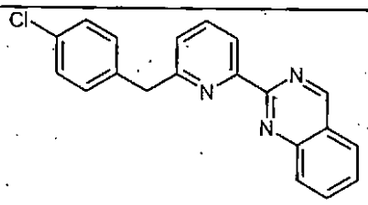
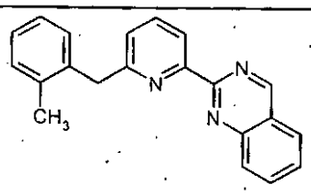
19					125-126
20		2.02	349.00	E	

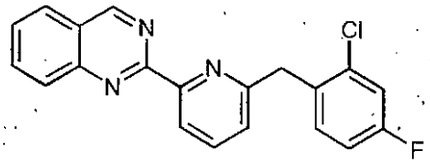
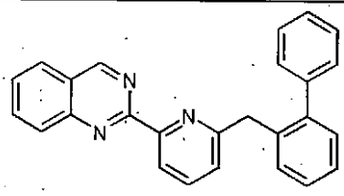
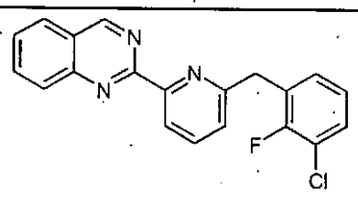
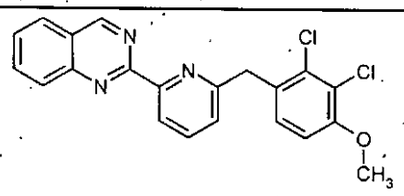
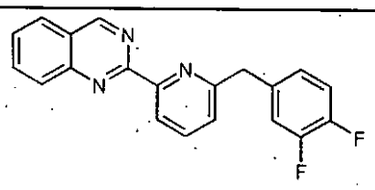
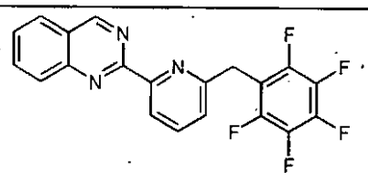
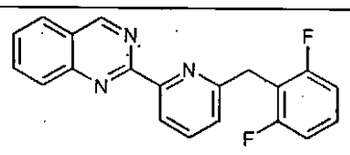
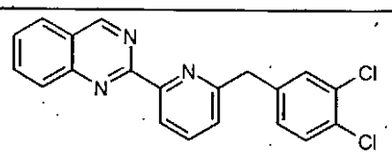
TABLE 6

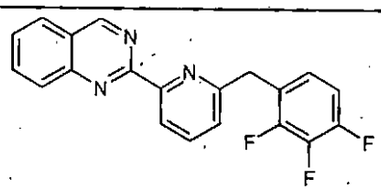
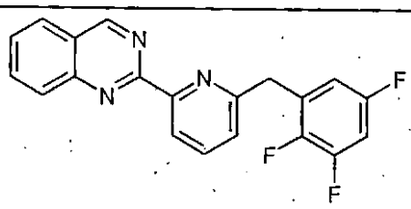
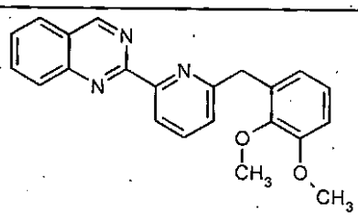
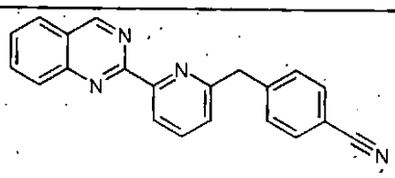
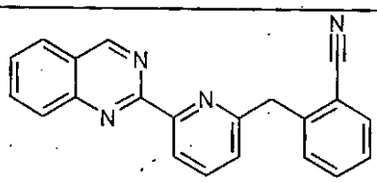
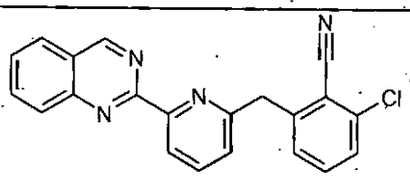
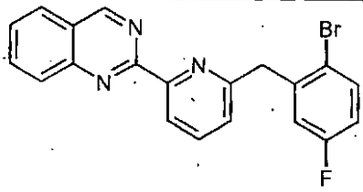
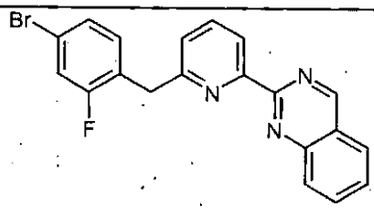
Table 6 shows retention time and $(M+H)^+$ value and/or melting point value measured for selected compounds of the formula I.a where R^1 is H and A is optionally substituted arylalkyl.

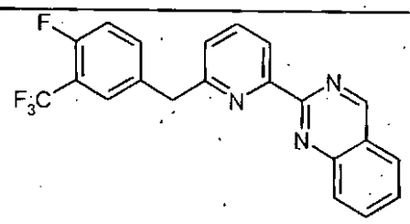
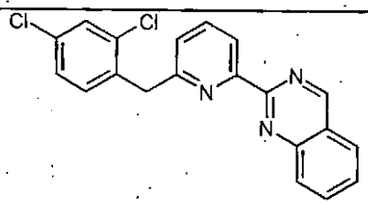
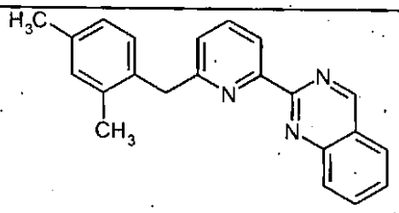
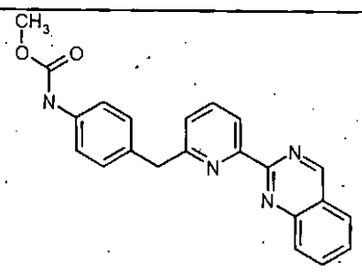
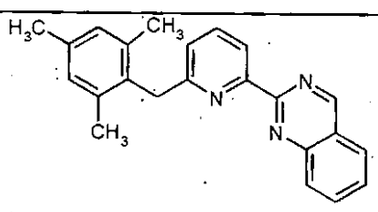
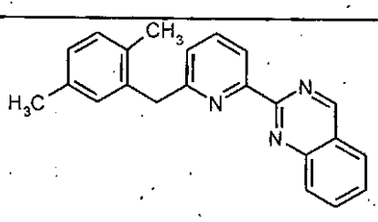
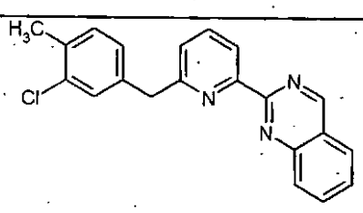
Entry	Structure	RT (min)	$(M+H)^+$ (measured)	LC/MS	MP °C
1		1.76	366.00	A	
2		1.73	366.28	A	
3		1.86	366.01	A	

4		1.41	316.15	A	
5		1.77	366.14	A	
6		1.45	316.13	A	
7		1.89	354.27	A	
8		1.78	366.26	A	
9		1.41	323.20	A	
10		1.46	312.29	A	
11		1.62	332.07	A	

12		1.61	330.20	A	
13		1.46	312.23	A	
14		1.14	328.14	A	
15		1.34	328.29	A	
16					132-133
17					102-103
18					112-113
19					oil

20		2.21	350.27	B	
21		2.27	374.31	B	
22		2.21	350.27	B	
23		2.27	396.21	B	
24		2.09	334.28	B	
25		2.35	388.22	B	
26		2.11	334.28	B	
27		2.33	366.19	B	

28		2.19	352.28	B	
29		2.19	352.28	B	
30		1.87	358.33	B	
31		1.91	323.30	B	
32		1.95	323.30	B	
33		2.13	357.28	B	
34		2.25	394.20	B	
35		2.29	394.20	B	

36		2.29	384.25	B	
37		2.35	366.19	B	
38		2.15	326.36	B	
39		1.79	371.32	B	
40		2.29	340.33	B	
41		2.19	326.36	B	
42		2.27	346.31	B	

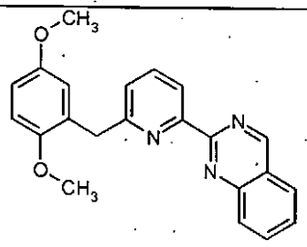
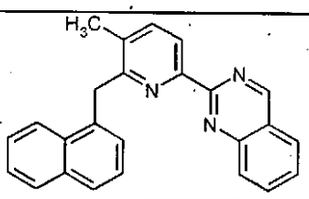
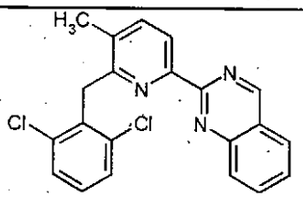
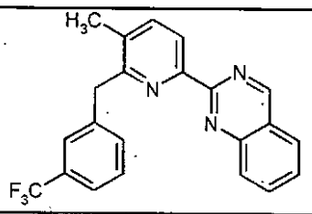
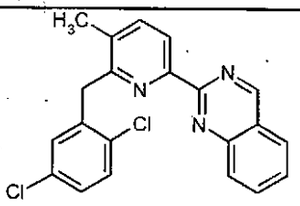
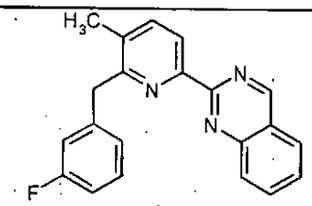
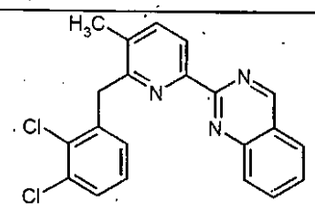
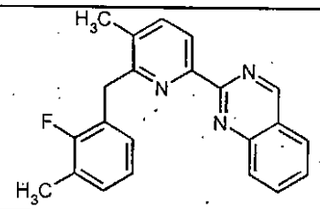
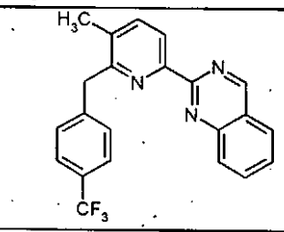
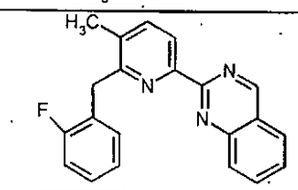
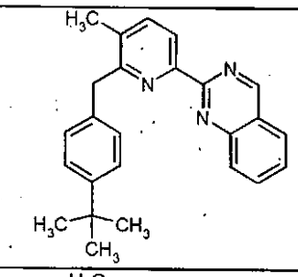
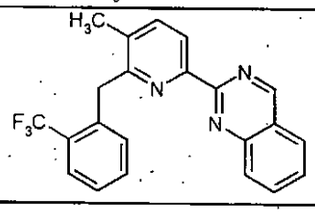
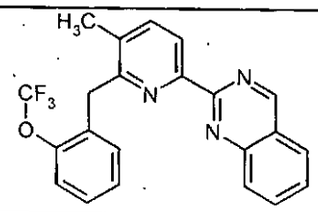
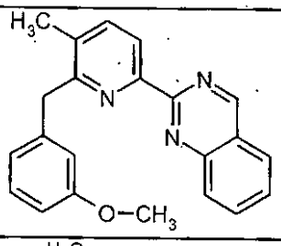
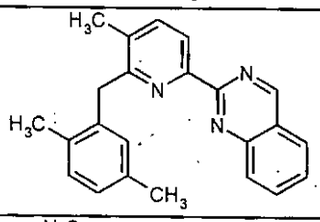
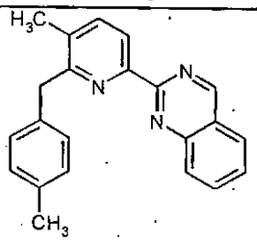
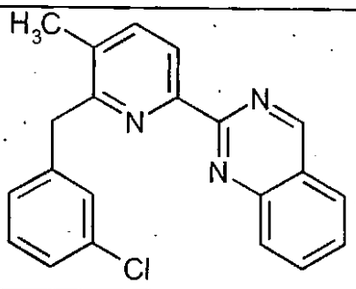
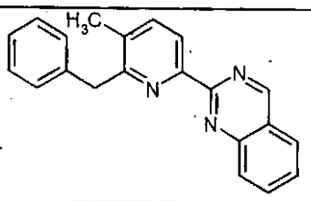
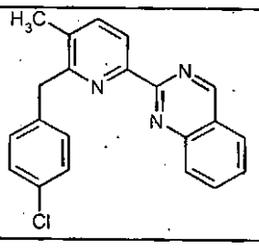
43		1.87	358.33	B	

TABLE 7

Table 7 shows retention time and $(M+H)^+$ value and/or melting point value measured for selected compounds of the formula I.a where R^1 is Methyl and A is optionally substituted arylalkyl.

Entry	Structure	RT (min)	$(M+H)^+$ (measured)	LC/MS	MP °C
1		1.59	362.15	B	
2		1.8	380.01	B	
3		1.78	380.11	B	
4		1.93	380.07	B	

5		1.46	330.15	B	
6		1.89	380.05	B	
7		1.6	344.17	B	
8		1.81	380.14	B	
9		1.49	330.15	B	
10		1.82	368.19	B	
11		1.83	380.09	B	

12		1.84	396.11	B	
13		1.35	342.16	B	
14		1.47	340.17	B	
15		1.47	326.19	B	
16		1.66	346.12	B	
17					164-165
18					171-172

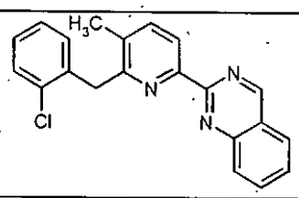
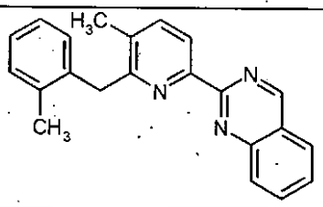
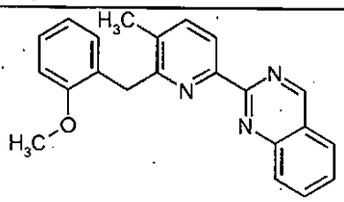
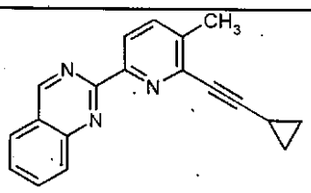
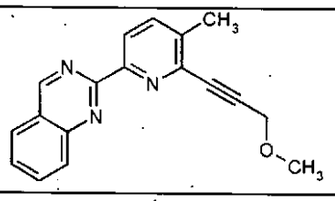
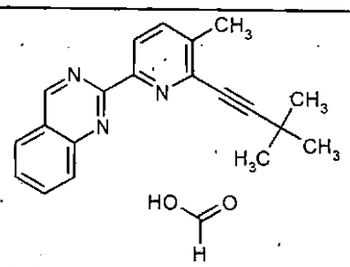
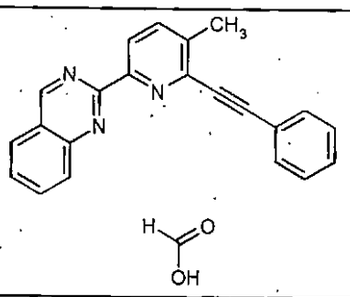
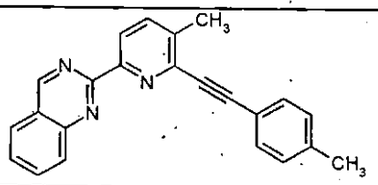
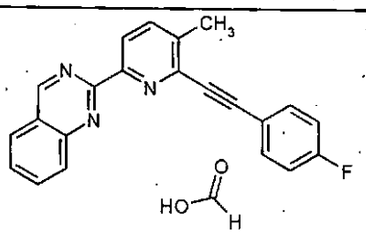
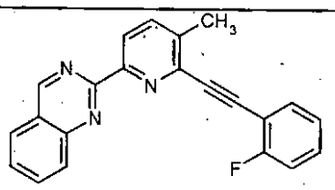
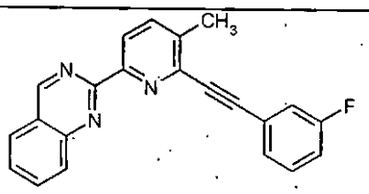
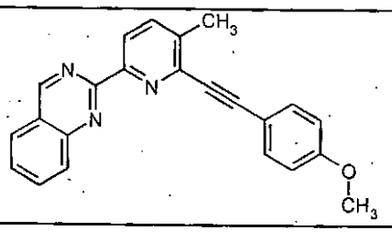
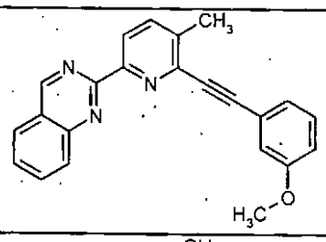
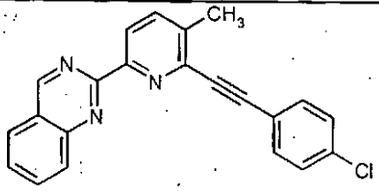
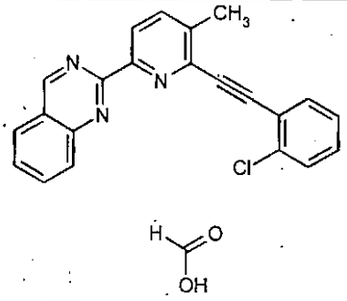
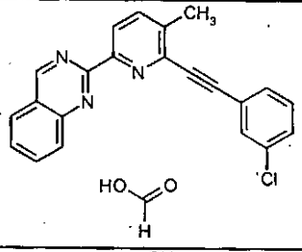
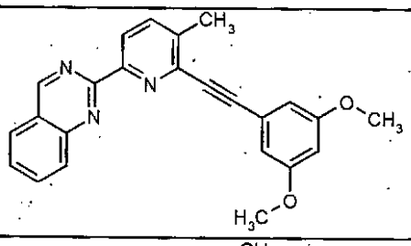
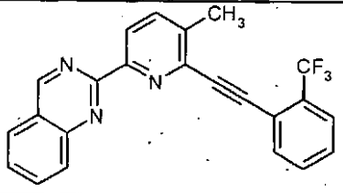
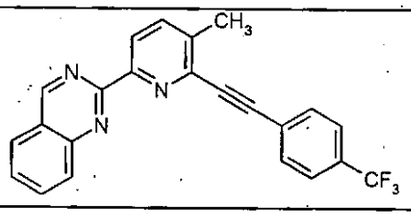
19					166-167
20					177-180
21					140-146

TABLE 8

Table 8 shows retention time and $(M+H)^+$ value and/or melting point value measured for selected compounds of the formula I.a where R^1 is Methyl or H and A is optionally substituted C_{2-8}-alkynyl.

Entry	Structure	RT (min)	$(M+H)^+$ (measured)	LC/MS	MP °C
1		1.69	286.22	A	
2		1.25	290.20	A	

3		1.8	302.24	A	
4		1.79	322.19	A	
6		1.99	336.19	A	
7		1.87	340.16	A	
8		1.84	340.16	A	
9		1.83	340.16	A	
10		1.88	352.16	A	

11		1.83	352.16	A	
12		2.03	356.11	A	
13		1.96	356.12	A	
14		1.97	356.12	A	
15		1.92	382.16	A	
16		1.98	390.14	A	
17		2.07	390.14	A	

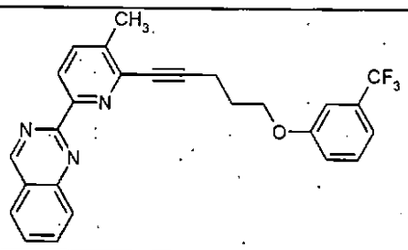
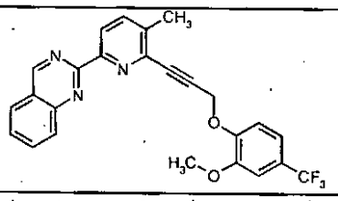
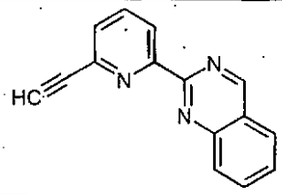
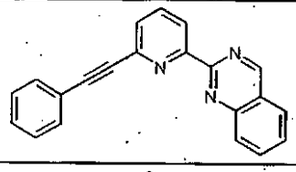
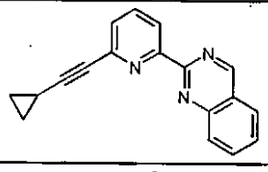
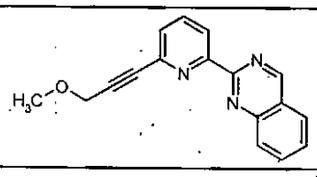
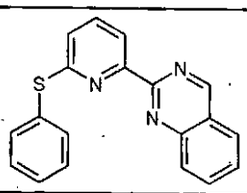
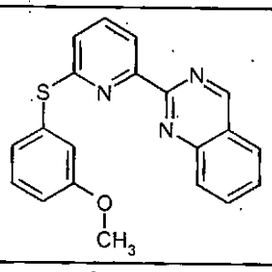
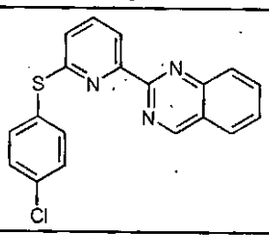
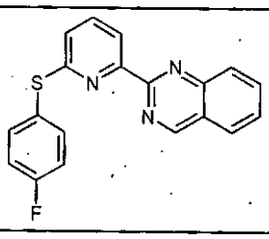
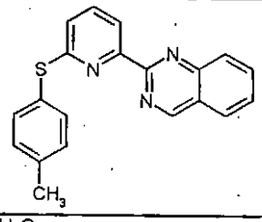
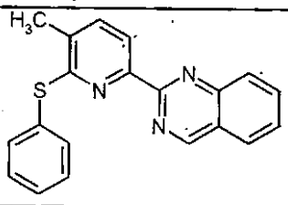
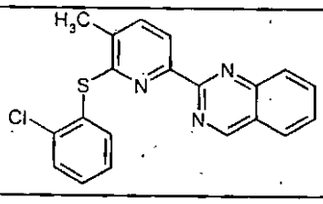
18		2.18	448.18	A	
19		1.91	450.15	A	
20					193-194
21					136-137
22		1.77	272	E	
23		1.77	272	E	

TABLE 9

Table 9 shows retention time and $(M+H)^+$ value and/or melting point value measured for selected compounds of the formula I.a where R^1 is methyl or H and A is arylthio

Entry	Structure	RT (min)	$(M+H)^+$ (measured)	LC/MS	MP °C

1					161-162
2		1.91	346.00	E	oil
3					151-152
4					166-168
5					156-158
6					124-126
7					142-144

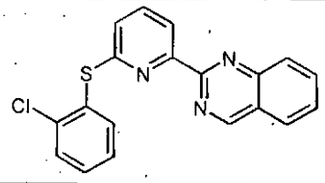
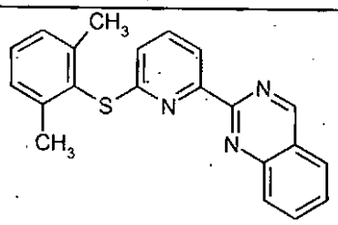
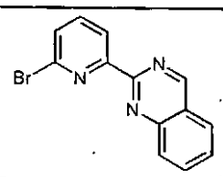
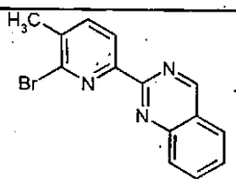
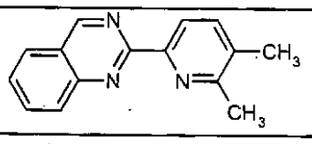
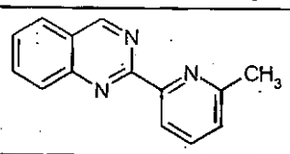
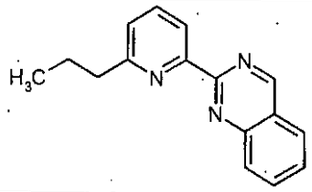
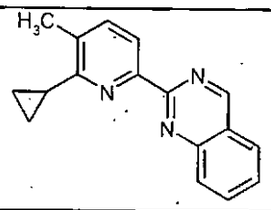
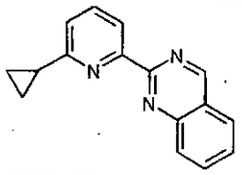
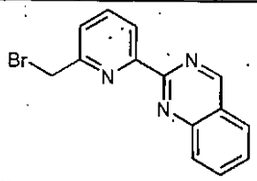
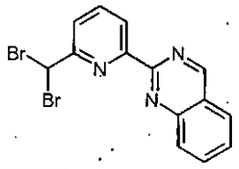
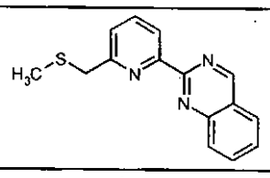
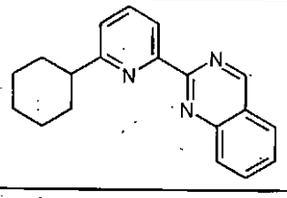
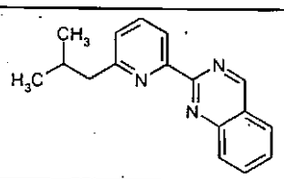
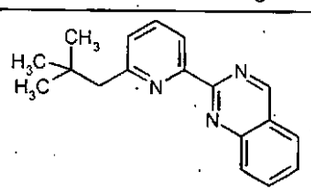
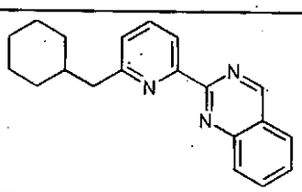
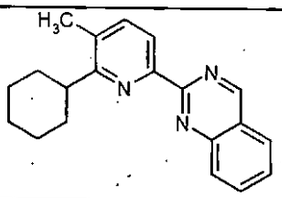
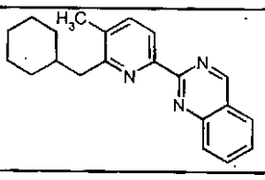
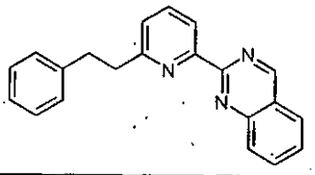
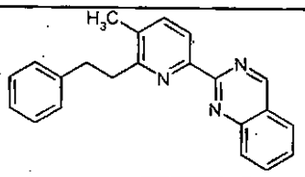
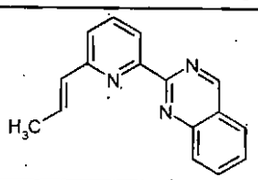
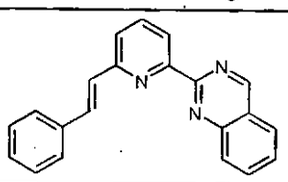
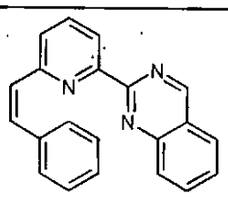
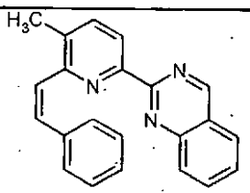
8					135-138
9					126-128

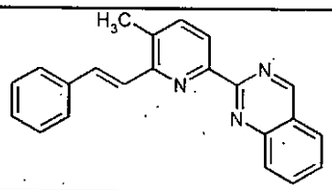
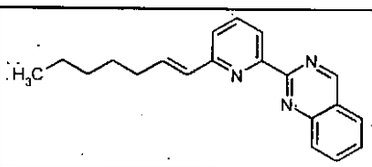
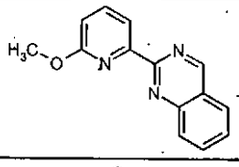
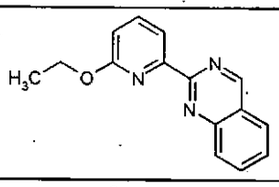
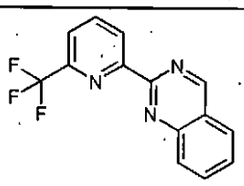
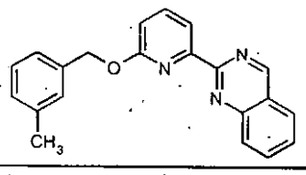
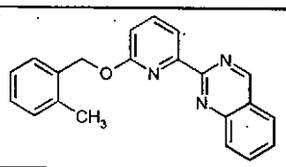
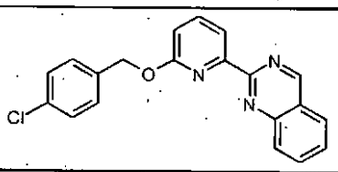
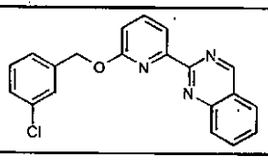
TABLE 10

Table 10 shows retention time and $(M+H)^+$ value and/or melting point value measured for selected compounds of the formula I.a where R^1 is H or methyl and A is halogen, unsubstituted and substituted C_{1-8} alkyl, C_{2-8} alkenyl, C_{3-10} cycloalkyl, substituted and unsubstituted C_{1-8} alkoxy, C_{1-8} haloalkyl and arylalkyloxy

Entry	Structure	RT (min)	$(M+H)^+$ (measured)	LC/MS	MP °C
1		1.6	288.00	E	
2		1.71	302	E	168-169
3		0.29	236.19	B	
4		0.39	222.19	A	134-136

5		1.34	250	E	
6		1.45	262	E	158-159
7		1.31	248	E	139-140
8		1.58	302	E	142-143
9		1.78	380	E	
10		1.51	268	E	101-103
11		1.66	290	E	
12		1.46	264	E	
13		1.57	278	E	106-107

14		1.74	304	E	95-96
15		1.71	304	E	173-174
16		1.68	318	E	180-181
17		1.7	312	E	
18		1.64	326	E	
19		1.46	248.00	E	
20		1.83	310	E	128-129
21		1.78	310	E	
22		1.7	324	E	129-130

23		1.94	324	E	
24		1.58	304.00	A	
25		1.51	238	E	
26		1.64	252	E	110-112
27					136-138
28		2.01	328	E	68-70
29		1.99	328	E	72-75
30		2.03	348	E	111-114
31		2.04	348	E	111-115

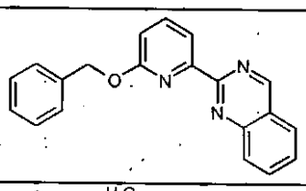
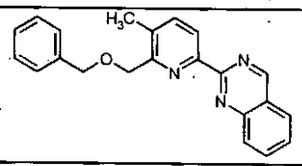
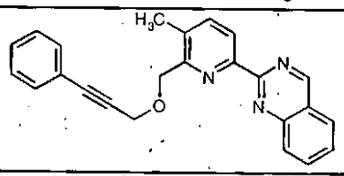
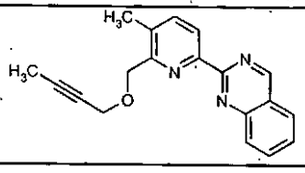
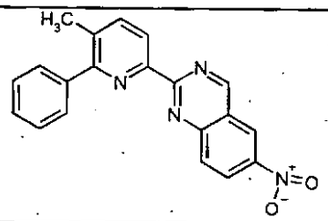
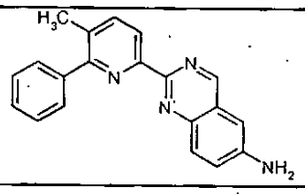
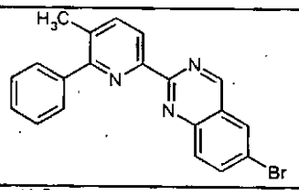
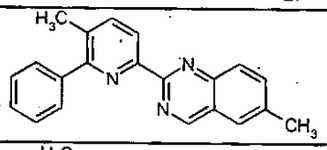
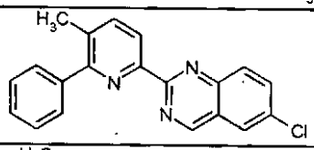
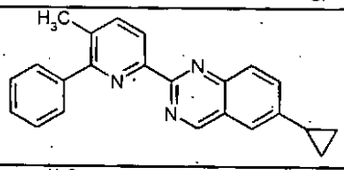
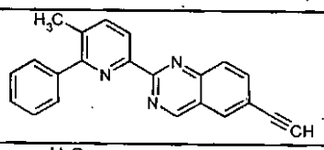
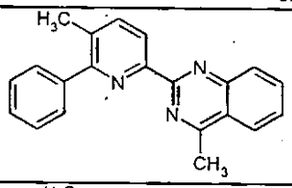
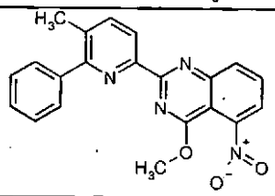
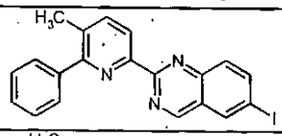
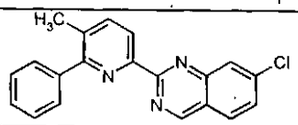
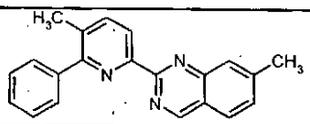
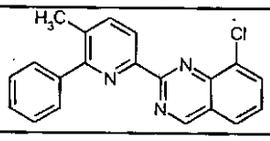
32		2.01	314	E	
33					103-105
34		1.86	366	E	
35					85-87

TABLE 11

Table 11 shows retention time and $(M+H)^+$ value and/or melting point value measured for selected compounds of the formula I where R^1 is Methyl , A is unsubstituted phenyl and at least one substituent among R^1, R^2, R^3, R^4, R^5, R^6 is different from H

Entry	Structure	RT (min)	$(M+H)^+$ (measured)	LC/MS	MP °C
1		1.89	343	E	201-204
2		1.46	313	E	209-212

3		2.00	378	E	188-189
4		1.86	312	E	164-166
5		1.93	332	E	184-185
6		1.98	338	E	155-157
7		1.92	322	E	183-185
8		1.79	312	E	141-143
9		1.85	373	E	245-246
10		2.05	424	E	195-196
11		1.96	332	E	172-174
12		1.87	312	E	156-158
13		1.96	332	E	179-180

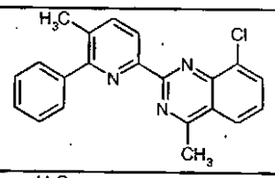
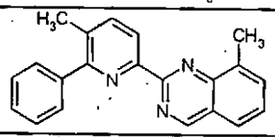
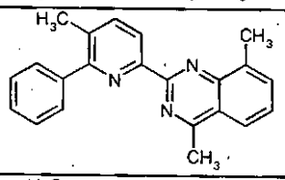
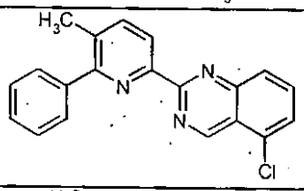
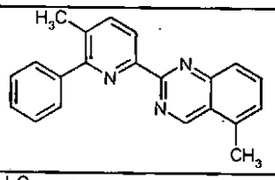
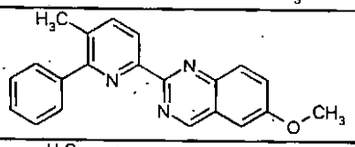
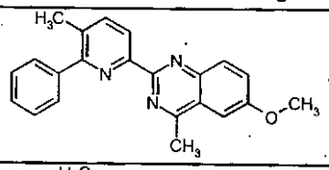
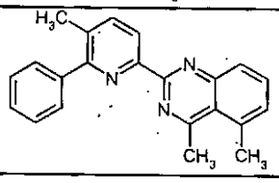
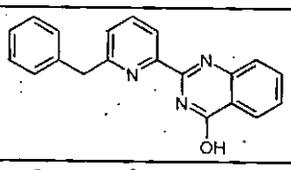
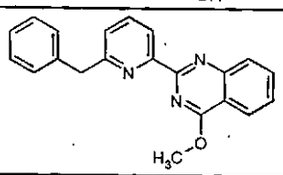
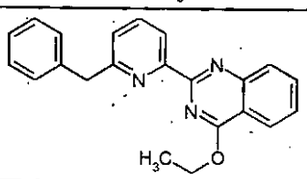
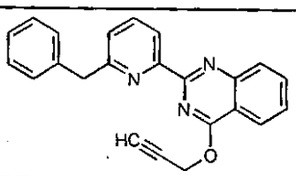
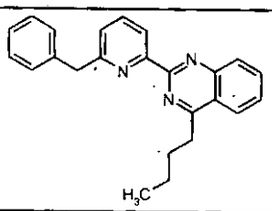
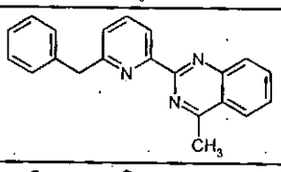
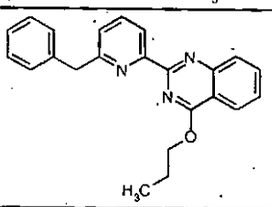
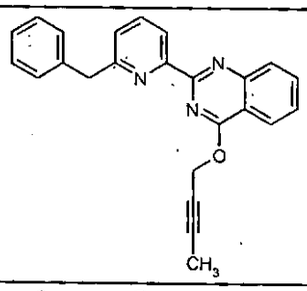
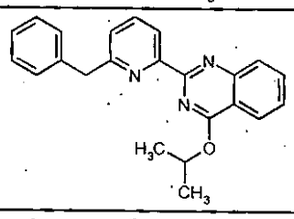
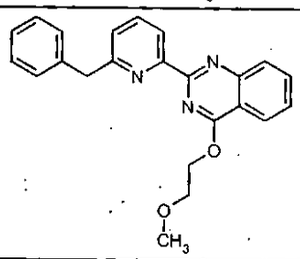
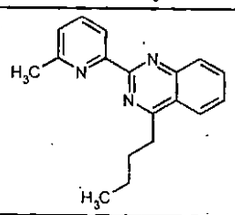
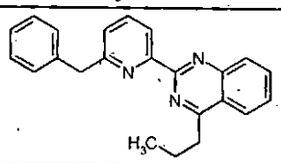
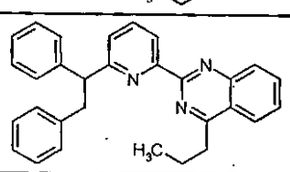
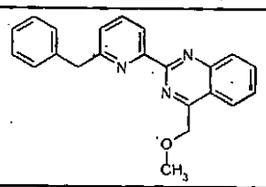
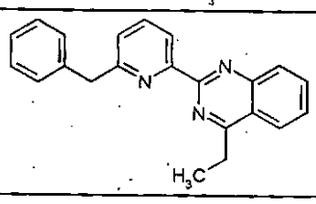
14		1.99	346	E	175-176
15		1.94	312	E	157-158
16					154-156
17					219-220
8					187-188
19					169-170
20					164-165
21					178-181

TABLE 12

Table 12 shows retention time and $(M+H)^+$ value and/or melting point value measured for selected compounds of the formula I where R^1 is H or methyl , A is C_{1-8} alkyl, or arylalkyl and at least one substituent among R^1, R^2, R^3, R^4, R^5, R^6 is different from H

Entry	Structure	RT (min)	$(M+H)^+$ (measured)	LC/MS	MP °C
1					181-182
2		1.80	328	E	
3		1.98	348	E	
4		1.89	352	E	
5		2.05	354	E	
6		1.73	312	E	
7		2.02	356	E	

8		1.96	366	E	
9		1.97	356	E	
10		1.78	372	E	
11		1.53	278	E	
12		1.95	340	E	
13		2.24	430	E	
14		1.70	342	E	
15		1.85	326	E	

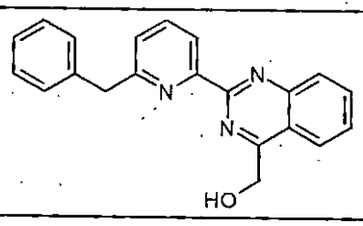
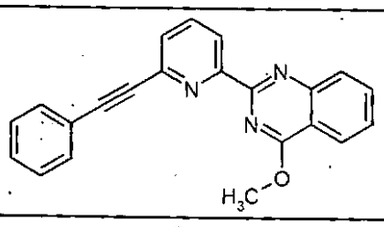
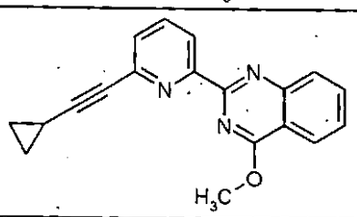
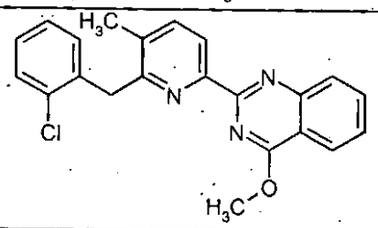
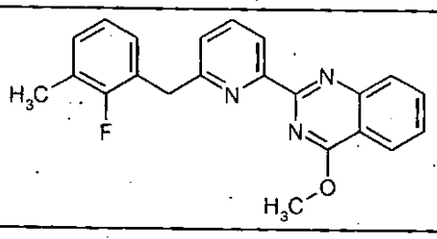
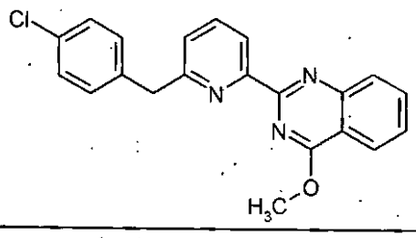
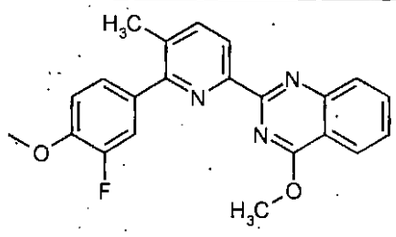
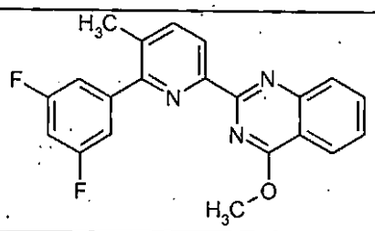
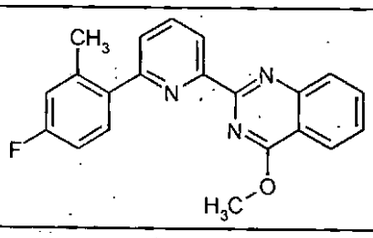
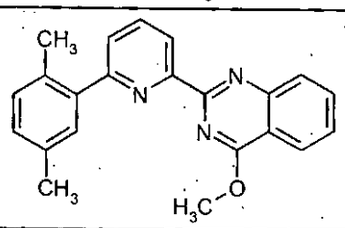
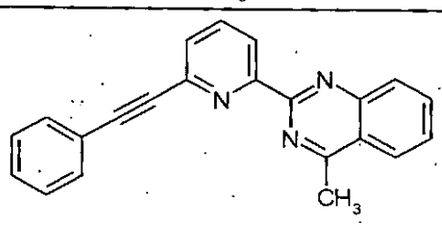
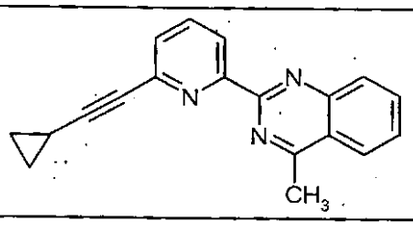
16		1.80	328	E	

TABLE 13

Table 13 shows retention time and $(M+H)^+$ value and/or melting point value measured for selected compounds of the formula I where R^1 is H or methyl , A is C_{2-10} alkynyl, aryl or arylalkyl and R^2 is C1-8 alkyl or C1-8 alkoxy.

Entry	STRUCTURE	RT (min)	$(M+H)^+$ (measured)	LC/MS	MP °C
1		2.03	338	E	
2		1.88	302	E	
3					167-170
4		1.94	360	E	

5					121-123
6					173-175
7					165-167
8		1.99	346	E	
9		2.03	342	E	
10					131-133
11		1.84	286	E	

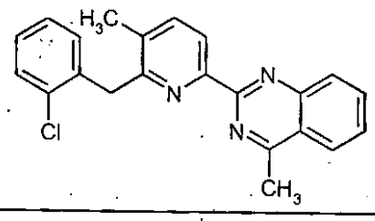
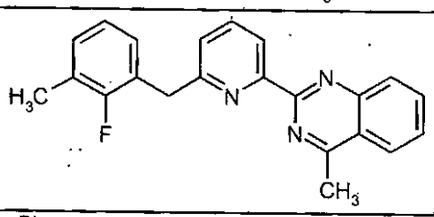
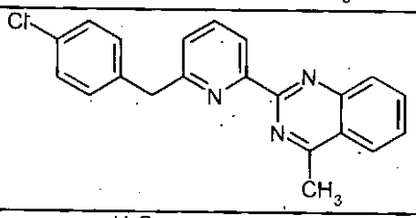
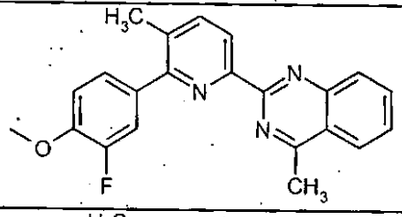
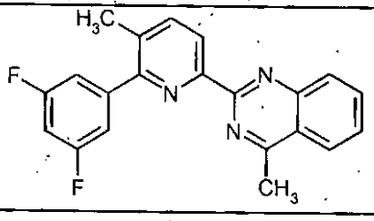
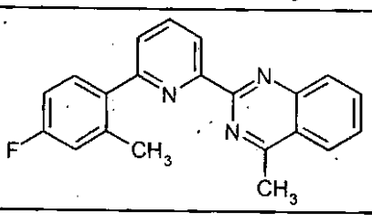
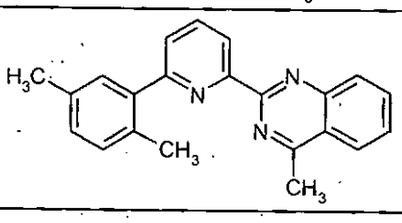
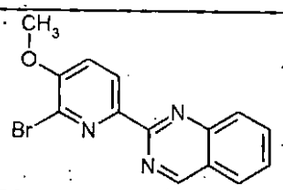
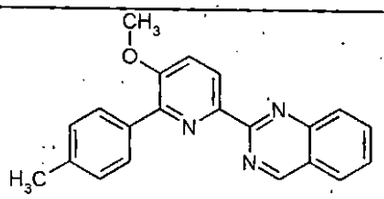
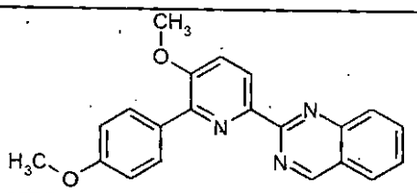
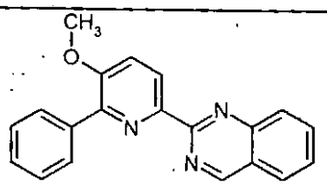
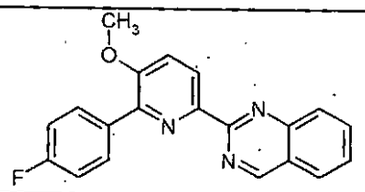
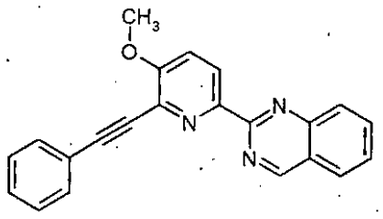
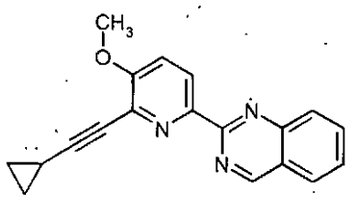
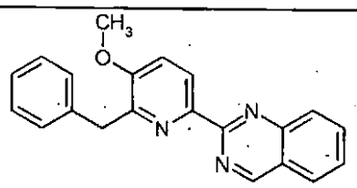
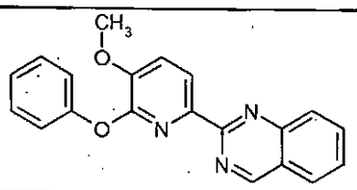
12					137-139
13		1.89	344	E	
14					114-116
15					186-188
16					172-174
17					187-189
18					99-101

TABLE 14

Table 14 shows retention time and $(M+H)^+$ value and/or melting point value measured for selected compounds of the formula I where R^1 Methoxy and A is halogen, C_{2-10} alkynyl, aryl, aryloxy and arylalkyl

Entry	STRUCTURE	RT (min)	$(M+H)^+$ (measured)	LC/MS	MP °C
1					189-191
2					131-133
3					155-157
4					168-169
5					153-155
6					58-60

7		1.70	302	E	
8		1.78	328	E	
9		1.76	330	E	

Example 6: Biological examples

Alternaria solani / tomato / preventative (Alternaria on tomato)

4-week old tomato plants cv. Roter Gnom are treated with the formulated test compound in a spray chamber. The test plants are inoculated by spraying them with a spore suspension two days after application. The inoculated test plants are incubated at 22/18° C (day/night) and 95% rh in a greenhouse and the percentage leaf area covered by disease is assessed when an appropriate level of disease appears on untreated check plants (5 – 7 days after application).

Compounds (Table/Entry)

3/70, 3/71, 3/72, 3/74, 3/75, 3/76, 3/77, 3/82, 3/83, 3/84, 3/85, 3/86, 3/89, 3/90, 3/92, 3/93, 3/94, 3/95, 3/101, 5/18, 5/4, 6/16, 6/17, 6/18, 7/17, 7/18, 11/4, 4/22, 6/19, 9/2, 2/3, 2/4, 2/6, 2/9, 2/13, 2/15, 2/28, 2/30, 2/32, 2/33, 2/37, 2/38, 2/46, 2/54, 2/55, 2/60, 2/66, 2/68, 2/70, 2/73, 2/90, 2/94, 9/4, 9/6, 9/7, 9/8, 4/10, 6/11, 6/12, 3/9, 3/11, 3/17, 3/21, 3/26, 3/36, 3/37, 3/38, 3/46, 3/53, 3/56, 11/8, 5/13, 7/7, 8/1, 12/6, at 200 ppm give at least 80% disease control in this test when compared to untreated control leaf disks under the same conditions, which show extensive disease development.

Botryotinia fuckeliana (Botrytis cinerea) / tomato / preventative (Botrytis on tomato)

4-week old tomato plants cv. Roter Gnom are treated with the formulated test compound in a spray chamber. The test plants are inoculated by spraying them with a spore suspension two days after application. The inoculated test plants are incubated at 20° C and 95% rh in a greenhouse and the percentage leaf area covered by disease is assessed when an appropriate level of disease appears on untreated check plants (5 – 6 days after application).

Compounds (Table/Entry) 3/69, 3/71, 3/72, 3/75, 3/76, 3/83, 3/85, 3/89, 3/90, 3/92, 3/94, 3/101, 5/18, 6/16, 6/17, 7/19, 2/1, 2/6, 2/13, 2/37, 2/55, 2/60, 6/11, 6/12, 10/7, 3/9, 3/21, 3/26, 3/36, 3/38, 3/53, 8/1, at 200 ppm give at least 80% disease control in this test when compared to untreated control leaf disks under the same conditions, which show extensive disease development.

Erysiphe necator (Uncinula necator) / grape / preventative (Powdery mildew on grape)

5-week old grape seedlings cv. Gutedel are treated with the formulated test compound in a spray chamber. The test plants are inoculated by shaking plants infected with grape powdery mildew above them 1 day after application. The inoculated test plants are incubated at 24/22° C (day/night) and 70% rh under a light regime of 14/10 h (light/dark) and the percentage leaf area covered by disease is assessed when an appropriate level of disease appears on untreated check plants (7 – 9 days after application).

Compounds (Table/Entry) 3/75, 3/85, 3/89, 3/90, 3/92, 6/16, 6/17, 2/54, 2/55, 2/68, 10/4, 6/11, 6/12, 10/7, 3/21, 3/38, 3/53, 11/8, 7/7, 12/2, 12/3, 12/6

at 200 ppm give at least 80% disease control in this test when compared to untreated control leaf disks under the same conditions, which show extensive disease development.

Mycosphaerella arachidis (Cercospora arachidicola) / peanut / preventative

3-week old peanut plants cv. Georgia Green are treated with the formulated test compound in a spray chamber. The test plants are inoculated by spraying them with a spore suspension on their lower leaf surface one day after application. After an incubation period of 4 days under a plastic hood at 23° C and 100% rh, the test plants are kept at 23° C / 20° C (day/night) and 70% rh in a greenhouse. The percentage leaf area covered by disease is assessed when an appropriate level of disease appears on untreated check plants (12 – 14 days after application).

Compounds(Table/Entry) 3/75, 3/76, 3/85, 3/89, 3/90, 3/92, 5/17, 5/3, 6/17, 7/17, 2/1, 2/6, 2/13, 2/26, 2/37, 2/54, 2/55, 6/11, 6/12, 10/7, 10/4, 3/9, 3/26, 3/38, 3/46, 3/53, 3/56, 11/8, 8/1, 12/2, at 200 ppm give at least 80% disease control in this test when compared to untreated control leaf disks under the same conditions, which show extensive disease development.

Mycosphaerella graminicola (Septoria tritici) / wheat / preventative (Septoria tritici leaf spot on wheat)

2-week old wheat plants cv. Riband are treated with the formulated test compound in a spray chamber. The test plants are inoculated by spraying a spore suspension on them one day after application. After an incubation period of 1 day at 22°C/21 °C (day/night) and 95% rh, the test plants are kept at 22°C/21°C (day/night) and 70% rh in a greenhouse. The percentage leaf area covered by disease is assessed when an appropriate level of disease appears on untreated check plants (16 – 19 days after application).

Compounds (Table/Entry) 3/71, 3/74, 3/75, 3/76, 3/77, 3/82, 3/83, 3/85, 3/89, 3/90, 3/92, 3/93, 3/94, 3/101, 6/16, 6/18, 7/17, 6/19, 2/73, 6/10, 6/11, 6/12, 6/15, 3/9, 11/8, 12/2 at 200 ppm give at least 80% disease control in this test when compared to untreated control leaf disks under the same conditions, which show extensive disease development.

Phytophthora infestans / potato / preventative (late blight on potato)

2-week old potato plants cv. Bintje are treated with the formulated test compound in a spray chamber. The test plants are inoculated by spraying them with a sporangia suspension 2 days after application. The inoculated test plants are incubated at 18° C with 14 h light/day and 100 % rh in a growth chamber and the percentage leaf area covered by disease is assessed when an appropriate level of disease appears on untreated check plants (5 – 7 days after application).

Compounds (Table/Entry) 3/71, 3/72, 3/75, 3/76, 3/77, 3/85, 3/90, 3/92, 5/18, 6/17, 7/17, 2/55, 2/60 at 200 ppm give at least 80% disease control in this test when compared to untreated control leaf disks under the same conditions, which show extensive disease development.

Plasmopara viticola / grape / preventative (Grape downy mildew)

5-week old grape seedlings cv. Gutedel are treated with the formulated test compound in a spray chamber. The test plants plants are inoculated by spraying a sporangia suspension on their lower leaf surface one day after application. The inoculated test plants are incubated at 22° C and 100% rh in a greenhouse and the percentage leaf area covered by disease is assessed when an appropriate level of disease appears on untreated check plants (6 – 8 days after application).

Compounds (Table/Entry) 3/69, 3/71, 3/72, 3/73, 3/74, 3/75, 3/76, 3/77, 10/3, 3/82, 3/83, 3/84, 3/85, 3/86, 3/89, 3/90, 3/92, 3/93, 3/94, 3/95, 3/101, 5/18, 5/17, 11/2, 5/3, 5/4, 6/16, 6/17, 11/5, 4/22, 7/19, 6/19, 9/2, 2/3, 2/6, 2/9, 2/13, 2/26, 2/28, 2/30, 2/37, 2/46, 2/54, 2/55, 2/60, 2/68, 2/70, 2/73, 2/79, 2/90, 2/94, 4/10, 6/15, 10/7, 3/9, 3/11, 3/21, 3/26, 3/36, 3/37, 3/38, 3/46, 3/53, 3/56, 11/8, 7/7, 8/1, 12/2, at 200 ppm give at least 80% disease control in this test when compared to untreated control leaf disks under the same conditions, which show extensive disease development.

Pyrenophora teres (Helminthosporium teres) / barley / preventative (Net blotch on barley)

1-week old barley plants cv. Regina are treated with the formulated test compound in a spray chamber. The test plants are inoculated by spraying them with a spore

suspension 2 days after application. The inoculated test plants are incubated at 20° C and 95% rh and the percentage leaf area covered by disease is assessed when an appropriate level of disease appears on untreated check plants (5 – 7 days after application).

Compounds (Table/Entry) 3/69, 3/70, 3/71, 3/72, 3/73, 3/74, 3/76, 3/82, 3/83, 3/84, 3/85, 3/86, 3/89, 3/90, 3/92, 3/93, 3/94, 3/95, 3/101, 5/18, 5/3, 6/16, 6/17, 6/18, 7/18, 11/4, 11/5, 4/22, 6/19, 9/2, 2/3, 2/6, 2/9, 2/28, 2/32, 2/54, 2/55, 2/90, 9/4, 9/6, 9/7, 9/8, 4/15, 6/11, 6/12, 6/15, 4/23, 3/9, 3/26, 3/38, 3/53, 11/8, 5/13, 7/7, at 200 ppm give at least 80% disease control in this test when compared to untreated control leaf disks under the same conditions, which show extensive disease development.

Phaeosphaeria nodorum (Septoria nodorum) / wheat / leaf disc preventative (Glume blotch)

Wheat leaf segments cv. Kanzler are placed on agar in a multiwell plate (24-well format) and sprayed with the formulated test compound diluted in water. The leaf disks are inoculated with a spore suspension of the fungus 2 days after application. The inoculated test leaf disks are incubated at 20oC and 75% rh under a light regime of 12 h light / 12 h darkness in a climate cabinet and the activity of a compound is assessed as percent disease control compared to untreated when an appropriate level of disease damage appears in untreated check leaf disks (5 – 7 days after application).

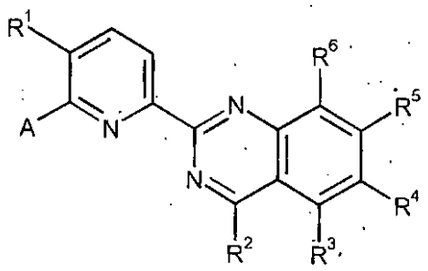
Compounds (Table/Entry) 2/38, 2/50, 2/57, 2/60, 2/61, 2/66, 2/104, 2/105, 2/108, 2/110, 2/111, 2/112, 2/113, 2/115, 2/116, 2/117, 2/119, 2/121, 2/124, 2/125, 2/126, 2/128, 2/130, 2/131, 2/132, 2/133, 3/102, 3/103, 3/104, 3/105, 3/106, 3/107, 3/108, 3/109, 3/110, 3/114, 3/118, 3/120, 3/123, 3/124, 3/125, 3/126, 3/128, 3/129, 3/131, 3/132, 3/133, 3/134, 3/135, 3/137, 3/138, 3/139, 3/142, 4/24, 6/20, 6/21, 6/22, 6/23, 6/24, 6/26, 6/27, 6/28, 6/29, 6/30, 6/31, 6/32, 6/33, 6/34, 6/35, 6/37, 6/38, 6/39, 6/40, 6/41, 6/42, 6/43, 7/20, 7/21, 10/17, 10/20, 10/21, 10/22, 10/23, 11/11, 11/12, 11/13, 11/14, 11/15, 11/16, 11/18, 11/19, 11/20, 11/21, 12/2, 12/3, 12/5, 12/6, 12/9, 12/10, 12/13, 12/15, 12/16, 14/2, 14/3, 14/4, 14/5 at 200 ppm give at least 80% disease

control in this test when compared to untreated control leaf disks under the same conditions, which show extensive disease development.

Although the invention has been described with reference to preferred embodiments and examples thereof, the scope of the present invention is not limited only to those described embodiments. As will be apparent to persons skilled in the art, modifications and adaptations to the above-described invention can be made without departing from the spirit and scope of the invention, which is defined and circumscribed by the appended claims. All publications cited herein are hereby incorporated by reference in their entirety for all purposes to the same extent as if each individual publication were specifically and individually indicated to be so incorporated by reference.

Claims

1. A compound of f formula I:



(I)

wherein:

R^1 is hydrogen, hydroxyl, halo, cyano, C_{1-8} alkyl, C_{1-8} haloalkyl, C_{1-8} alkoxy, C_{1-8} haloalkoxy, C_{1-8} alkylthio or C_{3-10} cycloalkyl;

R^2 is hydrogen, hydroxyl, halo, C_{1-8} alkyl, C_{1-8} alkoxy, C_{1-8} alkenyloxy or C_{1-8} alkynyloxy, C_{3-10} cycloalkyl;

R^3, R^4, R^5 and R^6 are, independently, hydrogen, hydroxyl, halo, cyano, nitro, amino, mono- and bis-C_{1-8} alkyl amino, C_{1-8} alkyl, C_{2-8} alkenyl, C_{2-8} alkynyl, C_{1-8} haloalkyl, C_{1-8} alkoxy, C_{1-8} haloalkoxy, C_{1-8} alkylthio or C_{3-10} cycloalkyl;

A is halo, C_{1-10} alkyl, C_{2-10} alkenyl, C_{2-10} alkynyl, C_{1-8} haloalkyl, C_{1-8} alkoxy, C_{3-10} cycloalkyl, C_{3-10} cycloalkyloxy, aryl, arylalkyl, aryloxy, arylalkyloxy or arylthio;

or a salt or a N-oxide thereof, provided that if A is methyl and each R^1, R^3, R^4, R^5 and R^6 is hydrogen R^2 is not chlorine.

2. A compound of claim 1, wherein

R^1 is hydrogen, halo, cyano, C_{1-3} alkyl, C_{1-3} alkoxy, C_{1-3} haloalkyl, or C_{1-3} alkylthio;

R^2 is hydrogen, hydroxyl, halo, C_{1-5} alkyl, C_{3-5} cycloalkyl, C_{1-5} alkynyloxy or C_{1-5} alkoxy;

R^3, R^4, R^5 and R^6 are, independently, hydrogen, halo, hydroxyl, cyano, C_{1-8} alkyl, C_{1-8} haloalkyl, C_{1-8} alkoxy, C_{1-8} haloalkoxy, amino or mono- or di-C_{1-8} alkyl amino and

A is halo, C_{1-8} alkyl, C_{2-8} alkenyl, C_{2-8} alkynyl, C_{1-8} haloalkyl, C_{1-8} alkoxy, C_{3-10} cycloalkyl, C_{3-10} cycloalkyloxy, aryl, arylalkyl, aryloxy, arylalkyloxy or arylthio;

3. A compound of claim 2, wherein

R^1 is hydrogen, fluoro, chloro, methyl, ethyl, methoxy, ethoxy or trifluoromethyl, preferably hydrogen, methyl or methoxy,

R^2 is hydrogen, hydroxyl, chloro, methyl or methoxy, preferably hydrogen, , methyl or methoxy;

R^3, R^4, R^5 and R^6 are, independently, hydrogen, halo, cyano, C_{1-3} alkyl, C_{1-3} haloalkyl, C_{1-3} alkoxy, C_{1-3} haloalkoxy, amino or mono- or di-C_{1-8} alkyl amino, preferably independently, hydrogen, halo, cyano, C_{1-3} alkyl or C_{1-3} alkoxy, more preverably independently, hydrogen, halo, cyano, C_{1-3} alkyl or C_{1-3} alkoxy;

A is halo, C_{1-8} alkyl, unsubstituted or substituted aryl, unsubstituted or substituted arylalkyl or unsubstituted or substituted aryloxy, preferably halo, unsubstituted or substituted phenyl, unsubstituted or substituted naphthyl, unsubstituted or substituted benzyl, unsubstituted or substituted phenoxy, unsubstituted or substituted phenylthio or unsubstituted or substituted arylethynyl, more preferably unsubstituted or substituted phenyl, unsubstituted or substituted naphthyl, unsubstituted or substituted benzyl, unsubstituted or substituted phenoxy, unsubstituted or substituted phenylthio or unsubstituted or substituted arylethynyl.

4. A compound of claim 1, where in

R^1 is hydrogen, halo, C_{1-3} alkyl, C_{1-3} haloalkyl or C_{1-3} alkoxy,

R^2 is hydrogen, hydroxyl, halo, C_{1-5} alkyl, C_{3-5} cycloalkyl or C_{1-5} alkoxy,

R^3, R^4, R^5 and R^6 are, independently hydrogen, halo, C_{1-3} alkyl, C_{1-3} haloalkyl or C_{1-3} alkoxy and

A is halo, unsubstituted or substituted aryl, unsubstituted or substituted arylalkyl, unsubstituted or substituted aryloxy or unsubstituted or substituted arylthio, wherein the optional subsituents are selected from halo, cyano, nitro, hydroxyl, C_{1-3} alkyl, C_{1-3} haloalkyl, C_{1-3} alkylcarbonyl, C_{1-3} alkoxycarbonyl and C_{1-3} alkoxy or a combination of any of these substituents.

5. A compound of claim 4, wherein

R^1 is hydrogen, fluoro, chloro, methyl, ethyl, trifluoromethyl, ethoxy or methoxy, preferably hydrogen, fluoro, chloro, methyl, ethyl, ethoxy or methoxy,

R^2 is hydrogen, chloro, methyl or methoxy,

R^3, R^4, R^5 and R^6 are, independently, hydrogen, fluoro, chloro, methyl, hydroxyl, trifluoromethyl or methoxy and

A is bromo, chloro, iodo, unsubstituted or substituted phenyl, unsubstituted or substituted phenylmethyl, unsubstituted or substituted phenoxy, unsubstituted or substituted phenylthio or unsubstituted or substituted phenylethynyl, wherein the optional substituents are selected from fluoro, chloro, cyano, methyl, trifluoromethyl or methoxy or a combination of any of these substituents.

6. A compound of claim 1, wherein A is halogen, unsubstituted or substituted phenyl, unsubstituted or substituted benzyl or unsubstituted or substituted phenoxy.

7. A compound of claim 6, wherein A is unsubstituted or substituted phenyl or unsubstituted or substituted benzyl.

8. A compound of claim 1, which is:

2-(5-methyl-6-*o*-tolylpyridin-2-yl)-quinazoline (Compound I.a 096);

2-[6-(4-fluoro-3-methylphenyl)-5-methylpyridin-2-yl]-quinazoline (Compound I.a 681),

2-[6-(3-fluoro-4-methoxy-phenyl)-5-methylpyridin-2-yl]-quinazoline (Compound I.a 581);

2-[6-(3,5-dimethylphenyl)-5-methylpyridin-2-yl]-quinazoline (Compound I.a 881);

2-[6-(3,5-difluorophenyl)-5-methylpyridin-2-yl]-quinazoline (Compound I.a 831);

2-[6-(3,4-difluorophenyl)-5-methylpyridin-2-yl]-quinazoline (Compound I.a 421);

6-Methyl-2-(5-methyl-6-phenylpyridin-2-yl)-quinazoline (Compound I.s 021);

2-[6-(2-chlorobenzyl)-pyridin-2-yl]-quinazoline (Compound I.a 067);

2-[6-(2-methylbenzyl)-pyridin-2-yl]-quinazoline (Compound I.a 092);

2-(6-benzyl-5-methylpyridin-2-yl)-quinazoline (Compound I.a 022);

2-(6-benzylpyridin-2-yl)-6-methylquinazoline (Compound I.s 017);

2-[6-(2,5-dimethyl-phenyl)-pyridin-2-yl]-quinazoline;

2-(6-benzyl-pyridin-2-yl)-4-methoxy-quinazoline;

2-[6-(2-fluoro-3-methyl-benzyl)-5-methyl-pyridin-2-yl]-quinazoline;

2-[6-(2-fluoro-3-methyl-benzyl)-pyridin-2-yl]-quinazoline;

4-methyl-2-(5-methyl-6-phenyl-pyridin-2-yl)-quinazoline;

2-[6-(4-methoxy-2-methyl-phenyl)-5-methyl-pyridin-2-yl]-quinazoline;

2-[6-(2-fluoro-5-methyl-phenyl)-5-methyl-pyridin-2-yl]-quinazoline;

2-[6-(4-fluoro-2-methyl-phenyl)-pyridin-2-yl]-quinazoline;

2-(6-cyclopropylethynyl-5-methyl-pyridin-2-yl)-quinazoline;

2-(6-phenoxy-pyridin-2-yl)-quinazoline;

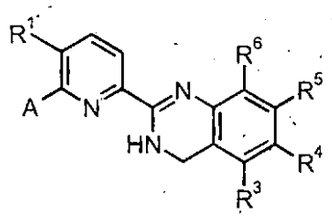
2-(5-methyl-6-phenoxy-pyridin-2-yl)-quinazoline;

5-methyl-2-(5-methyl-6-phenyl-pyridin-2-yl)-quinazoline;

and 2-[5-methoxy-6-(4-methoxy-phenyl)-pyridin-2-yl]-quinazoline.

9. A process for the preparation of a compound of formula I, wherein R^2 is hydrogen, which comprises:

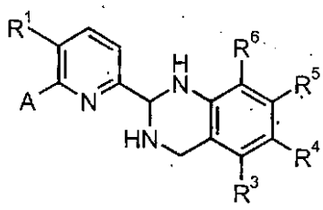
(i) reacting a compound of formula II with an oxidation agent:



(II)

; or

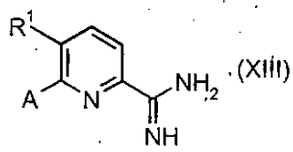
(ii) reacting a compound of formula (VIII) with an oxidation agent:



(VIII)

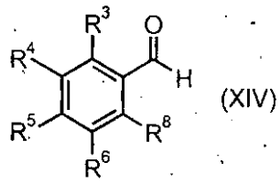
; or

(iii) reacting a compound of formula XIII or a salt thereof:



(XIII)

and a benzaldehyde of formula XIV:



(XIV)

with a base,

wherein R^1, R^3, R^4, R^5, R^6 and A are as defined in claim 1 and R^8 is a halogen or an amino group.

10. A method of preventing and/or controlling fungal infection in plants and/or plant propagation material comprising applying to the plant or plant propagation material or the locus thereof a fungicidally effective amount of a compound of formula I.

11. A composition for the control of fungal infection comprising a compound of formula I and an agriculturally acceptable carrier or diluent.

12. A composition of claim 11, which further comprises at least one additional fungicidally active compound in addition to the compound of formula (I).

13. A composition of claim 12, wherein the additional fungicidally active compound is acibenzolar-S-methyl, azoxystrobin, chlorothalonil, cyproconazole, cyprodinil, difenoconazole, fenpropidin, fluazinam, fludioxonil, hexaconazole, isopyrazam, mandipropamid, mefenoxam, penconazole, propiconazole, pyroquilon, sedaxane or thiabendazole.

INTERNATIONAL SEARCH REPORT

International application No
PCT/EP2010/057220

A. CLASSIFICATION OF SUBJECT MATTER
INV. C07D401/04 A01N43/54 A01P3/00
ADD.

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 A01N

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, CHEM ABS Data, WPI 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.
A	WO 2007/116079 A1 (BASF AG [DE]; GRAMMENOS WASSILIOS [DE]; GROTE THOMAS [DE]; DIETZ JOCHE) 18 October 2007 (2007-10-18) page 1, line 5 - line 7; claim 1	1-13
A	WO 2006/010570 A1 (BASF AG [DE]; GRAMMENOS WASSILIOS [DE]; GROTE THOMAS [DE]; BLETTNER CA) 2 February 2006 (2006-02-02) page 1, line 6 - line 8; claim 1	1-13

[ ] Further documents are listed in the continuation of Box C.

[X] 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)

"O" 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

"X" 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.

"&" document member of the same patent family

Date of the actual completion of the international search	Date of mailing of the international search report
2 July 2010	16/07/2010

Name and mailing address of the ISA/	Authorized officer
European Patent Office, P.B. 5818 Patentlaan 2 NL - 2280 HV Rijswijk Tel. (+31-70) 340-2040, Fax: (+31-70) 340-3016	Seelmann, Ingo

INTERNATIONAL SEARCH REPORT

Information on patent family members

International application No
PCT/EP2010/057220

Patent document cited in search report	Publication date	Patent family member(s)	Publication date
WO 2007116079 A1	18-10-2007	AR 060438 A1	18-06-2008
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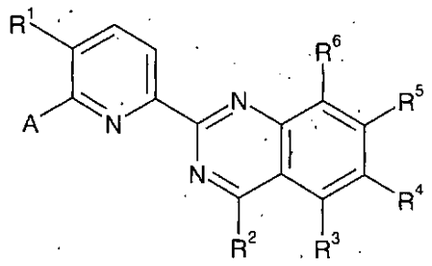
QUINAZOLINAS SUSTITUIDAS COMO FUNGICIDAS

La presente invención se refiere a compuestos que contienen quinazolina novedosos, su utilización en composiciones y métodos para el control y/o la prevención de la infección microbiana, particularmente la infección fúngica en plantas y a procesos para la preparación de estos compuestos.

La incidencia de infecciones microbianas serias, particularmente infecciones fúngicas, ya sean sistémicas o tópicas, sigue aumentando para las plantas.

Los fungicidas son compuestos, de origen natural o sintético, los cuales actúan para proteger las plantas contra el daño causado por los hongos. Los métodos actuales de agricultura se basan, en gran parte, en el uso de fungicidas. De hecho, algunos cultivos no pueden ser cultivados útilmente sin el uso de fungicidas. El uso de fungicidas le permite al cultivador aumentar el rendimiento del cultivo y, por consiguiente, aumentar el valor del cultivo. Se han desarrollado numerosos agentes fungicidas. Sin embargo, el tratamiento de infestaciones fúngicas sigue siendo un gran problema. Por añadidura, la resistencia a los fungicidas se ha vuelto un problema serio, tornando a estos agentes ineficaces para algunos usos agrícolas. Por ende, existe la necesidad de desarrollar nuevos compuestos fungicidas.

Por lo tanto, la presente invención proporciona un compuesto de fórmula I:



(I)

en la cual:

R^1 es hidrógeno, hidroxilo, halo, ciano, alquilo C_{1-8}, haloalquilo C_{1-8}, alcoxi C_{1-8}, haloalcoxi C_{1-8}, alquiltio C_{1-8} o cicloalquilo C_{3-10};

R^2 es hidrógeno, hidroxilo, halo, alquilo C_{1-8} , cicloalquilo C_{3-10}, alcoxi C_{1-8}; alqueniloxi C_{1-8} o alquiniloxi C_{1-8};

R^3, R^4, R^5 y R^6 son, independientemente, hidrógeno, hidroxilo, halo, ciano, nitro, amino, mono– y bis–alquilC_{1-8}amino, alquilo C_{1-8}, alquenilo C_{2-8}, alquinilo C_{2-8}, haloalquilo C_{1-8}, alcoxi C_{1-8}, haloalcoxi C_{1-8}, alquiltio C_{1-8} o cicloalquilo C_{3-10};

A es halo, alquilo C_{1-10}, alquenilo C_{2-10}, alquinilo C_{2-10}, haloalquilo C_{1-8}, alcoxi C_{1-8}, cicloalquilo C_{3-10}, cicloalquiloxi C_{3-10}, arilo, arilalquilo, ariloxi, arilalquiloxi o ariltio; preferentemente A es halo, alquilo C_{1-8}, alquenilo C_{2-8}, alquinilo C_{2-8}, haloalquilo C_{1-8}, alcoxi C_{1-8}, cicloalquilo C_{3-10}, cicloalquiloxi C_{3-10}, arilo, arilalquilo, ariloxi, arilalquiloxi o ariltio;

o una sal o un N–óxido del mismo, siempre que si A es metilo y cada R^1, R^3, R^4, R^5 y R^6 es hidrógeno, R^2 no sea cloro.

A menos que se indique lo contrario, los sustituyentes o sustituidos, preferentemente los sustituyentes, son no sustituidos o sustituidos por los sustituyentes indicados más abajo. A menos que se indique lo contrario, los siguientes términos empleados en la memoria descriptiva y en las reivindicaciones tienen los significados proporcionados a continuación:

"Alquilo" significa un radical de hidrocarburo monovalente saturado lineal de uno a ocho átomos de carbono o un radical de hidrocarburo monovalente saturado ramificado de tres a diez átomos de carbono, o la cantidad de átomos de carbono según lo indicado, por ej., metilo, etilo, *n*–propilo, *iso*–propilo, *n*–butilo, *sec*–butilo, *iso*–butilo, *terc*–butilo, *n*–pentilo, *iso*–amilo, *n*–hexilo y similares. Se señala que esta definición es aplicable tanto cuando el término se emplea solo como cuando se emplea como parte de un término compuesto, tal como "haloalquilo" y términos similares. Preferentemente, los grupos alquilo lineales contienen de uno a seis átomos de carbono, más preferentemente de uno a tres átomos de carbono y con máxima preferencia se seleccionan entre metilo, etilo o *n*–propilo. Preferentemente, los grupos alquilo ramificados contienen de tres a seis átomos de carbono y más preferentemente se seleccionan entre *iso*–propilo (1–metiletilo), *sec*–butilo (1–metilpropilo), *iso*–butilo (2–metilpropilo), *terc*–butilo (1,1–dimetiletilo) o *iso*–amilo (3–metilbutilo).

"Cicloalquilo" significa un radical de hidrocarburo cíclico monovalente de tres a ocho carbonos en el anillo y, más preferentemente, de tres a seis carbonos en el anillo. Los grupos cicloalquilo están completamente saturados. Preferentemente, los grupos cicloalquilo se seleccionan entre ciclopropilo, ciclobutilo, ciclopentilo y ciclohexilo.

"Heterocíclico" significa un resto heterocíclico que contiene al menos un átomo de carbono y al menos un elemento diferente del carbón, como sulfuro, oxígeno o nitrógeno dentro de una estructura anular. Estas estructuras pueden comprender anillos aromáticos simples o anillos no aromáticos. Algunos ejemplos son piridina, pirimidina y dioxano.

"Alquenilo" significa un radical de hidrocarburo saturado monovalente lineal de dos a ocho átomos de carbono, o un radical de hidrocarburo monovalente ramificado de tres a

ocho átomos de carbono que contiene por lo menos un enlace doble, por ej. etenilo, propenilo y similares. Donde sea apropiado, un grupo alquenilo puede ser de la configuración (E) o de la configuración (Z). Preferentemente, los grupos alquenilo lineales contienen de dos a seis átomos de carbono y más preferentemente se seleccionan entre etenilo, prop–1–enilo, prop–2–enilo, prop–1,2–dienilo, but–1–enilo, but–2–enilo, but–3–enilo, but–1,2–dienilo, but–1,3–dienilo, pent–1–enilo, pent–3–enilo y hex–1–enilo. Preferentemente, los grupos alquenilo ramificados contienen de tres a seis átomos de carbono y más preferentemente se seleccionan entre 1–metiletenilo, 1–metilprop–1–enilo, 1–metilprop–2–enilo, 2–metilprop–1–enilo, 2–metilprop–2–enilo y 4–metil–pent–3–enilo.

"Cicloalquenilo" significa un radical de hidrocarburo cíclico monovalente de tres a ocho carbonos del anillo y, más preferentemente, de tres a seis carbonos del anillo que contienen por lo menos un enlace doble. Preferentemente, los grupos cicloalquenilo se seleccionan entre ciclopropenilo, ciclobutenilo, ciclopentenilo y ciclohexenilo.

"Alquinilo" significa un radical de hidrocarburo saturado monovalente lineal de dos a ocho átomos de carbono, o un radical de hidrocarburo monovalente ramificado de cinco a ocho átomos de carbono, que contiene por lo menos un enlace triple, por ej., etinilo, propinilo y similares. Preferentemente, los grupos alquinilo lineales contienen de dos a seis átomos de carbono y más preferentemente se seleccionan entre etinilo, prop–1–inilo, prop–2–inilo, but–1–inilo, but–2–inilo y but–3–inilo. Preferentemente, los grupos alquinilo ramificados contienen de cuatro a seis átomos de carbono y más preferentemente se seleccionan entre 1–metilprop–2–inilo, 3–metilbut–1–inilo, 1–metilbut–2–inilo, 1–metilbut–3–inilo y 1–metilbut–3–inilo.

"Alcoxi" significa un radical –OR, donde R es alquilo, alquenilo o alquinilo según lo definido anteriormente y, preferentemente, donde R es alquilo. Los grupos alcoxi incluyen, aunque sin limitarse a, metoxi, etoxi, 1–metiletoxi, propoxi, butoxi, 1–metilpropoxi y 2–metilpropoxi. Preferentemente alcoxi significa metoxi o etoxi.

"Alquenoxi" significa un radical –OR, donde R es alquenilo según lo definido anteriormente.

"Alquinoxi" significa un radical –OR, donde R es alquinilo según lo definido anteriormente.

"Cicloalquiloxi" significa un radical –OR, donde R es cicloalquilo según lo definido anteriormente.

"Alcoxialquilo" significa un radical –ROR, donde cada R es, independientemente, alquilo según lo definido anteriormente.

"Arilo" o "resto anular aromático" se refiere a un sustituyente aromático el cual puede ser un anillo único o múltiples anillos los cuales están fusionados entre sí, ligados covalentemente, de modo que los grupos arilo derivados de arenos por eliminación de un átomo de hidrógeno de un átomo de carbono anular y los arenos son hidrocarburos aromáticos monocíclicos o policíclicos. El término "Arilo" puede significar arilo sustituido o no sustituido, a menos que se indique lo contrario y por ende, los restos arilo pueden ser no sustituidos o sustituidos con uno o más de los mismos sustituyentes o sustituyentes diferentes. Los ejemplos representativos de arilo incluyen, por ejemplo, fenilo, naftilo, azulenilo, indanilo, indenilo, antracenilo, fenantrenilo, tetrahidronaftilo, bifenilo, difenilmetilo y 2,2–difenil–1–etilo.

Adecuadamente, los sustituyentes para grupos "arilo" pueden seleccionarse de la lista que incluye arilo, cicloalquilo, cicloalquenilo y resto heterocíciclico que contiene al menos un átomo de carbono y al menos un elemento distinto de carbono, como sulfuro, oxígeno o nitrógeno dentro de una estructura anular, halógeno, alquilo, haloalquilo, cicloalquilo, cicloalquilalquilo, alquenilo, haloalquenilo, cicloalquenilo, alquinilo, haloalquinilo, alcoxi, haloalcoxi, cicloalquiloxi, haloalqueniloxi, haloalquiniloxi, alquiltio, haloalquiltio, cicloalquiltio, alquilcarbonilo, haloalquilcarbonilo, cicloalquilcarbonilo, alquenilcarbonilo, alquinilcarbonilo, formilo, alcoxialquilo, ciano, nitro, hidroxi, mercapto, amino, alquilamino y dialquilamino, -C(O)(alcoxi C_{1-4}), –$C(O)NH_2$, –C(O)NH(alquilo C_{1-4}), –C(O)N(alquilo C_{1-4})(alquilo C_{1-4}), –OC(O)NH(alquilo C_{1-4}), –OC(O)N(alquilo C_{1-4})(alquilo C_{1-4}),–NHC(O)(alquilo C_{1-4}),- NHC(O)(alcoxi C_{1-4}), -N(alquilo C_{1-4})C(O)(alquilo C_{1-4}), -N(alquilo C_{1-4})C(O)(alcoxi C_{1-4}), -OC(O) (alquilo C_{1-4}), -OC(O)(alcoxi C_{1-4}), -Si(alquilo C_{1-4})$_3$, -Si(alxoxi C_{1-4})$_3$ y ariloxi. Los sustituyentes preferidos son arilo, cicloalquilo, cicloalquelino y resto heterocíclico que contiene al menos un átomo de carbono y al menos un elemento distinto del carbono, como sulfuro, oxigeno o nitrógeno dentro de una estructura anular, alquilo, alquenilo, alquinilo, cicloalquilo, halo, haloalquilo, alcoxi, haloalcoxi, nitro y ciano y son más preferentemente halógeno (en particular, flúor o cloro), ciano, alquilo (en particular, metilo y etilo), haloalquilo (en particular, trifluormetilo), alcoxi (en particular, metoxi o etoxi) y haloalcoxi.

El arilo, cicloalquilo, cicloalquenilo o sustituyente heterocícilo del grupo arilo,, cicloalquilo, cicloalquenilo o heterocícilo puede ser opcionalmente sustituido, donde los sustituyentes se seleccionan de la lista que incluye halógeno, alquilo, haloalquilo, cicloalquilo, cicloalquilalquilo , alquenilo, haloalquenilo, cicloalquenilo, alquinilo, haloalquinilo, alcoxi, haloalcoxi, cicloalquiloxi, haloalqueniloxi, haloalquiniloxi, alquiltio, haloalquiltio, cicloalquiltio, alquilcarbonilo, haloalquilcarbonilo, cicloalquilcarbonilo,

alquenilcarbonilo, alquinilcarbonilo, alcoxicarbonilo, alcoxialquilo, cyano, nitro, hidroxi, mercapto, amino, alquilamino y dialquilamino. El sustituyente de arilo preferido el grupo arilo puede ser arilo opcionalmente sustituido por sustituyentes seleccionados de la lista que incluye halógeno, alquilo, alquenilo, alquinilo, cicloalquilo, halo, haloalquilo, alkoxi, haloalkoxi y ciano y son más preferentemente halógeno (en particular, flúor o cloro), ciano, alquilo (en particular, metilo y etilo), haloalquilo (en particular, trifluorometilo), alkoxi (en particular, metoxi o etoxi) y haloalkoxi.

Los ejemplos típicos para arilo opcionalmente sustituido incluyen 2–fluorfenilo, 3–fluorfenilo, 4–fluorfenilo, 2–clorofenilo, 3–clorofenilo, 4–clorofenilo, 2–bromofenilo, 3–bromofenilo, 4–bromofenilo, 2–metilfenilo, 3–metilfenilo, 4–metilfenilo, 2–metoxifenilo, 3–metoxifenilo, 4–metoxifenilo, 2–cianofenilo, 3–cianofenilo, 4–cianofenilo, 2–trifluormetilfenilo, 3–trifluormetilfenilo, 4–trifluormetilfenilo, 2–trifluormetoxifenilo, 3–trifluormetoxifenilo, 4–trifluormetoxifenilo, 2,3–difluorfenilo, 2,4–difluorfenilo, 2,5–difluorfenilo, 2,6–difluorfenilo, 3,4–difluorfenilo, 3,5–difluorfenilo, 2,3–diclorofenilo, 2,4–diclorofenilo, 2,5–diclorofenilo, 2,6–diclorofenilo, 3,4–diclorofenilo, 3,5–diclorofenilo, 2,3–dibromofenilo, 2,4–dibromofenilo, 2,5–dibromofenilo, 2,6–dibromofenilo, 3,4–dibromofenilo, 3,5–dibromofenilo, 2,3–dimetilfenilo, 2,4–dimetilfenilo, 2,5–dimetilfenilo, 2,6–dimetilfenilo, 3,4–dimetilfenilo, 3,5–dimetilfenilo, 2,3–dimetoxifenilo, 2,4–dimetoxifenilo, 2,5–dimetoxifenilo, 2,6–dimetoxifenilo, 3,4–dimetoxifenilo, 3,5–dimetoxifenilo, 2,3–dicianofenilo, 2,4–dicianofenilo, 2,5–dicianofenilo, 2,6–dicianofenilo, 3,4–dicianofenilo, 3,5–dicianofenilo, 2,3–di(trifluormetil)fenilo, 2,4–di(trifluormetil)fenilo, 2,5–di(trifluormetil)fenilo, 2,6–di(trifluormetil)fenilo, 3,4–di(trifluormetil)fenilo, 3,5–di(trifluormetil)fenilo, 2,3–di(trifluormetoxi)fenilo, 2,4–di(trifluormetoxi)fenilo, 2,5–di(trifluormetoxi)fenilo, 2,6–di(trifluormetoxi)fenilo, 3,4–di(trifluormetoxi)fenilo, 3,5–di(trifluormetoxi)fenilo, 4–cloro–3–fluorfenilo, 3–fluor–4–metilfenilo, 3–fluor–4–metoxifenilo, 3–cloro–4–fluorfenilo, 3–cloro–4–metilfenilo, 3–cloro–4–metoxifenilo, 4–fluor–3–metilfenilo, 4–cloro–3–metilfenilo, 4–metoxi–3–metilfenilo, 4–fluor–3–metoxifenilo, 4–cloro–3–metoxifenilo, 3–metoxi–4–metilfenilo, 3–cloro–5–fluorfenilo, 3–cloro–5–metilfenilo, 3–cloro–5–metoxifenilo, 3–fluor–5–metilfenilo, 3–fluor–5–metoxifenilo, 3–metoxi–5–metilfenilo.

"Halo" o "halógeno" significa flúor, cloro, bromo o yodo, preferentemente cloro o flúor.

"Haloalquilo" significa alquilo según lo definido anteriormente sustituido con uno o más de los átomos de halo iguales o diferentes. Por lo tanto, esta definición de haloalquilo

también puede incluir grupos alquilo perhalogenados. Los ejemplos de grupos haloalquilo incluyen, entre otros, clorometilo, fluormetilo, diclorometilo, difluormetilo, triclorometilo, trifluormetilo, 2–fluoretilo, 2–trifluoretilo, 1–difluoretilo, 2–trifluor–1–difluoretilo, 2–cloro–etilo, 2–tricloro–1–dicloroetilo, 2–yodoetilo, 3–fluorpropilo, 3–cloropropilo, 2–trifluor–1–cloroetilo y 1–difluor–2–difluor–3–trifluorpropilo.

"Haloalquenilo" significa alquenilo según lo definido anteriormente sustituido con uno o más de los mismos átomos de halo o diferentes.

"Haloalquinilo" significa alquinilo según lo definido anteriormente sustituido con uno o más de los mismos átomos de halo o diferentes.

"Haloalcoxi" significa un radical –OR, donde R es haloalquilo según lo definido anteriormente.

"Haloalqueniloxi" significa un radical –OR, donde R es haloalquenilo según lo definido anteriormente.

"Haloalquiniloxi" significa un radical –OR, donde R es haloalquinilo según lo definido anteriormente.

"Arilalquilo" significa un radical $-R^aR^b$ donde R^a es un grupo alquileno y R^b es un grupo arilo opcionalmente sustituido según lo definido anteriormente; "Arilalquinilo" significa un radical $-R^aR^b$ donde R^a es un grupo alquinileno según se define más adelante y R^b es un grupo arilo opcionalmente sustituido según lo definido anteriormente. Un ejemplo de un grupo arilalquilo es el grupo bencilo. Cuando R^a es un grupo alquileno o un grupo alquenileno o un alquinileno, este grupo también puede ser sustituido con uno o más de los mismos sustituyentes o sustituyentes diferentes, adecuadamente, siendo los sustituyentes según lo definido anteriormente para "arilo".

"Cicloalquilalquilo" significa un radical $-R^aR^b$ donde R^a es un grupo alquileno según lo definido más adelante y R^b es un grupo cicloalquilo según lo definido anteriormente.

"Cicloalquilalquenilo" significa un radical $-R^aR^b$ donde R^a es un grupo alquenileno según lo definido más adelante y R^b es un grupo cicloalquilo según lo definido anteriormente.

"Cicloalquilalquenilo" significa un radical $-R^aR^b$ donde R^a es un grupo alquinileno según lo definido más adelante y R^b es un grupo cicloalquinileno según lo definido anteriormente.

"Alquileno" significa un radical hidrocarburo divalente saturado lineal de uno a seis átomos de carbono o un radical hidrocarburo divalente saturado ramificado de tres a seis

átomos de carbono, por ej. metileno, etileno, propileno, 2–metilpropileno y similares. Los grupos alquileno preferidos son los radicales divalentes de los grupos alquilo definidos anteriormente.

"Alquenileno" significa un radical de hidrocarburo divalente lineal de dos a seis átomos de carbono o un radical de hidrocarburo divalente ramificado de tres a seis átomos de carbono, que contienen al menos un enlace doble, por ej., etenileno, propenileno y similares. Los grupos alquenileno preferidos son los radicales divalentes de los grupos alquenilo definidos anteriormente.

"Alquinileno" significa un radical de hidrocarburo divalente lineal de dos a seis átomos de carbono o un radical de hidrocarburo divalente ramificado de tres a seis átomos de carbono, que contiene al menos un enlace triple, por ej. etinileno, propinileno y similares. Los grupos alquinileno preferidos son los radicales divalentes de los grupos alquinilo definidos anteriormente.

"Ariloxi" significa un radical –OR, donde R es un grupo arilo según lo definido anteriormente.

"Arilalquiloxi" significa un radical –OR donde R es un grupo arilalquilo según lo definido anteriormente.

"Arilalquenilenoxi" significa un radical –OR donde R es un grupo arilalquenileno según lo definido anteriormente.

"Arilalquinilenoxi" significa un radical –OR donde R es un grupo arilalquinileno según lo definido anteriormente.

"Alquiltio" significa un radical –SR, donde R es un grupo alquilo según lo definido anteriormente. Los grupos alquiltio incluyen, entre otros, metiltio, etiltio, propiltio, *terc*–butiltio, hexiltio, y similares.

"Alqueniltio" significa un radical –SR, donde R es un alquenilo según lo definido anteriormente.

"Alquiniltio" significa un radical –SR, donde R es un alquinilo según lo definido anteriormente.

"Cicloalquiltio" significa un radical –SR, donde R es un grupo cicloalquilo según lo definido anteriormente.

"Haloalquiltio" significa un radical –SR, donde R es un grupo haloalquilo según lo definido anteriormente.

"Ariltio" significa un radical –SR, donde R es un grupo arilo según lo definido anteriormente.

"Alquilcarbonilo" significa un radical –C(O)R, donde R es alquilo según lo definido anteriormente.

"Alquenilcarbonilo" significa un radical –C(O)R, donde R es alquenilo según lo definido anteriormente.

"Alquinilcarbonilo" significa un radical –C(O)R, donde R es alquinilo según lo definido anteriormente.

"Cicloalquilcarbonilo" significa un radical –C(O)R, donde R es cicloalquilo según lo definido anteriormente.

"Alcoxicarbonilo" significa un radical –C(O)OR, donde R es alquilo según lo definido anteriormente.

"Haloalquilcarbonilo" significa un radical –C(O)R, donde R es haloalquilo según lo definido anteriormente.

"Ciano" significa un grupo –CN.

"Hidroxi" o "hidroxilo" significa un grupo –OH.

"Nitro" significa un grupo $-NO_2$.

"Amino" significa un grupo $-NH_2$.

"Alquilamino" significa un radical –NRH, donde R es alquilo según lo definido anteriormente.

"Dialquilamino" significa un radical –NRR, donde cada R es, independientemente, alquilo según lo definido anteriormente.

"Mercapto" significa un grupo –SH.

Los grupos definidos anteriormente (como ya se ha mencionado anteriormente para los grupos 'arilo' y 'arilalquilo') cuando se emplean solos o como parte de un término compuesto (por ej., alquilo cuando se emplea solo o como parte de, por ejemplo, haloalquilo) pueden estar sin sustituir o sustituidos con uno o más sustituyentes. En particular, los grupos alquilo, alquenilo, alquinilo, cicloalquilo, cicloalquenilo, alcoxi, cicloalquiloxi, haloalquilo, haloalcoxi, alquiltio, arilo, arilalquilo, ariloxi y arilalquiloxi pueden estar opcionalmente sustituidos.

Adecuadamente, estos sustituyentes opcionales son independientemente seleccionados entre halógeno, alquilo, haloalquilo, cicloalquilo, cicloalquilalquilo, alquenilo, haloalquenilo, cicloalquenilo, alquinilo, haloalquinilo, alcoxi, haloalcoxi, cicloalquiloxi, haloalqueniloxi, haloalquiniloxi, alquiltio, haloalquiltio, cicloalquiltio, formilo, alquilcarbonilo, haloalquilcarbonilo, cicloalquilcarbonilo, alquenilcarbonilo, alquinilcarbonilo, alcoxialquilo,

ciano, nitro, hidroxi, mercapto, amino, alquilamino, dialquilamino, arilo, cicloalquilo, cicloalquenilo y resto heterocíclico que contiene al menos un átomo de carbono y al menos un elemento distinto del carbono, como sulfuro, oxigeno o nitrógeno dentro de una estructura de anillo, -C(O)(alcoxi C_{1-4}), -C(O)NH_2, -C(O)NH(alquilo C_{1-4}), -C(O)N(alquilo C_{1-4})(alquilo C_{1-4}), -OC(O)NH(alquilo C_{1-4}), -OC(O)N(alquilo C_{1-4})(alquilo C_{1-4}),-NHC(O)(alquilo C_{1-4}),-NHC(0)(alcoxi C_{1-4}), -N(alquilo C_1)C(O)(alquilo C_{1-4}),-N(alquilo C_{1-4})C(O)(alcoxi C_{1-4}), -OC(O) (alquilo C_{1-4}), -OC(O)(alcoxi C_{1-4}), -Si(alquilo C_{1-4})$_3$, -Si(alcoxi C_{1-4})$_3$ y ariloxi. Los sustituyentes preferidos son alquilo, alquenilo, alquinilo, cicloalquilo, halo, haloalquilo, alcoxi, haloalcoxi y ciano y son más preferentemente halógeno (en particular, flúor o cloro), ciano, alquilo (en particular, metilo y etilo), haloalquilo (en particular, trifluormetilo), alcoxi (en particular, metoxi o etoxi) y haloalcoxi, arilo, cicloalquilo, cicloalquenilo y resto heterocíclico que contiene al menos un átomo de carbono y al menos un elemento distinto del carbono, como sulfuro, oxigeno o nitrógeno dentro de una estructura anular.

Los compuestos de fórmula I pueden existir en diferentes formas geométricas u isoméricas ópticas o en diferentes formas tautoméricas. Uno o más centros de quiralidad pueden estar presentes, en cuyo caso los compuestos de la fórmula I pueden estar presentes como enantiómeros puros, mezclas de enantiómeros, diastereómeros puros o mezclas de diastereómeros. Puede haber enlaces dobles presentes en la molécula, tal como enlaces C=C o C=N, en cuyo caso, los compuestos de fórmula I pueden existir como isómeros únicos o mezclas de isómeros. Pueden estar presentes centros de tautomerización. Esta invención cubre todos esos isómeros y tautómeros y mezclas de los mismos en todas las proporciones así como también las formas isotópicas tales como los compuestos deuterados. También puede producirse atropisomerismo como resultado de una rotación restricta alrededor de un enlace sencillo.

Las sales adecuadas de los compuestos de fórmula I incluyen sales de adición de ácido tales como aquellas con un ácido inorgánico tal como ácido clorhídrico, bromhídrico, sulfúrico, nítrico o fosfórico, o un ácido carboxílico orgánico tal como ácido oxálico, tartárico, láctico, butírico, toluico, hexanoico o ftálico, o un ácido sulfónico tal como ácido metan–, bencen– o toluensulfónico. Otros ejemplos de ácidos carboxílicos orgánicos incluyen haloácidos tales como ácido trifluoracético.

Los N–óxidos son formas oxidadas de aminas terciarias o formas oxidadas de compuestos heteroaromáticos que contienen nitrógeno. Se describen en muchos libros, por ejemplo, en "Heterocyclic N–oxides" por Angelo Albini y Silvio Pietra, CRC Press, Boca Raton, Florida, 1991.

En realizaciones particularmente preferidas de la invención, los grupos preferidos para R^1 a R^6 y A en cualquier combinación de los mismos, son según lo expuesto más abajo.

En una realización de acuerdo con la fórmula (I), R^1 es hidrógeno, halo, ciano, alquilo C_{1-8}, alcoxi C_{1-8}, alqueniloxi C_{1-8}, alquiniloxi C_{1-8}, haloalquilo C_{1-8}, o alquiltio C_{1-8}. En una realización adicional, R^1 es hidrógeno, halo, alquilo C_{1-3}, alcoxi C_{1-3}, alqueniloxi C_{1-3}, alquiniloxi C_{1-3}, haloalquilo C_{1-3}, o alquiltio C_{1-3}.

En una realización adicional de acuerdo con la fórmula (I), R^1 es hidrógeno, halo, alquilo C_{1-3}, alcoxi C_{1-3}, alqueniloxi C_{1-3}, alquiniloxi C_{1-3}, o haloalquilo C_{1-4}. En aun otra realización, R^1 es hidrógeno, flúor, cloro, metilo, etilo, metoxi, etoxi o trifluormetilo y, más preferentemente, hidrógeno, metilo o metoxi.

En una realización, R^2 es hidrógeno de acuerdo con la fórmula (I), hidroxilo, halo, alquilo C_{1-5}, alcoxi C_{1-5}, alqueniloxi C_{1-5}, alquiniloxi C_{1-5}. En una realización adicional, R^2 es hidrógeno, hidroxilo, cloro, metilo o metoxi y, más preferentemente, hidrógeno, metilo o metoxi.

En una realización de acuerdo con la fórmula (I), R^3, R^4, R^5 y R^6 son, independientemente, hidrógeno, halo, ciano, alquilo C_{1-8}, haloalquilo C_{1-8}, alcoxi C_{1-8}, alqueniloxi C_{1-8}, alquiniloxi C_{1-8} o haloalcoxi C_{1-8}. En una realización adicional, R^3, R^4, R^5 y R^6 son, independientemente, hidrógeno, halo, ciano, alquilo C_{1-3}, haloalquilo C_{1-3}, alcoxi C_{1-3}, alqueniloxi C_{1-3}, alquiniloxi C_{1-3} o haloalcoxi C_{1-3}. En una realización adicional, R^3, R^4, R^5 y R^6 son, independientemente, hidrógeno, halo, ciano, alquilo C_{1-3} o alcoxi C_{1-3}, alqueniloxi C_{1-3}, alquiniloxi C_{1-3} . En aun otra realización, R^3, R^4, R^5 y R^6 son, independientemente, hidrógeno, bromo, ciano, cloro, flúor, metilo o metoxi.

En una realización de acuerdo con la fórmula (I), A es halo, haloalquilo C_{1-8}, arilo opcionalmente sustituido, arilalquilo opcionalmente sustituido o ariloxi opcionalmente sustituido. En una realización adicional, A es halo, fenilo opcionalmente sustituido, naftilo sustituido opcionalmente, bencilo sustituido opcionalmente, fenoxi opcionalmente sustituido, feniltio opcionalmente sustituido o ariletinilo opcionalmente sustituido (en particular, feniletinilo). En una realización adicional, A es halógeno, fenilo opcionalmente sustituido, bencilo opcionalmente sustituido o fenoxi opcionalmente sustituido y, más preferentemente, fenilo opcionalmente sustituido y bencilo opcionalmente sustituido. Los sustituyentes adecuados son según lo definido anteriormente pero, más adecuadamente, pueden ser halo, ciano, nitro, hidroxilo, alquilo C_{1-3}, haloalquilo C_{1-3}, alcoxi C_{1-3}, alquilcarbonilo C_{1-3}, alcoxicarbonilo C_{1-3} o una combinación de cualquiera de estos

sustituyentes o, incluso más adecuadamente, cloro, flúor, metilo, trifluormetilo o metoxi o una combinación de cualquiera de estos sustituyentes.

En una realización más preferida de acuerdo con la fórmula (I), R^1 es hidrógeno, halo, ciano, alquilo C_{1-3}, alcoxi C_{1-3}, haloalquilo C_{1-3} o alquiltio C_{1-3}; R^2 es hidrógeno, hidroxilo, halo, alquilo C_{1-5}, cicloalquilo C_{3-5}, alquiniloxi C_{1-5} o alcoxi C_{1-5}; R^3, R^4, R^5 y R^6 son, independientemente, hidrógeno, halo, hidroxilo, ciano, alquilo C_{1-8}, haloalquilo C_{1-8}, alcoxi C_{1-8}, haloalcoxi C_{1-8}, amino o mono o di alquil C_{1-8} amino y A es halo, alquilo C_{1-8}, alquenilo C_{2-8}, alquinilo C_{2-8}, haloalquilo C_{1-8}, alcoxi C_{1-8}, cicloalquilo C_{3-10}, cicloalquiloxi C_{3-10}, arilo; arialquilo, ariloxi, arialquiloxi o ariltio;

En una realización más preferida de acuerdo con la fórmula (I), R^1 es hidrógeno, flúor, cloro, metilo, etilo, metoxi, etoxi o trifluormetilo, preferentemente hidrógeno, metilo o metoxi. R^2 es hidrógeno, hidroxilo, cloro, metilo o metoxi, preferentemente hidrógeno, metilo o metoxi. R^3, R^4, R^5 y R^6 son, independientemente, hidrógeno, halo, ciano, alquilo C_{1-3}, haloalquilo C_{1-3}, alcoxi C_{1-3}, haloalcoxi C_{1-3}, amino o mono- o di-C_{1-8} alquilo amino, preferentemente independientemente, hidrógeno, halo, ciano, alquilo C_{1-3} o alcoxi C_{1-3}; A es halo, alquiloC_{1-8} arilo, opcionalmente sustituido, arilalquilo opcionalmente sustituido o ariloxi opcionalmente sustituido, preferentemente halo, fenilo opcionalmente sustituido, naftilo opcionalmente sustituido, bencilo opcionalmente sustituido, fenoxi opcionalmente sustituido, feniltio opcionalmente sustituido o ariletinilo opcionalmente sustituido, más preferentemente fenilo opcionalmente sustituido, naftilo opcionalmente sustituido, bencilo opcionalmente sustituido, fenoxi opcionalmente sustituido, feniltio opcionalmente sustituido o ariletinilo opcionalmente sustituido;

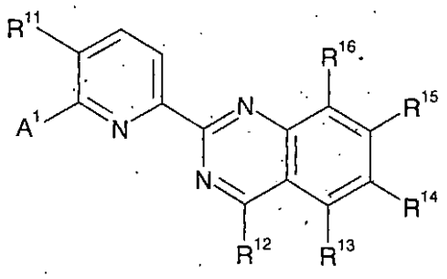
En una realización preferida de acuerdo con la fórmula (I), R^1 es hidrógeno, halo, alquilo C_{1-3}, haloalquilo C_{1-3} o aloxi C_{1-3}, R^2 es hidrógeno, hydroxilo, halo, alquilo C_{1-5}, cicloalquilo C_{3-5} o alcoxi C_{1-5}, R^3, R^4, R^5 y R^6 son, independientemente, hidrógeno, halo, alquilo C_{1-3}, haloalquilo C_{1-3} o alcoxi C_{1-3} y A es halo, arilo opcionalmente sustituido, arilalquilo opcionalmente sustituido, ariloxi opcionalmente sustituido o ariltio opcionalmente sustituido, donde los sustituyentes opcionales se seleccionan de halo, ciano, nitro, hidroxilo, alquilo C_{1-3}, haloalquilo C_{1-3}, alquilcarbonilo C_{1-3}, alcoxicarbonilo C_{1-3} y alcoxi C_{1-3} o una combinación de cualquiera de estos sustituyentes.

En una realización más preferida de acuerdo con la fórmula (I), R^1 es hidrógeno, flúor, cloro, metilo, etilo, trifluorometilo, etoxi o metoxi, preferentemente hidrógeno, flúor, cloro, metilo, etilo, etoxi o metoxi, R^2 es hidrógeno, cloro, metilo o metoxi, R^3, R^4, R^5 y R^6 son, independientemente, hidrógeno, flúor, cloro, metilo, hidroxilo, trifluorometilo o metoxi y A es bromo, cloro, iodo, fenilo opcionalmente sustituido,

fenilmetilo opcionalmente sustituido, fenoxi opcionalmente sustituido, feniltio opcionalmente sustituido o feniltinilo opcionalmente sustituido, donde los sustituyentes opcionales se seleccionan de flúor, cloro, ciano, metilo, trifluorometilo o metoxi o una combinación de cualquiera de estos sustituyentes.

En una realización aun más preferida de acuerdo con la fórmula (I), A es halógeno, fenilo opcionalmente sustituido, bencilo opcionalmente sustituido o fenoxi opcionalmente sustituido, especialmente A es fenilo opcionalmente sustituido y bencilo opcionalmente sustituido.

Adecuadamente, el compuesto preferido de la fórmula I de la presente invención es un compuesto de fórmula (I'):



(I')

donde:

R^{11} es hidrógeno, hidroxilo, halo, ciano, alquilo C_{1-8} no sustituido, alquilo C_{1-8} sustituido, haloalquilo C_{1-8}, alcoxi C_{1-8} no sustituido, alcoxi C_{1-8} sustituido, haloalcoxi C_{1-8}, alquiltio C_{1-8} no sustituido, alquiltio C_{1-8} sustituido, cicloalquilo C_{3-10} no sustituido o cicloalquilo C_{3-10} sustituido;

R^{12} es hidrógeno, hidroxilo, halo, alquilo C_{1-8} no sustituido, alquilo C_{1-8} sustituido, cicloalquilo C_{3-10} sustituido, cicloalquilo C_{3-10} no sustituido, haloalquilo C_{1-8}, alcoxi C_{1-8} no sustituido, alcoxi C_{1-8} sustituido, alqueniloxi C_{2-8} no sustituido, alqueniloxi C_{2-8} sustituido, alquiniloxi C_{2-8} no sustituido o alquiniloxi C_{2-8} sustituido;

R^{13}, R^{14}, R^{15} y R^{16} son, independientemente, hidrógeno, hidroxilo, halo, ciano, nitro, $-NR^{17}R^{18}$ donde R^{17} y R^{18} son independientemente H, alquilo C_{1-4} o alquilo C_{1-4} sustituido o se combinan con el nitrógeno interyacente para formar un anillo de cinco o seis miembros que puede comprender uno o dos o tres heteroátomos (uno o dos átomos N, O o S además del átomo de nitrógeno interyacente), en cuyo caso el anillo heterocíclico es opcionalmente sustituido por uno o dos grupos alquilo C_{1-4}, alquilo C_{1-8} no sustituido, C_{1-8} sustituido, alquenilo C_{2-8} no sustituido, alquenilo C_{2-8}

sustituido, alquinilo C_{2-8} no sustituido, alquinilo C_{2-8} sustituido, haloalquilo C_{1-8}, alcoxi C_{1-8} no sustituido, alcoxi C_{1-8} sustituido, haloalcoxi C_{1-8} , alquiltio C_{1-8} no sustituido, alquiltio C_{1-8} sustituido, cicloalquilo C_{3-10} no sustituido o cicloalquilo C_{3-10} sustituido;

A^1 es halo, alquilo C_{1-8} no sustituido, alquilo C_{1-8} sustituido, alquenilo C_{2-10} no sustituido, alquenilo C_{2-8} sustituido, alquinilo C_{2-8} no sustituido, alquinilo C_{2-8} sustituido, haloalquilo C_{1-8}, alcoxi C_{1-8} no sustituido, alcoxi C_{1-8} sustituido, cicloalquilo C_{3-10} no sustituido, cicloalquilo C_{3-10} sustituido, cicloalquiloxi C_{3-10} no sustituido, cicloalquiloxi C_{3-10} sustituido, arilo no sustituido, arilo sustituido, arilalquilo no sustituido, arilalquilo sustituido, arilalquenilo no sustituido, arilalquenilo sustituido, arilalquinilo no sustituido, arilalquinilo sustituido, ariloxi no sustituido, ariloxi sustituido, arilalquiloxi no sustituido, arilalquiloxi sustituido, ariltio no sustituido o ariltio sustituido;

o una sal o un N-óxido del mismo, siempre que si A^1 es metilo y cada R^{11}, R^{13}, R^{14}, R^{15} y R^{16} es hidrógeno, R^{12} no sea cloruro.

Los grupos alquilo, los grupos alquenilo, los grupos alquinilo y el grupo alcoxi en el compuesto de la fórmula (I') son lineales o ramificados.

Los sustituyentes preferidos para los grupos alquilo sustituidos, los grupos alquenilo sustituidos, los grupos alquinilo sustituidos y el grupo alcoxi sustituido en el compuesto de la fórmula (I') son seleccionados de los siguientes sustituyentes F, Cl, Br, I, -OH, -CN, nitro, alcoxi -C_{1-4}, alquiltio -C_{1-4}, -NR^{17} R^{18} donde R^{17} y R^{18} son independientemente H, alquilo –C_{1-4} o alquilo –C_{1-4} no sustituido o se combinan con el nitrógeno interyacente para formar un anillo de cinco o seis miembros que puede comprender uno o dos o tres heteroátomos (uno o dos átomos N, O o S además del átomo de nitrógeno interyacente), en cuyo caso el anillo heterocíclico es opcionalmente sustituido por uno o dos grupos alquilo C_{1-4}, -C(O)H, –C(O)(alquilo C_{1-4}), -C(O)(alcoxi C_{1-4}), –$C(O)NH_2$, –C(O)NH(alquilo C_{1-4}), –C(O)N(alquilo C_{1-4})(alquilo C_{1-4}), –OC(O)NH(alquilo C_{1-4}), –OC(O)N(alquilo C_{1-4})(alquilo C_{1-4}),– NHC(O)(alquilo C_{1-4}),- NHC(O)(alcoxi C_{1-4}), -N(alquilo C_{1-4})C(O)(alquilo C_{1-4}), -N(alquilo C_{1-4})C(O)(alcoxi C_{1-4}), -OC(O) (alquilo C_{1-4}), -OC(O)(alcoxi C_{1-4}), -$Si(alquilo\ C_{1-4})_3$, -$Si(alcoxi\ C_{1-4})_3$, arilo, ariloxi, -(C_{1-8} – perhaloalquilo) , arilo C_{1-4}alquinilo, -alquinilo C_{1-6}donde los grupos alquilo, alquenilo, alquinilo, alcoxi, arilo son opcionalmente sustituidos, preferentemente estos sustituyentes de los grupos

sustituidos tienen sólo un sustituyente más, más preferentemente estos sustituyentes de los grupos sustituidos no son sustituidos posteriormente.

Los sustituyentes más preferidos de los grupos alquilo C_1 a C_4 se seleccionan de los siguientes sustituyentes -OH, CN, F, Cl, alcoxi C_{1-4}, alquilamino C_{1-4}. Los grupos alquilo son lineales o ramificados. Los grupos alquilo más preferidos son metilo, etilo, propilo, isopropilo, butilo, isobutilo (2-metilpropilo), pentilo, 1-metilpentilo, 1-etilpentilo, isopentilo (3-metilbutilo), hexilo, heptilo, octilo o nonilo.
Preferentemente, los grupos alquilo en el compuesto de la fórmula (I') y/o los grupos alcoxi en el compuesto de la fórmula (I') no llevan más de dos sustituyentes adicionales, más preferentemente, los grupos alquilo en el compuesto de la fórmula (I') y/o los grupos alcoxi en el compuesto de la fórmula (I') no llevan más de un sustituyente adicionales, más preferentemente, los grupos alquilo en el compuesto de la fórmula (I') y/o los grupos alcoxi en el compuesto de la fórmula (I') no son sustituidos posteriormente.
En los compuestos preferidos de la fórmula (I') los grupos alquilo preferidos y los grupos alcoxi preferidos son los grupos metilo, etilo, propilo, metoxi y etoxi. Se prefieren particularmente los grupos metilo, etilo y metoxi.

Los sustituyentes preferidos en el compuesto de la fórmula (I') de los grupos arilo sustituidos en el compuesto de la fórmula (I') se seleccionan de los siguientes sustituyentes F, Cl, Br, I, –OH, -CN, nitro, alquilo -C_{1-4}, alcoxi -C_{1-4}, alqueniloxi C_{1-4}, alquiniloxi -C_{1-4}, alcoxi C_{1-4}, alquilo C_{1-4}, alquiltio C_{1-4}, -NR^{17} R^{18} donde R^{17} y R^{18} son independientemente H, alquilo –C_{1-4} o alquilo C_{1-4} sustituido o se combinan con el nitrógeno interyacente para formar un anillo de cinco o seis miembros que puede comprender uno o dos o tres heteroátomos (uno o dos átomos N, O o S además del átomo de nitrógeno interyacente), en cuyo caso el anillo heterocíclico es opcionalmente sustituido por uno o dos grupos alquilo , -C(O)H, –C(O)(alquilo C_{1-4}), –C(O)(alcoxi C_{1-4}), -C(O)NH_2, –C(O)NH(alquilo C_{1-4}), –C(O)N(alquilo C_{1-4})(alquilo C_{1-4}), –NHC(O)(alquilo C_{1-4}), -N(alquilo C_{1-4})C(O)(alquilo C_{1-4}), –NHC(O)(alcoxi C_{1-4}), -N(alquilo C_{1-4})C(O)(alcoxi C_{1-4}), -OC(O)NH(alquilo C_{1-4}), -OC(O)N(alquilo C_{1-4}) (alquilo C_{1-4}), -C(O)H, OC(O) (alquilo C_{1-4}), -OC(O)(alcoxi C_{1-4}), -Si(alquilo C_{1-4})$_3$, -Si(alcoxi C_{1-4})$_3$, arilo, aroxilo, (perhaloalquilo C_{1-8}), alquinilo C_{1-4}, donde los grupos alquilo, alquenilo, alquenilo, arilo son opcionalmente sustituidos.
Los sustituyentes más preferidos de los grupos arilo sustituidos se seleccionan de los siguientes sustituyentes F, Cl, CN, –OH, nitro, alquilo –C_{1-4}, alcoxi -C_{1-4}, -C(O)(alcoxi C_{1-4}), -C(O)H, -C(O)(alquilo C_{1-4}) donde los grupos alquilos son opcionalmente sustituidos.

Los grupos arilo son preferentemente grupos neftilo, penantrenilo o fenilo, más preferentemente, grupos fenilo.

Los sustituyentes preferidos de los grupos arilo sustituidos en el compuesto de la fórmula (I') se seleccionan de los siguientes sustituyentes, F, Cl, alquilo C_{1-4}, alcoxi C_{1-4}, –CN, -C(O)(alcoxi C_{1-4}), –(O)(alquilo C_{1-4}).

En la fórmula (I') preferiblemente

R^{11} es hidrógeno, halo, alquilo C_{1-4} no sustituido, alquilo C_{1-4} sustituido, haloalquilo C_{1-4}, alcoxi C_{1-4} no sustituido, alcoxi C_{1-4} sustituido, haloalcoxi C_{1-4};

R^{12} es hidrógeno, hidroxilo, halo, alquilo C_{1-8} no sustituido, alquilo C_{1-8} sustituido, cicloalquilo C_{3-10} no sustituido, ciclóalquilo C_{3-10} sustituido, haloalquilo C_{1-8}, alcoxi C_{1-8} no sustituido, alcoxi C_{1-8} sustituido, alqueniloxi C_{2-8} no sustituido, alqueniloxi C_{2-8} sustituido, alquiniloxi C_{2-8} no sustituido o alquiniloxi C_{2-8} sustituido;

R^{13},R^{14},R^{15} y R^{16} son, independientemente, hidrógeno, halo, nitro, amino, alquilo C_{1-4} no sustituido, alquilo C_{1-4} sustituido, alquenilo C_{2-4} no sustituido, alquenilo C_{2-4} sustituido, alquinilo C_{2-4} no sustituido, alquinilo C_{2-4} sustituido, alcoxi C_{1-4} no sustituido, alcoxi C_{1-4} sustituido;

A^1 es halo, alquilo C_{1-4} no sustituido, alquilo C_{1-4} sustituido, alquenilo C_{2-4} no sustituido, alquenilo C_{2-4} sustituido, alquinilo C_{2-4} no sustituido, alquinilo C_{2-4} sustituido, haloalquilo C_{1-4}, alcoxi C_{1-4} no sustituido, alcoxi C_{1-4} sustituido, cicloalcoxilo C_{3-6} no sustituido, cicloalcoxilo C_{3-6} sustituido, cicloalquiloxi C_{3-6} no sustituido, cicloalquiloxi C_{3-6} sustituido, arilo no sustituido, arilo sustituido, arilalquilo no sustituido, arilalquilo sustituido, arilalquinilo no sustituido, arilalquinilo sustituido, ariloxi no sustituido, ariloxi sustituido, arilalquiloxi no sustituido, arilalquiloxi sustituido, ariltio no sustituido o ariltio sustituido,

o una sal o un N-óxido del mismo, siempre que si A^1 es metilo y cada R^{11}, R^{13}, R^{14}, R^{15} y R^{16} es hidrógeno, R^{12} no sea cloruro.

Más preferentemente en la fórmula (I')

R_{11} es hidrógeno, F, Cl, CN, alquilo C_{1-3} no sustituido, alquilo C_{1-3} sustituido, haloalquilo C_{1-3}, alcoxi C_{1-3};

R^{12} es hidrógeno, alquilo C_{1-4} no sustituido, alquilo C_{1-4} sustituido, haloalquilo C_{1-4}, alcoxi C_{1-4} no sustituido, alcoxi C_{1-4} sustituido;

R^{13}, R^{14}, R^{15} y R^{16} son, independientemente, hidrógeno, halo, nitro, amino, alquilo C_{1-4} no sustituido, alquilo C_{1-4} sustituido, alquenilo C_{2-4} no sustituido, alquenilo C_{2-4} sustituido, alquinilo C_{2-4} no sustituido, alquinilo C_{2-4} sustituido, alcoxi C_{1-4} no sustituido, alcoxi C_{1-4} sustituido;

A^1 es halo, alquilo C_{1-4} no sustituido, alquilo C_{1-4} sustituido, arilo no sustituido, arilo sustituido, arilalquilo no sustituido, arilalquilo sustituido, arilalquinilo no sustituido, arilalquinilo sustituido, ariloxi no sustituido, ariloxi sustituido, arilalquiloxi no sustituido, arilalquiloxi sustituido, ariltio no sustituido o ariltio sustituido;

o una sal o un N-óxido del mismo.

Preferentemente al menos dos de los sustituyentes R^{13}, R^{14}, R^{15} y R^{16} son H, más preferentemente al menos tres de los sustituyentes R^{13}, R^{14}, R^{15} y R^{16} son H.

Más preferentemente en la fórmula (I')

R^{11} es hidrógeno, F, Cl, alquilo C_{1-2} no sustituido, alquilo C_{1-2} sustituido, alcoxi C_{1-2};

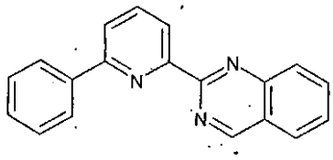
R^{12} es hidrógeno, alquilo C_{1-4} no sustituido, alquilo C_{1-4} sustituido, haloalquilo C_{1-4}, alcoxi C_{1-4} no sustituido, alcoxi C_{1-4} sustituido;

R^{13}, R^{14}, R^{15} y R^{16} son, independientemente, hidrógeno, halo, nitro, amino, alquilo C_{1-4} no sustituido, alquilo C_{1-4} sustituido, alquenilo C_{2-4} no sustituido, alquenilo C_{2-4} sustituido, alquinilo C_{2-4} no sustituido, alquinilo C_{2-4} sustituido, alcoxi C_{1-4} donde al menos dos (más preferentemente al menos tres) de los sustituyentes R^{13}, R^{14}, R^{15} y R^{16} son H

A^1 es halo, arilo no sustituido, arilo sustituido, arilalquilo no sustituido, arilalquilo sustituido, arilalquinilo no sustituido, arilalquinilo sustituido, ariloxi no sustituido, ariloxi sustituido, arilalquiloxi no sustituido, arilalquiloxi sustituido, ariltio no sustituido o ariltio sustituido;

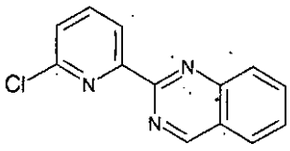
o una sal o un N-óxido del mismo.

Más particularmente, los compuestos para utilizar en la presente invención son mostrados en la Tabla 1 que aparece a continuación. En la Tabla 1 las valencias libres son el punto de unión del sustituyente relevante. Por lo tanto, el compuesto I.a 016 es el siguiente compuesto (2-(6-fenil-piridin-2-il)-quinazolina):



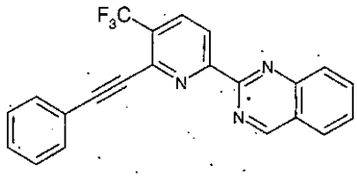
compound I.a 016

Igualmente, el compuesto I.a 001 es el siguiente compuesto (2-(6-cloro-piridin-2-il)-quinazolina):



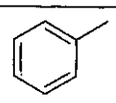
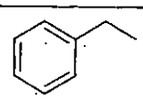
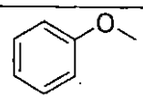
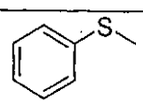
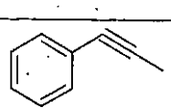
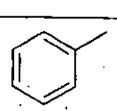
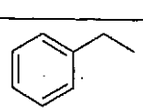
compound I.a 001

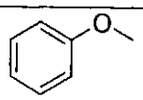
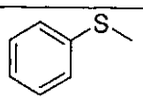
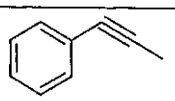
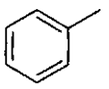
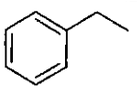
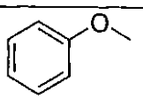
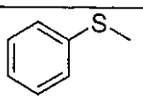
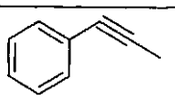
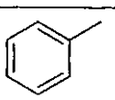
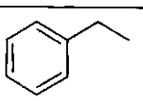
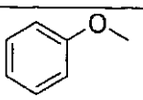
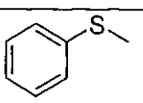
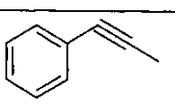
y el compuesto I.a 035 es el siguiente compuesto (2-(5-trifluormetil-6-feniletinil-piridin-2-il)-quinazolina):

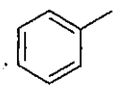
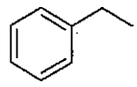
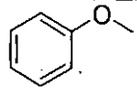
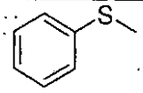
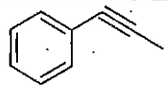
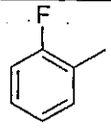
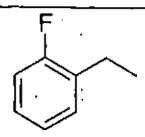
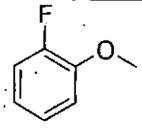
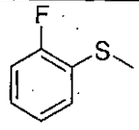
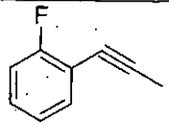
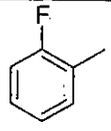


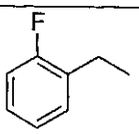
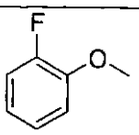
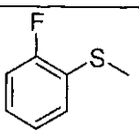
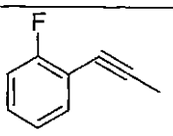
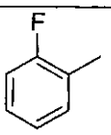
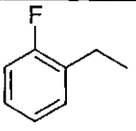
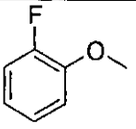
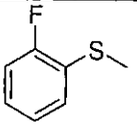
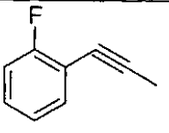
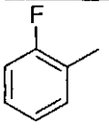
compound I.a 035

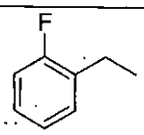
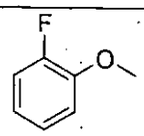
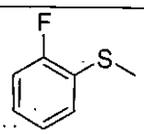
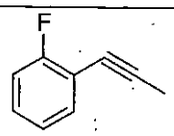
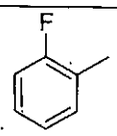
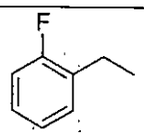
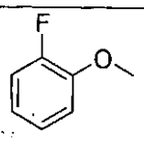
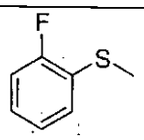
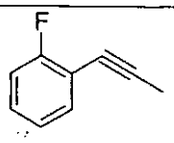
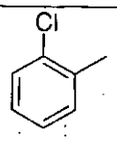
TABLA 1

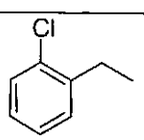
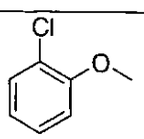
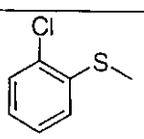
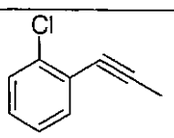
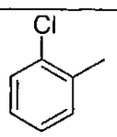
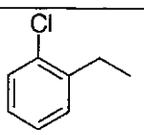
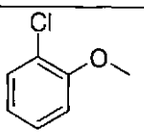
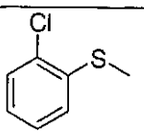
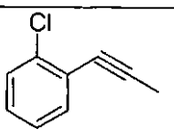
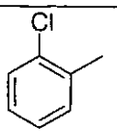
No.	A	R^1
001	Cl	H
002	Cl	CH_3
003	Cl	CH_2CH_3
004	Cl	CF_3
005	Cl	OCH_3
006	Br	H
007	Br	CH_3
008	Br	CH_2CH_3
009	Br	CF_3
010	Br	OCH_3
011	I	H
012	I	CH_3
013	I	CH_2CH_3
014	I	CF_3
015	I	OCH_3
016		H
017		H
018		H
019		H
020		H
021		CH_3
022		CH_3

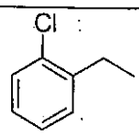
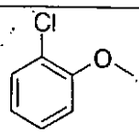
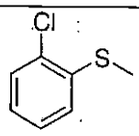
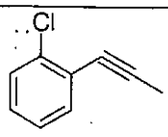
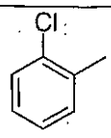
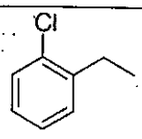
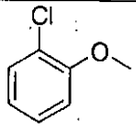
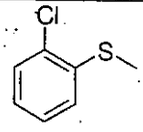
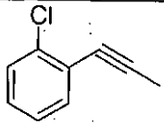
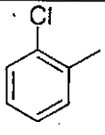
No.	A	R^1
023		CH_3
024		CH_3
025		CH_3
026		CH_2CH_3
027		CH_2CH_3
028		CH_2CH_3
029		CH_2CH_3
030		CH_2CH_3
031		CF_3
032		CF_3
033		CF_3
034		CF_3
035		CF_3

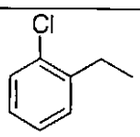
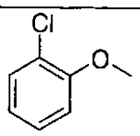
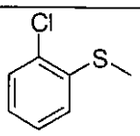
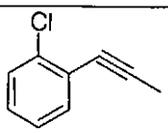
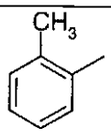
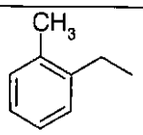
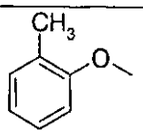
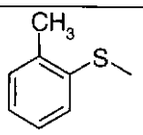
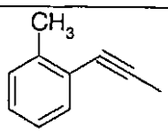
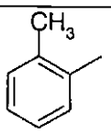
No.	A	R^1
036		OCH_3
037		OCH_3
038		OCH_3
039		OCH_3
040		OCH_3
041		H
042		H
043		H
044		H
045		H
046		CH_3

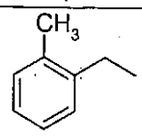
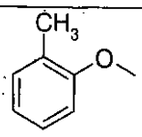
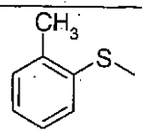
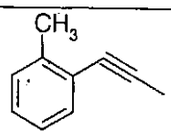
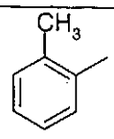
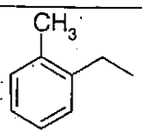
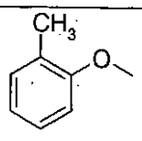
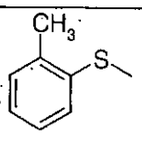
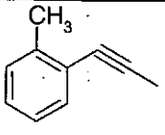
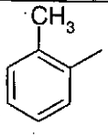
No.	A	R^1
047		CH_3
048		CH_3
049		CH_3
050		CH_3
051		CH_2CH_3
052		CH_2CH_3
053		CH_2CH_3
054		CH_2CH_3
055		CH_2CH_3
056		CF_3

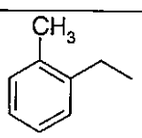
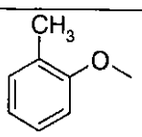
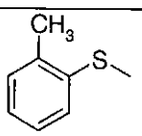
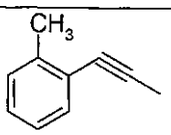
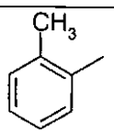
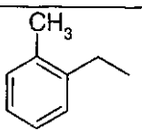
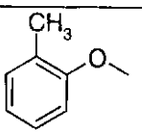
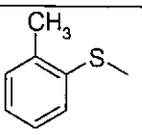
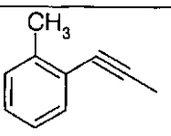
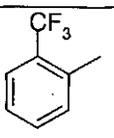
No.	A	R^1
057		CF_3
058		CF_3
059		CF_3
060		CF_3
061		OCH_3
062		OCH_3
063		OCH_3
064		OCH_3
065		OCH_3
066		H

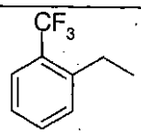
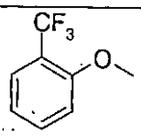
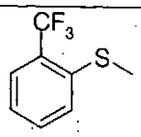
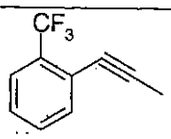
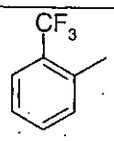
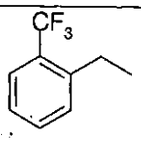
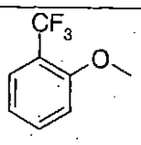
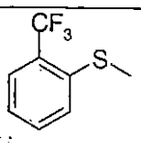
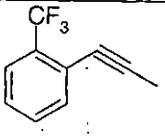
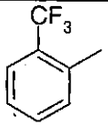
No.	A	R^1
067		H
068		H
069		H
070		H
071		CH_3
072		CH_3
073		CH_3
074		CH_3
075		CH_3
076		CH_2CH_3

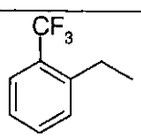
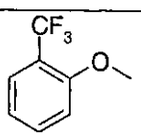
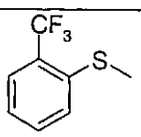
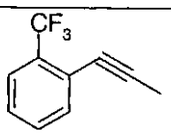
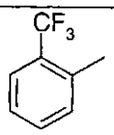
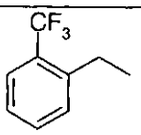
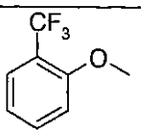
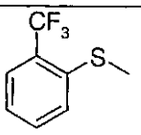
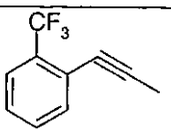
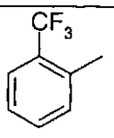
No.	A	R^1
077		CH_2CH_3
078		CH_2CH_3
079		CH_2CH_3
080		CH_2CH_3
081		CF_3
082		CF_3
083		CF_3
084		CF_3
085		CF_3
086		OCH_3

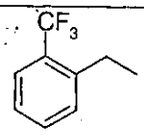
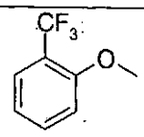
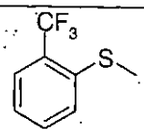
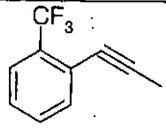
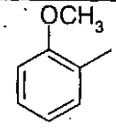
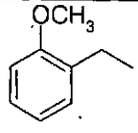
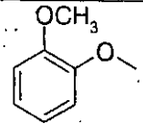
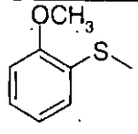
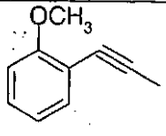
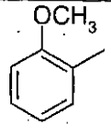
No.	A	R^1
087		OCH_3
088		OCH_3
089		OCH_3
090		OCH_3
091		H
092		H
093		H
094		H
095		H
096		CH_3

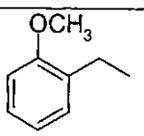
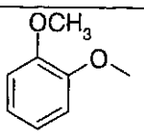
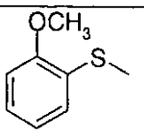
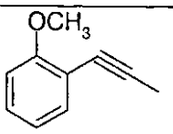
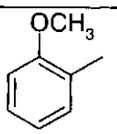
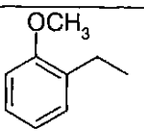
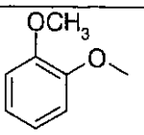
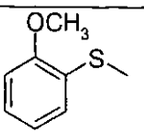
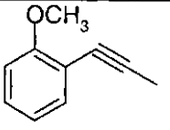
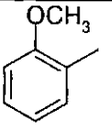
No.	A	R^1
097		CH_3
098		CH_3
099		CH_3
100		CH_3
101		CH_2CH_3
102		CH_2CH_3
103		CH_2CH_3
104		CH_2CH_3
105		CH_2CH_3
106		CF_3

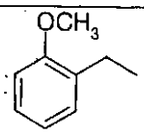
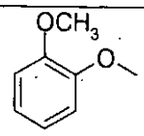
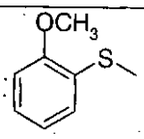
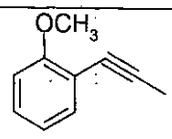
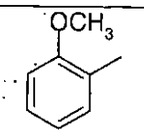
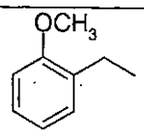
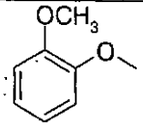
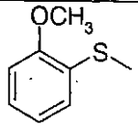
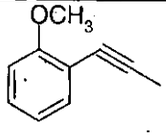
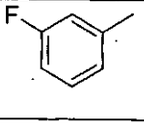
No.	A	R^1
107		CF_3
108		CF_3
109		CF_3
110		CF_3
111		OCH_3
112		OCH_3
113		OCH_3
114		OCH_3
115		OCH_3
116		H

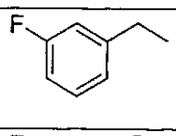
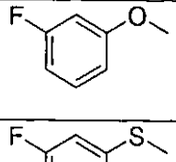
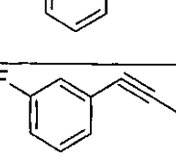
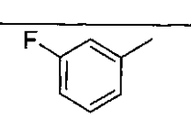
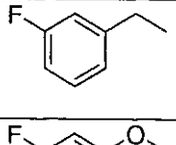
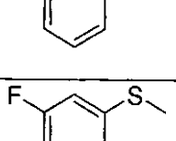
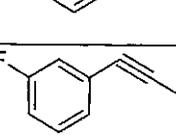
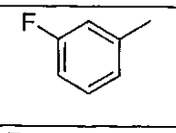
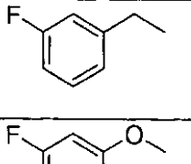
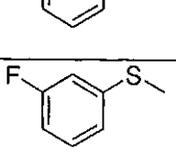
No.	A	R^1
117		H
118		H
119		H
120		H
121		CH_3
122		CH_3
123		CH_3
124		CH_3
125		CH_3
126		CH_2CH_3

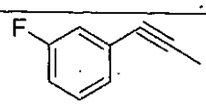
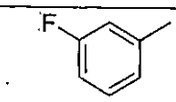
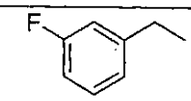
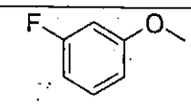
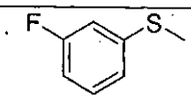
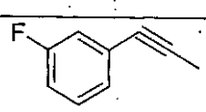
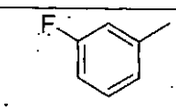
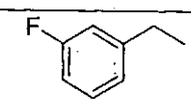
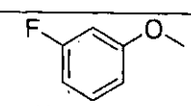
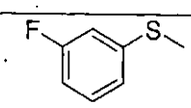
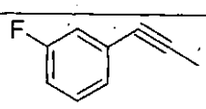
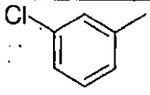
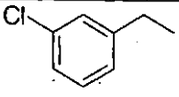
No.	A	R^1
127		CH_2CH_3
128		CH_2CH_3
129		CH_2CH_3
130		CH_2CH_3
131		CF_3
132		CF_3
133		CF_3
134		CF_3
135		CF_3
136		OCH_3

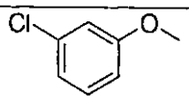
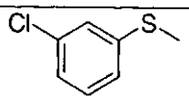
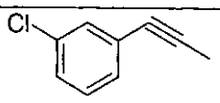
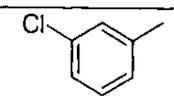
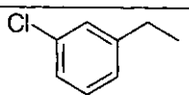
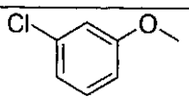
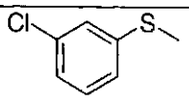
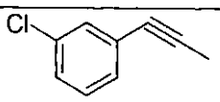
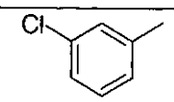
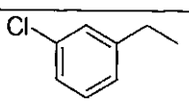
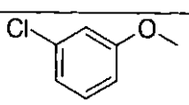
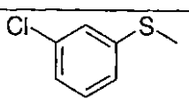
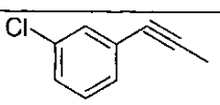
No.	A	R^1
137		OCH_3
138		OCH_3
139		OCH_3
140		OCH_3
141		H
142		H
143		H
144		H
145		H
146		CH_3

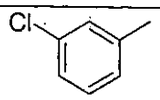
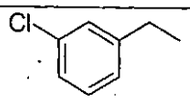
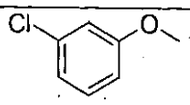
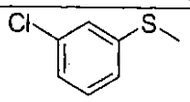
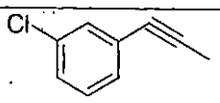
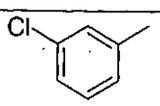
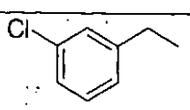
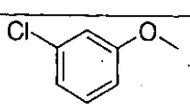
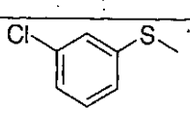
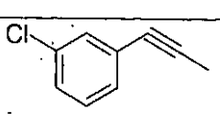
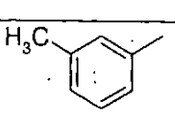
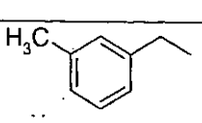
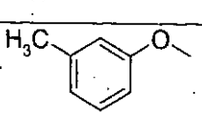
No.	A	R^1
147		CH_3
148		CH_3
149		CH_3
150		CH_3
151		CH_2CH_3
152		CH_2CH_3
153		CH_2CH_3
154		CH_2CH_3
155		CH_2CH_3
156		CF_3

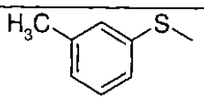
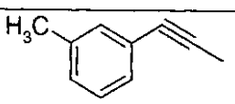
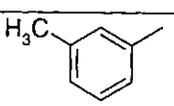
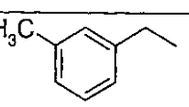
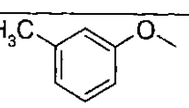
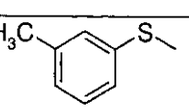
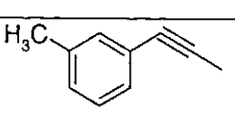
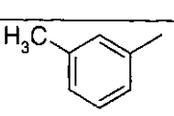
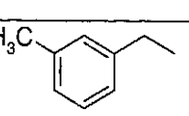
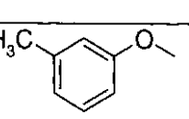
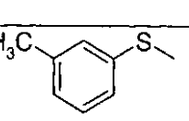
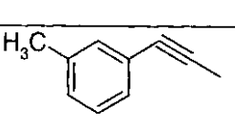
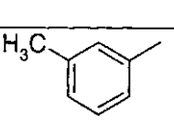
No.	A	R^1
157		CF_3
158		CF_3
159		CF_3
160		CF_3
161		OCH_3
162		OCH_3
163		OCH_3
164		OCH_3
165		OCH_3
166		H

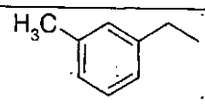
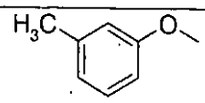
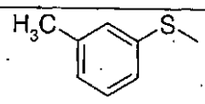
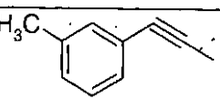
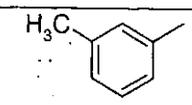
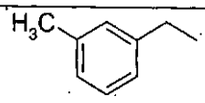
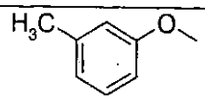
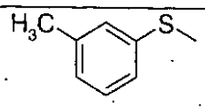
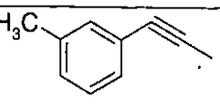
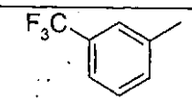
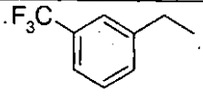
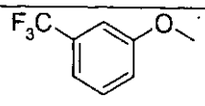
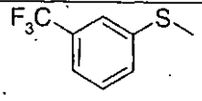
No.	A	R^1
167		H
168		H
169		H
170		H
171		CH_3
172		CH_3
173		CH_3
174		CH_3
175		CH_3
176		CH_2CH_3
177		CH_2CH_3
178		CH_2CH_3
179		CH_2CH_3

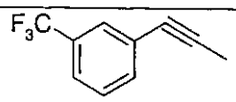
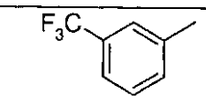
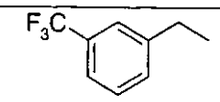
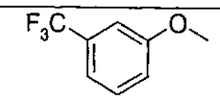
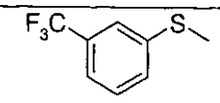
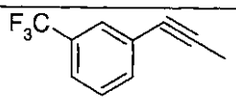
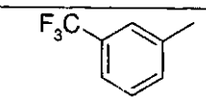
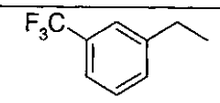
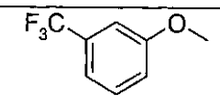
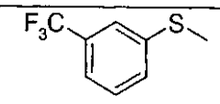
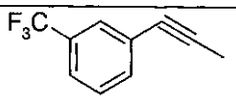
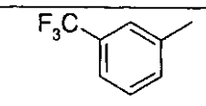
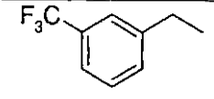
No.	A	R^1
180		CH_2CH_3
181		CF_3
182		CF_3
183		CF_3
184		CF_3
185		CF_3
186		OCH_3
187		OCH_3
188		OCH_3
189		OCH_3
190		OCH_3
191		H
192		H

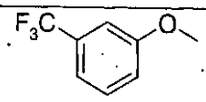
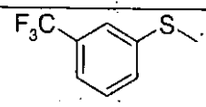
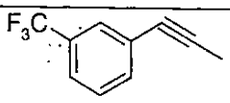
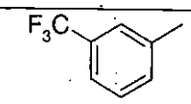
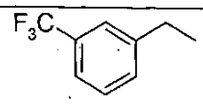
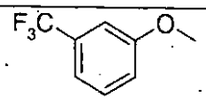
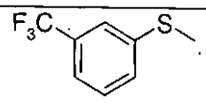
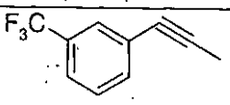
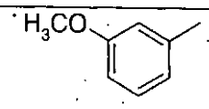
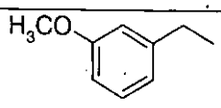
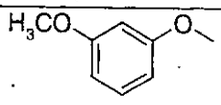
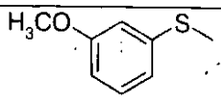
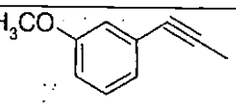
No.	A	R^1
193		H
194		H
195		H
196		CH_3
197		CH_3
198		CH_3
199		CH_3
200		CH_3
201		CH_2CH_3
202		CH_2CH_3
203		CH_2CH_3
204		CH_2CH_3
205		CH_2CH_3

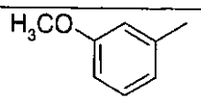
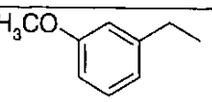
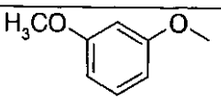
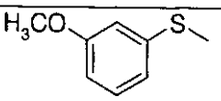
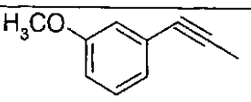
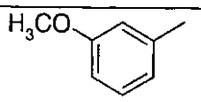
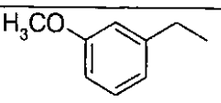
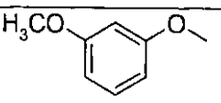
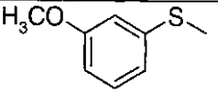
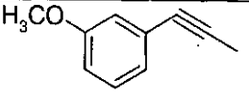
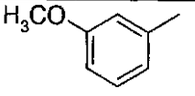
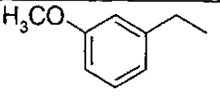
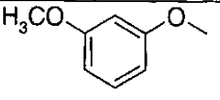
No.	A	R^1
206		CF_3
207		CF_3
208		CF_3
209		CF_3
210		CF_3
211		OCH_3
212		OCH_3
213		OCH_3
214		OCH_3
215		OCH_3
216		H
217		H
218		H

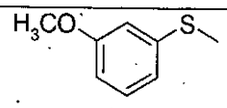
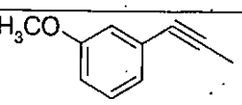
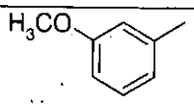
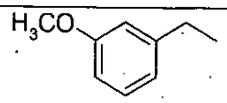
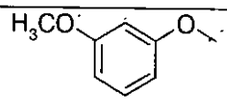
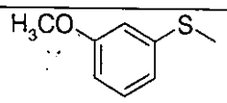
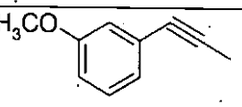
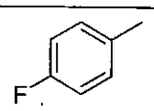
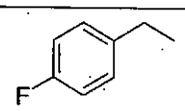
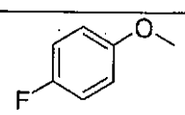
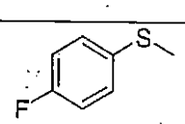
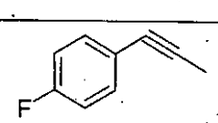
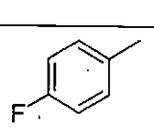
No.	A	R^1
219		H
220		H
221		CH_3
222		CH_3
223		CH_3
224		CH_3
225		CH_3
226		CH_2CH_3
227		CH_2CH_3
228		CH_2CH_3
229		CH_2CH_3
230		CH_2CH_3
231		CF_3

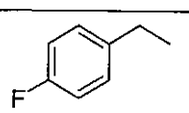
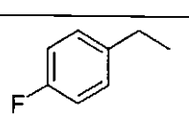
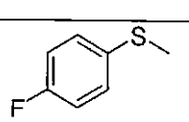
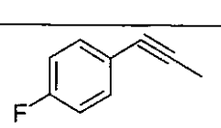
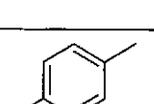
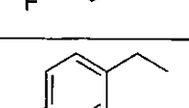
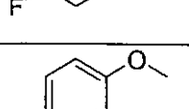
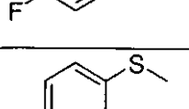
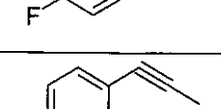
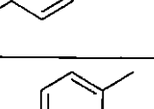
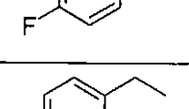
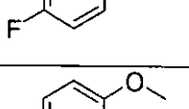
No.	A	R^1
232		CF_3
233		CF_3
234		CF_3
235		CF_3
236		OCH_3
237		OCH_3
238		OCH_3
239		OCH_3
240		OCH_3
241		H
242		H
243		H
244		H

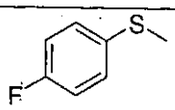
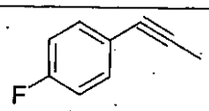
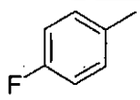
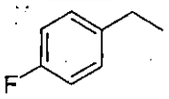
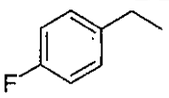
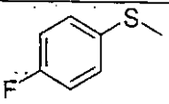
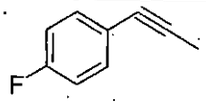
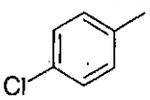
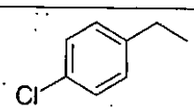
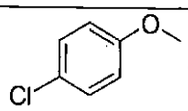
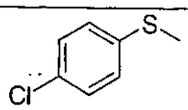
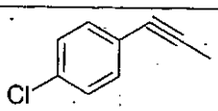
No.	A	R^1
245		H
246		CH_3
247		CH_3
248		CH_3
249		CH_3
250		CH_3
251		CH_2CH_3
252		CH_2CH_3
253		CH_2CH_3
254		CH_2CH_3
255		CH_2CH_3
256		CF_3
257		CF_3

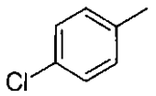
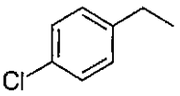
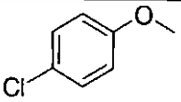
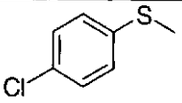
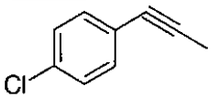
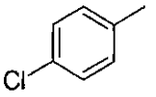
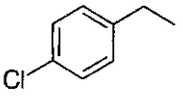
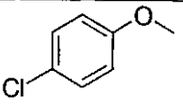
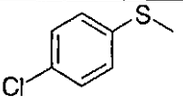
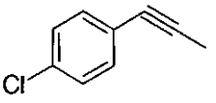
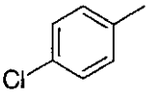
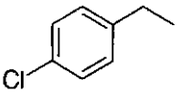
No.	A	R^1
258		CF_3
259		CF_3
260		CF_3
261		OCH_3
262		OCH_3
263		OCH_3
264		OCH_3
265		OCH_3
266		H
267		H
268		H
269		H
270		H

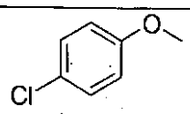
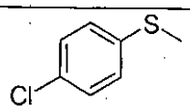
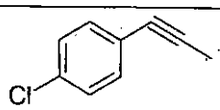
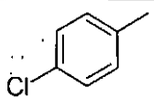
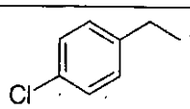
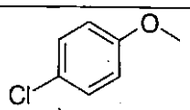
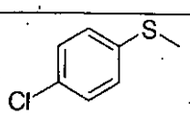
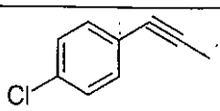
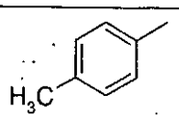
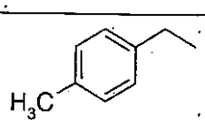
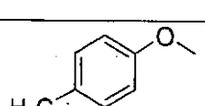
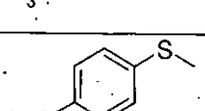
No.	A	R^1
271		CH_3
272		CH_3
273		CH_3
274		CH_3
275		CH_3
276		CH_2CH_3
277		CH_2CH_3
278		CH_2CH_3
279		CH_2CH_3
280		CH_2CH_3
281		CF_3
282		CF_3
283		CF_3

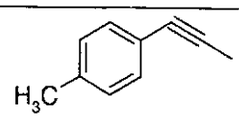
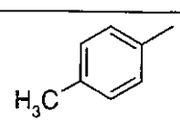
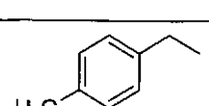
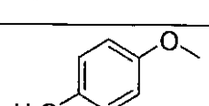
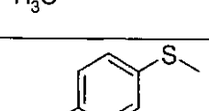
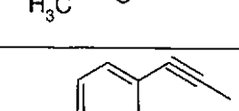
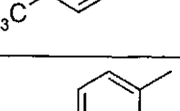
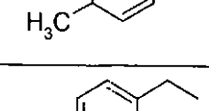
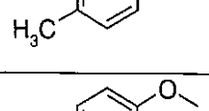
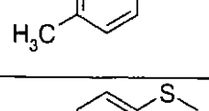
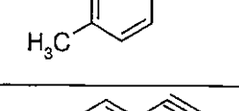
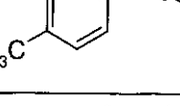
No.	A	R^1
284		CF_3
285		CF_3
286		OCH_3
287		OCH_3
288		OCH_3
289		OCH_3
290		OCH_3
291		H
292		H
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294		H
295		H
296		CH_3

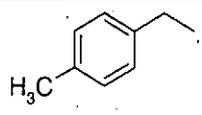
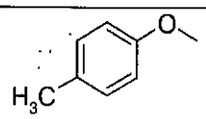
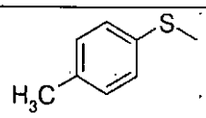
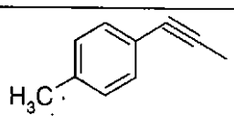
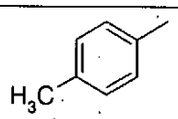
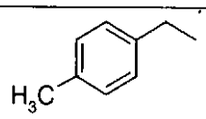
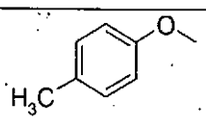
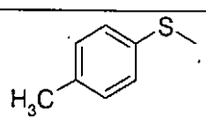
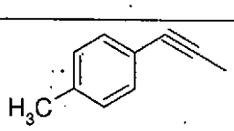
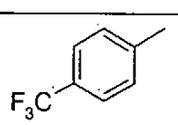
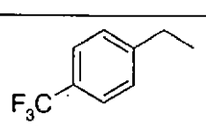
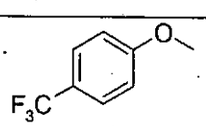
No.	A	R^1
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298		CH_3
299		CH_3
300		CH_3
301		CH_2CH_3
302		CH_2CH_3
303		CH_2CH_3
304		CH_2CH_3
305		CH_2CH_3
306		CF_3
307		CF_3
308		CF_3

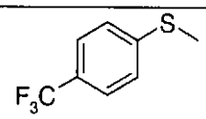
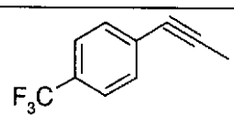
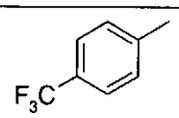
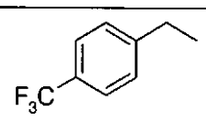
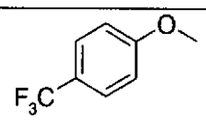
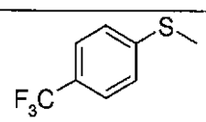
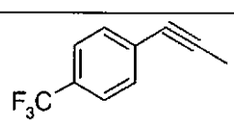
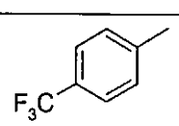
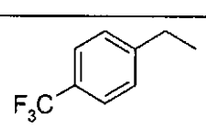
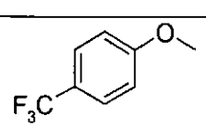
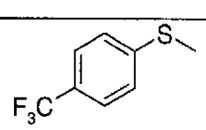
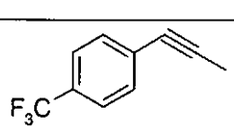
No.	A	R^1
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310		CF_3
311		OCH_3
312		OCH_3
313		OCH_3
314		OCH_3
315		OCH_3
316		H
317		H
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319		H
320		H

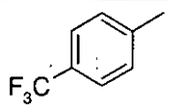
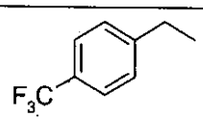
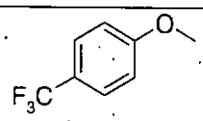
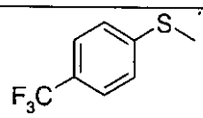
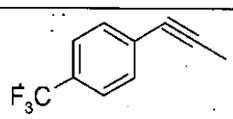
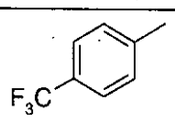
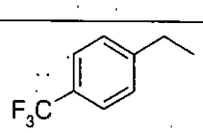
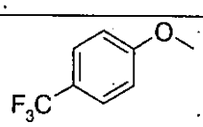
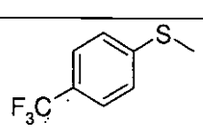
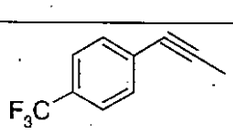
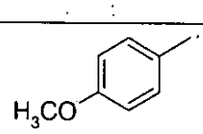
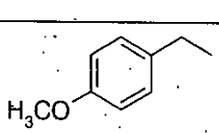
No.	A	R^1
321		CH_3
322		CH_3
323		CH_3
324		CH_3
325		CH_3
326		CH_2CH_3
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329		CH_2CH_3
330		CH_2CH_3
331		CF_3
332		CF_3

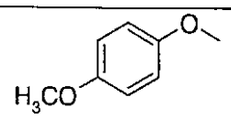
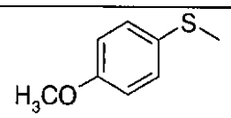
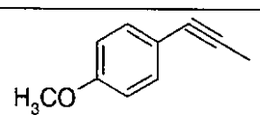
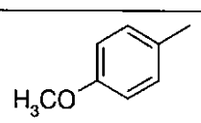
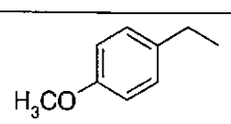
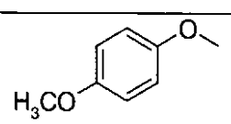
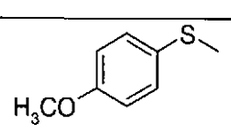
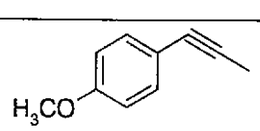
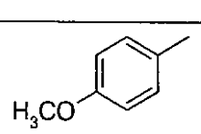
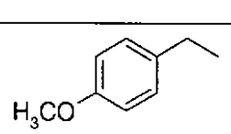
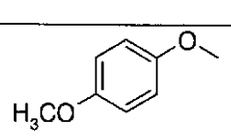
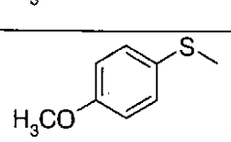
No.	A	R^1
333		CF_3
334		CF_3
335		CF_3
336		OCH_3
337		OCH_3
338		OCH_3
339		OCH_3
340		OCH_3
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344		H

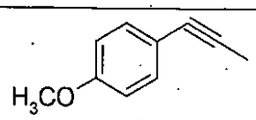
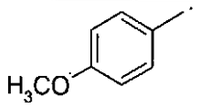
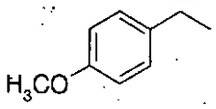
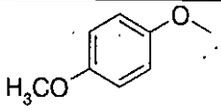
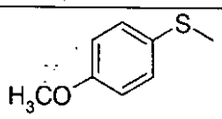
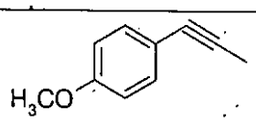
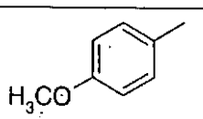
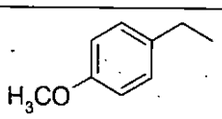
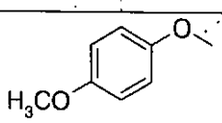
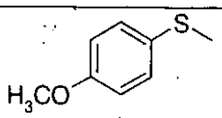
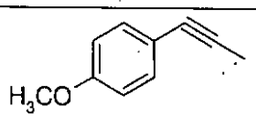
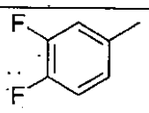
No.	A	R^1
345		H
346		CH_3
347		CH_3
348		CH_3
349		CH_3
350		CH_3
351		CH_2CH_3
352		CH_2CH_3
353		CH_2CH_3
354		CH_2CH_3
355		CH_2CH_3
356		CF_3

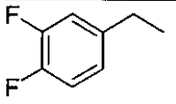
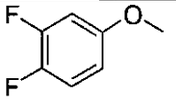
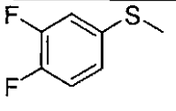
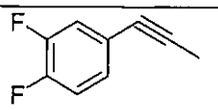
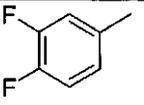
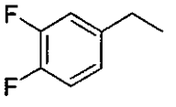
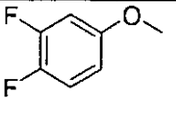
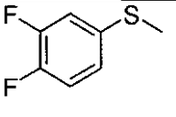
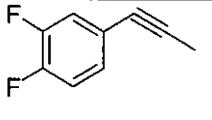
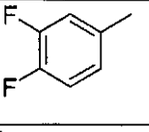
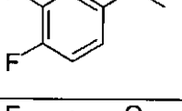
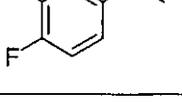
No.	A	R^1
357		CF_3
358		CF_3
359		CF_3
360		CF_3
361		OCH_3
362		OCH_3
363		OCH_3
364		OCH_3
365		OCH_3
366		H
367		H
368		H

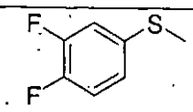
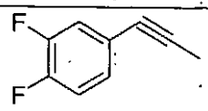
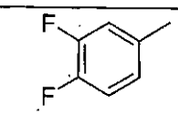
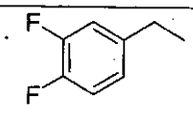
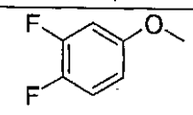
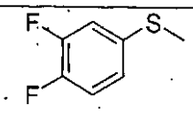
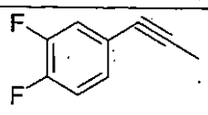
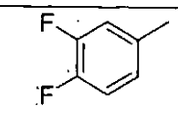
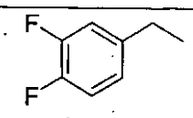
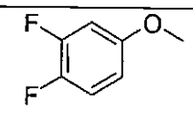
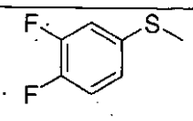
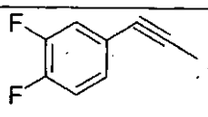
No.	A	R^1
369		H
370		H
371		CH_3
372		CH_3
373		CH_3
374		CH_3
375		CH_3
376		CH_2CH_3
377		CH_2CH_3
378		CH_2CH_3
379		CH_2CH_3
380		CH_2CH_3

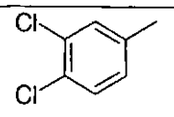
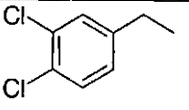
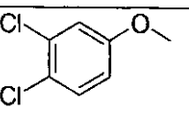
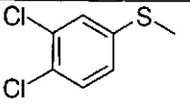
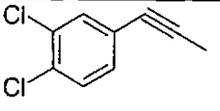
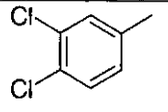
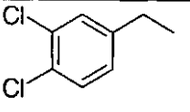
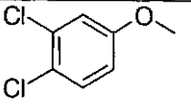
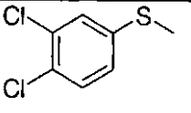
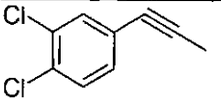
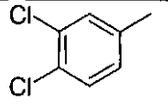
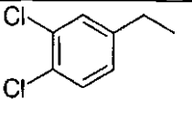
No.	A	R^1
381		CF_3
382		CF_3
383		CF_3
384		CF_3
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387		OCH_3
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391		H
392		H

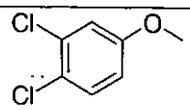
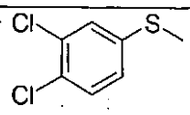
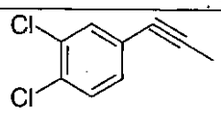
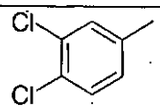
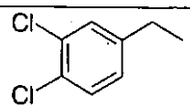
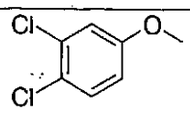
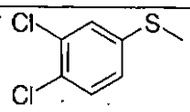
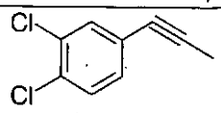
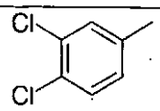
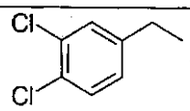
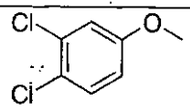
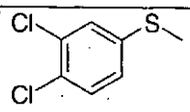
No.	A	R^1
393		H
394		H
395		H
396		CH_3
397		CH_3
398		CH_3
399		CH_3
400		CH_3
401		CH_2CH_3
402		CH_2CH_3
403		CH_2CH_3
404		CH_2CH_3

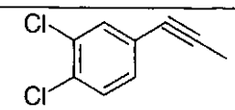
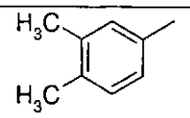
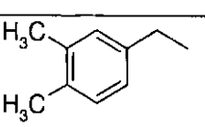
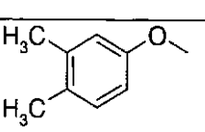
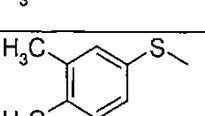
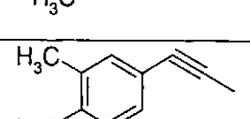
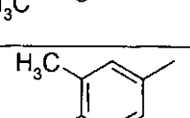
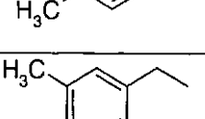
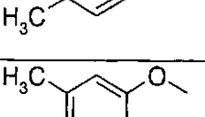
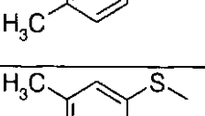
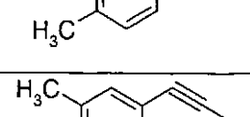
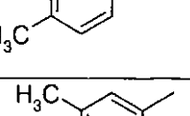
No.	A	R^1
405		CH_2CH_3
406		CF_3
407		CF_3
408		CF_3
409		CF_3
410		CF_3
411		OCH_3
412		OCH_3
413		OCH_3
414		OCH_3
415		OCH_3
416		H

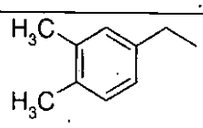
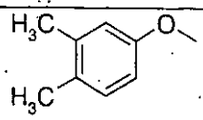
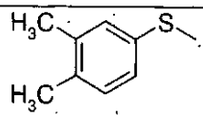
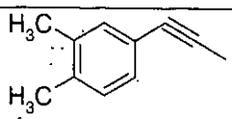
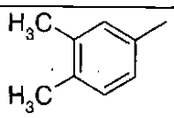
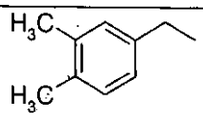
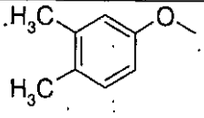
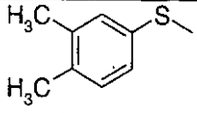
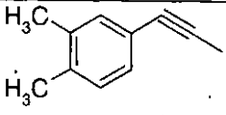
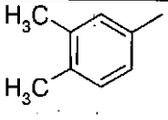
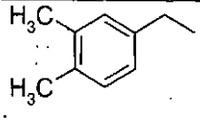
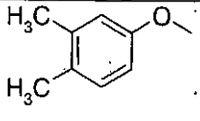
No.	A	R^1
417		H
418		H
419		H
420		H
421		CH_3
422		CH_3
423		CH_3
424		CH_3
425		CH_3
426		CH_2CH_3
427		CH_2CH_3
428		CH_2CH_3

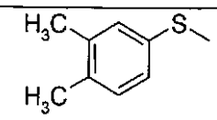
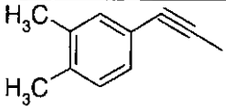
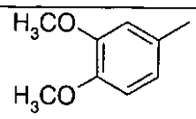
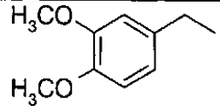
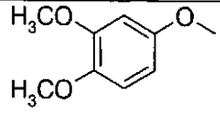
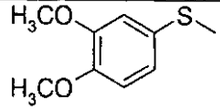
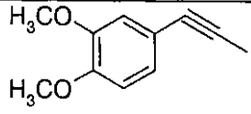
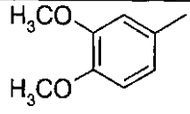
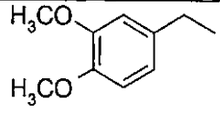
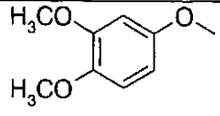
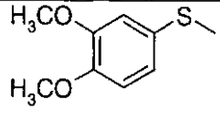
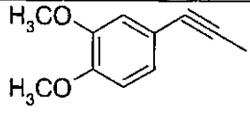
No.	A	R^1
429		CH_2CH_3
430		CH_2CH_3
431		CF_3
432		CF_3
433		CF_3
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435		CF_3
436		OCH_3
437		OCH_3
438		OCH_3
439		OCH_3
440		OCH_3

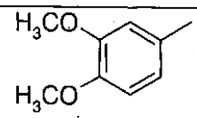
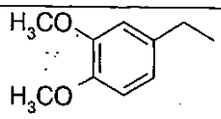
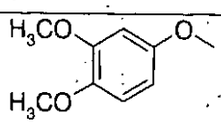
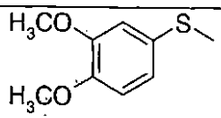
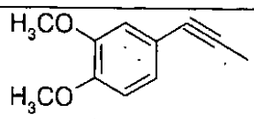
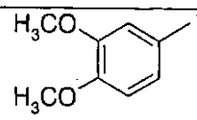
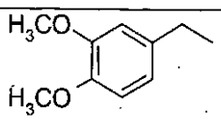
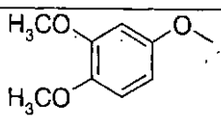
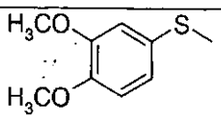
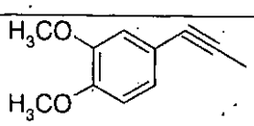
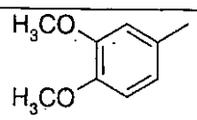
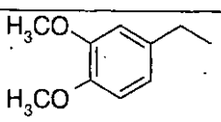
No.	A	R^1
441		H
442		H
443		H
444		H
445		H
446		CH_3
447		CH_3
448		CH_3
449		CH_3
450		CH_3
451		CH_2CH_3
452		CH_2CH_3

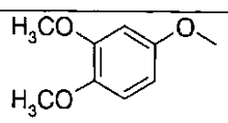
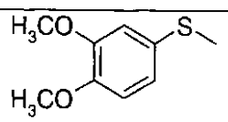
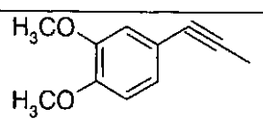
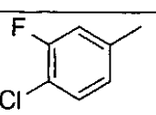
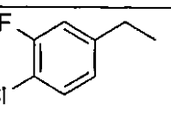
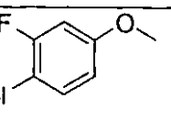
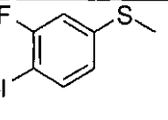
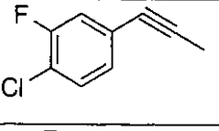
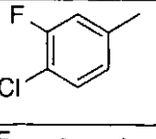
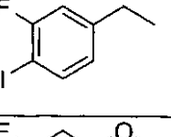
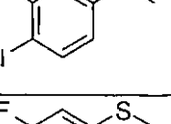
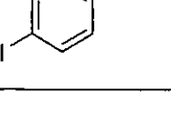
No.	A	R^1
453		CH_2CH_3
454		CH_2CH_3
455		CH_2CH_3
456		CF_3
457		CF_3
458		CF_3
459		CF_3
460		CF_3
461		OCH_3
462		OCH_3
463		OCH_3
464		OCH_3

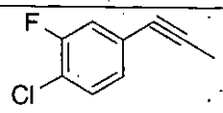
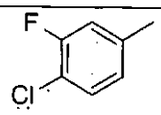
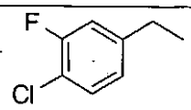
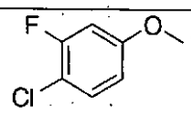
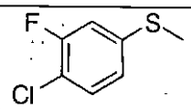
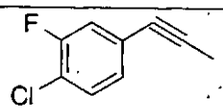
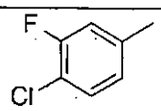
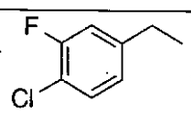
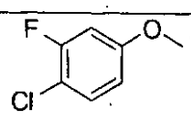
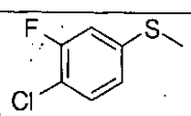
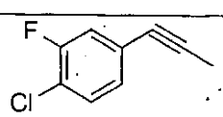
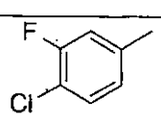
No.	A	R^1
465		OCH_3
466		H
467		H
468		H
469		H
470		H
471		CH_3
472		CH_3
473		CH_3
474		CH_3
475		CH_3
476		CH_2CH_3

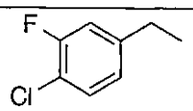
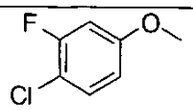
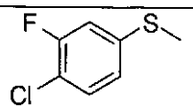
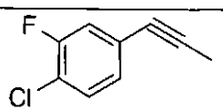
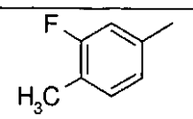
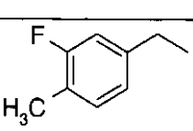
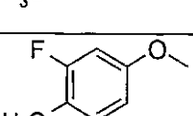
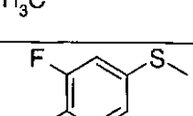
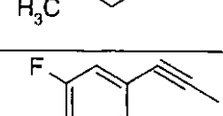
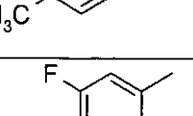
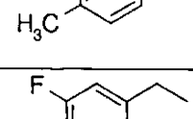
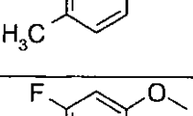
No.	A	R^1
477		CH_2CH_3
478		CH_2CH_3
479		CH_2CH_3
480		CH_2CH_3
481		CF_3
482		CF_3
483		CF_3
484		CF_3
485		CF_3
486		OCH_3
487		OCH_3
488		OCH_3

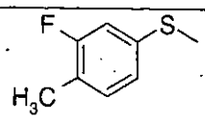
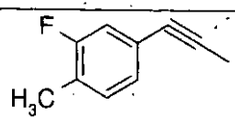
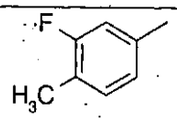
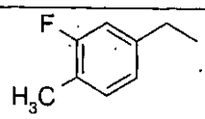
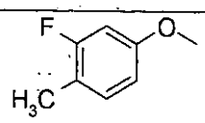
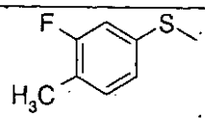
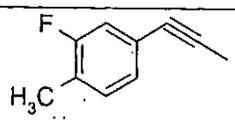
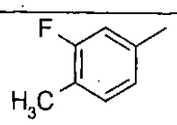
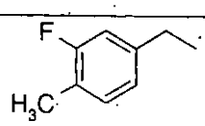
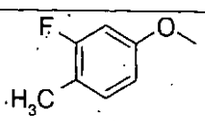
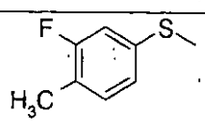
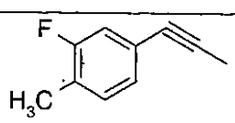
No.	A	R^1
489		OCH_3
490		OCH_3
491		H
492		H
493		H
494		H
495		H
496		CH_3
497		CH_3
498		CH_3
499		CH_3
500		CH_3

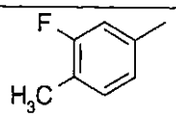
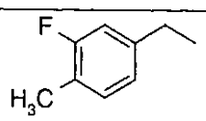
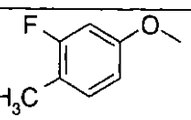
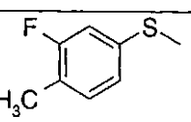
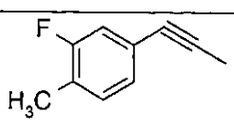
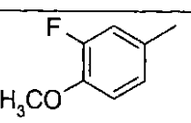
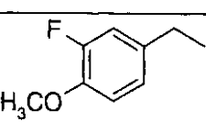
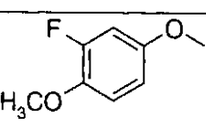
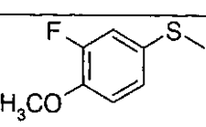
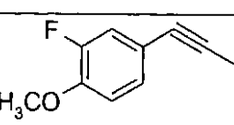
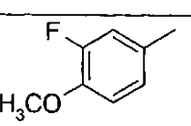
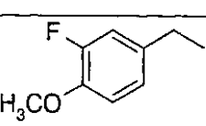
No.	A	R^1
501		CH_2CH_3
502		CH_2CH_3
503		CH_2CH_3
504		CH_2CH_3
505		CH_2CH_3
506		CF_3
507		CF_3
508		CF_3
509		CF_3
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512		OCH_3

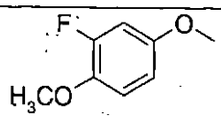
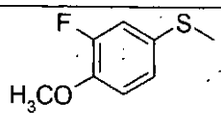
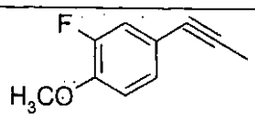
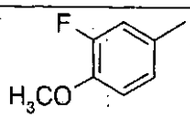
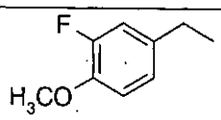
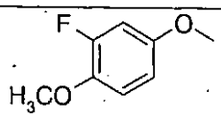
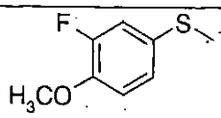
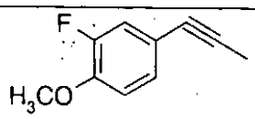
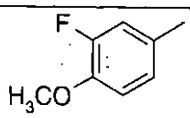
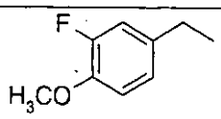
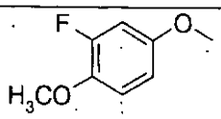
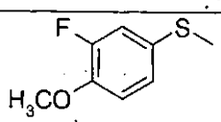
No.	A	R^1
513		OCH_3
514		OCH_3
515		OCH_3
516		H
517		H
518		H
519		H
520		H
521		CH_3
522		CH_3
523		CH_3
524		CH_3

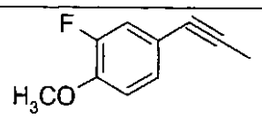
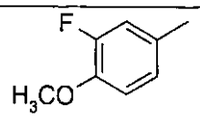
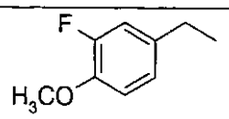
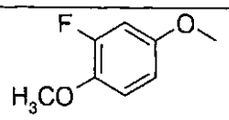
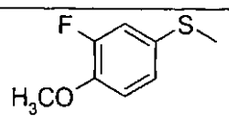
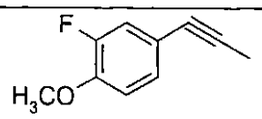
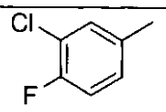
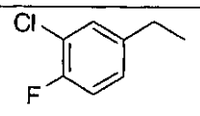
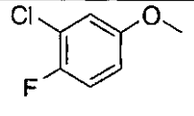
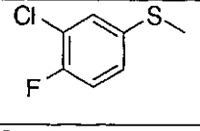
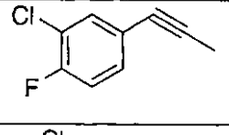
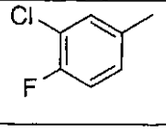
No.	A	R^1
525		CH_3
526		CH_2CH_3
527		CH_2CH_3
528		CH_2CH_3
529		CH_2CH_3
530		CH_2CH_3
531		CF_3
532		CF_3
533		CF_3
534		CF_3
535		CF_3
536		OCH_3

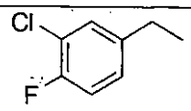
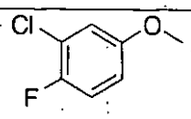
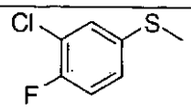
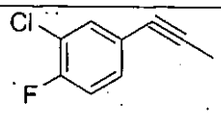
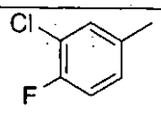
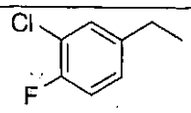
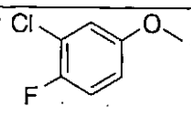
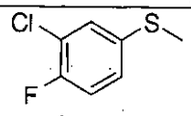
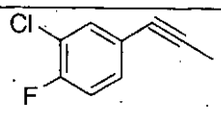
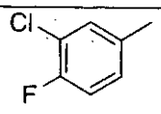
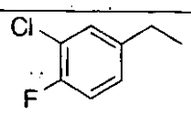
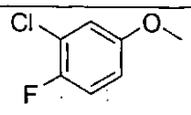
No.	A	R^1
537		OCH_3
538		OCH_3
539		OCH_3
540		OCH_3
541		H
542		H
543		H
544		H
555		H
556		CH_3
557		CH_3
558		CH_3

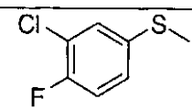
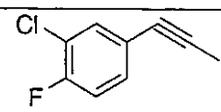
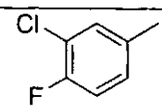
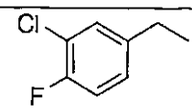
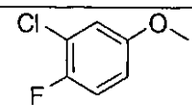
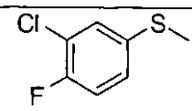
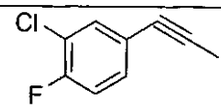
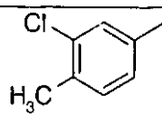
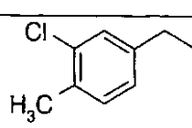
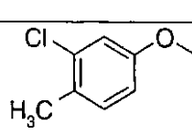
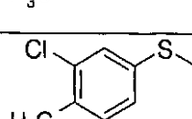
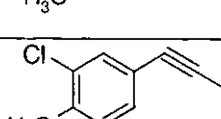
No.	A	R^1
559		CH_3
560		CH_3
561		CH_2CH_3
562		CH_2CH_3
563		CH_2CH_3
564		CH_2CH_3
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566		CF_3
567		CF_3
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570		CF_3

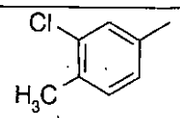
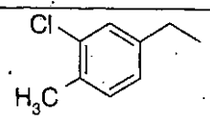
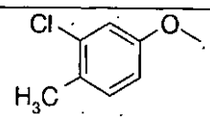
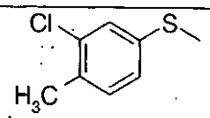
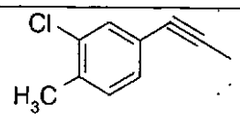
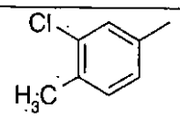
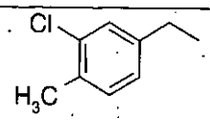
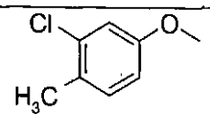
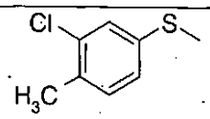
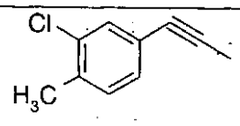
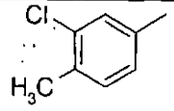
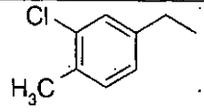
No.	A	R^1
571		OCH_3
572		OCH_3
573		OCH_3
574		OCH_3
575		OCH_3
576		H
577		H
578		H
579		H
580		H
581		CH_3
582		CH_3

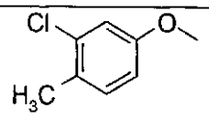
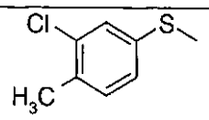
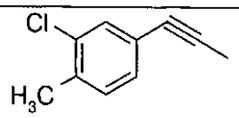
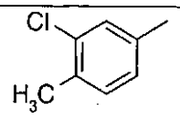
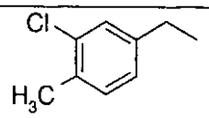
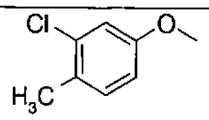
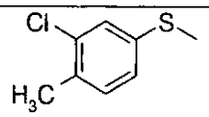
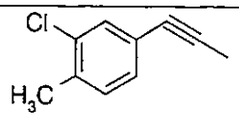
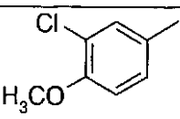
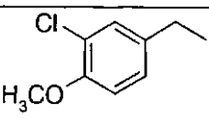
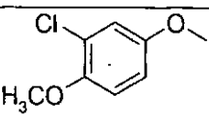
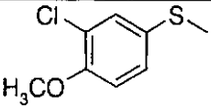
No.	A	R^1
583		CH_3
584		CH_3
585		CH_3
586		CH_2CH_3
587		CH_2CH_3
588		CH_2CH_3
589		CH_2CH_3
590		CH_2CH_3
591		CF_3
592		CF_3
593		CF_3
594		CF_3

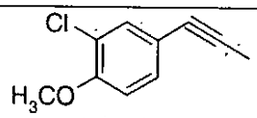
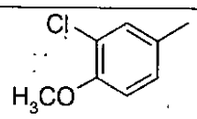
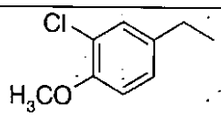
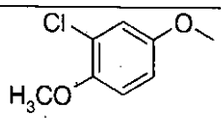
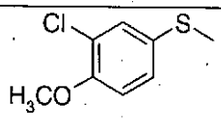
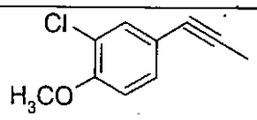
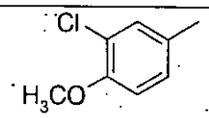
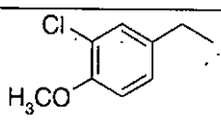
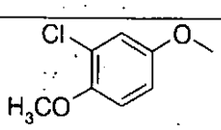
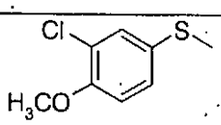
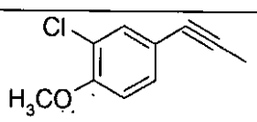
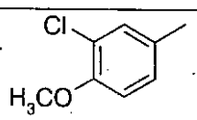
No.	A	R^1
595		CF_3
596		OCH_3
597		OCH_3
598		OCH_3
599		OCH_3
600		OCH_3
601		H
602		H
603		H
604		H
605		H
606		CH_3

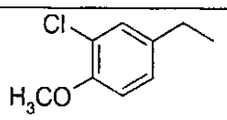
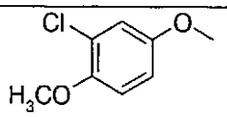
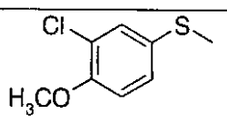
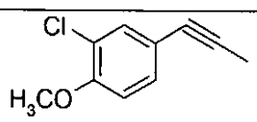
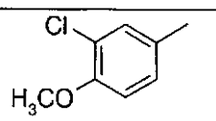
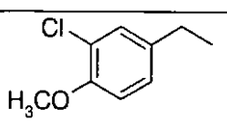
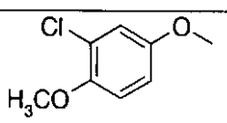
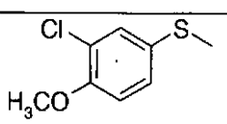
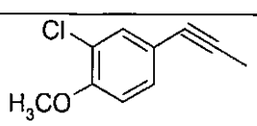
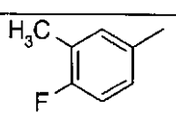
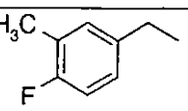
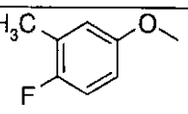
No.	A	R^1
607		CH_3
608		CH_3
609		CH_3
610		CH_3
611		CH_2CH_3
612		CH_2CH_3
613		CH_2CH_3
614		CH_2CH_3
615		CH_2CH_3
616		CF_3
617		CF_3
618		CF_3

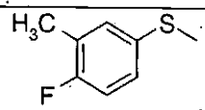
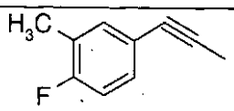
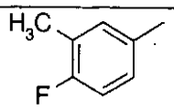
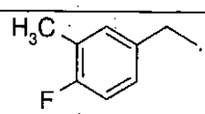
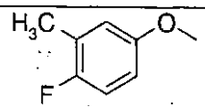
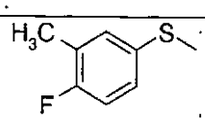
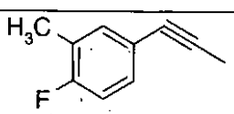
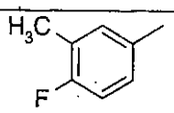
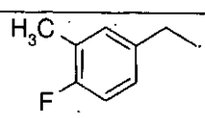
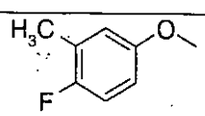
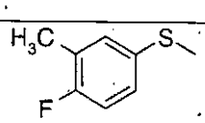
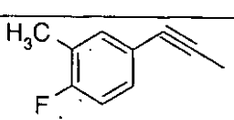
No.	A	R^1
619		CF_3
620		CF_3
621		OCH_3
622		OCH_3
623		OCH_3
624		OCH_3
625		OCH_3
626		H
627		H
628		H
629		H
630		H

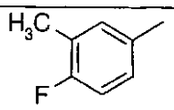
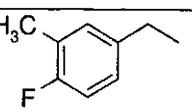
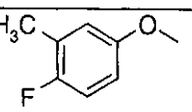
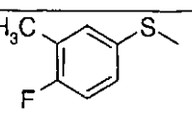
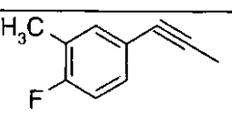
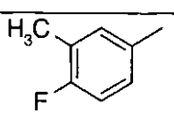
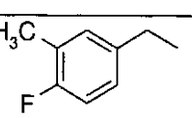
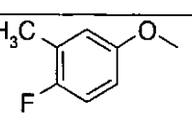
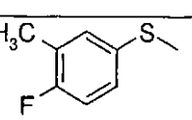
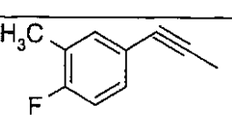
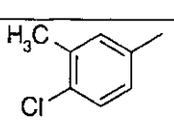
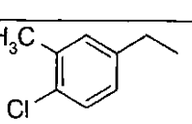
No.	A	R^1
631		CH_3
632		CH_3
633		CH_3
634		CH_3
635		CH_3
636		CH_2CH_3
637		CH_2CH_3
638		CH_2CH_3
639		CH_2CH_3
640		CH_2CH_3
641		CF_3
642		CF_3

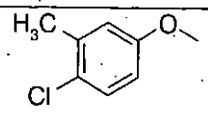
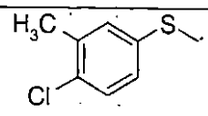
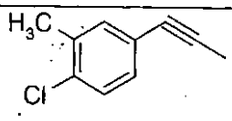
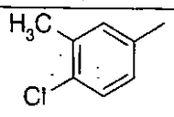
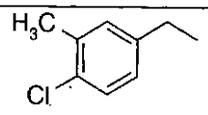
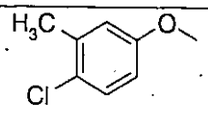
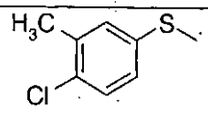
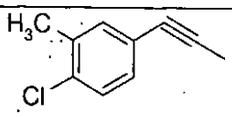
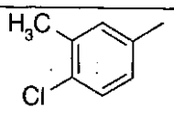
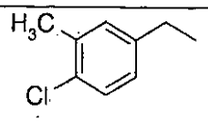
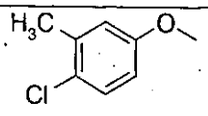
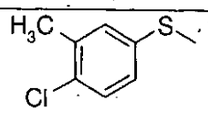
No.	A	R^1
643		CF_3
644		CF_3
645		CF_3
646		OCH_3
647		OCH_3
648		OCH_3
649		OCH_3
650		OCH_3
651		H
652		H
653		H
654		H

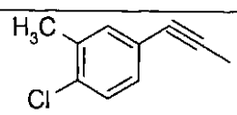
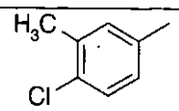
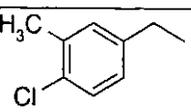
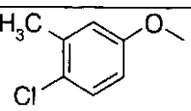
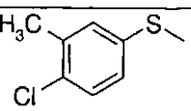
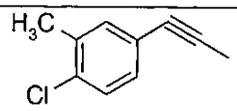
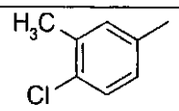
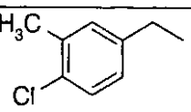
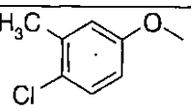
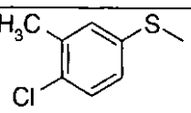
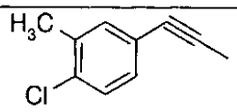
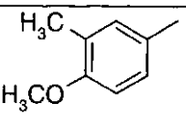
No.	A	R^1
655		H
656		CH_3
657		CH_3
658		CH_3
659		CH_3
660		CH_3
661		CH_2CH_3
662		CH_2CH_3
663		CH_2CH_3
664		CH_2CH_3
665		CH_2CH_3
666		CF_3

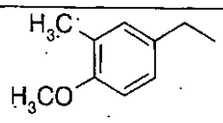
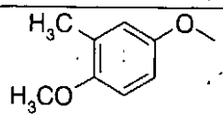
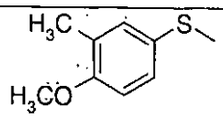
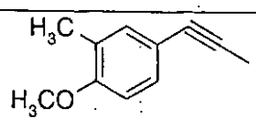
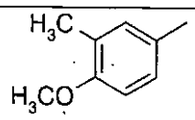
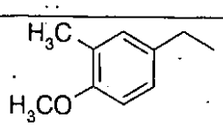
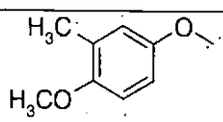
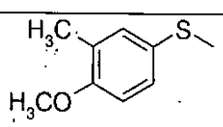
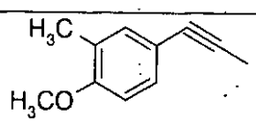
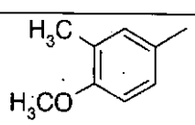
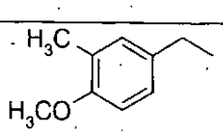
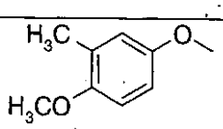
No.	A	R^1
667		CF_3
668		CF_3
669		CF_3
670		CF_3
671		OCH_3
672		OCH_3
673		OCH_3
674		OCH_3
675		OCH_3
676		H
677		H
678		H

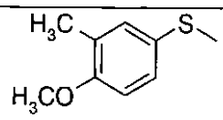
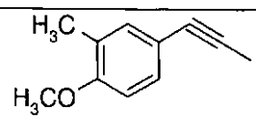
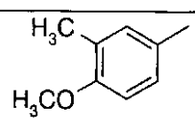
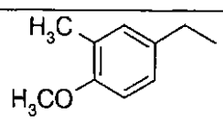
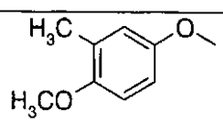
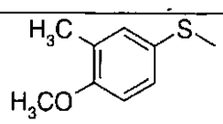
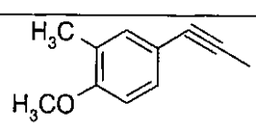
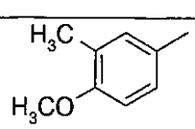
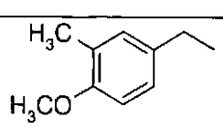
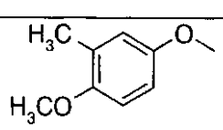
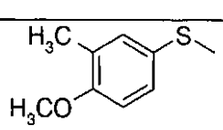
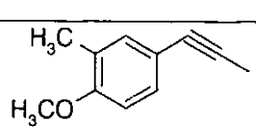
No.	A	R^1
679		H
680		H
681		CH_3
682		CH_3
683		CH_3
684		CH_3
685		CH_3
686		CH_2CH_3
687		CH_2CH_3
688		CH_2CH_3
689		CH_2CH_3
690		CH_2CH_3

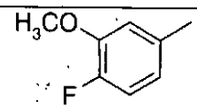
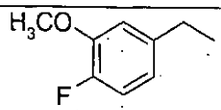
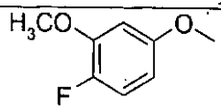
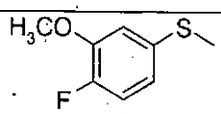
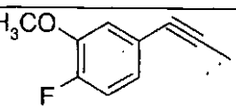
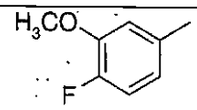
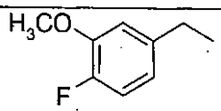
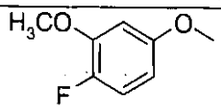
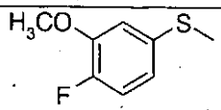
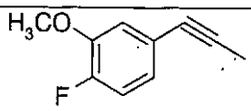
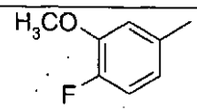
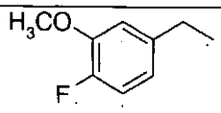
No.	A	R^1
691		CF_3
692		CF_3
693		CF_3
694		CF_3
695		CF_3
696		OCH_3
697		OCH_3
698		OCH_3
699		OCH_3
700		OCH_3
701		H
702		H

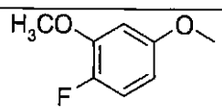
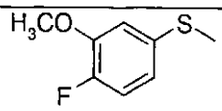
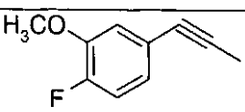
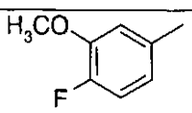
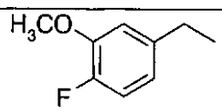
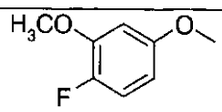
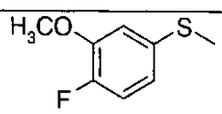
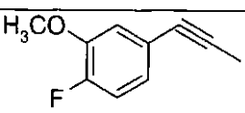
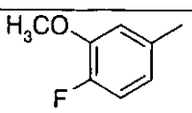
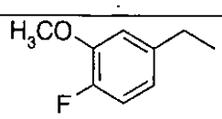
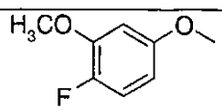
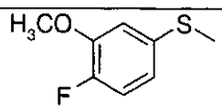
No.	A	R^1
703		H
704		H
705		H
706		CH_3
707		CH_3
708		CH_3
709		CH_3
710		CH_3
711		CH_2CH_3
712		CH_2CH_3
713		CH_2CH_3
714		CH_2CH_3

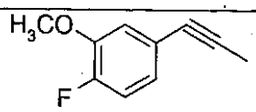
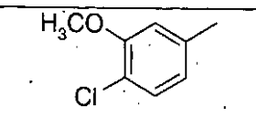
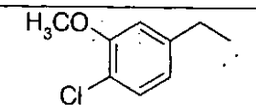
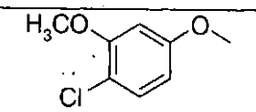
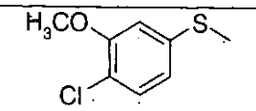
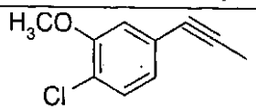
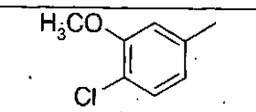
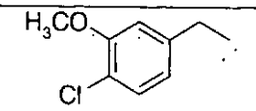
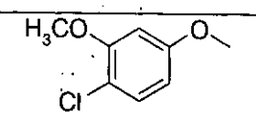
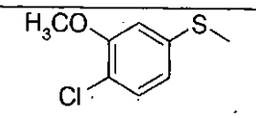
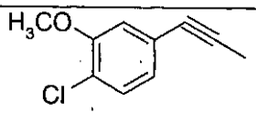
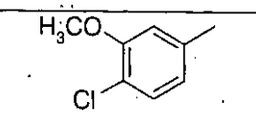
No.	A	R^1
715		CH_2CH_3
716		CF_3
717		CF_3
718		CF_3
719		CF_3
720		CF_3
721		OCH_3
722		OCH_3
723		OCH_3
724		OCH_3
725		OCH_3
726		H

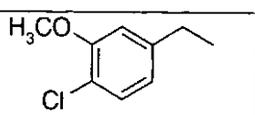
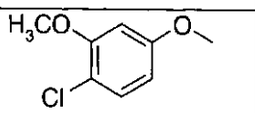
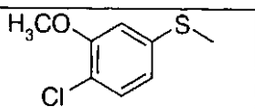
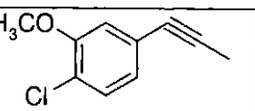
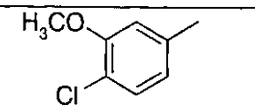
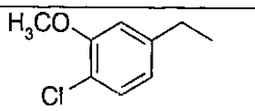
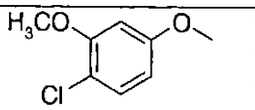
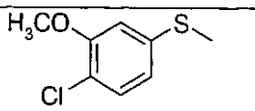
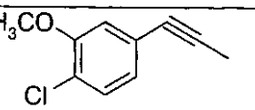
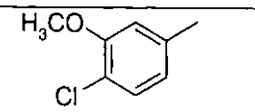
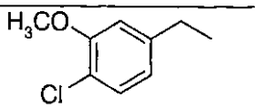
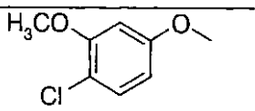
No.	A	R^1
727		H
728		H
729		H
730		H
731		CH_3
732		CH_3
733		CH_3
734		CH_3
735		CH_3
736		CH_2CH_3
737		CH_2CH_3
738		CH_2CH_3

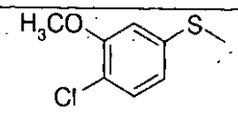
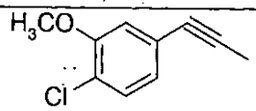
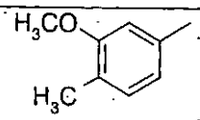
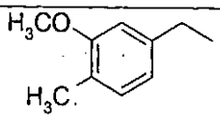
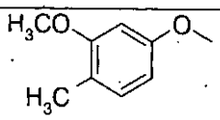
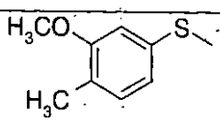
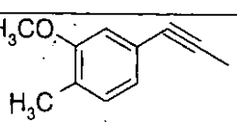
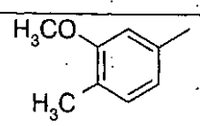
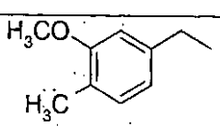
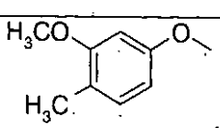
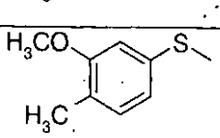
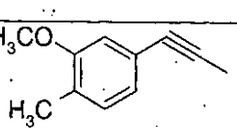
No.	A	R^1
739		CH_2CH_3
740		CH_2CH_3
741		CF_3
742		CF_3
743		CF_3
744		CF_3
745		CF_3
746		OCH_3
747		OCH_3
748		OCH_3
749		OCH_3
750		OCH_3

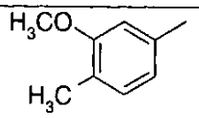
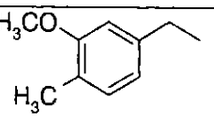
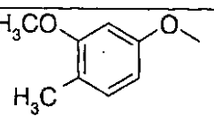
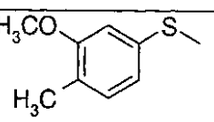
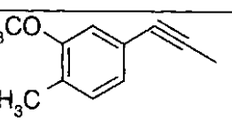
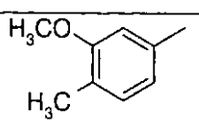
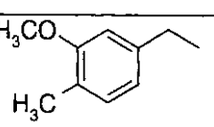
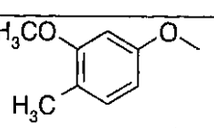
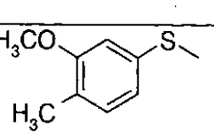
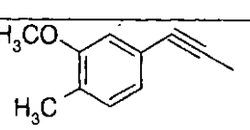
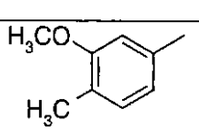
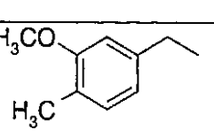
No.	A	R^1
751		H
752		H
753		H
754		H
755		H
756		CH_3
757		CH_3
758		CH_3
759		CH_3
760		CH_3
761		CH_2CH_3
762		CH_2CH_3

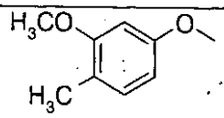
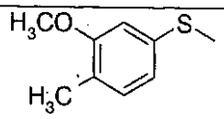
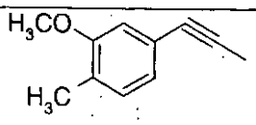
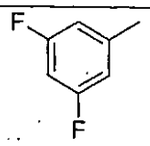
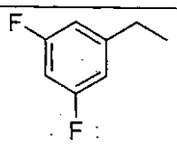
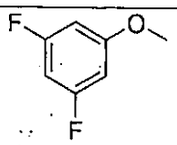
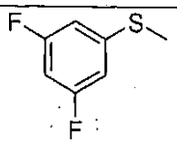
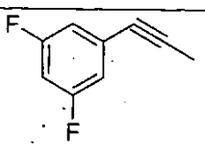
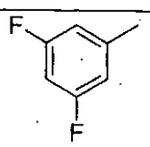
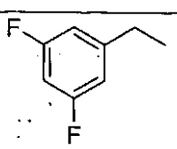
No.	A	R^1
763		CH_2CH_3
764		CH_2CH_3
765		CH_2CH_3
766		CF_3
767		CF_3
768		CF_3
769		CF_3
770		CF_3
771		OCH_3
772		OCH_3
773		OCH_3
774		OCH_3

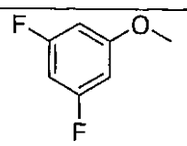
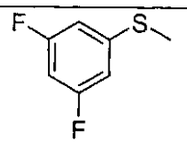
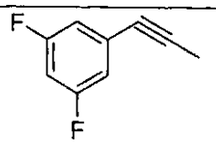
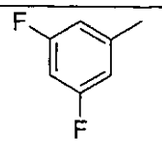
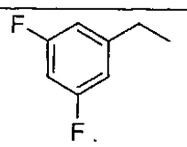
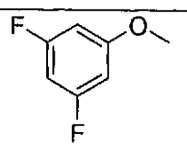
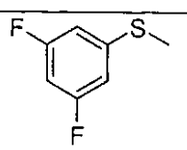
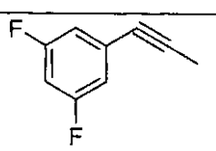
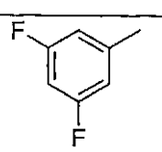
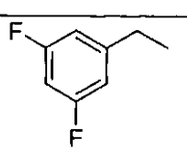
No.	A	R^1
775		OCH_3
776		H
777		H
778		H
779		H
780		H
781		CH_3
782		CH_3
783		CH_3
784		CH_3
785		CH_3
786		CH_2CH_3

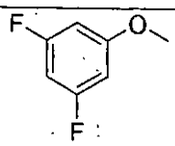
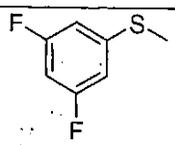
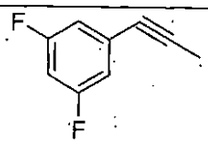
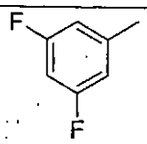
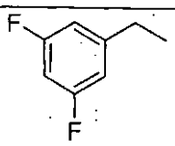
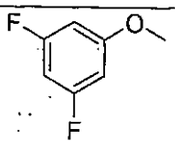
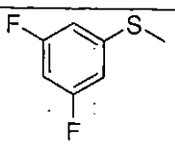
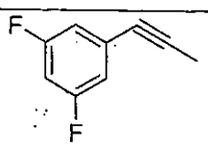
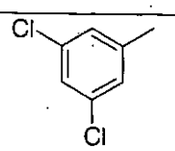
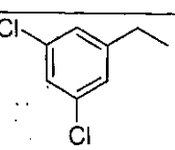
No.	A	R^1
787		CH_2CH_3
788		CH_2CH_3
789		CH_2CH_3
790		CH_2CH_3
791		CF_3
792		CF_3
793		CF_3
794		CF_3
795		CF_3
796		OCH_3
797		OCH_3
798		OCH_3

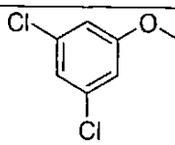
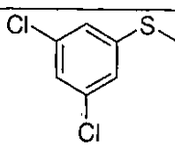
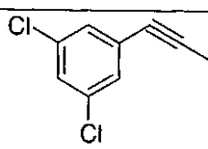
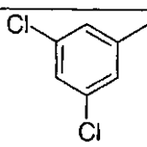
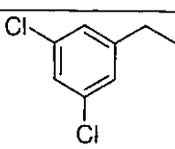
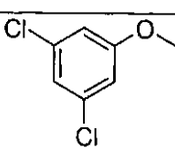
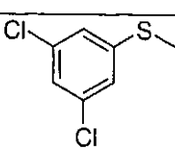
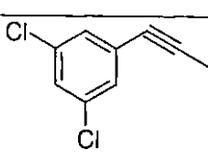
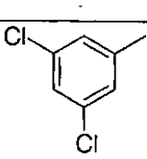
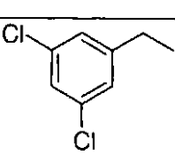
No.	A	R^1
799		OCH_3
800		OCH_3
801		H
802		H
803		H
804		H
805		H
806		CH_3
807		CH_3
808		CH_3
809		CH_3
810		CH_3

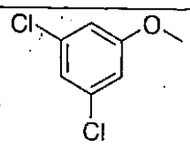
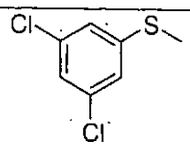
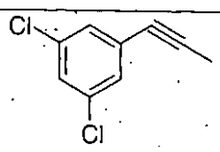
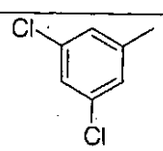
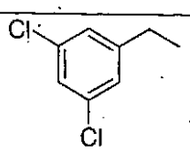
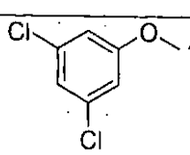
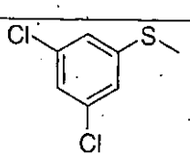
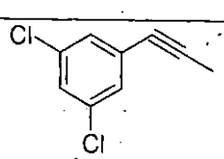
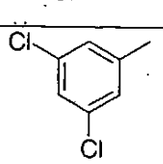
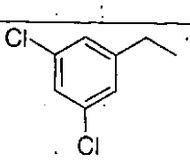
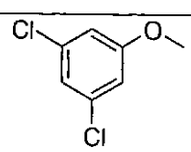
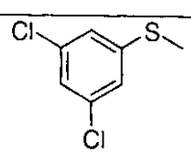
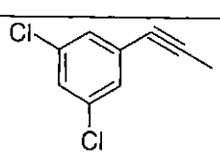
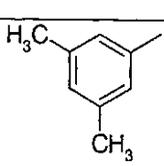
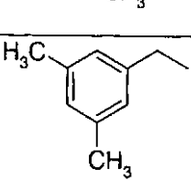
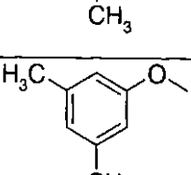
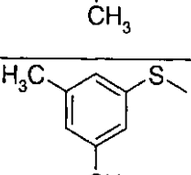
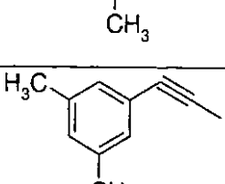
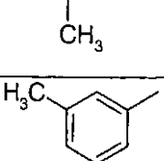
No.	A	R^1
811		CH_2CH_3
812		CH_2CH_3
813		CH_2CH_3
814		CH_2CH_3
815		CH_2CH_3
816		CF_3
817		CF_3
818		CF_3
819		CF_3
820		CF_3
821		OCH_3
822		OCH_3

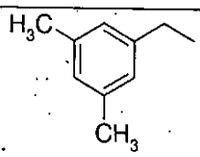
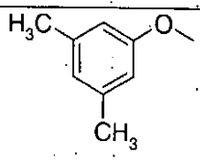
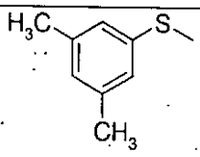
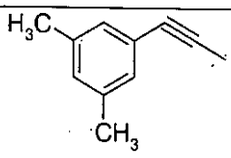
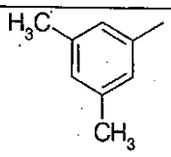
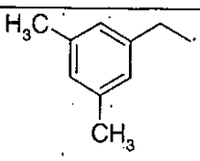
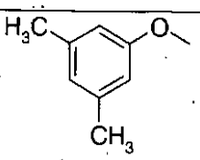
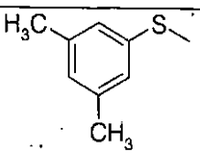
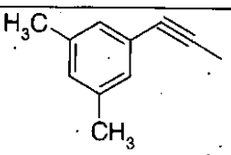
No.	A	R^1
823		OCH_3
824		OCH_3
825		OCH_3
826		H
827		H
828		H
829		H
830		H
831		CH_3
832		CH_3

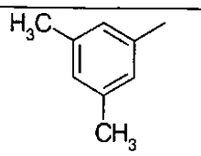
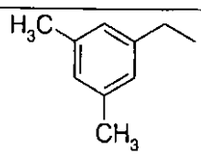
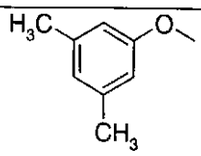
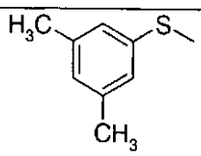
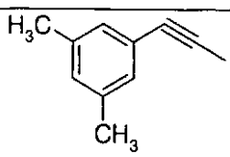
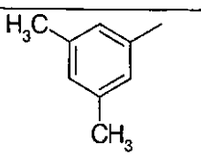
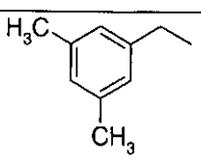
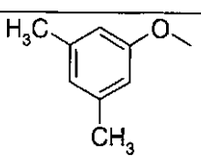
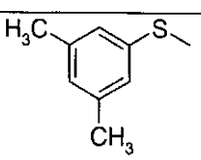
No.	A	R^1
833		CH_3
834		CH_3
835		CH_3
836		CH_2CH_3
837		CH_2CH_3
838		CH_2CH_3
839		CH_2CH_3
840		CH_2CH_3
841		CF_3
842		CF_3

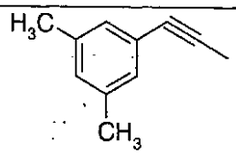
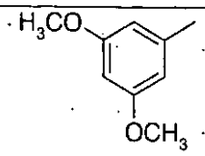
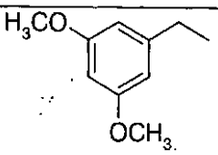
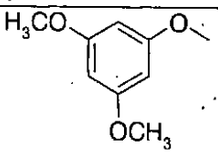
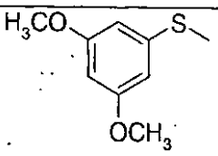
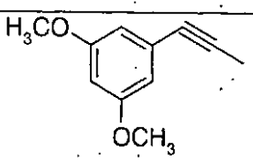
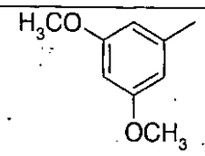
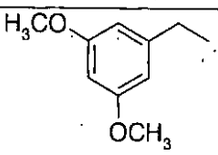
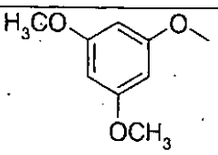
No.	A	R^1
843		CF_3
844		CF_3
845		CF_3
846		OCH_3
847		OCH_3
848		OCH_3
849		OCH_3
850		OCH_3
851		H
852		H

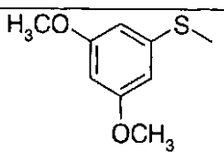
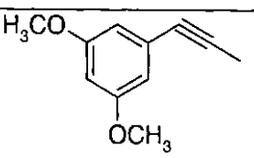
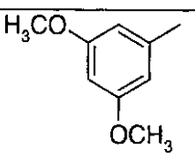
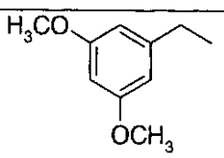
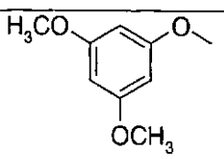
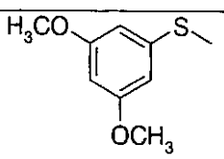
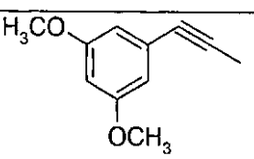
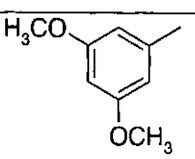
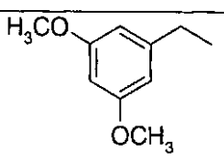
No.	A	R^1
853		H
854		H
855		H
856		CH_3
857		CH_3
858		CH_3
859		CH_3
860		CH_3
861		CH_2CH_3
862		CH_2CH_3

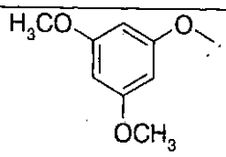
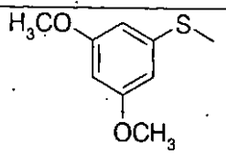
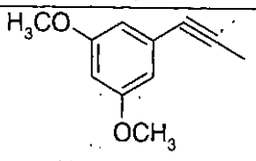
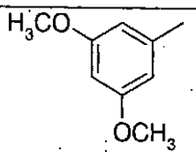
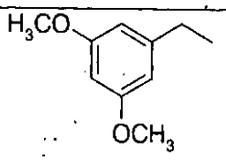
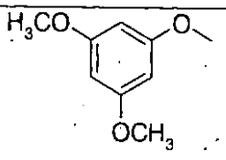
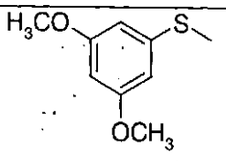
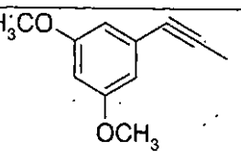
No.	A	R^1
863		CH_2CH_3
864		CH_2CH_3
865		CH_2CH_3
866		CF_3
867		CF_3
868		CF_3
869		CF_3
870		CF_3
871		OCH_3
872		OCH_3
873		OCH_3
874		OCH_3
875		OCH_3
876		H
877		H
878		H
879		H
880		H
881		CH_3

No.	A	R^1
882		CH_3
883		CH_3
884		CH_3
885		CH_3
886		CH_2CH_3
887		CH_2CH_3
888		CH_2CH_3
889		CH_2CH_3
890		CH_2CH_3

No.	A	R^1
891		CF_3
892		CF_3
893		CF_3
894		CF_3
895		CF_3
896		OCH_3
897		OCH_3
898		OCH_3
899		OCH_3

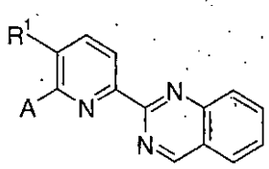
No.	A	R^1
900		OCH_3
901		H
902		H
903		H
904		H
905		H
906		CH_3
907		CH_3
908		CH_3

No.	A	R^1
909		CH_3
910		CH_3
911		CH_2CH_3
912		CH_2CH_3
913		CH_2CH_3
914		CH_2CH_3
915		CH_2CH_3
916		CF_3
917		CF_3

No.	A	R^1
918		CF_3
919		CF_3
920		CF_3
921		OCH_3
922		OCH_3
923		OCH_3
924		OCH_3
925		OCH_3

donde hay

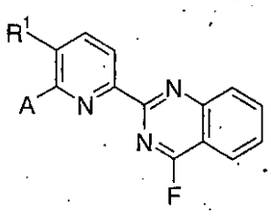
a) 925 compuestos de fórmula (I.a):



(I.a)

donde R^1 y A son según lo definido en la Tabla 1.

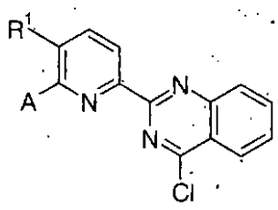
b) 925 compuestos de fórmula (I.b):



(I.b)

donde R^1 y A son según lo definido en la Tabla 1.

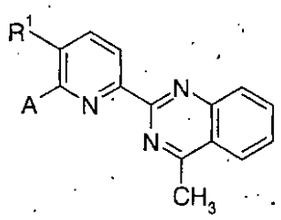
c) 925 compuestos de fórmula (I.c):



(I.c)

donde R^1 y A son según lo definido en la Tabla 1.

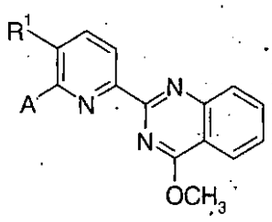
d) 925 compuestos de fórmula (I.d):



(I.d)

donde R^1 y A son según lo definido en la Tabla 1.

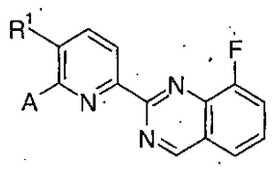
e) 925 compuestos de fórmula (I.e):



(I.e)

donde R^1 y A son según lo definido en la Tabla 1.

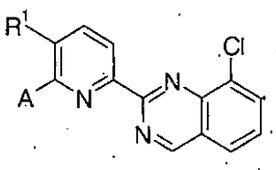
f) 925 compuestos de fórmula (I.f):



(I.f)

donde R^1 y A son según lo definido en la Tabla 1.

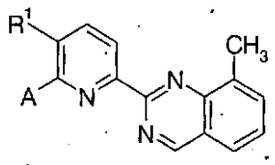
g) 925 compuestos de fórmula (I.g):



(I.g)

donde R^1 y A son según lo definido en la Tabla 1.

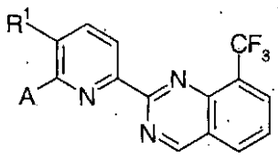
h) 925 compuestos de fórmula (I.h):



(I.h)

donde R^1 y A son según lo definido en la Tabla 1.

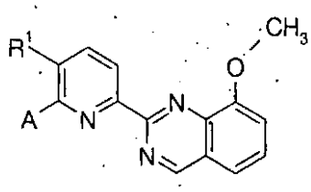
i) 925 compuestos de fórmula (I.i):



(I.i)

donde R^1 y A son según lo definido en la Tabla 1.

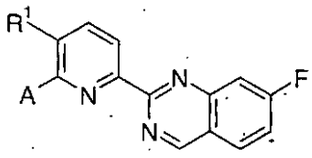
j) 925 compuestos de fórmula (I.j):



(I.j)

donde R^1 y A son según lo definido en la Tabla 1.

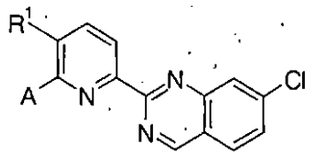
k) 925 compuestos de fórmula (I.k):



(I.k)

donde R^1 y A son según lo definido en la Tabla 1.

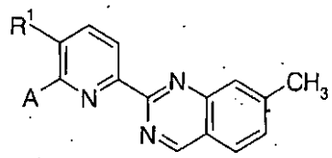
m) 925 compuestos de fórmula (I.m):



(I.m)

donde R^1 y A son según lo definido en la Tabla 1.

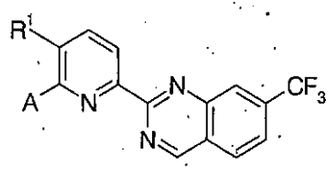
n) 925 compuestos de fórmula (I.n):



(I.n)

donde R^1 y A son según lo definido en la Tabla 1.

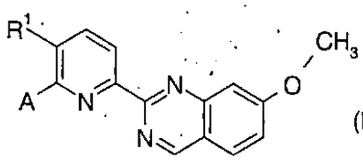
o) 925 compuestos de fórmula (I.o):



(I.o)

donde R^1 y A son según lo definido en la Tabla 1.

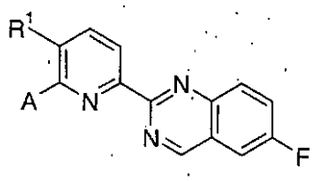
p) 925 compuestos de fórmula (I.p):



(I.p)

donde R^1 y A son según lo definido en la Tabla 1.

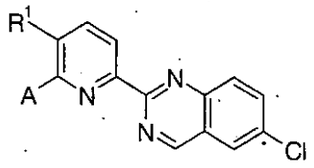
q) 925 compuestos de fórmula (I.q):



(I.q)

donde R^1 y A son según lo definido en la Tabla 1.

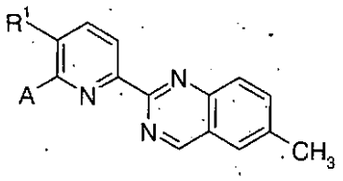
r) 925 compuestos de fórmula (I.r):



(I.r)

donde R^1 y A son según lo definido en la Tabla 1.

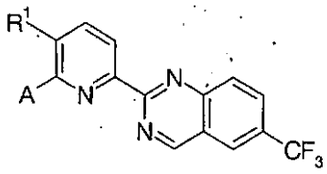
s) 925 compuestos de fórmula (I.s):



(I.s)

donde R^1 y A son según lo definido en la Tabla 1.

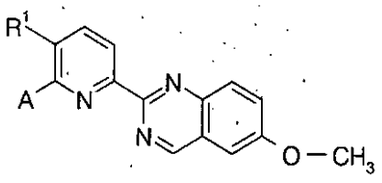
t) 925 compuestos de fórmula (I.t):



(I.t)

donde R^1 y A son según lo definido en la Tabla 1.

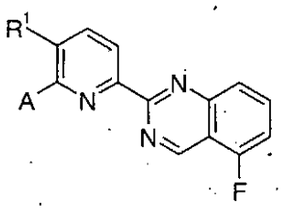
u) 925 compuestos de fórmula (I.u):



(I.u)

donde R^1 y A son según lo definido en la Tabla 1.

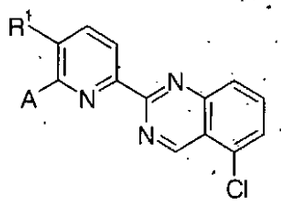
v) 925 compuestos de fórmula (I.v):



(I.v)

donde R^1 y A son según lo definido en la Tabla 1.

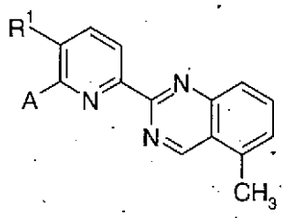
w) 925 compuestos de fórmula (I.w):



(I.w)

donde R^1 y A son según lo definido en la Tabla 1.

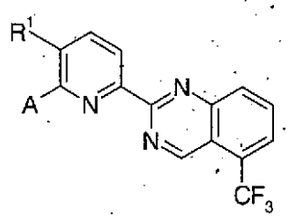
x) 925 compuestos de fórmula (I.x):



(I.x)

donde R^1 y A son según lo definido en la Tabla 1.

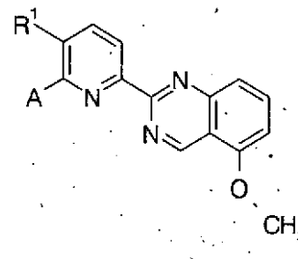
y) 925 compuestos de fórmula (I.y):



(I.y)

donde R^1 y A son según lo definido en la Tabla 1.

z) 925 compuestos de fórmula (I.z):



(I.z)

donde R^1 y A son según lo definido en la Tabla 1.

Los compuestos individuales preferidos son:

2–(5–metil–6–*o*–tolilpiridin–2–il)–quinazolina (Compuesto I.a 096);

2–[6–(4–fluor–3–metilfenil)–5–metilpiridin–2–il]–quinazolina (Compuesto I.a 681),

2–[6–(3–fluor–4–metoxi–fenil)–5–metilpiridin–2–il]–quinazolina (Compuesto I.a 581);

2–[6–(3,5–dimetilfenil)–5–metilpiridin–2–il]–quinazolina (Compuesto I.a 881);

2–[6–(3,5–difluorfenil)–5–metilpiridin–2–il]–quinazolina (Compuesto I.a 831);
2–[6–(3,4–difluorfenil)–5–metilpiridin–2–il]–quinazolina (Compuesto I.a 421);
6–Metil–2–(5–metil–6–fenilpiridin–2–il)–quinazolina (Compuesto I.s 021);
2–[6–(2–clorobencil)–piridin–2–il]–quinazolina (Compuesto I.a 067);
2–[6–(2–metilbencil)–piridin–2–il]–quinazolina (Compuesto I.a 092);
2–(6–bencil–5–metilpiridin–2–il)–quinazolina (Compuesto I.a 022);
2–(6–bencilpiridin–2–il)–6–metilquinazolina (Compuesto I.s 017)
2-[6-(2,5-dimetil-fenil)-piridin-2-il]-quinazolina;
2-(6-bencil-piridin-2-il)-4-metoxi-quinazolina;
2-[6-(2-fluor-3-metil-bencil)-5-metil-piridin-2-il]-quinazolina;
2-[6-(2-fluor-3-metil-bencil)-piridin-2-il]-quinazolina;
4-metil-2-(5-metil-6-fenil-piridin-2-il)-quinazolina;
2-[6-(4-metoxi-2-metil-fenil)-5-metil-piridin-2-il]-quinazolina;
2-[6-(2-fluor-5-metil-fenil)-5-metil-piridin-2-il]-quinazolina;
2-[6-(4-fluor-2-metil-fenil)-piridin-2-il]-quinazolina;
2-(6-ciclopropiletinil-5-metil-piridin-2-il)-quinazolina;
2-(6-fenoxy-piridin-2-il)-quinazolina;
2-(5-metil-6-fenoxy-piridin-2-il)-quinazolina;
5-metil-2-(5-metil-6-fenil-piridin-2-il)-quinazolina y
2-[5-metoxi-6-(4-metoxi-fenil)-piridin-2-il]-quinazolina.

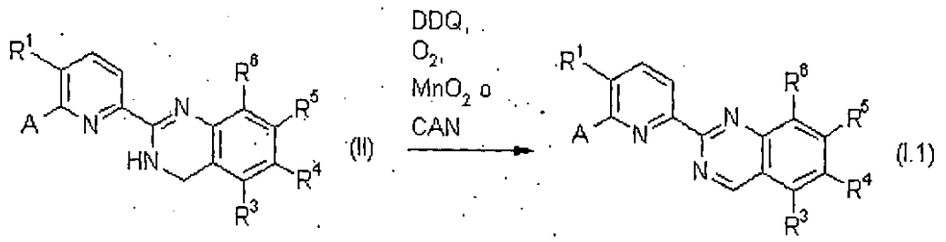
Los compuestos de la invención y para uso en los métodos de la invención pueden ser preparados, por ejemplo, siguiendo los esquemas de reacción y los métodos detallados más abajo. Los materiales de partida utilizados para la preparación de los compuestos de la invención pueden ser adquiridos de proveedores comerciales habituales o pueden ser preparados mediante métodos conocidos. Los materiales de partida así como también los compuestos intermedios pueden ser purificados antes del uso en la siguiente etapa por metodologías del estado de la técnica tal como cromatografía, cristalización, destilación y filtración.

Preparación de compuestos de fórmula I

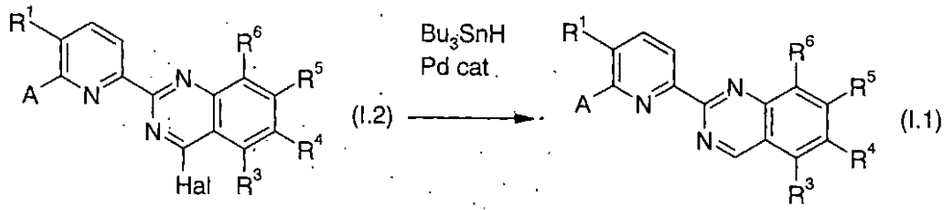
Los compuestos de fórmula (I) pueden ser preparados como se muestra en los siguientes esquemas.

Los compuestos de fórmula I.1, donde R^1, R^3, R^4, R^5, R^6 y A son según lo definido para la fórmula I pueden ser obtenidos mediante transformación de un compuesto de fórmula II, donde R^1, R^3, R^4, R^5, R^6 y A son según lo definido para la fórmula I con un

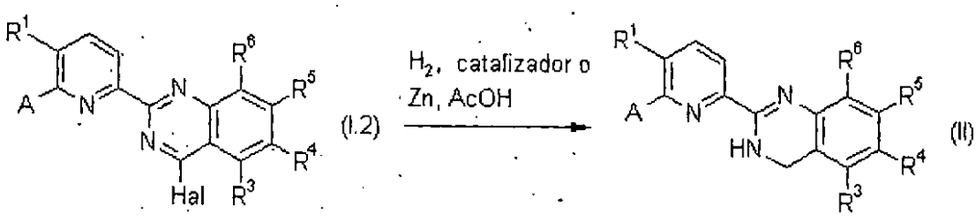
agente de oxidación, tal como 2,3–dicloro–5,6–diciano–*p*–benzoquinona, oxígeno, óxido de manganeso (IV) o nitrato de amonio cerio (IV).



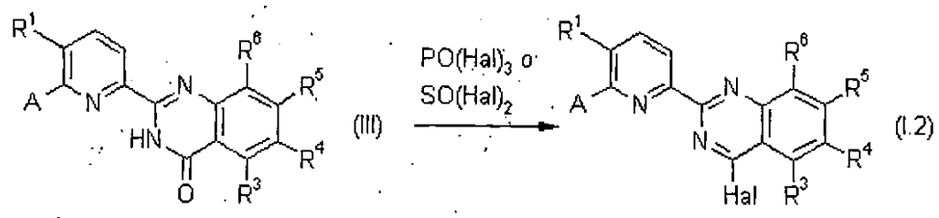
Los compuestos de fórmula I.1, donde R^1, R^3, R^4, R^5, R^6 y A son según lo definido para la fórmula I pueden ser obtenidos mediante transformación de un compuesto de fórmula1.2, donde R^1, R^3, R^4, R^5, R^6 y A son según lo definido para la fórmula I con un agente reductor tal como Bu3SnH y un catalizador paladio.



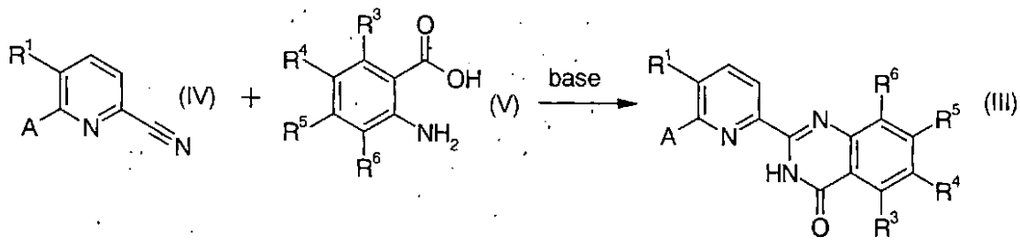
Los compuestos de fórmula II, donde R^1, R^3, R^4, R^5, R^6 y A son según lo definido para la fórmula I pueden ser obtenidos mediante transformación de un compuesto de fórmula I.2, donde R^1, R^3, R^4, R^5, R^6 y A son según lo definido para la fórmula I y Hal es halógeno, preferentemente cloro o bromo, con un agente reductor tal como hidrógeno y un catalizador tal como paladio sobre carbón o níquel Raney, o con zinc y ácido acético.



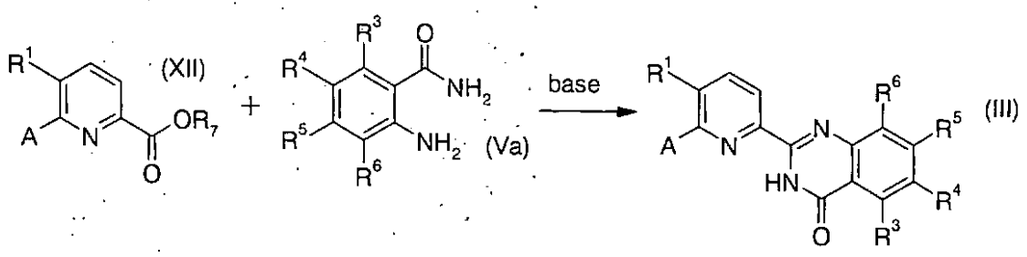
Los compuestos de fórmula I.2, donde R^1, R^3, R^4, R^5, R^6 y A son según lo definido para la fórmula I y Hal es halógeno, preferentemente cloro o bromo, pueden ser obtenidos mediante transformación de un compuesto de fórmula III, donde R^1, R^3, R^4, R^5, R^6 y A son según lo definido para la fórmula I con un oxihaluro de fósforo, por ej. oxicloruro de fósforo u oxibromuro de fósforo, o un haluro de tionilo, por ej., cloruro de tionilo o bromuro de tionilo.



Los compuestos de fórmula III; donde R^1, R^3, R^4, R^5, R^6 y A son según lo definido para la fórmula I pueden ser obtenidos mediante transformación de un compuesto de fórmula IV, donde R^1 y A son según lo definido para la fórmula I con un ácido antranílico de fórmula V, donde R^3, R^4, R^5 y R^6 son según lo definido para la fórmula I y una base, tal como hidrúro sódico, metilato sódico, etilato sódico o metilato potásico.

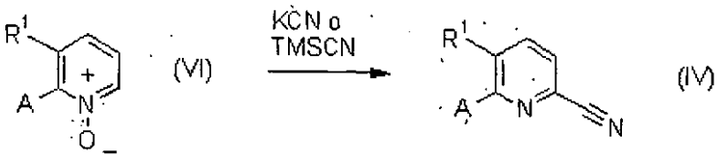


Alternativamente, el compuesto de fórmula III donde R1, R3, R4, R5, R6 y A son según lo definido para la fórmula I pueden ser obtenidos mediante transformación de un compuesto de fórmula XII donde R1 y A son según lo definido para la fórmula I y R7 es H con un amida antranílico de fórmula Va, donde R3, R4, R5 y R6 on según lo definido para la fórmula I en un procedimiento de dos etapas usando un reactivo acoplador tal como DCC, BOP o TBTU seguido de un ttratamiento con una base tal como NaOH en un solvente alcohólico. Cuando R7 es alquilo C1-C6, la reacción puede realizarse en una etapa usando un alcoholato metálico en un solvente alcohólico.

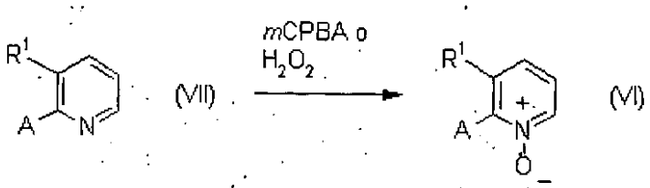


Los compuestos de ácido antranílico de fórmula V son compuestos conocidos o pueden ser obtenidos fácilmente a partir de compuestos conocidos utilizando procesos que son rutinarios en la técnica y con los cuales el experto está familiarizado.

Los compuestos de fórmula IV, donde R^1 y A son según lo definido para la fórmula I pueden ser obtenidos mediante transformación de un compuesto de fórmula VI, donde R^1 y A son según lo definido para la fórmula I con un cianuro, tal como cianuro sódico, cianuro potásico o cianuro de trimetilsililo y una base, tal como trietilamina, etildiisopropilamina o piridina.



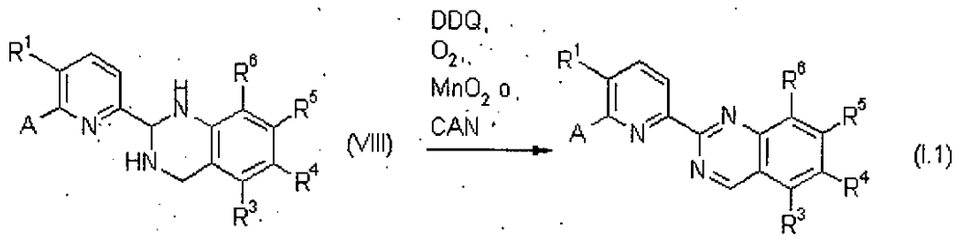
Los compuestos de fórmula VI, donde R^1 y A son según lo definido para la fórmula I pueden ser obtenidos mediante transformación de un compuesto de fórmula VII, donde R^1 y A son según lo definido para la fórmula I con un agente de oxidación, tal como ácido *meta*–cloroperbenzoico, peróxido de hidrógeno u oxona.



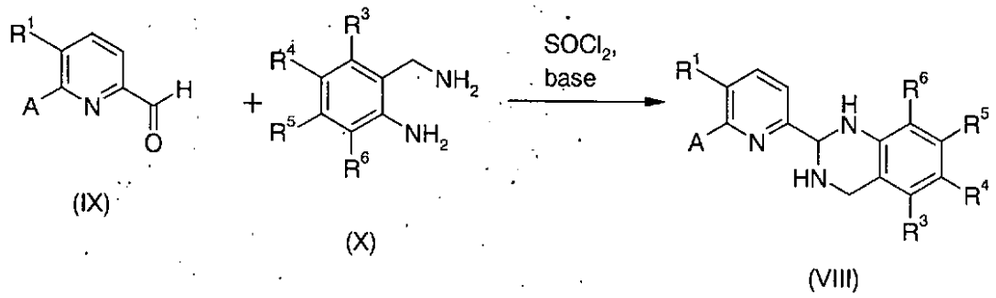
Las piridinas mono– y disustituidas de fórmula VII son compuestos conocidos o se pueden obtener fácilmente a partir de compuestos conocidos empleando procesos que son rutinarios en la técnica y conocidos por el expertos en la materia.

Alternativamente, los compuestos de fórmula I.1, donde R^1, R^3, R^4, R^5, R^6 y A son según lo definido para la fórmula I pueden ser obtenidos mediante transformación de un compuesto de fórmula VIII, donde R^1, R^3, R^4, R^5, R^6 y A son según lo definido para la

fórmula I con un agente de oxidación, tal como 2,3–dicloro–5,6–diciano–*p*–benzoquinona, oxígeno, óxido de manganeso(IV) o nitrato de cerio (IV) amónico.

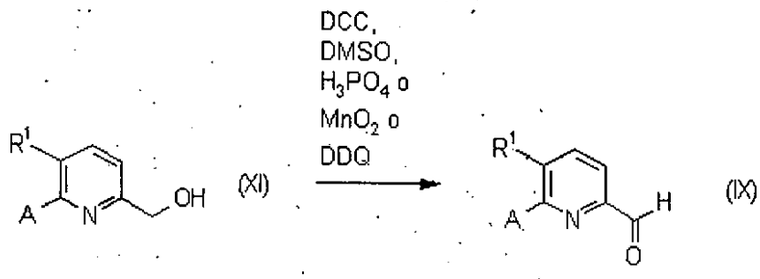


Los compuestos de fórmula VIII, donde R^1, R^3, R^4, R^5, R^6 y A son según lo definido para la fórmula I pueden ser obtenidos mediante transformación de un compuesto de fórmula IX, donde R^1 y A son según lo definido para la fórmula I con un compuesto de fórmula X, donde R^3, R^4, R^5 y R^6 son según lo definido para la fórmula I, y cloruro de tionilo y una base, tal como trietilamina, etildiisopropilamina o piridina.

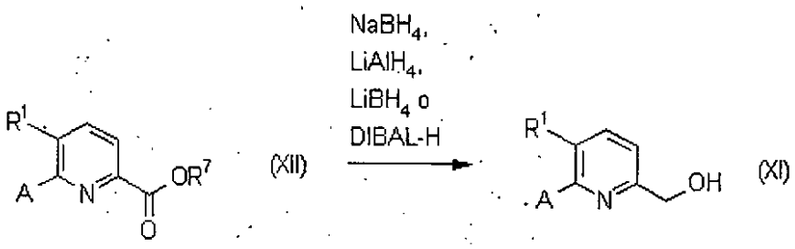


Las 2–aminobencilaminas de fórmula X son compuestos conocidos o pueden ser obtenidas fácilmente a partir de compuestos conocidos empleando procesos que son rutinarios en la técnica y conocidos por el experto en al técnica.

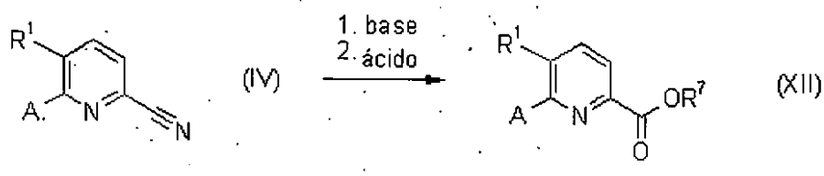
Los compuestos de fórmula IX, donde R^1 y A son según lo definido para la fórmula I pueden ser obtenidos mediante transformación de un compuesto de fórmula XI, donde R^1 y A son según lo definido para la fórmula I con N,N'–diciclohexilcarbodiimida, dimetilsulfóxido y un ácido, tal como ácido fosfórico, ácido clorhídrico o ácido sulfúrico, o con dióxido de manganeso o 2,3–dicloro–5,6–diciano–*p*–benzoquinona.



Los compuestos de fórmula XI, donde R^1 y A son según lo definido para la fórmula I pueden ser obtenidos mediante transformación de un compuesto de fórmula XII, donde R^1 y A son según lo definido para la fórmula I y R^7 es hidrógeno o alquilo C_1–C_6, con un agente reductor, tal como borohidruro sódico, hidruro de litio aluminio, borohidruro de litio o hidruro de diisobutilaluminio.

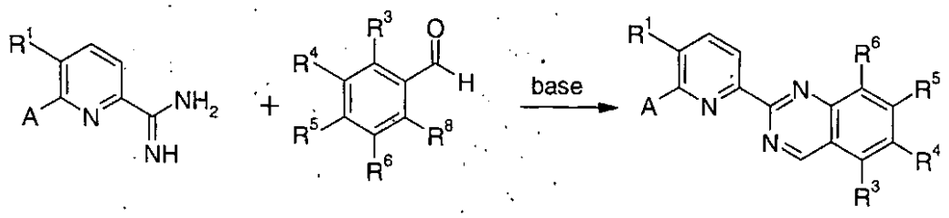


Los compuestos de fórmula XII, donde R^1 y A son según lo definido para la fórmula I y R^7 es hidrógeno o alquilo C_1–C_6, pueden ser obtenidos mediante transformación de un compuesto de fórmula IV, donde R^1 y A son según lo definido para la fórmula I con una base, tal como metóxido sódico, etóxido sódico, metóxido potásico o etóxido potásico en un alcohol y posterior tratamiento con un ácido, tal como ácido clorhídrico o ácido sulfúrico.



Alternativamente, los compuestos de fórmula I.1, donde R^1, R^3, R^4, R^5, R^6 y A son según lo definido para la fórmula I pueden ser obtenidos mediante transformación de un compuesto de fórmula XIII, donde R^1 y A son según lo definido para la fórmula I, o una sal del mismo, con un benzaldehído de fórmula XIV, donde R^3, R^4, R^5 y R^6 son según lo

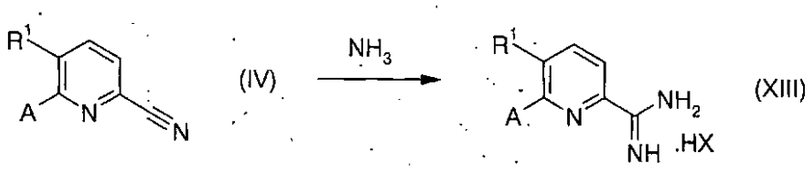
definido para la fórmula I y R^8 es un halógeno, tal como flúor, cloro o bromo, o un grupo amino y una base, tal como carbonato sódico, bicarbonato sódico o carbonato potásico.



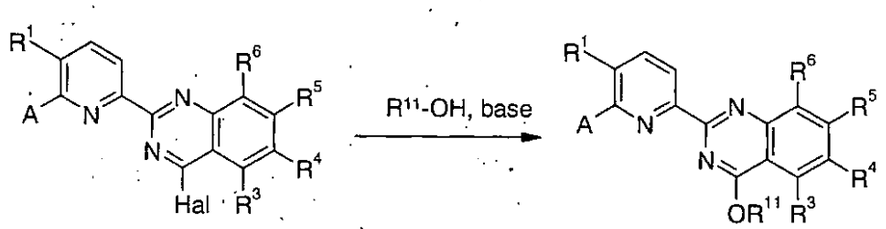
(XIII) (XIV) (I.1)

Los 2–halobenzaldehídos de fórmula XIV son compuestos conocidos o pueden ser obtenidos fácilmente a partir de compuestos conocidos empleando procesos que son rutinarios en la técnica y conocidos por el experto en al técnica.

Los compuestos de fórmula XIII, donde R^1 y A son según lo definido para la fórmula I pueden ser obtenidos mediante transformación de un compuesto de fórmula IV, donde R^1 y A son según lo definido para la fórmula I con amoníaco.

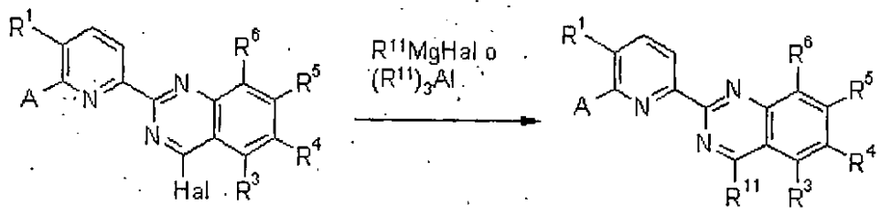


Los compuestos de fórmula I.3, donde R^1, R^3, R^4, R^5, R^6 y A son según lo definido para la fórmula I y R^{11} es alquilo C_{1-8} pueden ser obtenidos mediante reacción de un compuesto de fórmula I.2, donde R^1, R^3, R^4, R^5, R^6 y A son según lo definido para la fórmula I y Hal es halógeno, preferentemente cloro o bromo, con un alcohol R^{11}–OH y una base, tal como hidruro sódico, hidruro potásico, carbonato sódico, carbonato potásico, hidróxido sódico o hidróxido potásico.



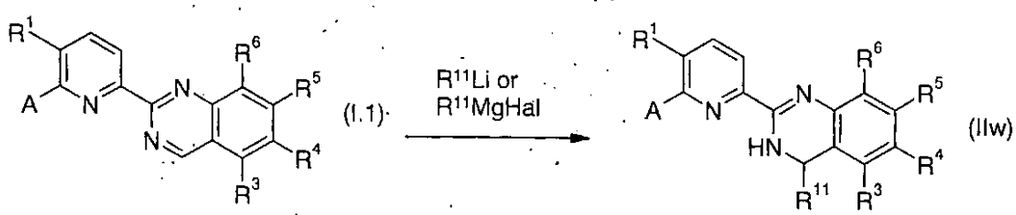
(I.2) (I.3)

Los compuestos de fórmula I.4, donde R^1, R^3, R^4, R^5, R^6 y A son según lo definido para la fórmula I y R^{11} es alquilo C_{1-6} pueden ser obtenidos mediante alquilación de un compuesto de fórmula I.2, donde R^1, R^3, R^4, R^5, R^6 y A son según lo definido para la fórmula I y Hal es halógeno, preferentemente cloro o bromo, con una especie organometálica, tal como cloruro de metilmagnesio, bromuro de metilmagnesio o trimetilaluminio o $R^{11}B(OR^7)_2$ o trimetilboroxina.

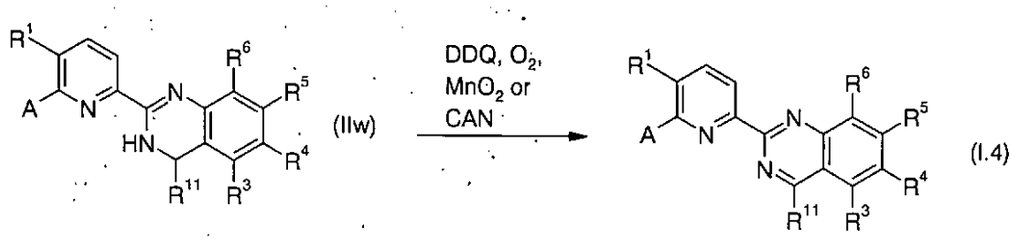


(I.2) (I.4)

Como alternativa, los compuestos de fórmula (IIw), donde R^1, R^3, R^4, R^5, R^6 y A son según lo definido para la fórmula I y R^{11} es alquilo C_{1-6} pueden ser obtenidos mediante alquilación de un compuesto de fórmula I.1, donde R^1, R^3, R^4, R^5, R^6 y A son según lo definido para la fórmula I, especie organometálica, tal como cloruro de metilmagnesio, bromuro de metilmagnesio o trimetilaluminio o alquilitio.

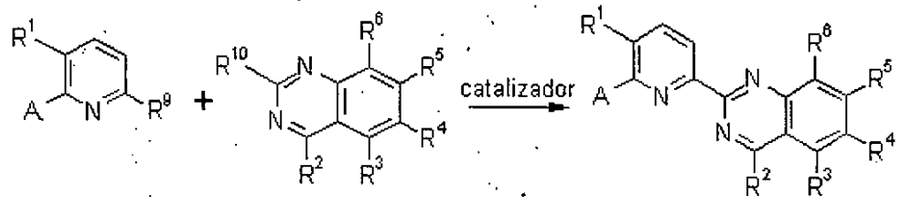


Los compuestos de fórmula I.4, donde R^1, R^3, R^4, R^5, R^6 y A son según lo definido para la fórmula I y R^{11} es alquilo C_{1-6} pueden ser obtenidos mediante transformación de un compuesto de fórmula IIw, donde R^1, R^3, R^4, R^5, R^6 y A son según lo definido para la fórmula I y R^{11} es alquilo C_{1-6} con un agente oxidante, tal como 2,3-dicloro-5,6-diciano-*p*-benzoquinona, oxígeno, óxido de manganeso (IV) óxido o nitrato de amonio cerio (IV).



(IIw) (I.4)

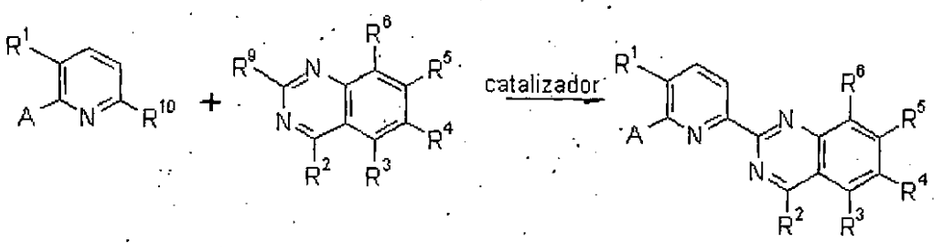
Alternativamente, los compuestos de fórmula I, donde R^1, R^2, R^3, R^4, R^5, R^6 y A son según lo definido para la fórmula I pueden ser obtenidos mediante transformación de un compuesto de fórmula XV, donde R^1 y A son según lo definido para la fórmula I y R^9 es InR^7_2, MgCl, MgBr, ZnCl, ZnBr, SnR^7_3 o $B(OR^7)_2$ con un compuesto de fórmula XVI, donde R^2, R^3, R^4, R^5 y R^6 son según lo definido para la fórmula I, R^7 es hidrógeno o alquilo C_1–C_6 y R^{10} es un halógeno, preferentemente cloro, bromo o yodo o un éster sulfónico tal como un mesilato o tosilato y un catalizador, tal como tetrakistrifenilfosfina, dicloruro de paladio, [1,1–bis(difenilfosfino)ferroceno]dicloropaladio(II), acetato de paladio o cloruro de bis(difenilfosfina)paladio(II).



(XV) (XVI) (I)

Las piridinas metalo–sustituidas de fórmula XV y las 2–haloquinazolinas de fórmula XVI son compuestos conocidos o pueden ser obtenidos fácilmente a partir de compuestos conocidos empleando procesos que son rutinarios en la técnica y conocidos por el experto en la técnica.

Alternativamente, los compuestos de fórmula I, donde R^1, R^2, R^3, R^4, R^5, R^6 y A son según lo definido para la fórmula I pueden ser obtenidos mediante transformación de un compuesto de fórmula XVII, donde R^1 y A son según lo definido para la fórmula I y R^{10} es un halógeno, preferentemente cloro, bromo o yodo o un éster sulfónico tal como un mesilato o tosilato con un compuesto de fórmula XVIII, donde R^2, R^3, R^4, R^5 y R^6 son según lo definido para la fórmula I, R^9 es In, MgCl, MgBr, ZnCl, ZnBr, SnR^7_3 o $B(OR^7)_2$ y R^7 es hidrógeno o alquilo C_1–C_8 y un catalizador, tal como tetrakistrifenilfosfina, dicloruro de paladio, [1,1–bis(difenilfosfino)ferroceno]dicloropaladio (II), acetato de paladio o cloruro de bis(difenilfosfina)paladio(II).



(XVII) (XVIII) (I.1)

Las piridinas di– y tri–sustituidas de fórmula XVII y las quinazolinas 2–metalo–sustituidas de fórmula XVIII son compuestos conocidos o pueden ser obtenidos fácilmente a partir de compuestos conocidos empleando procesos que son rutinarios en la técnica y conocidos por el experto en la técnica.

Los compuestos de la presente invención son útiles para prevenir la infección microbiana (en particular, infección fúngica) o para controlar los microbios patógenos de las plantas (en particular, hongos) cuando son aplicados a una planta o material vegetal de propagación o al locus de la misma en una cantidad microbicidamente (fungicidamente) eficaz. Por consiguiente, la presente invención también proporciona un método para prevenir y/o controlar la infección (fúngica) microbiana en plantas y/o material vegetal de propagación que comprende aplicar a la planta o al material vegetal de propagación o al locus de la misma, una cantidad microbicidamente (fungicidamente) eficaz de un compuesto de fórmula I. La presente invención provee además un método para prevenir y/o controlar la infección microbiana (fúngica) en plantas y/o material vegetal de propagación que comprende aplicar a la planta o al material vegetal de propagación o al locus de la misma, una cantidad microbicidamente (fungicidamente) eficaz de un compuesto de fórmula I y/o

Por "prevenir" o "controlar" se quiere decir reducir la infestación de microbios (hongo) hasta un grado tal que se demuestra una mejora.

Por "material vegetal de propagación" se quiere decir partes generativas de una planta que incluye semillas de todo tipo (fruto, tubérculos, bulbos, granos, etc.), raíces,

rizomas, acodos, brotes cortados y similares. El material vegetal de propagación también puede incluir plantas y plantas jóvenes las cuales deben ser transplantadas después de la germinación o después de la emergencia del suelo.

Por 'locus' se quiere decir el lugar (por ej., el campo) en el cual las plantas que se van a tratar están creciendo, o donde las semillas de plantas cultivadas son sembradas, o el lugar donde la semilla será colocada en el suelo.

Los compuestos de la presente invención pueden ser empleados contra hongos fitopatógenos de las siguientes clases: Fungi imperfecti (por ej. *Alternaria* spp.), Basidiomycetes (por ej. *Corticium* spp., *Ceratobasidium* spp., *Waitea* spp., *Thanatephorus* spp., *Rhizoctonia* spp., *Hemileia* spp., *Puccinia* spp., *Phakopsora* spp., *Ustilago* spp., *Tilletia* spp.), Ascomycetes (por ej. *Venturia* spp., *Blumeria* spp., *Erysiphe* spp., *Podosphaera* spp., *Uncinula* spp., *Monilinia* spp., *Sclerotinia* spp., *Colletotrichum* spp., *Glomerella* spp., *Fusarium* spp., *Gibberella* spp., *Monographella* spp., *Phaeosphaeria* spp., *Mycosphaerella* spp., *Cercospora* spp., *Pyrenophora* spp., *Rhynchosporium* spp., *Magnaporthe* spp., *Gaeumannomyces* spp., *Oculimacula* spp., *Ramularia* spp., *Botryotinia* spp.) y Oomycetes (por ej. *Phytophthora* spp., *Pythium* spp., *Plasmopara* spp., *Peronospora* spp., *Pseudoperonospora* spp. *Bremia* spp). Se observa actividad excelente contra los mildius polvorientos (por ej *Erysiphe necator*) y manchas de la hoja (por ej. *Mycosphaerella* spp.). Por añadidura, los novedosos compuestos de fórmula I son eficaces contra bacterias gram negativas y gram positivas fitopatógenas (por ej. *Xanthomonas* spp, *Pseudomonas* spp, *Erwinia amylovora, Ralstonia* spp.) y virus (por ej. el virus mosaico del tabaco).

Los compuestos de la presente invención son adecuados para controlar enfermedades microbianas (fúngicas) en una cantidad de plantas y su material reproductivo incluidos, entre otros, los siguientes cultivos objetivo: cereales (trigo, cebada, centeno, avenas, maíz (incluidos maíz de campo, rosita de maíz y maíz dulce), arroz, sorgo y cultivos relacionados); remolacha (remolacha azucarera y remolacha forrajera); pomos, drupas y fruta blanda (manzanas, peras, ciruelas, duraznos, almendras, cerezas, frutillas, frambuesas y moras); plantas leguminosas (habas, lentejas, garbanzos, sojas); plantas oleaginosas (colza, mostaza, girasoles, amapola, aceitunas, coco, plantas de aceite de ricino, semillas de cacao y maní); cucurbitáceas (zapallos, calabacines, pepinos, melones); plantas fibrosas (algodón, lino, cáñamo, yute); verduras (espinaca, lechuga, espárrago, coles, zanahorias, berenjenas, cebollas, morrón, tomates, papas, paprika, okra); cultivos de plantaciones (bananas, árboles frutales (por ej., naranjas, limones, pomelo, mandarinas), árboles de caucho, viveros de árboles); lauráceas (palta,

cinamomo, alcanfor), plantas decorativas (flores, arbustos, árboles de hojas anchas y siempre verdes, tales como coníferas); así como también otras plantas tales como viñedos, habas de arbusto (tales como moras), zarzamoras, arándano agrio, menta peperina, ruibarbo, menta verde, caña de azúcar, tabaco, nueces, café, berenjenas, te, pimienta, vides, lúpulos y céspedes incluidos, entre otros, céspedes de estaciones frías (por ejemplo, pastito de invierno (*Poa L.*), tal como pasto Kentucky (*Poa pratensis* L.), poa común (*Poa trivialis* L.), Poa chata (*Poa compressa* L.) y Poa anual (*Poa annua* L.); agrostis (*Agrostis* L.), tal como agróstide estolonífera (*Agrostis palustris* Huds.), agrostis colonial (*Agrostis tenius* Sibth.), agróstide canina (*Agrostis canina* L.) y agrostis alba (redtop) (*Agrostis alba* L.); cañuelas (*Festuca* L.), tal como Festuca alta (*Festuca arundinacea* Schreb.), cañuela (*Festuca elatior* L.) y festucas finas tales como festuca rubra (*Festuca rubra* L.), festuca rubra encespedante (chewings fescue) (*Festuca rubra* var. commutata Gaud.), cañuela de oveja (*Festuca ovina* L.) y "hard fescue" (*Festuca longifolia*); y raigrás (*Lolium* L.), tal como raigrás perenne (*Lolium perenne* L.) y raigrás anual (Italiano) (*Lolium multiflorum* Lam.)) y céspedes de estación de calor (por ejemplo, pasto Bermuda (*Cynodon* L. C. Rich), incluyendo pasto Bermuda híbrido y común; pasto Zoysia (*Zoysia Willd.*), pasto San Agustín (*Stenotaphrum secundatum* (Walt.) Kuntze); y pasto ciempiés (*Eremochloa ophiuroides* (Munro.) Hack.)).

Por añadidura, ha de entenderse que el término "cultivos" incluye aquellos cultivos que han sido tolerantes a pestes y pesticidas, incluidos cultivos que son resistentes a insectos o resistentes a enfermedades así como también cultivos que son tolerantes a herbicidas o clases de herbicidas, como resultado de métodos convencionales de cultivo o de ingeniería genética. La tolerancia a, por ej., herbicidas significa una susceptibilidad reducida al daño causado por un herbicida en particular comparado con cultivos convencionales. Los cultivos pueden ser modificados o mejorados de modo que sean tolerantes, por ejemplo, a inhibidores de HPPD tal como mesotriona o inhibidores de EPSPS tal como glifosato.

Los compuestos de fórmula I pueden estar en forma no modificada o, preferentemente, pueden ser incorporados en composiciones microbicidas (fungicidas). Típicamente, los compuestos de fórmula I son, por lo tanto, formulados junto con portadores y adyuvantes convencionalmente empleados en la técnica de formulación utilizando métodos bien conocidos por el experto en la técnica de la formulación.

Por lo tanto, la invención también se refiere a una composición para el control de la infección microbiana (fúngica) que comprende un compuesto de fórmula I y un portador o diluyente agrícolamente aceptable.

La composición agroquímica generalmente contendrá entre 0,1 y 99% en peso, preferentemente entre 0,1 y 95% en peso, del compuesto de fórmula I, 99,9 a 1% en peso, preferentemente de 99,8 a 5% en peso, de un adyuvante sólido o líquido, y de 0 a 25% en peso, preferentemente entre 0,1 y 25% en peso, de un surfactante.

Las proporciones y frecuencia de uso de las formulaciones son aquellas utilizadas convencionalmente en la técnica y dependerán del riesgo de infestación por el patógeno, la etapa de desarrollo de la planta y de la ubicación, tiempo y método de aplicación. Las proporciones ventajosas de aplicación son normalmente entre 5g y 2kg de ingrediente activo (i.a.) por hectárea (ha), preferentemente entre 10g y 1kg i.a./ha, más preferentemente entre 20g y 600g de i.a./ha. Cuando se emplea como agente de remojo de semilla, las proporciones convenientes de aplicación son entre 10 mg y 1g de sustancia activa por kg de semillas.

En la práctica, según lo indicado anteriormente, las composiciones agroquímicas que comprenden compuesto de fórmula I son aplicadas como una formulación que contiene los diversos adyuvantes y portadores conocidos o empleados en la industria. Por lo tanto, pueden ser formulados como gránulos, como polvos humectables o solubles, como concentrados emulsionables, como pastas recubribles, como polvillos, como fluibles, como soluciones, como suspensiones o emulsiones, o como formas de liberación controlada tales como microcápsulas. Estas formulaciones son descritas en forma más detallada a continuación y pueden contener tan poco como aproximadamente 0,5% hasta tanto como aproximadamente 95% o más en peso del ingrediente activo. La cantidad óptima dependerá de la formulación, el equipo de aplicación y de la naturaleza del microbio patógeno de la planta que se va a controlar.

Los concentrados en suspensión son formulaciones acuosas en las cuales se suspenden partículas sólidas finamente divididas del compuesto activo. Ese tipo de formulaciones incluyen agentes antisedimentación y agentes de dispersión y pueden incluir además un agente humectante para aumentar la actividad así como también un antiespumante y un inhibidor del crecimiento de cristales. En el uso, estos concentrados son diluidos en agua y normalmente aplicados como una pulverización en el área que se va a tratar. La cantidad de ingrediente activo puede oscilar entre 0,5% y aproximadamente 95% del concentrado.

Los polvos humectables están en la forma de partículas finamente divididas las cuales se dispersan fácilmente en agua o en otros portadores líquidos. Las partículas contienen el ingrediente activo retenido en una matriz sólida. Las matrices sólidas típicas incluyen tierra de Fuller, arcillas de caolín, sílices y otros sólidos orgánicos o inorgánicos

fácilmente humedecidos. Los polvos humectables normalmente contienen aproximadamente 5% a aproximadamente 95% del ingrediente activo más una pequeña cantidad de agentes humectante, dispersante o emulsionante.

Los concentrados emulsionables son composiciones líquidas homogéneas dispersables en agua o en otro líquido y pueden consistir enteramente en el compuesto activo con un agente emulsionante líquido o sólido, o pueden contener además un portador líquido, tal como xileno, naftas aromáticas pesadas, isoforona y otros solventes orgánicos no volátiles. En el uso, estos concentrados son dispersados en agua o en otro líquido y normalmente aplicados como una pulverización al área que se va a tratar. La cantidad de ingrediente activo puede oscilar entre aproximadamente 0,5% y aproximadamente 95% del concentrado.

Las formulaciones granulares incluyen tanto extrudados como partículas relativamente gruesas y son generalmente aplicadas sin dilución al área en donde se requiere control de microbios patógenos de las plantas. Los portadores inertes típicos para formulaciones granulares incluyen arena, tierra de fuller, arcilla attapulgita, arcillas de bentonita, arcilla montmorillonita, vermiculita, perlita, carbonato de calcio, ladrillo, piedra pomez, pirofilita, caolín, dolomita, yeso, harina de madera, mazorcas de maíz molidas, cáscaras de maní molidas, azúcares, cloruro de sodio, sulfato sódico, silicato sódico, borato sódico, magnesia, mica, óxido de hierro, óxido de zinc, óxido de titanio, óxido de antimonio, criolita, yeso, tierra de diatomeas, sulfato de calcio y otros materiales orgánicos o inorgánicos los cuales se absorben o los cuales pueden ser recubiertos con el compuesto activo. Por añadidura, el portador granulado inerte puede ser parcial o totalmente reemplazado por un material fertilizante granulado. Las formulaciones granuladas normalmente contienen entre aproximadamente 5% y aproximadamente 25% de ingredientes activos los cuales pueden incluir agentes tensioactivos tales como naftas aromáticas pesadas, queroseno y otras fracciones del petróleo, o aceites vegetales; y/o agentes de la pegajosidad tales como dextrinas, goma o resinas sintéticas.

Los polvillos son mezclas de flujo libre del ingrediente activo con sólidos finamente divididos tales como talco, arcillas, harinas y otros sólidos orgánicos e inorgánicos los cuales actúan como dispersantes y portadores.

Las microcápsulas son típicamente gotas o gránulos del ingrediente activo encerrados en una vaina porosa inerte la cual permite el escape del material encerrado a los alrededores en proporciones controladas. Las gotas encapsuladas son típicamente aproximadamente 1 a 50 micrones de diámetro. El líquido encerrado típicamente constituye aproximadamente 50 a 95% del peso de la cápsula y puede incluir solvente

además del compuesto activo. Los gránulos encapsulados son generalmente gránulos porosos con membranas porosas que sellan las aberturas de los poros de los gránulos, que retienen las especies activas en forma líquida dentro de los poros de los gránulos. Los gránulos típicamente oscilan entre 1 milímetro y 1 centímetro y preferentemente de 1 a 2 milímetros de diámetro. Los gránulos son formados por extrusión, aglomeración o proceso de producción de prills (partículas esféricas) sólidos ("prilling"), o son de presentación natural. Los ejemplos de ese tipo de materiales son vermiculita, arcilla sinterizada, caolín, arcilla attapulgita, aserrín y carbono granulado. Los materiales de vaina o membrana incluyen cauchos naturales y sintéticos, materiales celulósicos, copolímeros de estireno– butadieno, poliacrilonitrilos, poliacrilatos, poliésteres, poliamidas, poliureas, poliuretanos y xantatos de almidón.

Otras formulaciones útiles para aplicaciones agroquímicas incluyen soluciones simples del ingrediente activo en un solvente en el cual es completamente soluble a la concentración deseada, tal como acetona, naftalenos alquilados, xileno y otros solventes orgánicos. Los pulverizadores presurizados, donde el ingrediente activo es dispersado en forma finamente dividida como resultado de la vaporización de un portador solvente dispersante de bajo punto de ebullición también pueden ser empleados.

Los adyuvantes y portadores agrícolas adecuados que son útiles para formular las composiciones de la invención en los tipos de formulaciones descritos anteriormente son bien conocidos por los expertos en la técnica. Los ejemplos adecuados de las diferentes clases son encontrados en la lista no limitante que aparece más adelante.

Los portadores líquidos que pueden ser empleados incluyen agua, tolueno, xileno, nafta de petróleo, aceite de cultivo, acetona, metiletilcetona, ciclohexanona, anhídrido acético, acetonitrilo, acetofenona, acetato de amilo, 2–butanona, clorobenceno, ciclohexano, ciclohexanol, acetatos de alquilo, diacetonalcohol, 1,2–dicloropropano, dietanolamina, p–dietilbenceno, dietilenglicol, abietato de dietilenglicol, butiléter de dietilenglicol, éter etílico de dietilenglicol, metiléter de dietilenglicol, N,N–dimetilformamida, sulfóxido de dimetilo, 1,4–dioxano, dipropilenglicol, metiléter de dipropilenglicol, dibenzoato de dipropilenglicol, diproxitol, alquilpirrolidinona, acetato de etilo, 2–etil hexanol, carbonato de etileno, 1,1,1–tricloroetano, 2–heptanona, alfa pineno, d–limoneno, etilenglicol, butiléter de etilenglicol, metiléter de etilenglicol, gamma–butirolactona, glicerol, diacetato de glicerol, monoacetato de glicerol, triacetato de glicerol, hexadecano, hexilenglicol, acetato de isoamilo, acetato de isobornilo, isooctano, isoforona, isopropilbenceno, miristato de isopropilo, ácido láctico, laurilamina, óxido de mesitilo, metoxi–propanol, metil isoamil cetona, metil isobutil cetona, laurato de metilo, octanoato

de metilo, oleato de metilo, cloruro de metileno, m–xileno, n–hexano, n–octilamina, ácido octadecanoico, acetato de octilamina, ácido oleico, oleilamina, o–xileno, fenol, polietilenglicol (PEG400), ácido propiónico, propilenglicol, monometiléter de propilenglicol, p–xileno, tolueno, fosfato de trietilo, trietilenglicol, ácido xilensulfónico, parafina, aceite mineral, tricloroetileno, percloroetileno, acetato de etilo, acetato de amilo, acetato de butilo, metanol, etanol, isopropanol, y alcoholes de más alto peso molecular tales como alcohol amílico, alcohol de tetrahidrofurfurilo, hexanol, octanol, etc. etilenglicol, propilenglicol, glicerina, N–metil–2–pirrolidinona, y similares. El agua es generalmente el portador de elección para la dilución de concentrados.

Los portadores sólidos adecuados incluyen talco, dióxido de titanio, arcilla de pirofilita, sílice, arcilla de attapulgita, kieselguhr, creta, tierra de diatomeas, cal, carbonato de calcio, arcilla de bentonita, tierra de fuller, cáscaras de semilla de algodón, harina de trigo, harina de soja, piedra pómez, harina de madera, harina de cáscara de nuez, lignina y similares.

Se emplean ventajosamente un amplio rango de agentes tensioactivos en dichas composiciones líquidas y sólidas, especialmente aquellas designadas para ser diluidas con portador antes de la aplicación. Estos agentes, cuando son empleados, normalmente comprenden entre 0,1% y 15% en peso de la formulación. Los mismos pueden tener carácter aniónico, catiónico, no iónico o polimérico y pueden ser empleados como agentes emulsionantes, agentes humectantes, agentes de suspensión o para otros propósitos. Los agentes tensioactivos típicos incluyen sales de alquilsulfatos, tales como laurilsulfato de dietanolamonio; sales de alquilarilsulfonato, tales como dodecilbencensulfonato cálcico; productos de adición de alquilfenol– óxido de alquileno, tales como etoxilato de nonilfenol–C.sub. 18; productos de adición de alcohol–óxido de alquileno, tales como etoxilato de tridecil alcohol–C.sub. 16; jabones, tales como estearato sódico; sales de alquilnaftalensulfonato, tales como dibutilnaftalensulfonato sódico; ésteres de dialquilo de sales de sulfosuccinato, tales como di(2–etilhexil) sulfosuccinato sódico; ésteres de sorbitol, tales como oleato de sorbitol; aminas cuaternarias, tales como cloruro de lauril trimetilamonio; ésteres de polietilenglicol de ácidos grasos, tales como estearato de polietilenglicol; copolímeros de bloque de óxido de etileno y óxido de propileno; y sales de ésteres de mono y dialquilfosfato.

Otros adyuvantes comúnmente empleados en composiciones agrícolas incluyen inhibidores de la cristalización, modificadores de la viscosidad, agentes de suspensión, modificadores de gotas de pulverización, pigmentos, antioxidantes, agentes de la espumación, agentes antiespumantes, agentes bloqueadores de la luz, agentes

compatibilizantes, agentes antiespumantes, agentes secuestrantes, agentes neutralizantes y buffers, inhibidores de la corrosión, tintes, desodorantes, agentes de esparcimiento, auxiliares de la penetración, micronutrientes, emolientes, lubricantes, agentes de la pegajosidad, y similares.

Por añadidura, otros ingredientes biocidamente activos o composiciones pueden ser combinados con el compuesto de fórmula I y empleados en los métodos de la invención y aplicados simultáneamente o consecutivamente con el compuesto de fórmula I. Cuando son aplicados simultáneamente, estos ingredientes activos adicionales pueden ser formulados junto con el compuesto de fórmula I o mezclados en, por ejemplo, el tanque de pulverización. Estos ingredientes biocidamente activos adicionales pueden ser fungicidas, herbicidas, insecticidas, bactericidas, acaricidas, nematicidas y/o reguladores del crecimiento vegetal. Por consiguiente, la presente invención proporciona una composición que comprende (i) un compuesto de fórmula I y un fungicida adicional, (ii) un compuesto de fórmula I y un herbicida, (iii) un compuesto de fórmula I y un insecticida, (iv) un compuesto de fórmula I y un bactericida; (v) un compuesto de fórmula I y un acaricida, (vi) un compuesto de fórmula I y un nematicida y/o (vii) un compuesto de fórmula I y un regulador del crecimiento vegetal. Adicionalmente, los compuestos de la invención también pueden ser aplicados con uno o más inductores de la resistencia sistémicamente adquirida (inductor de "SAR"). Los inductores de SAR son conocidos y descritos en, por ejemplo, Patente Estadounidense No. 6.919.298 e incluyen, por ejemplo, salicilatos y el inductor de SAR comercial acibenzolar–S–metilo.

La cantidad de la mezcla y otros ingredientes o composiciones biocidamente activas combinadas con el compuesto de la fórmula I que se aplicará dependerá de distintos factores, tales como los compuestos utilizados; el sujeto del tratamiento, tal como, por ejemplo, plantas, suelos o semillas; el tipo de tratamiento, tal como, por ejemplo, pulverización, espolvoreo o revestimiento de semillas; el objetivo del tratamiento, tal como, por ejemplo, profiláctico o terapéutico; el tipo de hongo a controlar o el tiempo de aplicación.

Se ha determinado que el uso de otros ingredientes o composiciones a biocidamente activos en combinación con el compuesto de la fórmula I mejoran sorpresiva y sustancialmente la eficacia de esta última contra los hongos y vice versa. Además, el método de la invención es eficaz contra un espectro más amplio de los hongos que pueden ser combatidos con los ingredientes activos de este método cuando se lo utiliza exclusivamente.

La mezcla de ingredientes activos comprende compuestos de la fórmula I y otros ingredientes o composiciones biocidamente activas preferentemente en una proporción de mezcla de 1000:1 a 1:1000, especialmente de 50:1 a 1:50, más especialmente en una proporción de 20:1 a 1:20, incluso más especialmente de 10:1 a 1:10, muy especialmente de 5:1 y 1:5, dando especial preferencia a la proporción de 2:1 a 1:2, y una proporción de 4:1 a 2:1 de igual preferencia, sobre todo en una proporción de 1:1, o 5:1, o 5:2, o 5:3, o 5:4, o 4:1, o 4:2, o 4:3, o 3:1, o 3:2, o 2:1, o 1:5, o 2:5, o 3:5, o 4:5, o 1:4, o 2:4, o 3:4, o 1:3, o 2:3, o 1:2, o 1:600, o 1:300, o 1:150, o 1:35, o 2:35, o 4:35, o 1:75, o 2:75, o 4:75, o 1:6000, o 1:3000, o 1:1500, o 1:350, o 2:350, o 4:350, o 1:750, o 2:750, o 4:750. Ha de entenderse que esas proporciones de mezcla incluyen, por un lado, proporciones por peso y también, por otra parte, proporciones molares.

Una actividad sinergística de la combinación es evidente a partir del hecho de que la actividad fungicida de la composición de los compuestos de la fórmula I y otros ingredientes o composiciones biocidamente activas es mayor que la suma de actividades fungicidas de los compuestos de la fórmula I y otros ingredientes o composiciones biocidamente activas.

El método de la invención comprende la aplicación de plantas útiles, el locus de las mismas y el material de propagación de las mismas en una mezcla o de manera separada, una cantidad de agregado sinergísticamente eficaz de un compuesto de la fórmula I y otros ingredientes o composiciones biocidamente activas.

Algunas de dichas combinaciones según la invención tienen una acción sistémica y pueden utilizarse como fungicidas para el tratamiento de hojas, suelos y semillas.

Con las combinaciones según la invención, es posible inhibir o destruir los microorganismos fitopatogénicos que aparecen en las plantas o partes de las plantas (frutas, flores, hojas, troncos, tubérculos, raíces) en diferentes plantas útiles, mientras que, al mismo tiempo, las partes de las plantas que crecen más tarde también están protegidas del ataque por parte microorganismos fitopatogénicos.

Las combinaciones de la presente invención son de particular interés para controlar una gran cantidad de hongos en diferentes plantas útiles o sus semillas,

especialmente en cultivos de campo como patatas, tabaco y batatas, y trigo, centeno, cebada, avenas, arroz, maíz, césped, algodón, soja, colza, legumbres, girasol, café, caña de azúcar, frutas y ornamentos en horticultura y viticultura, en vegetales como pepinos frijoles y cucurbitáceas.

Las combinaciones de la presente invención se aplican tratando los hongos las plantas útiles, el locus de las mismas, el material de propagación de las mismas, las sustancias naturales de origen vegetal y/o animal, que han sido tomadas del ciclo de vida natural y/o sus formas procesadas, o los materiales industriales amenazados por el ataque de hongos con una combinación de compuestos de la fórmula I y otros ingredientes o composiciones biocidamente activas en una cantidad sinergísticamente eficaz.

Las combinaciones según la invención pueden aplicarse antes o después de la infección de las plantas útiles, el material de propagación de las mismas, las sustancias naturales de origen vegetal o animal, que han sido tomadas del ciclo de vida natural y/o sus formas procesadas, o los materiales industriales amenazados por los hongos.

En particular, la composición de la invención comprende por lo menos un compuesto fungicidamente activo adicional además del compuesto de la fórmula (I). Preferentemente, la composición de la invención comprende un compuesto fungicidamente activo adicional o dos o tres o más compuestos fungicidamente activos además del compuesto de la fórmula (I).

En particular, las composiciones abarcadas por la presente invención incluyen, aunque sin limitarse a, composiciones que comprenden un compuesto de fórmula I y acibenzolar–S–metilo (CGA245704), un compuesto de fórmula I y ancimidol, un compuesto de fórmula I y alanicarb, un compuesto de fórmula I y aldimorf, un compuesto de fórmula I y amisulbrom, un compuesto de fórmula I y anilazina, un compuesto de fórmula I y azaconazol, un compuesto de fórmula I y azoxistrobina, un compuesto de fórmula I y BAY 14120, un compuesto de fórmula I y benalaxil, un compuesto de fórmula I y bentiavalicarb, un compuesto de fórmula I y benomil, un compuesto de fórmula I y biloxazol, un compuesto de fórmula I y bitertanol, un compuesto de fórmula I y bixafen, un compuesto de fórmula I y blasticidin S, un compuesto de fórmula I y boscalid, un compuesto de fórmula I y bromuconazol, un compuesto de fórmula I y bupirimato, un compuesto de fórmula I y captafol, un compuesto de fórmula I y captan, un compuesto de

fórmula I y carbendazim, un compuesto de fórmula I y carbendazim, un compuesto de fórmula I y clorhidrato, un compuesto de fórmula I y carboxin, un compuesto de fórmula I y carpropamida, un compuesto de fórmula I y carvona, un compuesto de fórmula I y CGA41396, un compuesto de fórmula I y CGA41397, un compuesto de fórmula I y quinometionato, un compuesto de fórmula I y cloroneb, un compuesto de fórmula I y clorotalonil, un compuesto de fórmula I y clorozolinato, un compuesto de fórmula I y clozilacon, un compuesto de fórmula I y compuestos que contienen cobre (por ej. un compuesto de fórmula I y oxicloruro de cobre, un compuesto de fórmula I y óxido cuproso, un compuesto de fórmula I y mancobre, un compuesto de fórmula I y oxina–cobre, un compuesto de fórmula I e hidróxido de cobre, un compuesto de fórmula I y oxiquinolato de cobre, un compuesto de fórmula I y sulfato de cobre, un compuesto de fórmula I y talato de cobre y un compuesto de fórmula I y mezcla Bordeaux), un compuesto de fórmula I y ciflufenamida, un compuesto de fórmula I y cimoxanil, un compuesto de fórmula I y ciproconazol, un compuesto de fórmula I y ciprodinil, un compuesto de fórmula I y debacarb, un compuesto de fórmula I y 1,1'–dióxido de di–2–piridil disulfuro, un compuesto de fórmula I y diclofluanid, un compuesto de fórmula I y diclomezina, un compuesto de fórmula I y diclozolina, un compuesto de fórmula I y diclona, un compuesto de fórmula I y dicloran, un compuesto de fórmula I y diclocimet, un compuesto de fórmula I y dietofencarb, un compuesto de fórmula I y difenoconazol, un compuesto de fórmula I y difenzoquat, un compuesto de fórmula I y diflumetorim, un compuesto de fórmula I y tiofosfato de *O,O*–di–*iso*–propil–*S*–bencilo, un compuesto de fórmula I y dimefluazol, un compuesto de fórmula I y dimetconazol, un compuesto de fórmula I y dimetomorf, un compuesto de fórmula I y dimetirimol, un compuesto de fórmula I y dimoxistrobina, un compuesto de fórmula I y diniconazol, un compuesto de fórmula I y dinocap, un compuesto de fórmula I y ditianon, un compuesto de fórmula I y cloruro de dodecil dimetil amonio, un compuesto de fórmula I y dodemorf, un compuesto de fórmula I y dodina, un compuesto de fórmula I y doguadina, un compuesto de fórmula I y edifenfos, un compuesto de fórmula I y enestrobina, un compuesto de fórmula I y epoxiconazol, un compuesto de fórmula I y etaboxam, un compuesto de fórmula I y etirimol, un compuesto de fórmula I y etridiazol, un compuesto de fórmula I y famoxadona, un compuesto de fórmula I y fenamidona (RPA407213), un compuesto de fórmula I y fenarimol, un compuesto de fórmula I y fenbuconazol, un compuesto de fórmula I y fenfuram, un compuesto de fórmula I y fenhexamida (KBR2738), un compuesto de fórmula I y fenoxanil, un compuesto de fórmula I y fenpiclonil, un compuesto de fórmula I y fenpropidina, un compuesto de fórmula I y fenpropimorf, un compuesto de fórmula I y acetato

de fentina, un compuesto de fórmula I e hidróxido de fentina, un compuesto de fórmula I y ferbam, un compuesto de fórmula I y ferimzona, un compuesto de fórmula I y fluazinam, un compuesto de fórmula I y fluopicolide, un compuesto de fórmula I y fludioxonil, un compuesto de fórmula I y fluoxastrobina, un compuesto de fórmula I y flumetover, un compuesto de fórmula I y SYP–LI90 (flumorf), un compuesto de fórmula I y fluopiram, un compuesto de fórmula I y fluorimida, un compuesto de fórmula I y fluquinconazol, un compuesto de fórmula I y flusilazol, un compuesto de fórmula I y flusulfamida, un compuesto de fórmula I y flutolanil, un compuesto de fórmula I y flutriafol, un compuesto de fórmula I y folpet, un compuesto de fórmula I y fosetil–aluminio, un compuesto de fórmula I y fuberidazol, un compuesto de fórmula I y furalaxil, un compuesto de fórmula I y furametpir, un compuesto de fórmula I y guazatina, un compuesto de fórmula I y hexaconazol, un compuesto de fórmula I e hidroxiisoxazol, un compuesto de fórmula I e himexazol, un compuesto de fórmula I y IKF–916 (ciazofamida), un compuesto de fórmula I e imazalil, un compuesto de fórmula I e imibenconazol, un compuesto de fórmula I e iminoctadina, un compuesto de fórmula I e triacetato de iminoctadina, un compuesto de fórmula I e ipconazol, un compuesto de fórmula I e iprobenfos, un compuesto de fórmula I e iprodiona, un compuesto de fórmula I e iprovalicarb (SZX0722), un compuesto de fórmula I e isopropanil butil carbamato, un compuesto de fórmula I e isoprotiolano, un compuesto de fórmula I y kasugamicina, un compuesto de fórmula I y kresoxim–metilo, un compuesto de fórmula I y LY186054, un compuesto de fórmula I y LY211795, un compuesto de fórmula I y LY248908, un compuesto de fórmula I y maneb, un compuesto de fórmula I y mancobre, un compuesto de fórmula I y mancozeb, un compuesto de fórmula I y mandipropamida, un compuesto de fórmula I y mefenoxam, un compuesto de fórmula I y mepanipirim, un compuesto de fórmula I y mepronil, un compuesto de fórmula I y metalaxil, un compuesto de fórmula I y metconazol, un compuesto de fórmula I y metasulfocarb, un compuesto de fórmula I y metiram, un compuesto de fórmula I y metiram–zinc, un compuesto de fórmula I y metominostrobina, un compuesto de fórmula I y metrafenona, un compuesto de fórmula I y miclobutanil, un compuesto de fórmula I y miclozolina, un compuesto de fórmula I y neoasozina, un compuesto de fórmula I y dimetilditiocarbamato de níquel, un compuesto de fórmula I y nicobifen, un compuesto de fórmula I y nitrotal–*iso*propilo, un compuesto de fórmula I y nuarimol, un compuesto de fórmula I y ofurace, un compuesto de fórmula I y compuestos de organomercurio, un compuesto de fórmula I y orisastrobina, un compuesto de fórmula I y oxadixil, un compuesto de fórmula I y oxasulfuron, un compuesto de fórmula I y oxina–cobre, un compuesto de fórmula I y ácido oxolínico, un compuesto de fórmula I y oxpoconazol, un

compuesto de fórmula I y oxicarboxin, un compuesto de fórmula I y pefurazoato, un compuesto de fórmula I y penconazol, un compuesto de fórmula I y pencicuron, un compuesto de fórmula I y pentiopirad, un compuesto de fórmula I y óxido de fenazina, un compuesto de fórmula I y fosdifen, un compuesto de fórmula I y ácidos de fósforo, un compuesto de fórmula I y ftalida, un compuesto de fórmula I y picoxistrobina (ZA1963), un compuesto de fórmula I y polioxina D, un compuesto de fórmula I y poliram, un compuesto de fórmula I y probenazol, un compuesto de fórmula I y procloraz, un compuesto de fórmula I y procimidona, un compuesto de fórmula I y propamocarb, un compuesto de fórmula I y propiconazol, un compuesto de fórmula I y propineb, un compuesto de fórmula I y ácido propiónico, un compuesto de fórmula I y proquinazid, un compuesto de fórmula I y protioconazol, un compuesto de fórmula I y piraclostrobina, un compuesto de fórmula I y pirazofos, un compuesto de fórmula I y piribencarb, un compuesto de fórmula I y pirifenox, un compuesto de fórmula I y pirimetanil, un compuesto de fórmula I y piroquilon, un compuesto de fórmula I y piroxifur, un compuesto de fórmula I y pirrolnitrina, un compuesto de fórmula I y compuestos de amonio cuaternario, un compuesto de fórmula I y quinometionato, un compuesto de fórmula I y quinoxifen, un compuesto de fórmula I y quintoceno, un compuesto de fórmula I y siltiofam, un compuesto de fórmula I y simeconazol, un compuesto de fórmula I y sipconazol (F–155), un compuesto de fórmula I y pentaclorofenato sódico, un compuesto de fórmula I y espiroxamina, un compuesto de fórmula I y estreptomicina, un compuesto de fórmula I y azufre, un compuesto de fórmula I y schwefel, un compuesto de fórmula I y tebuconazol, un compuesto de fórmula I y tecloftalam, un compuesto de fórmula I y tecnaceno, un compuesto de fórmula I y tetraconazol, un compuesto de fórmula I y tiabendazol, un compuesto de fórmula I y tifluzamida, un compuesto de fórmula I y 2–(tiocianometiltio)benzotiazol, un compuesto de fórmula I y tiofanato–metilo, un compuesto de fórmula I y tiram, un compuesto de fórmula I y tiadinilo, un compuesto de fórmula I y timibenconazol, un compuesto de fórmula I y tolclofos–metilo, un compuesto de fórmula I y tolilfluanida, un compuesto de fórmula I y triadimefon, un compuesto de fórmula I y triadimenol, un compuesto de fórmula I y triazbutilo, un compuesto de fórmula I y triazóxido, un compuesto de fórmula I y triciclazol, un compuesto de fórmula I y tridemorf, un compuesto de fórmula I y trifloxistrobina (CGA279202), un compuesto de fórmula I y triforine, un compuesto de fórmula I y triflumizol, un compuesto de fórmula I y triticonazol, un compuesto de fórmula I y validamicina A, un compuesto de fórmula I y vapam, un compuesto de fórmula I y valifenal, un compuesto de fórmula I y vinclozolina, un compuesto de fórmula I y zineb, un compuesto de fórmula I y ziram, un compuesto de fórmula I y zoxamida, un compuesto de

fórmula I y 3–[5–(4–clorofenil)–2,3–dimetilisoxazolidin–3–il]piridina, un compuesto de fórmula I y 5–cloro–7–(4–metilpiperidin–1–il)–6–(2,4,6–trifluorfenil)[1,2,4]triazolo[1,5–a]pirimidina, un compuesto de fórmula I y N–(4–cloro–2–nitrofenil)–N–etil–4–metil–benzsulfonamida, un compuesto de fórmula I y (9–isopropil–1,2,3,4–tetrahidro–1,4–metano–naftalen–5–il)–amida del ácido 3–difluormetil–1–metil–1H–pirazol–4–carboxílico (isopirazam), un compuesto de fórmula I y (2–bicicloprópil–2–il–fenil)–amida del ácido 3–difluormetil–1–metil–1H–pirazol–4–carboxílico (sedaxane), un compuesto de fórmula I y N–(3',4'–dicloro–5–fluor–1,1'–bifenil–2–il)–3–(difluormetil)–1–metil–1H–pirazol–4–carboxamida y un compuesto de fórmula I y glifosato.

Más particularmente, la composición de acuerdo con la presente invención comprende un compuesto de fórmula I y acibenzolar–S–metilo, un compuesto de fórmula I y azoxistrobina, un compuesto de fórmula I y clorotalonil, un compuesto de fórmula I y ciproconazol, un compuesto de fórmula I y ciprodinil, un compuesto de fórmula I y difenoconazol, un compuesto de fórmula I y fenpropidin, un compuesto de fórmula I y fluazinam, un compuesto de fórmula I y fludioxonil, un compuesto de fórmula I y hexaconazol, un compuesto de fórmula I e isopirazam, un compuesto de fórmula I y mandipropamida, un compuesto de fórmula I y mefenoxam, un compuesto de fórmula I y penconazol, un compuesto de fórmula I y propiconazol, un compuesto de fórmula I y piroquilon, un compuesto de fórmula I y sedaxane o un compuesto de fórmula I y tiabendazol.

Las formulaciones de la invención y para utilizar en los métodos de la invención pueden ser aplicadas a las áreas donde se desea un control mediante métodos convencionales tales como pulverización, atomización, espolvoreo, dispersión, recubrimiento o vertido. Las composiciones líquidas y en polvo, por ejemplo, pueden ser aplicadas mediante el uso de bombas rociadoras, rociadoras de barrido y manuales y pistolas rociadoras. Las formulaciones también pueden ser aplicadas desde aviones como un polvo o una pulverización o mediante aplicaciones de mecha. Un método de aplicación de la formulación de la invención es la aplicación foliar. Por añadidura, pueden aplicarse además tanto formulaciones sólidas como líquidas al suelo en el locus de la planta que se va a tratar permitiendo que el ingrediente activo penetre en la planta a través de las raíces. Las formulaciones de la invención también pueden ser empleadas para aplicaciones de recubrimiento sobre el material de propagación vegetal para proporcionar protección contra infecciones microbianas (fúngicas) en el material de propagación vegetal así como también contra microbios fitopatógenos (hongos) que se presentan en el suelo. Adecuadamente, el ingrediente activo puede ser aplicado al material reproductivo

de la planta a ser protegido impregnando el material de propagación vegetal, en particular, las semillas, ya sea con una formulación líquida o recubriéndolo con una formulación sólida. En casos especiales, otros tipos de aplicación son también posibles, por ejemplo, el tratamiento específico de acodos o gajos de plantas que sirven para propagación. Se señala que, si bien se prefiere formular los productos comerciales como concentrados, el usuario final normalmente utilizará formulaciones diluidas.

Por añadidura, los compuestos de fórmula I encuentran uso general como fungicidas y pueden, por ende, ser empleados en métodos para controlar hongos patógenos en áreas relacionadas, por ejemplo en la protección de materiales técnicos, en almacenamiento de alimentos o en el manejo de la higiene. De ese modo, la presente invención provee además el uso de un compuesto de fórmula I para prevenir y/o controlar la infección fúngica sobre materiales técnicos, en almacenamiento de alimentos o en el manejo de la higiene. Por añadidura, la presente invención provee además un método para controlar y/o prevenir la infestación de materiales técnicos por hongos que comprende aplicar el compuesto de fórmula I al material técnico o a su locus en una cantidad fungicidamente eficaz.

"Materiales técnicos" incluyen, aunque sin limitarse a, materiales orgánicos e inorgánicos tales como madera, papel, cuero, fibras naturales y sintéticas, compuestos de los mismos tales como tablero de partículas, madera contrachapada, placa para construcción de tabiques y similares, telas tejidas y no tejidas, superficies y materiales de construcción (por ej., material de construcción), superficies y materiales de sistemas de refrigeración y calefacción, superficies y materiales de sistemas de ventilación y de aire acondicionado, y similares. Los compuestos y combinaciones de acuerdo con la presente invención pueden ser aplicados a dichos materiales o superficies en una cantidad eficaz para inhibir o prevenir efectos desventajosos tales como putrefacción, decoloración o moho de igual modo según lo descrito anteriormente. Las estructuras y viviendas construidas que utilizan o incorporan materiales técnicos en los cuales dichos compuestos o combinaciones han sido aplicados están asimismo protegidas contra el ataque por hongos.

El material técnico puede ser tratado con un compuesto de fórmula I de diversas maneras, incluyendo, aunque sin limitarse a, incluyendo el compuesto en el material técnico mismo, absorber, impregnar, tratar (en sistemas de presión o vacío cerrados) dicho material con dicho compuesto, sumergir o empapar el material de construcción, o recubrir el material, por ejemplo, por aplicación por extendido por cortina, rodillo, cepillo, rociado, atomizado, espolvoreo, desparramado o vertido. El compuesto de la invención

puede ser formulado para utilizar en el tratamiento de materiales técnicos utilizando técnicas bien conocidas por el experto en la materia. Dichas formulaciones pueden utilizar, por ejemplo, los materiales de formulación enlistados anteriormente con relación a formulaciones agroquímicas.

Adicionalmente, los compuestos de la presente invención pueden ser útiles como reguladores del crecimiento de las plantas o en aplicaciones de salud de las plantas.

Los reguladores del crecimiento de las plantas (RCP) son generalmente cualquier sustancia o mezcla de sustancias destinada a acelerar o retardar el índice de crecimiento o maduración, o de otro modo alterar el desarrollo de plantas o su producto.

Los reguladores del crecimiento de las plantas (RCP) afectan el crecimiento y diferenciación de las plantas.

Más específicamente, diversos reguladores del crecimiento de las plantas (RCP) pueden, por ejemplo, reducir la altura de la planta, estimular la germinación de la semilla, inducir la floración, oscurecer la coloración de la hoja, cambiar la velocidad de crecimiento de la planta y modificar el momento y eficacia de la frutación.

Las aplicaciones en la salud de las plantas incluyen, por ejemplo, mejora de propiedades/características de cultivo ventajosas incluyendo: emergencia, rendimientos de los cultivos, contenido proteico, mayor vigor, maduración más rápida, mayor velocidad de emergencia de la semilla, mejor eficacia en la utilización del nitrógeno, mejor eficacia en el uso del agua, contenido y/o calidad de aceite mejorado, digeribilidad mejorada, maduración más rápida, mejor sabor, contenido mejorado de almidón, sistema de raíces más desarrollado (crecimiento mejorado de raíces), tolerancia al esfuerzo mejorada (por ej. contra la sequía, calor, sal, luz, UV, agua, frío), etileno reducido (producción reducida y/o inhibición de recepción), aumento de formación de retoños, aumento en la altura de la planta, cuchilla de hoja más grande, menos hojas basales muertas, retoños más fuertes, color de hoja más verde, contenido de pigmento, actividad fotosintética, menos agregados necesarios (tales como fertilizantes o agua), menos semillas necesarias, retoños más productivos, floración más temprana, maduración del grano temprana, menos acame de la planta (vuelco), crecimiento del brote aumentado, aumento del vigor de la planta, mayor postura de la planta y germinación temprana y mejor.

Las propiedades ventajosas, obtenidas especialmente de las semillas tratadas, son por ej. germinación mejorada y establecimiento de campo, mejor vigor, establecimiento de campo más homogéneo.

Las propiedades ventajosas, obtenidas especialmente de la aplicación foliar y/o en surco son, por ej., crecimiento de la planta y desarrollo de la planta mejorados, mejor

crecimiento, más retoños, hojas más verdes, hojas más grandes, más biomasa, mejores raíces, tolerancia al estrés mejorada de las plantas, más rendimiento de granos, más biomasa recolectada, calidad mejorada de la cosecha (contenido de ácidos grasos, metabolitos, aceite etc), productos más comercializables (por ej., tamaño mejorado), proceso mejorado (por ej. vida media más larga, mejor extracción de compuestos), calidad mejorada de las semillas (por ser sembradas en las estaciones siguientes para la producción de semillas); o cualquier otra ventaja conocida por un experto en la materia.

El término "salud de la planta" comprende, por ende, diversas clases de mejoras de plantas que no están conectadas con el control de microbios dañinos.

La presente invención será ahora descrita por medio de los siguientes ejemplos no limitativos. Los expertos en la técnica reconocerán rápidamente las variaciones apropiadas de los procedimientos tanto en cuanto a los reactivos como a las condiciones de reacción y técnicas.

EJEMPLOS

Ejemplo 1: La preparación de 2–[6–(3–fluor–4–metoxi–fenil)–5–metilpiridin–2–il]–quinazolina (Compuesto Tabla 3/Entrada 92)

a) Preparación de 2–(3–fluor–4–metoxifenil)–3–metilpiridina

Se agregaron ácido 3–fluor–4–metoxifenilborónico (14,8 g, 87,2 mmol) y 77,5 ml de una solución de carbonato sódico (3 M en agua) a una solución de 2–bromo–3–metilpiridina (10 g, 58 mmol) en 600 ml de 1,2–dimetoxietano. Después de desgasificar esta mezcla con argón durante 15 min, se agrega [1,1–bis(difenilfosfino)ferroceno]dicloropaladio (II), en complejo con diclorometano (950 mg, 1,1 mmol) y la mezcla de reacción se agita durante 2 h a 95° C. Posteriormente, la mezcla de reacción se enfría, se diluye con agua y se extrae con acetato de etilo. La capa orgánica combinada se lava con solución de hidróxido sódico (1 M en agua) y salmuera, se seca sobre sulfato sódico y se evapora bajo presión reducida. El remanente se purifica mediante cromatografía sobre gel de sílice, utilizando una mezcla de ciclohexano / acetato de etilo 2 : 1 como eluyente para obtener 2–(3–fluor–4–metoxifenil)–3–metilpiridina. ^{1}H–RMN ($CDCl_3$): δ = 2,40 (s, 3H), 3,97 (s, 3H), 7,06 (t, 1H), 7,19 (dd, 1H), 7,28 – 7,35 (m, 2H), 7,59 (d, 1H), 8,53 (d, 1H). EM: m/z = 218 (M+1).

b) Preparación de 1–óxido de 2–(3–fluor–4–metoxifenil)–3–metilpiridina

Se agrega ácido 3–cloroperbenzoico (21,5 g, 87,5 mmol) a una solución de 2–(3–fluor–4–metoxifenil)–3–metilpiridina (9,5 g, 44 mmol) en 95 ml de diclorometano. La mezcla de reacción se agita durante 16 h a temperatura ambiente y se extrae con solución de hidróxido de sodio (2 M en agua). Luego, la capa orgánica se lava con solución acuosa de tiosulfato sódico, solución de hidróxido de sodio (1 M en agua) y salmuera, se seca sobre sulfato sódico y se evapora bajo presión reducida para obtener 1–óxido de 2–(3–fluor–4–metoxifenil)–3–metilpiridina, el cual puede ser utilizado en la siguiente etapa sin más purificación. ^{1}H–RMN ($CDCl_3$): δ = 2,15 (s, 3H), 3,95 (s, 3H), 7,08 – 7,21 (m, 5H), 8,24 (d, 1H). EM: m/z = 234 (M+1).

c) Preparación de 6–(3–fluor–4–metoxifenil)–5–metilpiridin–2–carbonitrilo

Se agrega cianuro de trimetilsililo (4,6 g, 47 mmol) a una solución de 1–óxido de 2–(3–fluor–4–metoxifenil)–3–metilpiridina (8,8 g, 38 mmol) en 135 ml de 1,2–dicloroetano. La solución resultante se agita durante 1 h a temperatura ambiente. Posteriormente, se agrega lentamente cloruro de *N,N*–dimetilcarbamoílo (5,0 g, 47 mmol) dentro de los 30 min. La mezcla de reacción se agita durante 16 h a 65°C, luego se apaga mediante la lenta adición de agua. Después de la separación de fases, la capa orgánica se lava con solución de hidróxido de sodio (2 M en agua) y agua, se seca sobre sulfato sódico y se evapora bajo presión reducida. El remanente se purifica por cromatografía en gel de sílice, utilizando una mezcla de ciclohexano /acetato de etilo 3 : 1 como eluyente para obtener 6–(3–fluor–4–metoxifenil)–5–metilpiridin–2–carbonitrilo. ^{1}H–RMN ($CDCl_3$): δ = 2,48 (s, 3H), 3,98 (s, 3H), 7,07 (t, 1H), 7,30 – 7,36 (m, 2H), 7,59 (dd, 1H), 7,72 (dd, 1H). EM: m/z = 243 (M+1).

d) Preparación de 2–[6–(3–fluor–4–metoxifenil)–5–metilpiridin–2–il]–3H–quinazolin–4–ona

Se agregan 7,6 ml de una solución de metóxido sódico (5,4 M en metanol) a una suspensión de 6–(3–fluor–4–metoxifenil)–5–metilpiridin–2–carbonitrilo (5,0 g, 20 mmol) en 50 ml de metanol. La mezcla resultante se agita durante 2 h a 65°C. Posteriormente, se agrega ácido antranílico (8,7 g, 64 mmol) y la mezcla de reacción se agita durante 16 h a 95°C, luego se enfría, se diluye con acetato de etilo y se extrae con solución de hidróxido de sodio (2 M en agua). Luego, la capa orgánica combinada se lava con salmuera, se seca sobre sulfato sódico y evapora bajo presión reducida. El residuo es absorbido en 15 ml de diclorometano, se agita durante 10 min y se filtra para obtener 2–[6–(3–fluor–4–metoxifenil)–5–metilpiridin–2–il]–3H–quinazolin–4–ona. ^{1}H–RMN (d_6–DMSO): δ = 2,51 (s,

3H), 3,94 (s, 3H), 7,29 (t, 1H), 7,55 – 7,64 (m, 2H), 7,82 (d, 1H), 7,88 – 8,01 (m, 3H), 8,20 (d, 1H), 8,32 (d, 1H). EM: m/z = 362 (M+1).

e) Preparación de 4–cloro–2–[6–(3–fluor–4–metoxifenil)–5–metilpiridin–2–il]–quinazolina

Se agitan 2–[6–(3–fluor–4–metoxifenil)–5–metilpiridin–2–il]–3H–quinazolin–4–ona (2,5 g, 6,9 mmol) en 20 ml de oxicloruro de fósforo durante 1 h a 60°C. La mezcla de reacción se enfría y se evapora bajo presión reducida. El residuo es absorbido en diclorometano y se extrae con solución de hidróxido de sodio (2 M en agua). La capa orgánica se lava con salmuera, se seca sobre sulfato sódico y se evapora bajo presión reducida para obtener 4–cloro–2–[6–(3–fluor–4–metoxifenil)–5–metilpiridin–2–il]–quinazolina, la cual puede ser empleada en la siguiente etapa sin más purificación. ^{1}H–RMN ($CDCl_3$): δ = 2,44 (s, 3H), 3,92 (s, 3H), 7,31 (t, 1H), 7,47 (d, 1H), 7,55 (d, 1H), 7,82 – 8,02 (m, 3H), 8,13 – 8,22 (m, 2H), 8,43 (d, 1H). EM: m/z = 380 (M+1).

f) Preparación de 2–[6–(3–fluor–4–metoxifenil)–5–metilpiridin–2–il]–3,4–dihidroquinazolina

Se agrega paladio (10% sobre carbón, 36 mg, 0,34 mmol) a una suspensión de 4–cloro–2–[6–(3–fluor–4–metoxifenil)–5–metilpiridin–2–il]–quinazolina (2,6 g, 6,8 mmol) y trietilamina (4,1 g, 41 mmol) en 300 ml de metanol bajo argón. El argón es intercambiado por hidrógeno y la mezcla de reacción se agita durante 16 h a temperatura ambiente bajo hidrógeno. Posteriormente, la mezcla de reacción se filtra a través de célite y se evapora bajo presión reducida. El residuo es absorbido en diclorometano y se extrae con una solución acuosa saturada de carbonato de hidrógeno sódico. La capa orgánica se lava con salmuera, se seca sobre sulfato sódico y se evapora bajo presión reducida para obtener 2–[6–(3–fluor–4–metoxifenil)–5–metilpiridin–2–il]–3,4–dihidroquinazolina, la cual puede ser empleada en la siguiente etapa sin más purificación. ^{1}H–RMN ($CDCl_3$): δ = 2,42 (s, 3H), 3,99 (s, 3H), 4,88 (bs, 1H), 5,32 (d, 2H), 7,02 (t, 1H), 7,05 – 7,13 (m, 4H), 7,21 (t, 1H), 7,32 (dd, 1H), 7,38 (dd, 1H), 7,76 (d, 1H). EM: m/z = 348 (M+1).

g) Preparación de 2–[6–(3–fluor–4–metoxifenil)–5–metilpiridin–2–il]–quinazolina (Compuesto N.º I.a.581))

Se agrega 2,3–dicloro–5,6–diciano–*p*–benzoquinona (2,1 g, 9,2 mmol) a una suspensión de 2–[6–(3–fluor–4–metoxifenil)–5–metilpiridin–2–il]–3,4–dihidroquinazolina (2,9 g, 8,4 mmol) en 150 ml de tolueno. La mezcla de reacción se agita durante 30 min a temperatura ambiente, se diluye con acetato de etilo y se extrae con una solución saturada acuosa de carbonato de hidrógeno sódico. La capa orgánica se lava con

solución acuosa de tiosulfato sódico y salmuera, se seca sobre sulfato sódico y se evapora bajo presión reducida. El remanente se purifica por cromatografía en gel de sílice, utilizando una mezcla de ciclohexano / acetato de etilo / diclorometano 2 : 1 : 1 como eluyente para obtener 2-[6-(3-fluor-4-metoxifenil)-5-metilpiridin-2-il]-quinazolina (Compuesto No I.a.581). ^{1}H-RMN ($CDCl_3$): δ = 2,48 (s, 3H), 3,97 (s, 3H), 7,08 (t, 1H), 7,43 (dd, 1H), 7,49 (dd, 1H), 7,69 (t, 1H), 7,81 (d, 1H), 7,93 – 8,00 (m, 2H), 8,21 (d, 1H), 8,54 (d, 1H), 9,60 (s, 1H). EM: m/z = 346 (M+1).

Ejemplo 2: Este ejemplo ilustra la preparación de 2-(6-bencilpiridin-2-il)-quinazolina (Compuesto Tabla 6/Entrada 17)

a) Preparación de 2-(6-bromopiridin-2-il)-1,2,3,4-tetrahidroquinazolina

Una solución de piridina (5,1 g, 64 mmol) en 50 ml de diclorometano se agrega a una solución de cloruro de tionilo (7,6 g, 64 mmol) en 50 ml de diclorometano a 0°C. La mezcla se agita durante 15 min a 0°C, luego se agrega lentamente 6-bromopiridin-2-carboxaldehído (10 g, 54 mmol) a 0°C. La mezcla resultante se agita durante 1 h a temperatura ambiente, luego se agrega por goteo una solución de 2-aminobencilamina (7,2 g, 59 mmol) en 50 ml de diclorometano. La mezcla de reacción se agita durante 1 h a temperatura ambiente, luego se diluye con 50 ml de una solución de acetato sódico (8,8 g en agua), se basifica con solución de hidróxido sódico (2 M en agua) y se extrae con diclorometano. La capa orgánica se lava con salmuera, se seca sobre sulfato sódico y se evapora bajo presión reducida. El remanente se purifica por cromatografía en gel de sílice, utilizando una mezcla de ciclohexano / acetato de etilo 2 : 1 como eluyente para obtener 2-(6-bromopiridin-2-il)-1,2,3,4-tetrahidroquinazolina. ^{1}H-RMN ($CDCl_3$): δ = 4,02 (d, 1H), 4,27 (d, 1H), 5,01 (bs, 1H), 5,23 (s, 1H), 6,68 – 6,76 (m, 2H), 6,93 (d, 1H), 7,07 (t, 1H), 7,44 (d, 1H), 7,58 – 7,63 (m, 2H). EM: m/z = 291 (M+1).

b) Preparación de 2-(6-bromopiridin-2-il)-quinazolina

Se agrega 2,3-dicloro-5,6-diciano-*p*-benzoquinona (121 g, 0,53 mol) a una suspensión de 2-(6-bromopiridin-2-il)-1,2,3,4-tetrahidroquinazolina (77 g, 0,26 mol) en 1450 ml de tolueno. La mezcla de reacción se agita durante 30 min a temperatura ambiente, se basifica con solución de hidróxido sódico (5 M en agua) y se extrae con acetato de etilo. La capa orgánica se lava con salmuera, se seca sobre sulfato sódico y se evapora bajo presión reducida. El remanente se purifica por cromatografía en gel de sílice, utilizando una mezcla de ciclohexano / acetato de etilo / diclorometano 2 : 1 : 1 como eluyente para obtener 2-(6-bromopiridin-2-il)-quinazolina. ^{1}H-RMN ($CDCl_3$): δ =

7,63 (d, 1H), 7,69 – 7,78 (m, 2H), 7,93 – 8,01 (m, 2H), 8,20 (d, 1H), 8,64 (d, 2H), 9,59 (s, 1H). EM: m/z = 287 (M+1).

c) Preparación de 2–(6–bencilpiridin–2–il)–quinazolina

Una solución de 2–(6–bromopiridin–2–il)–quinazolina (9,0 g, 32 mmol) en 450 ml de tetrahidrofurano se desgasifica con argón durante 10 min. Se agrega tetrakis(trifenilfosfin)paladio (0,36 g, 0,32 mmol) y la mezcla se agita durante 30 min a 65°C. Se agregan 70 ml de una solución de bromuro de bencilzinc (0,5 M en tetrahidrofurano) y la mezcla de reacción se calienta hasta reflujo durante 16 h. Posteriormente, la mezcla se enfría y se agregan 250 ml de una solución de EDTA (12 % en agua) y la mezcla se agita durante otras 72 h a temperatura ambiente, luego se diluye con solución de hidróxido sódico (1 M en agua) y se extrae con acetato de etilo. La capa orgánica se lava con salmuera, se seca sobre sulfato sódico y se evapora bajo presión reducida. El remanente se purifica por cromatografía en gel de sílice, utilizando una mezcla de ciclohexano /acetato de etilo 2 : 1 como eluyente para obtener 2–(6–bencilpiridin–2–il)–quinazolina (Compuesto No I.a.017). ^{1}H–RMN ($CDCl_3$): δ = 4,48 (s, 2H), 7,12 (d, 1H), 7,23 – 7,35 (m, 5H), 7,70 (t, 1H), 7,77 (t, 1H), 7,93 – 8,02 (m, 2H), 8,22 (d, 1H), 8,51 (d, 1H), 9,62 (s, 1H). EM: m/z = 298 (M+1).

Ejemplo 3: Este ejemplo ilustra la preparación de 2–(6–*o*–toliloxipiridin–2–il)–quinazolina (Compuesto Tabla 4/Entrada 22)

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Una mezcla de 2–(6–bromopiridin–2–il)–quinazolina (200 mg, 0,7 mmol), *o*–cresol (94 mg, 0,7 mmol), bromuro de cobre (I) (20 mg, 0,14 mmol) y carbonato de cesio (570 mg, 1,75 mmol) se desgasifica con argón. Luego, se agregan 2,2,6,6–tetrametil–3,5–heptandion (103 mg, 0,56 mmol) y 2 ml de N,N–dimetilformamida y esta mezcla se calienta en un tubo sellado durante 22 h a 135°C. Posteriormente, la mezcla se enfría y se agregan 20 ml de una solución de EDTA (12 % en agua) y la mezcla se agita durante otras 72 h a temperatura ambiente, luego se diluye con solución de hidróxido sódico (1 M en agua) y se extrae con acetato de etilo. La capa orgánica se lava con salmuera, se seca sobre sulfato sódico y se evapora bajo presión reducida. El remanente se purifica por cromatografía en gel de sílice, utilizando una mezcla de ciclohexano / acetato de etilo 2 : 1 como eluyente para obtener 2–(6–*o*–toliloxipiridin–2–il)–quinazolina (Compuesto No I.a.093). ^{1}H–RMN ($CDCl_3$): δ = 2,28 (s, 3H), 6,63 (d, 1H), 7,12 – 7,31 (m, 4H), 7,64 (t, 1H),

7,80 (t, 1H), 7,89 – 7,95 (m, 2H), 8,22 (d, 1H), 8,39 (d, 1H), 9,57 (s, 1H), EM: m/z = 314 (M+1).

Ejemplo 4: Este ejemplo ilustra la preparación de 2–[6–(4–clorofenilsulfanil)–piridin–2–il]–quinazolina (Compuesto N.º Tabla 9/Entrada 3)

Una mezcla de 2–(6–bromopiridin–2–il)–quinazolina (200 mg, 0,7 mmol), 4–clorotiofenol (139 mg, 0,77 mmol), N,N–dimetilformamida (128 mg, 1,75 mmol) y carbonato de potasio (121 mg, 0,87 mmol) se calienta bajo argón en un tubo sellado durante 3 h a 110°C. Posteriormente, la mezcla se enfría, se diluye con solución de hidróxido sódico (1 M en agua) y se extrae con acetato de etilo. La capa orgánica se lava con salmuera, se seca sobre sulfato sódico y se evapora bajo presión reducida. El remanente se purifica por cromatografía en gel de sílice, utilizando una mezcla de ciclohexano / acetato de etilo 2 : 1 como eluyente para obtener 2–[6–(4–clorofenilsulfanil)–piridin–2–il]–quinazolina (No. de Compuesto I.a.319). ^{1}H–RMN ($CDCl_3$): δ = 6,92 (d, 1H), 7,43 (d, 2H), 7,58 – 7,70 (m, 4H), 7,92 – 8,01 (m, 2H), 8,21 (d, 1H), 8,39 (d, 1H), 9,60 (s, 1H). EM: m/z = 350 (M+1).

Ejemplo 5: Este ejemplo ilustra la preparación de 4-etil-2-(5-metil-6-fenil-piridin-2-il)-quinazolina (Compuesto Tabla 11/Entrada 8)

a) Síntesis de 3-metil-2-fenil-piridina:

A una solución agitada de 2-bromo-3-metilpiridina (30 g, 174 mmol) en dimetoxietano (1.3 L) se agregó una parte de ácido fenilboronico (42.5 g, 349 mmol) a temperatura ambiente, seguido de una solución acuosa de carbonato de sodio (3 M en agua, 233 mL, 698 mmol). La mezcla fue desgasificada argón durante 30 minutos aproximadamente, después de los cuales se agregó [1,1'-bis(difenilfosfino)ferroceno]dicloropalado(II), complejo con diclorometano (4.3 g, 5,0 mmol), bajo argón. La reacción fue agitada a 95°C durante 2 horas. La mezcla cruda fue diluida con acetato de etilo y agua y la capa orgánica fue decantada. Se lacó una vez con solución acuosa de hidróxido de sodio (0,5 M) y una vez con salmuera, La capa orgánica fue recogida, secada con sulfato de sodio y se concentró *in vacuo*. La mezcla cruda fue purificada por cromatografía en columna rápida en gel de sílice (eluyente: acetato de etilo/ciclohexano 1 : 3). El compuesto base se obtuvo como un aceite anaranjado pálido. ^{1}H-NMR ($CDCl_3$): δ = 2,37 (s, 3H), 7,19 (dd, 1H), 7,37–7,41 (m, 2H), 7,42–7,49 (dd, 1H), 7,52–7,56 (m, 2H), 7,60 (d, 1H), 8,55 (d, 1H).

b) Síntesis de 1-óxido de 3-metil-2-fenil-piridina:

A una solución agitada de 3-metil-2-fenil-piridina (26,9 g, 159 mmol) en diclorometano (220 mL) bajo atmósfera de nitrógeno se agregó ácido *m*-cloroperbenzoico (70% puro, 78,4 g, 318 mmol) en pequeñas porciones, a 0°C. La mezcla fue agitada durante toda la noche a temperatura ambiente. Luego fue enfriada a 0°C y se agregó una solución acuosa de hidróxido de sodio (2 M) lentamente (PRECAUCIÓN: exotérmica) hasta alcanzar un pH básico. A esta mezcla luego se agregó una solución acuosa saturada de tiosulfato de sodio lentamente a 0°C (PRECAUCIÓN: altamente exotérmica). La solución bifásica fue agitada durante 30 minutos adicionales después de lo cual la capa orgánica fue decantada, lavada en una solución acuosa con hidróxido de sodio (1 M), decantada, secada sobre sulfato de sodio y concentrada *in vacuo*. El compuesto crudo se obtuvo como un sólido blanco. ^{1}H-NMR ($CDCl_3$): δ = 2,13 (s, 3H), 7,15–7,22 (m, 2H), 7,47 (d, 2H), 7,43–7,49 (m, 1H), 7,51–7,57 (m, 2H), 8,27 (d, 1H).

c) Síntesis de 5-metil-6-fenil-1H-piridin-2-ona:

Una solución de 1 óxido de 3-metil-2-fenil-piridina (12 g, 65 mmol) en anhídrido acético (120 mL) fue separada en partes iguales en cuatro frascos viales para microondas y fueron sellados. Los frascos viajes fueron irradiados durante 45 minutos en un horno ha microondas a 175°C. La mezcla cruda fue *in vacuo*. El crudo fue recogido en acetato de etilo (100 mL) y se agregó una solución acuosa de hidróxido de litio (1 M) hasta alcanzar el ph~9. Se agitó la mezcla vigorosamente durante una hora después de lo cual la capa orgánica fue decantada. La capa acuosa fue extraída tres veces con acetato de etilo. Las capas orgánicas fueron recogidas, secadas sobre sulfato de magnesio y concentradas *in vacuo*. La mezcla cruda fue purificada por cromatografía en columna rápida en gel de sílice (gradiente eluyente: diclorometano puro a metanol al 6 % en diclorometano). El compuesto crudo se obtuvo como un sólido blanco. ^{1}H NMR ($CDCl_3$) = 2,10 (s, 3H), 6,51 (d, 1H), 7,36 (d, 1H), 7,41–7,46 (m, 2H), 7,48–7,52 (m, 3H), 9,73 (s, 1H).

d) Síntesis de 6-bromo-3-metil-2-fenil-piridina:

A una solución de 5-metil-6-fenil-1H-piridin-2-ona (1,6 g, 8,6 mmol) en tolueno (35 mL) se agregó en una parte de oxibromuro de fósforo (5,0 g, 17,3 mmol). La mezcla fue refluida

durante 2 horas, y luego fue enfriada a 0°C, cubierta con acetato de etilo y se templó con una solución acuosa de hidróxido de sodio (2 M) a 0°C. La capa orgánica fue decantada, secada y concentrada. La mezcla cruda fue filtrada sobre una almohadilla de gel de sílice con una mezcla de acetato de etilo al 25% en ciclohexano. El compuesto base se obtuvo como un aceite incoloro. ^{1}H NMR ($CDCl_3$) = 2,34 (s, 3H), 7,39 (d, 1H), 7,40–7,48 (m, 5H), 7,53 (d, 1H).

e) Síntesis de 3-metil-2-fenil-6-tributilstannanil-piridina:

En un matraz seco, bajo argón, se agregó una solución de *n*-butilo litio en tetrahidrofurano (1,5 M, 17 mL; 25,7 mmol) gota a gota a una solución de 6-bromo-3-metil-2-fenil-piridina (5,8 g, 23,4 mmol) en tetrahidrofurano anhidro(100 mL), a -78°C. La solución fue agitada de esa temperatura durante 45 minutos, después de lo cual se agregó cloruro de tributiltina (6,4 mL, 23,4 mmol) gota a gota, a -78°C. Se dejó que la solución se entibiara a temperatura ambiente por más de una hora, antes de lo cual se agregó una solución acuosa saturada de cloruro de amoníaco. La capa orgánica fue decantada. Luego la capa acuosa fue extraída dos veces con acetato de etilo. Las capas orgánicas fueron recogidas, secadas sobre sulfato de magnesio y concentradas *vacuo*. El compuesto base se obtuvo como un aceite amarillo pálido. ^{1}H NMR ($CDCl_3$): 0,92 (m, 9H), 1,14 (m, 6H), 1,48 (m, 6H), 1,60 (m, 6H), 7,28 (d, 1H), 7,47–7,50 (m, 2H), 7,52–7,58 (m, 2H), 7,61 (m, 2H).

f) Síntesis de 2-bromo-4-metilquinazolina:

A una mezcla desgasificada de 2,4-dibromoquinazolina (200 mg, 0,69 mmol), trimetilboroxina (0,10 mL, 0,69 mmol) y carbonato de potasio (300 mg, 2,1 mmol) en dioxano anhidro (2.5 mL) en un frasco vial se agregó tetraquis(trifenilfosfina)paladio(0) (80 mg, 69 □mol) bajo argón. El frasco vial fue sellado e irradiado en un horno a microondas durante 5 minutos a 150 °C. La mezcla cruda fue diluida con diclorometano y lavada con agua. La capa orgánica fue decantada, secada sobre sulfato de magnesio y concentrada *in vacuo*. La mezcla cruda fue purificada por cromatografía en columna rápida en gel de sílice (gradiente eluyente: 0% a 25% de acetato de etilo en ciclohexano) para producir el compuesto base. ^{1}H NMR ($CDCl_3$): 2,96 (s, 3H), 7,58 (app. t. 1H), 7,93 (app. t. 1H), 7,98 (d, 1H), 8,10 (d, 1H).

g) Síntesis de 4-Metil-2-(5-metil-6-fenil-piridin-2-il)-quinazolina:

A una solución desclasificada y agitada de 3-metil-2-fenil-6-tributilstannanil-piridina (247 mg, 0,54 mmol), 2-bromo-4-metilquinazolina (74 mg, 0,33 mmol) y cloruro de litio (39 mg, 0,92 mmol) en *N*,*N*-dimetilformamida anhidro (2 mL) en un frasco vial Supelco se agregó tetraquis(trifenilfosfina)paladio(0) (38 mg, 33 □mol). El frasco vial fue sellado y calentado a 100°C, Durante toda la noche. La mezcla cruda luego fue diluida con acetonitrilo y fue lavada 3 veces con hexano. La capa de acetonitrilo fue concentrada *in vacuo* y fue recogida en acetato de etilo. Fue lavada 3 veces con agua, secada sobre sulfato de magnesio y concentrada. La capa orgánica fue decantada, secada y concentrada. El crudo así obtenido fue purificado por cromatografía en columna rápida en el de sílice (gradiente eluyente: 0% a 30% de acetato de etilo en ciclohexano). El compuesto base se obtuvo como un sólido blanco: 141-143°C, ^{1}H NMR ($CDCl_3$): 2,36 (s, 3H), 2,96 (s, 3H), 7,29-7,33 (m, 1H), 7,38 (app, t, 2H), 7,51 (t, 1H), 7,60 (d, 2H), 7,68 (d, 1H), 7,77 (t, 1H), 8,02 (d, 1H), 8,10 (d, 1H), 8,40 (d, 1H).

A lo largo de esta descripción, las temperaturas son proporcionadas en grados Celsius y "p.f." significa punto de fusión.

Condiciones A

MS Espectrómetro de masas ZMD de Waters (espectrómetro de masas de cuádruplo simple), método de ionización: electrospray, polaridad: ionización positiva, capilaridad (kV) 3,00, cono (V) 30,00, Extractor (V) 3,00, temperatura de fuente (°C) 150, temperatura de desolvatación (°C) 320, flujo de gas de cono (L/Hr) 50, flujo de gas de desolvatación (L/Hr) 400, rango de masas: 150 a 800 Da.

LC LC HPLC Alliance 2795 de Waters: bomba cuaternaria, compartimiento de columna calentado y detector de matriz de diodos.

Columna: Waters Atlantis dc18; longitud: 20 mm; diámetro interno: 3 mm; tamaño de partícula: 3 µm, temperatura (°C) 40, rango de longitud de onda DAD (nm): 200 a 500, gradiente de solvente: A = 0,1% de ácido fórmico en agua t B: 0,1% de ácido fórmico en acetonitrilo.

Tiempo (min)	A%	B%	Flujo (ml/min)
0,0	80	20	1,7
2,5	0,0	100	1,7
2,8	0,0	100	1,7
2,9	80	20	1,7

Condición B

MS Espectrómetro de masas ZMD de Waters (espectrómetro de masas de cuádruplo simple), método de ionización: electrospray, polaridad: ionización positiva, capilaridad (kV) 3,00, cono (V) 30,00, extractor (V) 3,00, temperatura de fuente (°C) 100, temperatura de desolvatación (°C) 200, flujo de gas de cono (L/Hr) 200, flujo de gas de desolvatación (L/Hr) 250, rango de masas: 150 a 800 Da.

LC HPLC 1100er Series de Agilent: bomba cuaternaria, compartimiento de columna calentado y detector de matriz de diodos.

Columna: Atlantis dc18 de Waters; longitud: 20 mm; diámetro interno: 3 mm; tamaño de partícula: 3 µm, temperatura (°C) 40, rango de longitud de onda DAD (nm): 200 a 500, gradiente de solvente: A = 0,1de ácido fórmico en agua y B: 0,1% ácido fórmico en acetonitrilo.

Tiempo (min)	A%	B%	Flujo (ml/min)
0,0	80	20	1,7
2,5	0,0	100	1,7
2,8	0,0	100	1,7
2,9	80	20	1,7

Condición C

MS Espectrómetro de masas de ACQUITY SQD de Waters (espectrómetro de masas de cuádruplo simple) –Método de ionización: Electrospray - Polaridad: iones positivos- Capilaridad (kV) 3,00, Cono (V) 20,00, Extractor (V) 3,00, Fuente de temp. (°C) 150, Temp. de desolvatación (°C) 400, Flujo de gas de cono (L/Hr) 60, Flujo de gas de desolvatación (L/Hr) 700 – Rango de masas: 100 a 800 Da - Rango de longitud de onda DAD (nm): 210 a 400 .

LC Método ACQUITY UPLC de Waters con las siguientes condiciones de gradiente de HPLC (Solvente A: Agua/Metanol 9:1,0,1% de ácido fórmico y Solvente B: Acetonitrilo, 0,1% de ácido fórmico)

Columna: ACQUITY UPLC HSS T3 de Waters; Longitud de columna: 30 mm; Diámetro interno de columna: 2,1 mm; Tamaño de partícula: 1,8 micras; Temperatura: 60°C.

Tiempo (min)	A (%)	B (%)	Tasa de flujo (ml/min)
0	80	20	1,5
0,1	75	25	1,5
0,2	70	30	0,75
1,20	0	100	0,75
1,40	0	100	0,75
1,45	80	20	0,75

Condiciones E

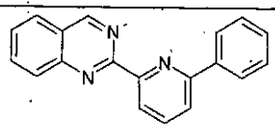
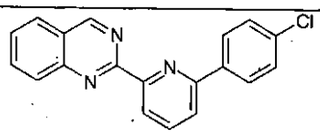
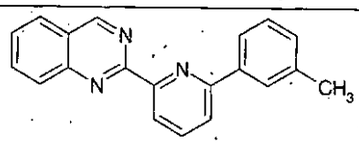
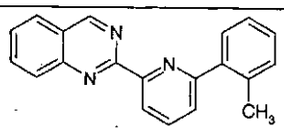
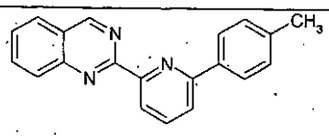
MS Espectrómetro de masas ZQ de Waters (Espectrómetro de masas de cuádruplo simple); Método de ionización: Electrospray ;Polaridad: iones positivos; Capilaridad (kV) 3,00, Cono (V) 30.00; Extractor (V) 2,00, Temperatura de fuente (°C) 100, Temperatura de desolvatación (°C) 250, Flujo de gas de cono (L/Hr) 50, Flujo de gas de desolvatación (L/Hr) 400 ; Rango de masas: 150 a 1000 Da

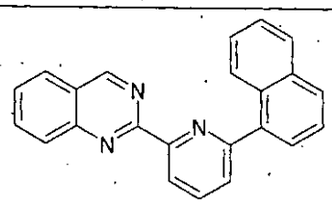
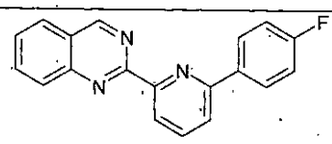
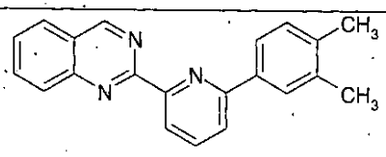
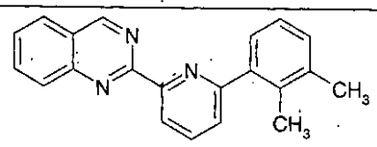
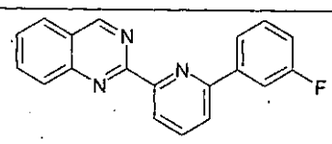
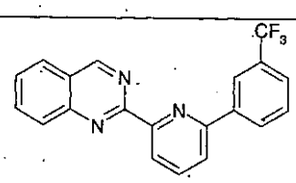
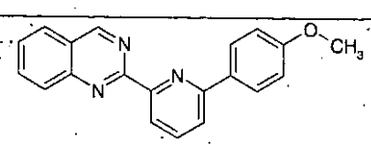
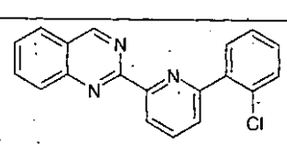
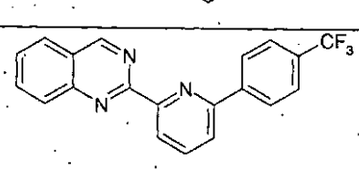
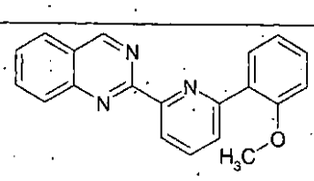
LC HPLC HP 1100 de Agilent: desgasificador de solvente, bomba cuaternaria (ZCQ) / bomba binaria (ZDQ), compartimiento de columna calentado y detector de matriz de diodos. Gradiente de solvente: A = agua + 0,05 % HCOOH, B= Acetonitrilo/Metanol (4:1, v:v) + 0,04 % HCOOH

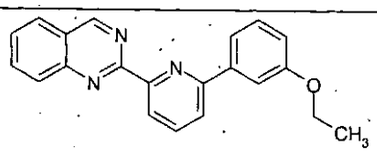
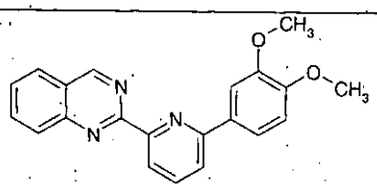
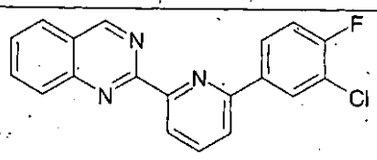
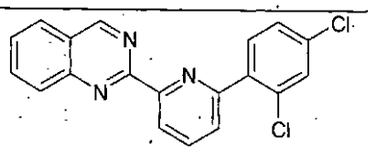
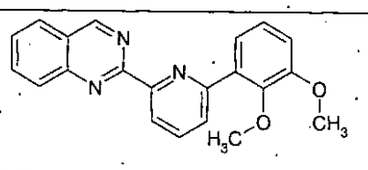
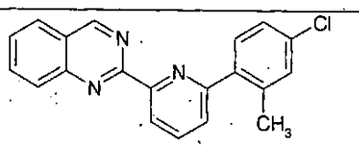
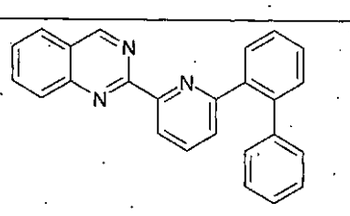
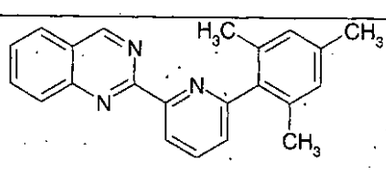
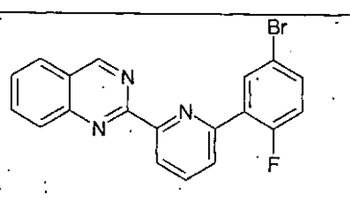
Columna: Gemini de Phenomenex C18, 3 □m (micro meter) tamaño de partícula, 110 Å (Ångström), 30 x 3 mm, Temp: 60 °C; rango de longitud de onda DAD (nm): 200 a 500

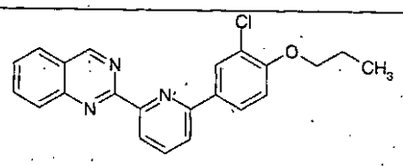
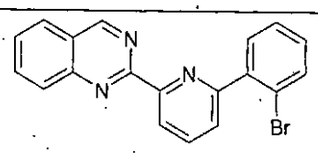
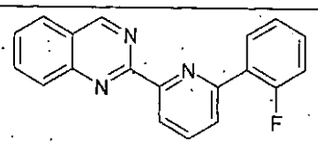
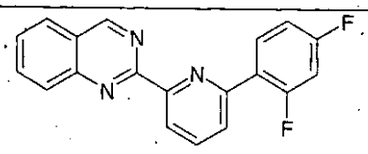
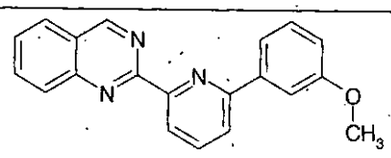
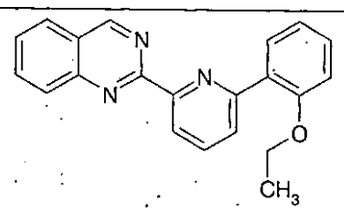
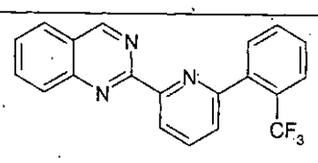
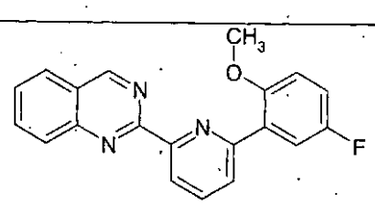
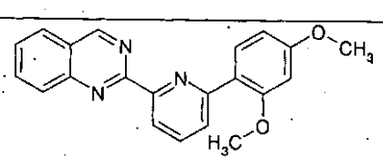
Tiempo	A%	B%	Flujo (ml/min)
0,00	95,0	5,0	1,700
2,00	0,0	100,0	1,700
2,80	0,0	100,0	1,700
2,90	95,0	5,0	1,700
3,00	95,0	5,0	1,700

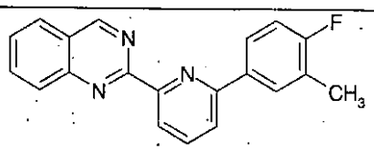
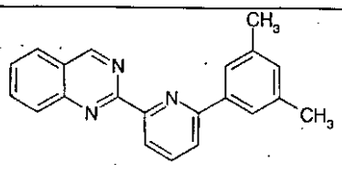
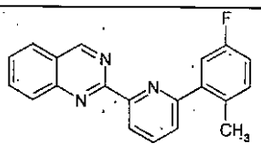
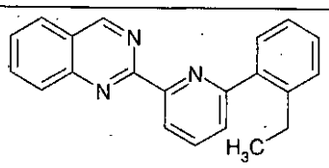
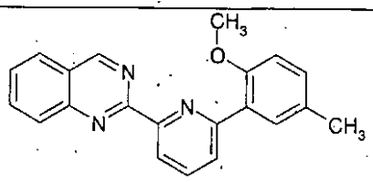
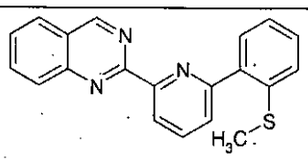
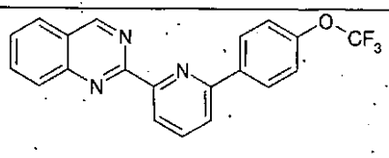
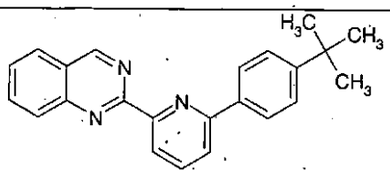
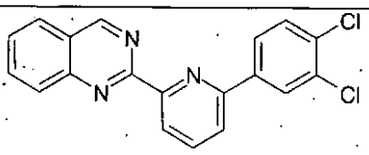
La Tabla 2 muestra el tiempo de retención y el valor de $(M+H)^+$ y/o el valor del punto de fusión medido para compuestos seleccionados de la fórmula I.a donde R^1 es H y A es arilo opcionalmente sustituido

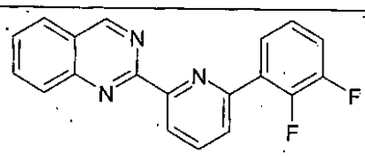
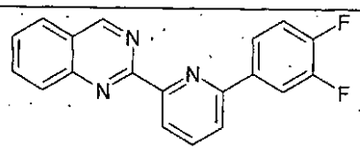
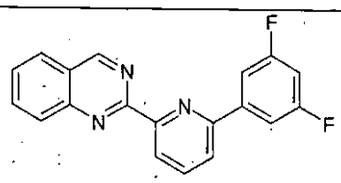
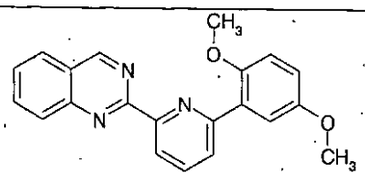
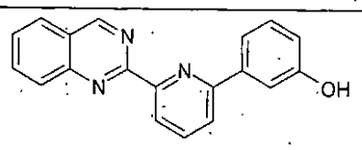
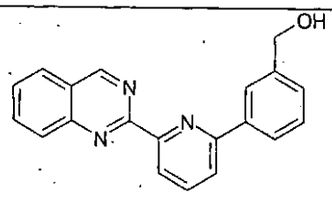
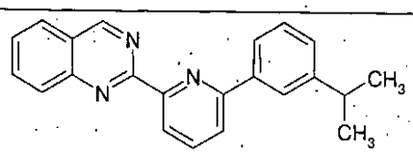
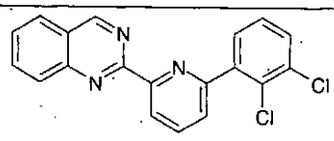
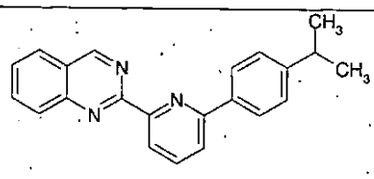
Entrada	Estructura	RT (min)	$(M+H)^+$ (medido)	Método LC/MS	Punto de fusión (C)
1		1,42	284,17	A	
2		1,66	318,09	A	
3		1,56	298,17	A	
4		1,43	298,17	A	
5		1,55	298,17	A	

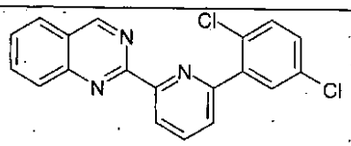
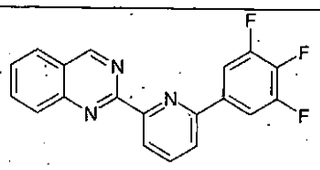
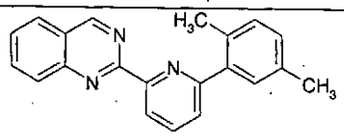
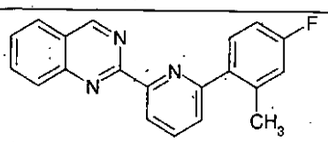
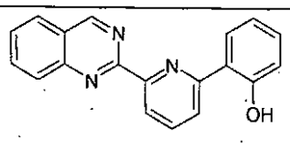
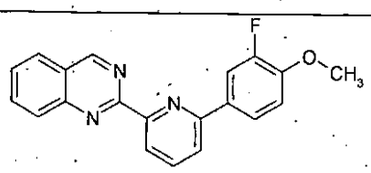
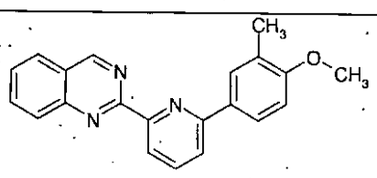
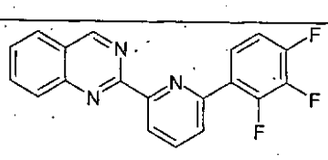
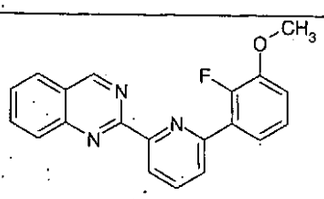
6		1,66	334,11	A	
7		1,5	302,13	A	
8		1,64	312,16	A	
9		1,5	312,16	A	
10		1,51	302,14	A	
11		1,76	352,32	A	
12		1,39	314,14	A	
13		1,51	318,09	A	
14		1,76	352,13	A	
15		0,91	314,14	A	

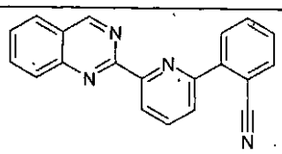
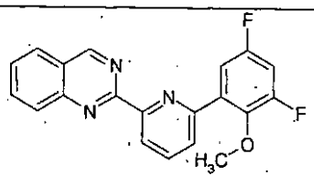
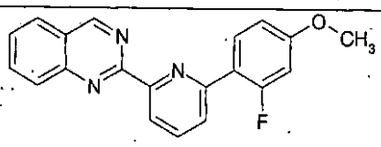
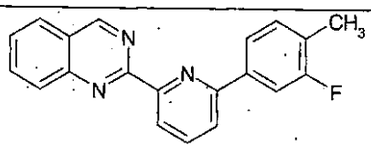
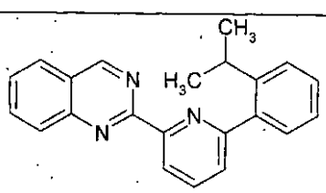
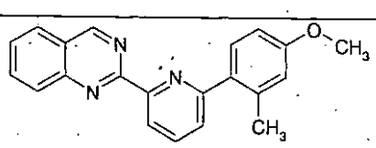
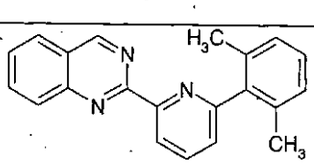
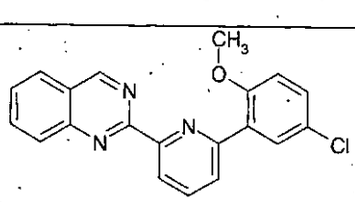
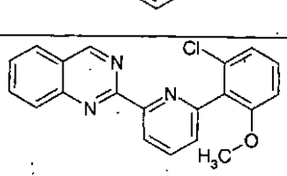
16		1,59	328,13	A	
17		1,26	344,31	A	
18		1,72	336,05	A	
19		1,77	351,99	A	
20		1,14	344,41	A	
21		1,72	332,08	A	
22		1,69	360,40	A	
23		1,57	326,33	A	
24		1,76	379,96	A	

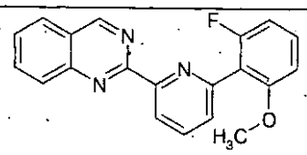
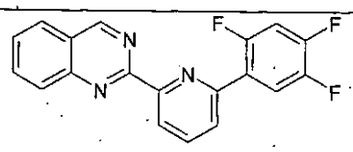
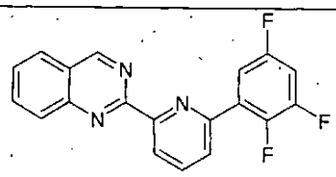
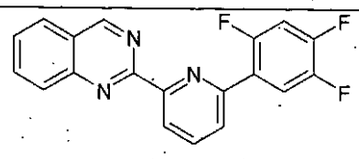
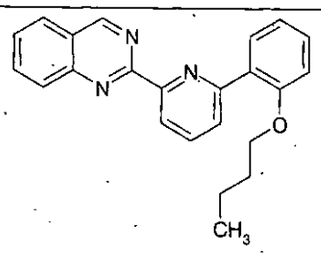
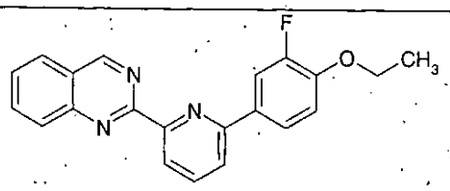
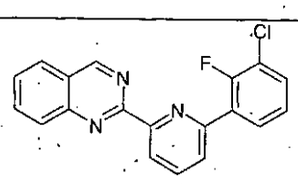
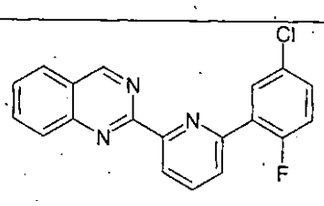
25		1,95	376,07	A	
26		1,56	363,96	A	
27		1,46	302,13	A	
28		1,55	320,17	A	
29		1,46	314,19	A	
30		0,99	328,35	A	
31		1,59	352,30	A	
32		1,28	332,31	A	
33		0,95	344,11	A	

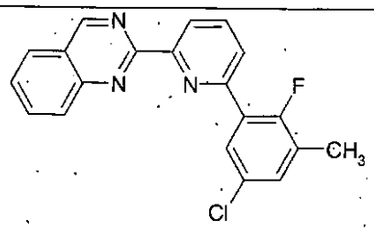
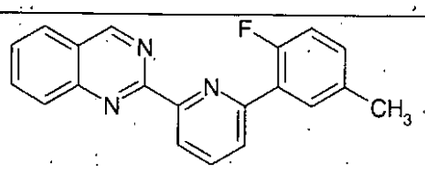
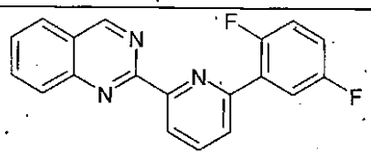
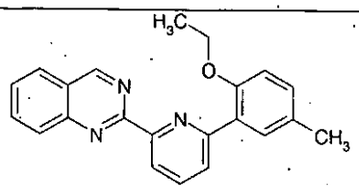
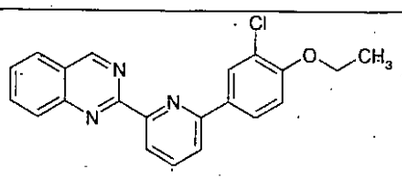
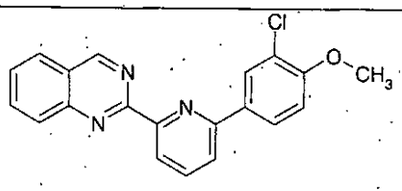
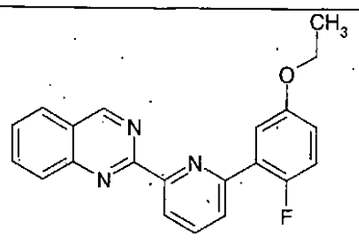
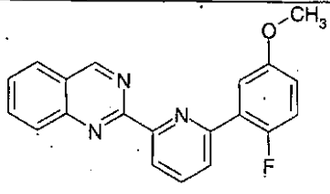
34		1,62	316,26	A	
35		1,71	312,16	A	
36		1,56	316,19	A	
37		1,6	312,16	A	
38		1,14	328,27	A	
39		1,48	330,09	A	
40		1,79	368,17	A	
41		1,99	340,40	A	
42		1,87	351,99	A	

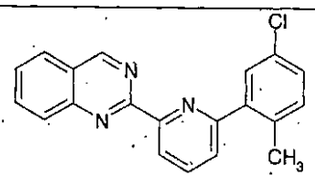
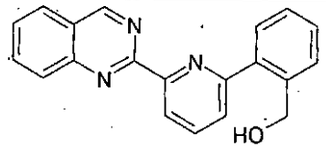
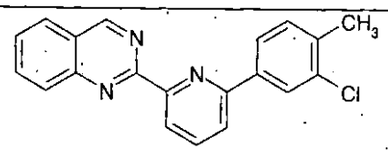
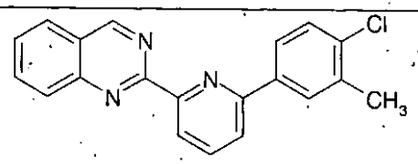
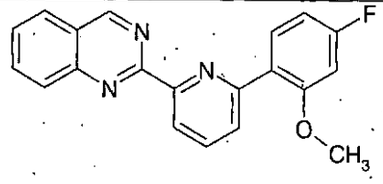
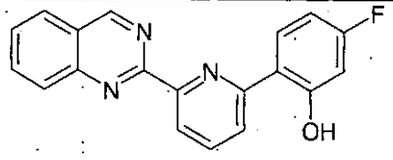
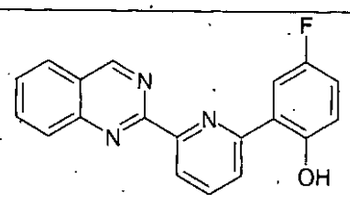
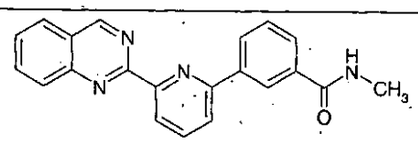
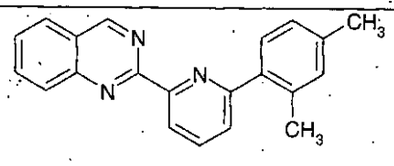
43		1,56	320,10	A	
44		1,59	320,24	A	
45		1,62	320,10	A	
46		1,06	344,10	A	
47		1,1	300,13	A	
48		1,02	314,13	A	
49		1,83	326,20	A	
50		1,69	352,00	A	
51		1,82	326,25	A	

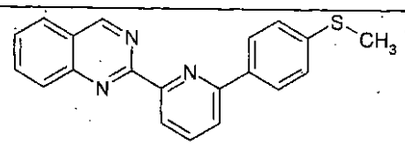
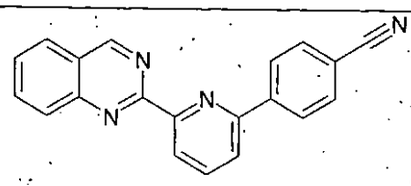
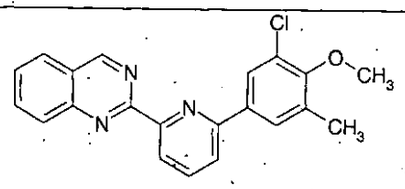
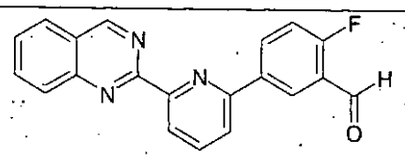
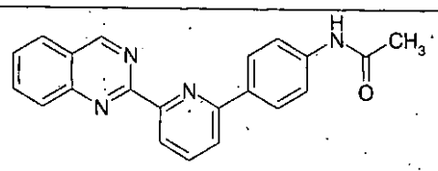
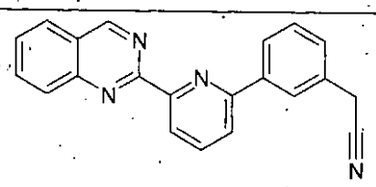
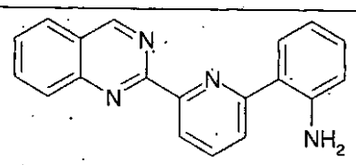
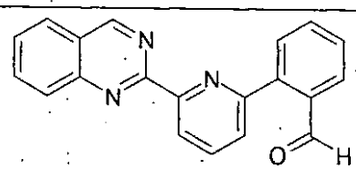
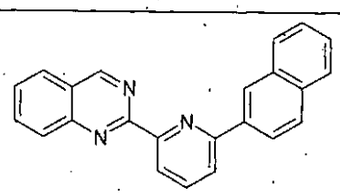
52		1,77	352,00	A	
53		1,69	338,20	A	
54		1,58	312,15	A	120-122
55		1,52	316,12	A	128-131
56		1,7	300,13	A	
57		1,49	332,10	A	
58		1,52	328,37	A	
59		1,65	338,06	A	
60		1,46	332,09	A	142-143

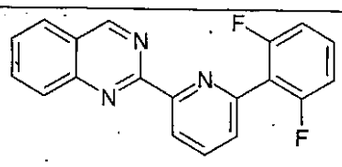
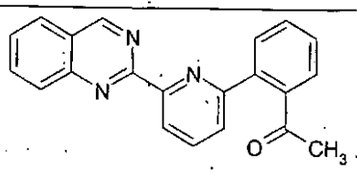
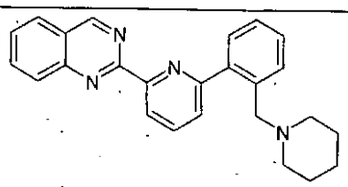
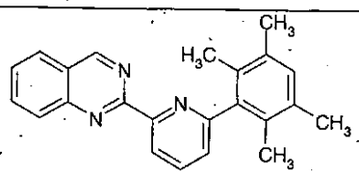
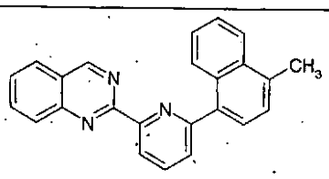
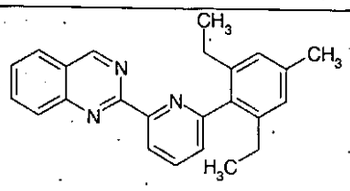
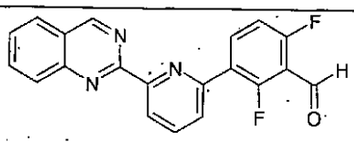
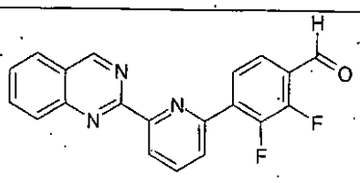
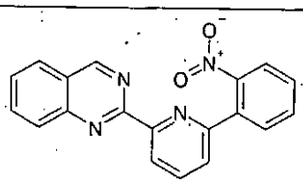
61		1,26	309,12	A	
62		1,6	350,24	A	
63		1,52	332,10	A	
64		1,66	316,12	A	
65		1,7	326,39	A	
66		1,32	328,37	A	
67		1,47	312,21	A	
68		1,46	348,22	A	
69		1,43	348,05	A	

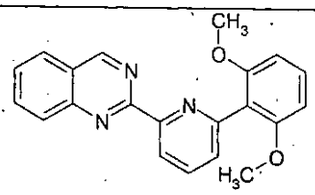
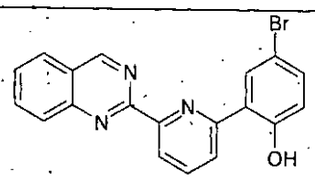
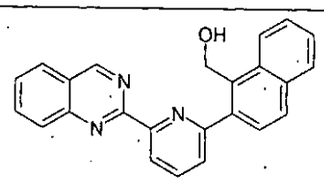
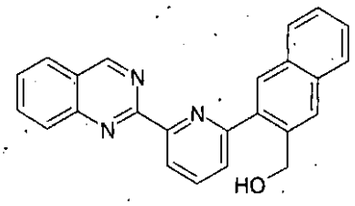
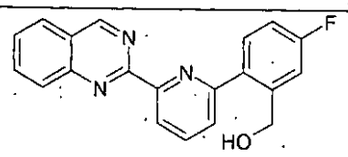
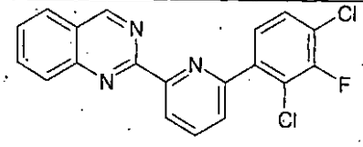
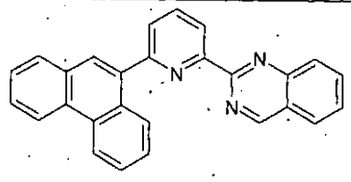
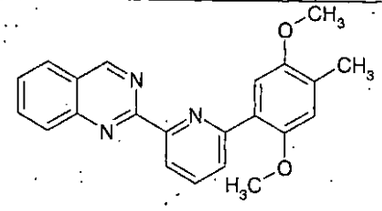
70		1,25	332,27	A	
71		1,67	338,06	A	
72		1,67	338,06	A	
73		1,65	326,30	A	
74		1,34	356,42	A	
75		1,64	346,10	A	
76		1,71	336,05	A	
77		1,73	336,04	A	

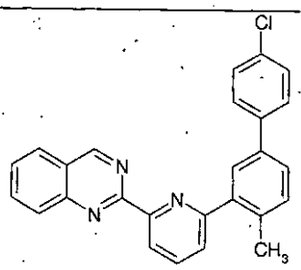
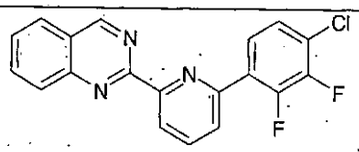
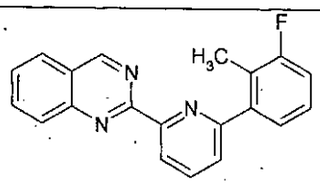
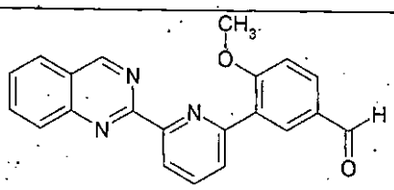
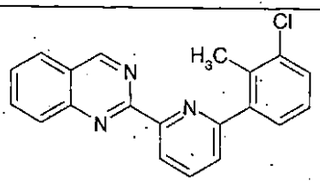
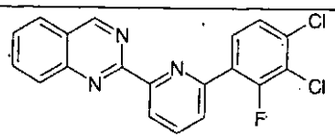
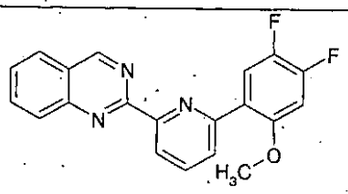
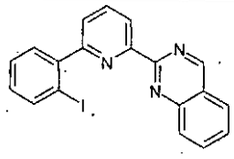
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79		1,65	316,11	A	
80		1,59	320,32	A	
81		1,18	342,49	A	
82		1,78	362,05	A	
83		1,61	348,05	A	
84		1,69	346,35	A	
85		1,53	332,29	A	

86		1,76	332,06	A	
87		1,36	314,28	A	
88		1,82	332,07	A	
89		1,81	332,07	A	
90		1,17	332,29	A	183-185
91		1,84	318,10	A	
92		1,82	318,10	A	
93		1,17	341,17	A	
94		1,53	312,32	A	

95		1,62	330,21	A	
96		1,42	309,19	A	
97		1,79	362,10	A	
98		1,4	330,18	A	
99		1,03	341,10	A	
100		1,34	323,11	A	
101		0,68	299,19	C	
102		0,44	312,06	C	
103		1,02	334,2	C	

104		0,83	320,17	C	
105		0,74	326,19	C	
106		0,59	381,27	C	
107		1,05	340,26	C	
108		1,05	348,22	C	
109		1,16	354,27	C	
110		0,8	348,3	C	
111		0,86	348,11	C	
112		0,76	329,17	C	

113		0,55	344,1	C	
114		1,15	378,03	C	
115		0,99	364,16	C	
116		0,98	364,21	C	
117		0,84	332,2	C	
118		1,04	370,09	C	
119		1,12	384,22	C	
121		0,69	358,22	C	

122		1,23	408,2	C	
123		1,05	354,11	C	
124		0,94	316,2	C	
125		0,69	342,21	C	
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127		1,11	370,1	C	
128		0,9	350,16	C	
129					164-165

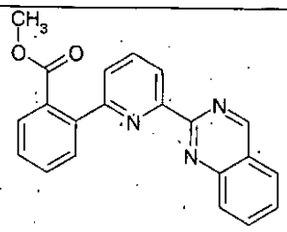
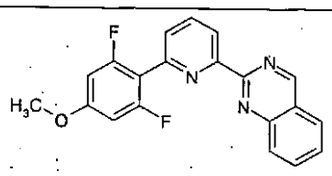
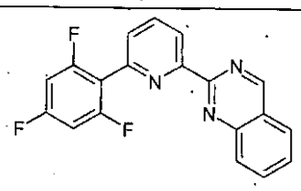
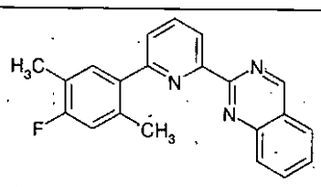
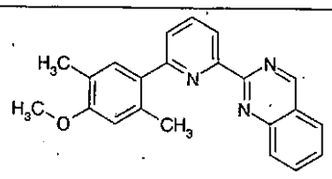
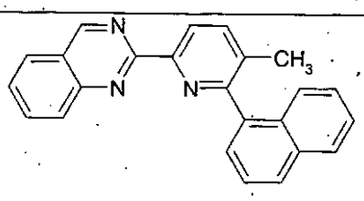
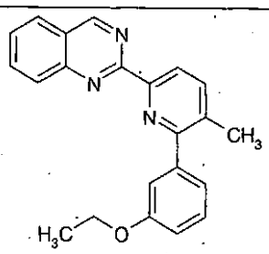
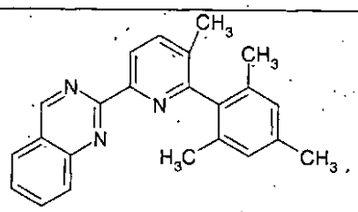
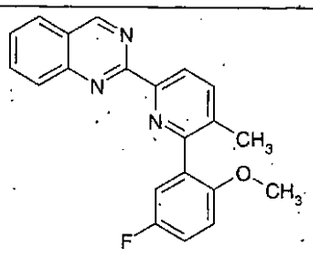
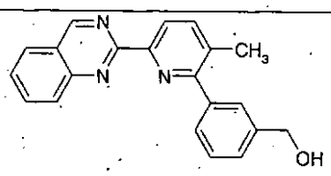
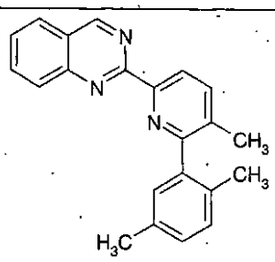
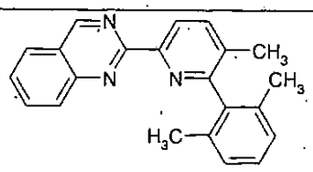
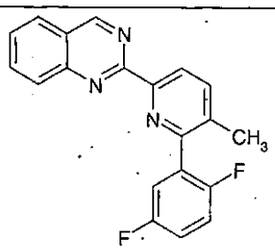
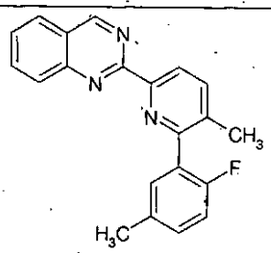
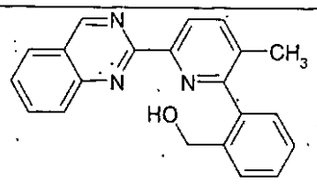
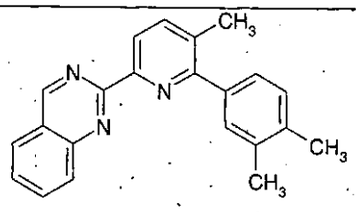
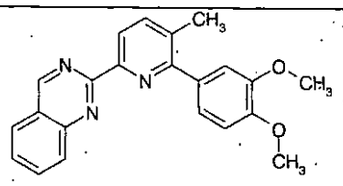
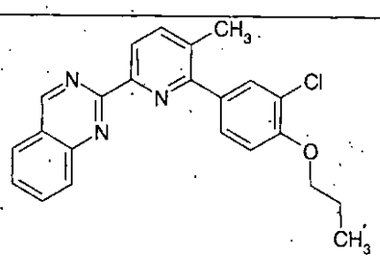
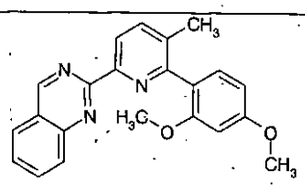
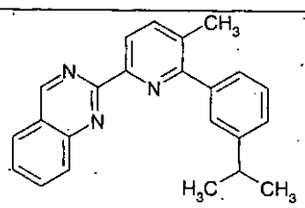
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131					142-144
132		1,82	338	E	
133					138-140
134					150-152

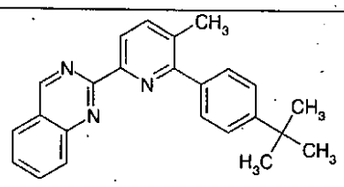
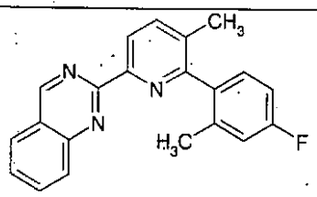
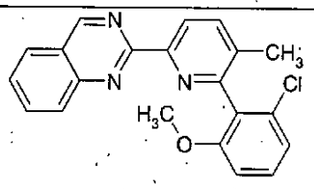
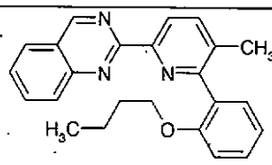
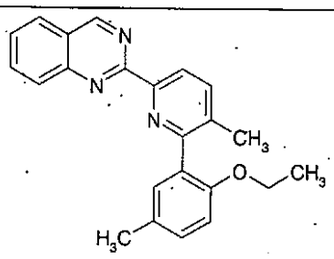
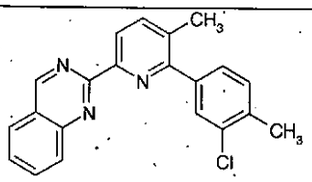
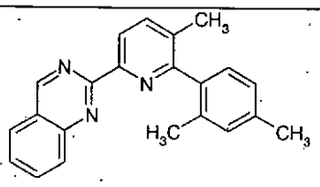
TABLA 3

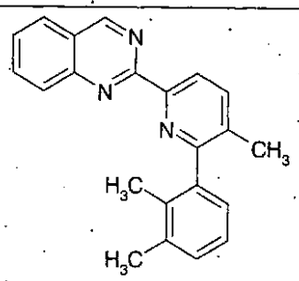
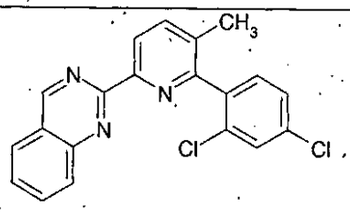
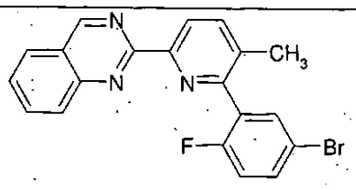
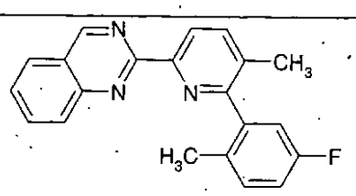
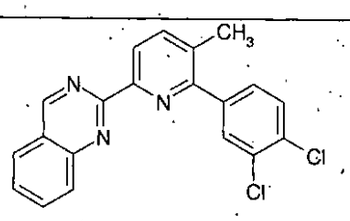
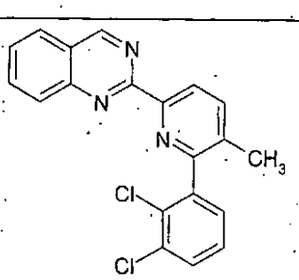
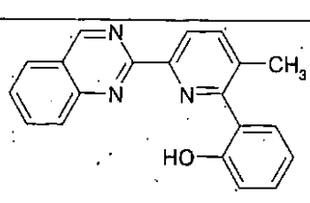
La Tabla 3 muestra el tiempo de retención y el valor de $(M+H)^+$ y/o el valor del punto de fusión medido para compuestos seleccionados de la fórmula I.a donde R^1 es Metilo y A es arilo opcionalmente sustituido

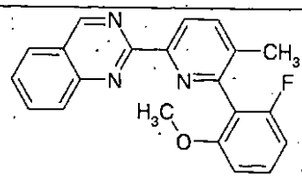
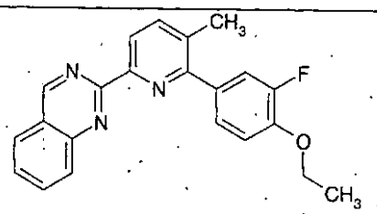
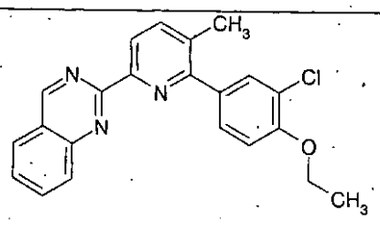
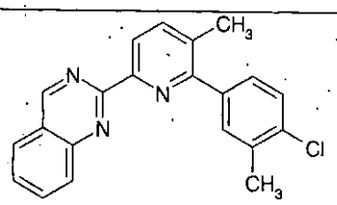
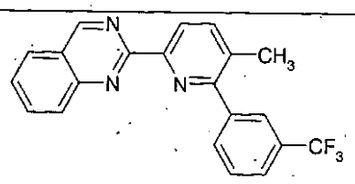
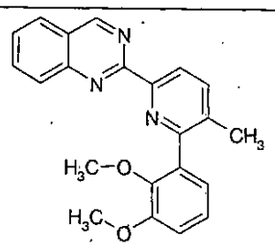
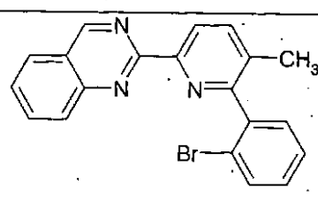
Entrada	Estructura	TR (min.)	(M+H)+ (medido)	LC/MS	Punto de fusión °C
1		1,78	348,07	B	

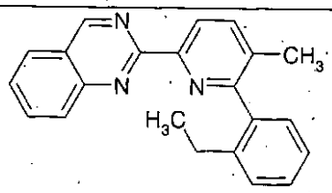
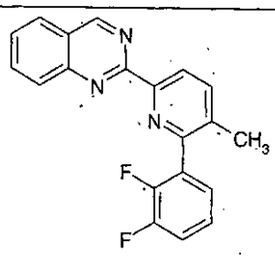
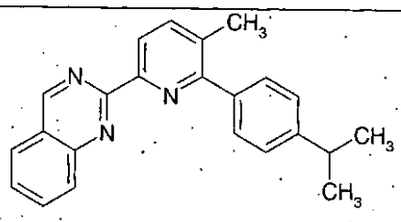
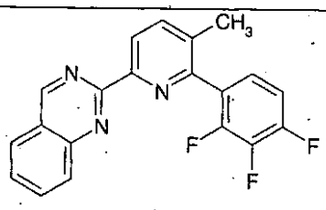
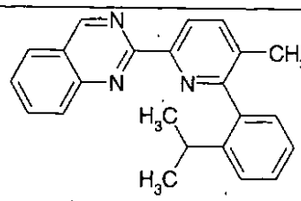
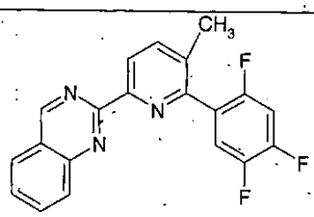
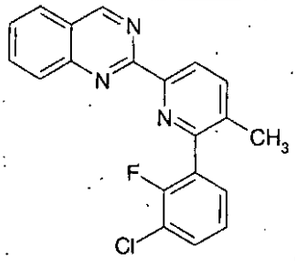
2		1,68	342,09	B	
3		1,69	340,12	B	
4		1,56	346,05	B	
5		1,13	328,13	B	
6		1,66	326,12	B	
7		1,61	326,12	B	
8		1,72	334,08	B	

9		1,81	330,08	B	
10		1,41	328,12	B	
11		1,67	326,12	B	
12		1,3	358,09	B	
13		2,07	390,07	B	
14		1,35	358,13	B	
15		1,92	340,15	B	

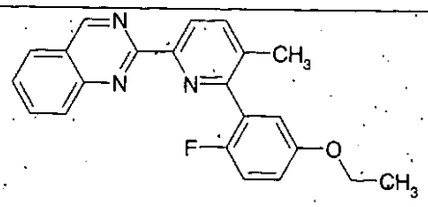
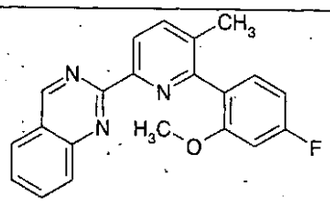
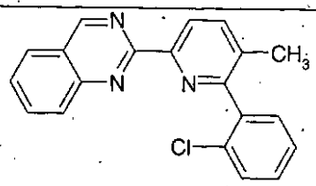
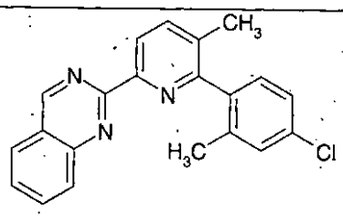
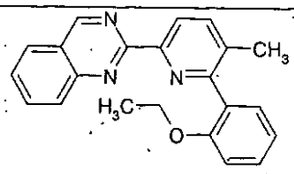
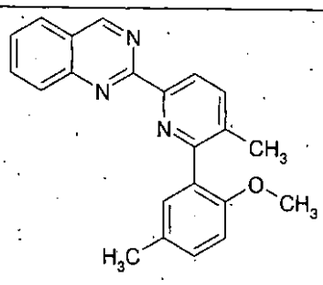
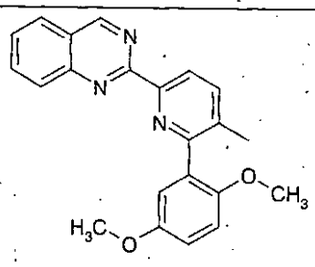
16		2,01	354,16	B	
17		1,64	330,09	B	
18		1,69	362,05	B	
19		1,74	370,14	B	
20		1,6	356,11	B	
21		1,98	346,05	B	
22		1,61	326,12	B	

23		1,6	326,12	B	
24		1,97	365,98	B	
25		1,92	395,98	B	
26		1,73	330,09	B	
27		2,02	365,98	B	
28		1,92	365,98	B	
29		1,43	314,12	B	

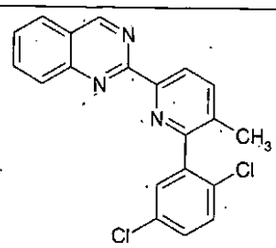
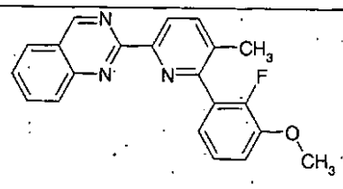
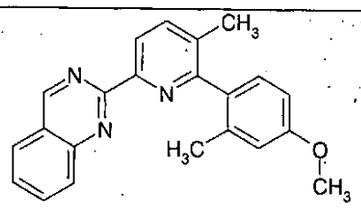
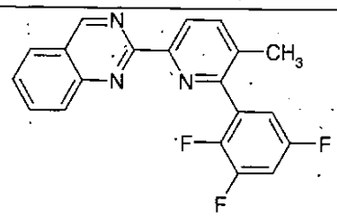
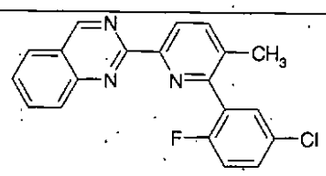
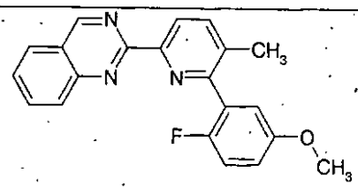
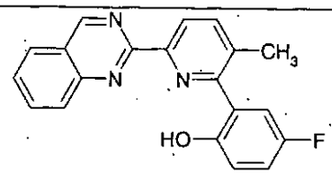
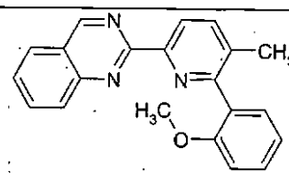
30		1,55	346,12	B	
31		1,76	360,10	B	
32		1,9	376,05	B	
33		1,93	346,05	B	
34		1,93	366,05	B	
35		1,43	358,13	B	
36		1,77	375,98	B	

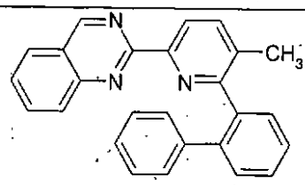
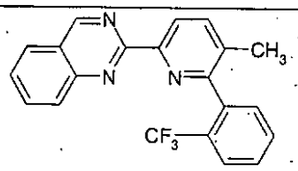
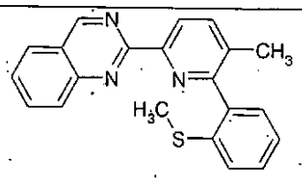
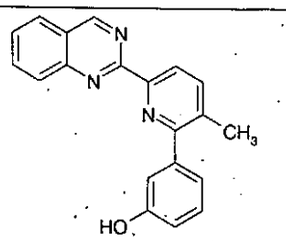
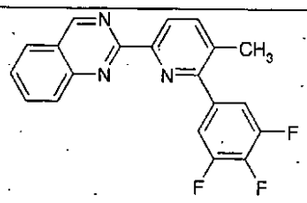
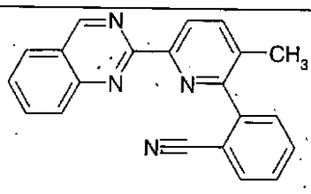
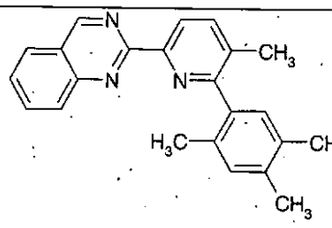
37		1,7	326,12	B	
38		1,73	334,11	B	
39		1,9	340,15	B	
40		1,79	352,09	B	
41		1,84	340,15	B	
42		1,79	352,09	B	
43		1,85	350,07	B	

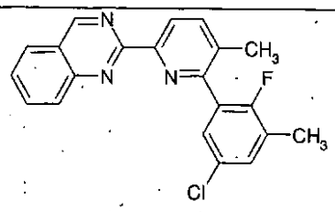
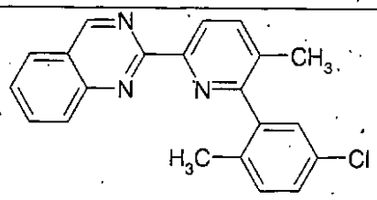
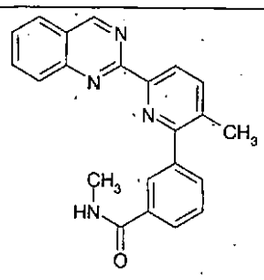
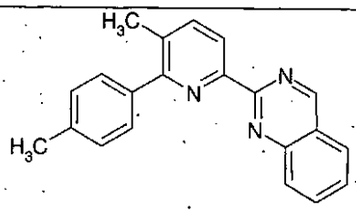
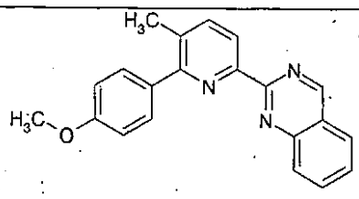
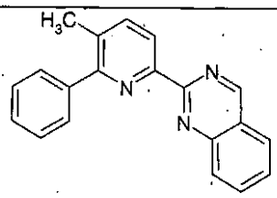
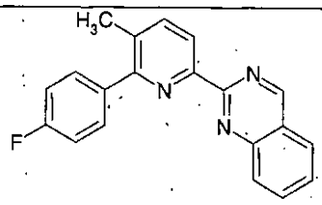
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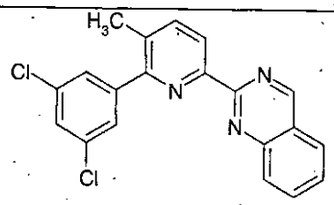
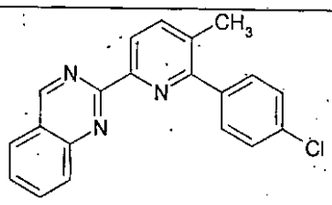
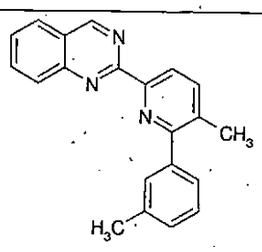
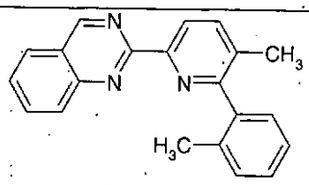
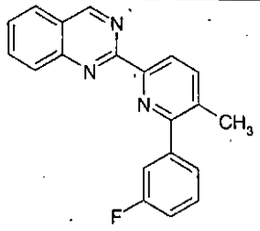
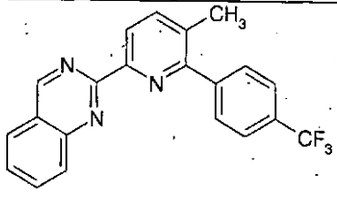
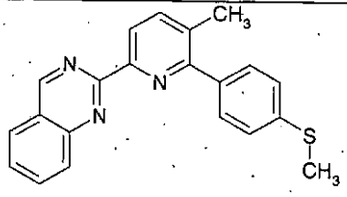
44		1,82	360,13	B	
45		1,48	346,12	B	
46		1,73	332,09	B	
47		1,86	346,05	B	
48		1,45	342,16	B	
49		1,49	342,15	B	
50		1,42	358,13	B	

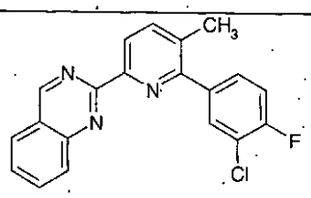
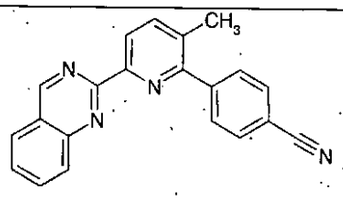
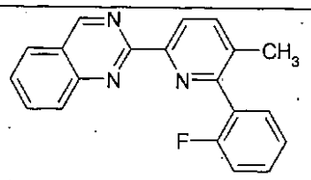
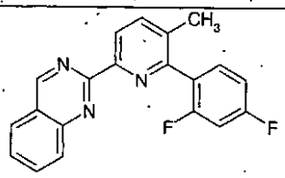
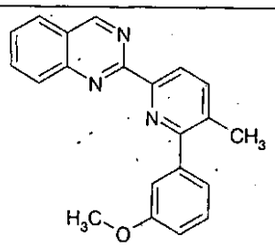
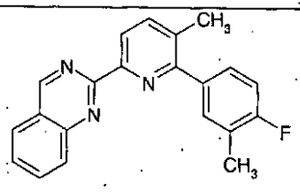
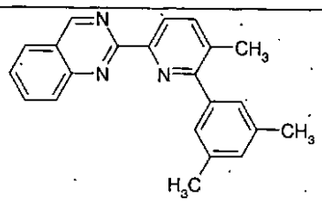
000318

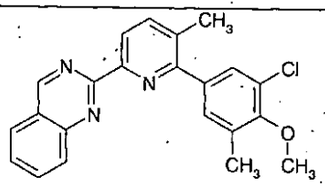
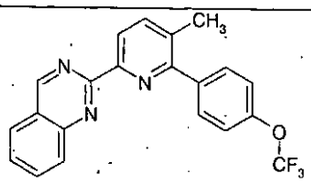
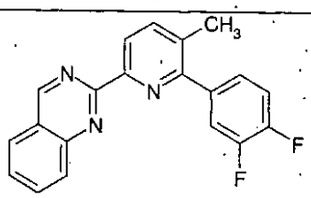
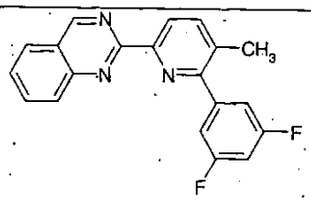
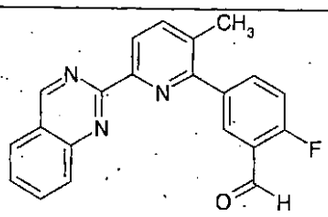
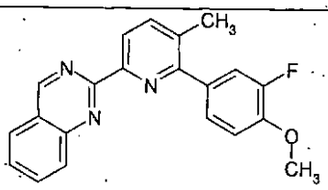
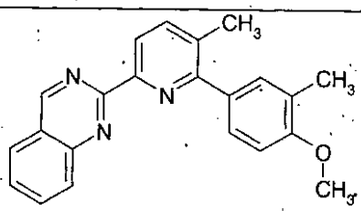
51		1,96	365,99	B	
52		1,62	346,12	B	
53		1,42	342,16	B	
54		1,8	352,09	B	
55		1,87	350,08	B	
56		1,69	346,12	B	
57		1,67	332,10	B	
58		1,35	328,14	B	

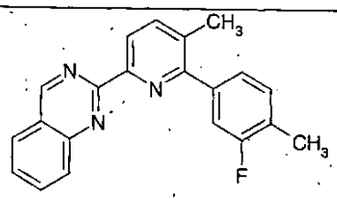
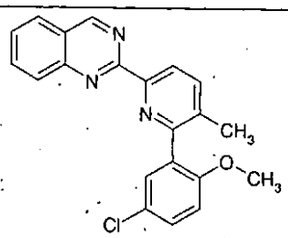
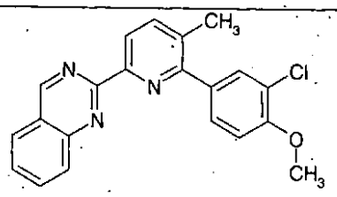
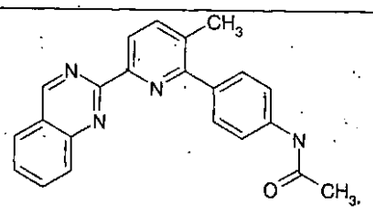
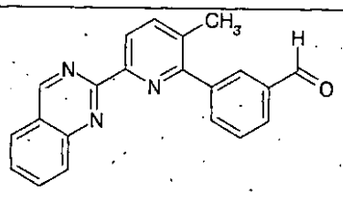
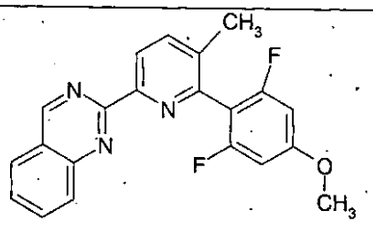
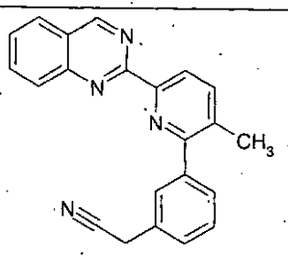
59		1,82	3374,10	B	
60		1,8	366,05	B	
61		1,65	344,11	B	
62		1,17	314,12	B	
63		1,86	352,07	B	
64		1,48	323,09	B	
65		1,71	340,15	B	

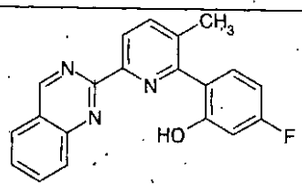
66		2,01	364,04	B	
67		1,89	346,05	B	
68		1,22	355,09	B	
69					182-183
70					176-177
71					191-193
72					183-186

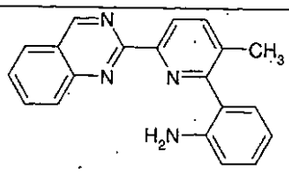
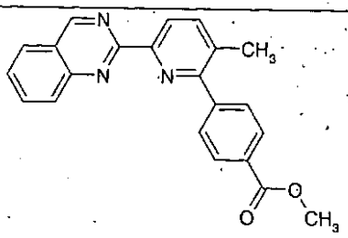
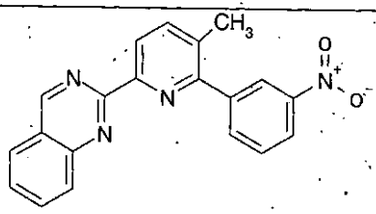
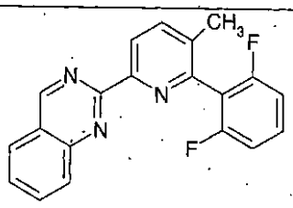
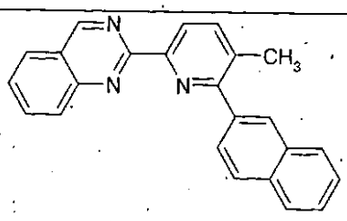
73					aceite
74		1,56	332,80	B	aceite
75		1,38	312,40	B	aceite
76		1,28	312,40	B	143-144
77		1,44	316,40	B	aceite
78		1,7	366,40	B	
79		1,46	344,50	B	

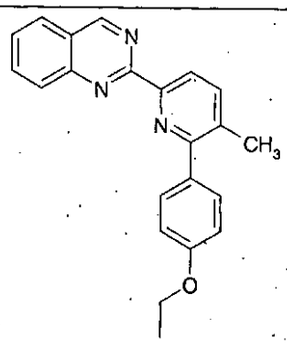
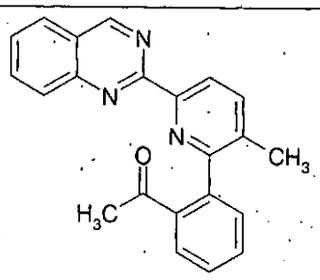
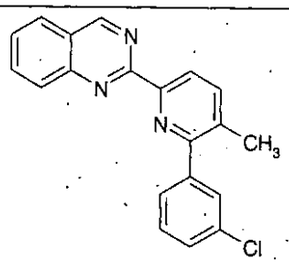
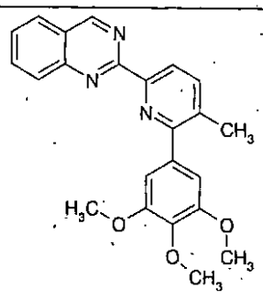
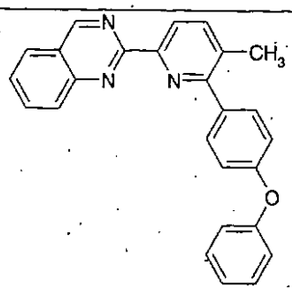
80		1,74	350,80	B	
81		1,41	323,40	B	
82		1,41	316,40	B	aceite
83		1,49	334,30	B	
84		1,32	328,40	B	aceite
85		1,48	330,40	B	137-139
86		1,51	326,40	B	aceite

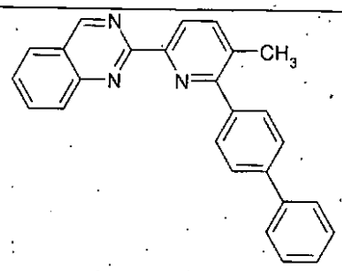
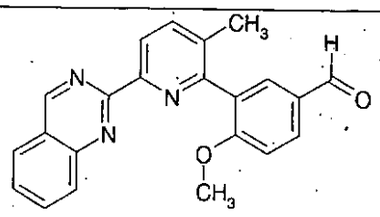
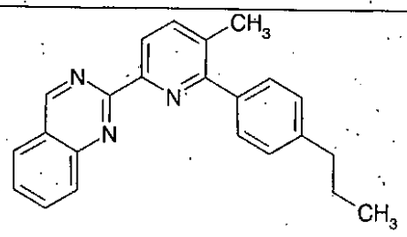
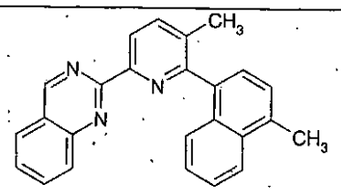
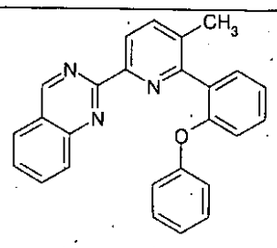
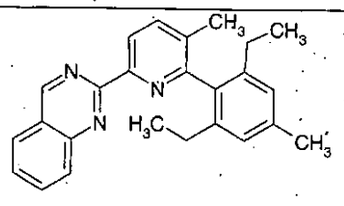
87		1,69	376,90	B	
88		1,82	382,40	B	
89		1,52	334,30	B	158-160
90		1,56	334,30	B	141-143
91		1,34	344,40	B	
92		1,39	346,40	B	173-174
93		1,34	342,40	B	aceite

94		1,54	330,40	B	aceite
95		1,52	362,80	B	
96		1,51	362,80	B	
97		0,95	355,40	B	
98		1,74	326,40	B	
99		1,66	364,40	B	
100		1,26	337,40	B	

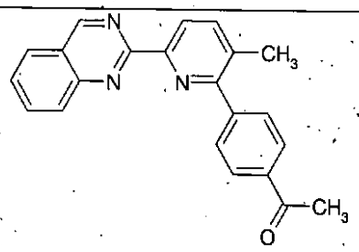
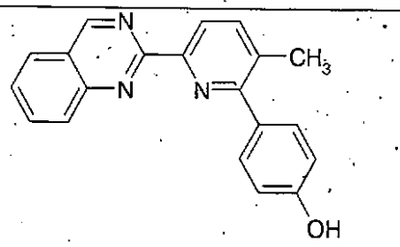
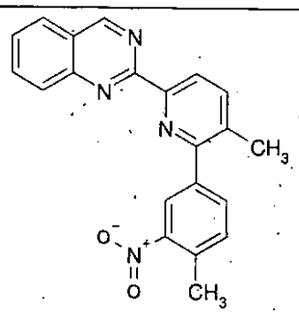
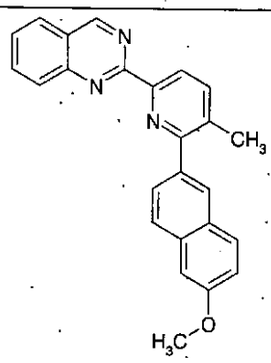
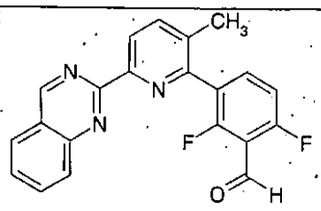
101		1,46	332,40	B	

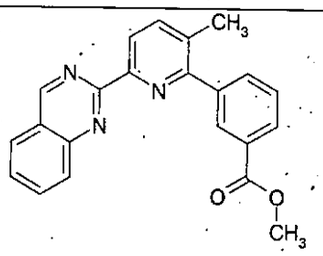
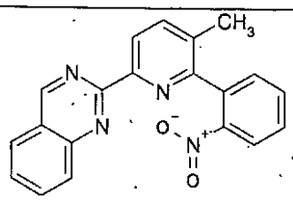
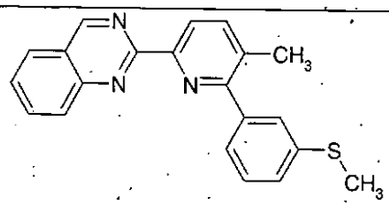
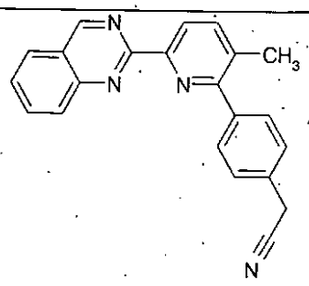
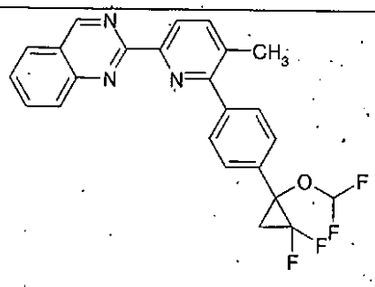
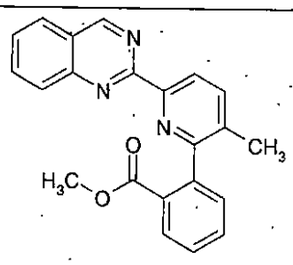
102		0,57	313,27	C	
103		0,89	356,26	C	
104		0,89	343,24	C	
105		0,9	334,25	C	
106		1,01	348,28	C	

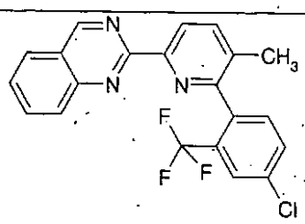
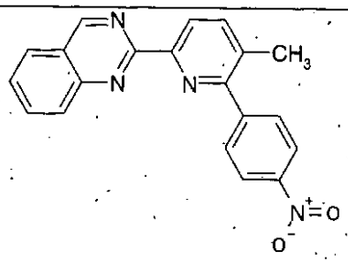
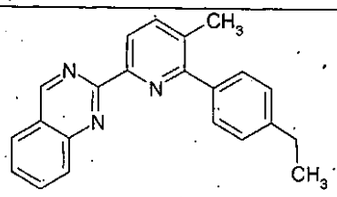
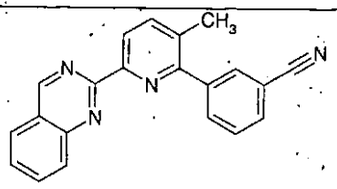
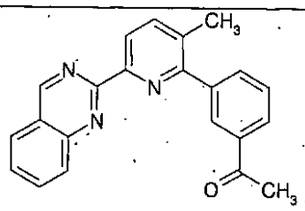
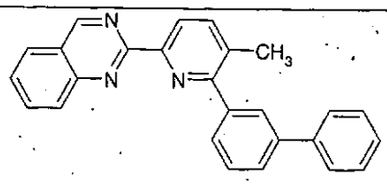
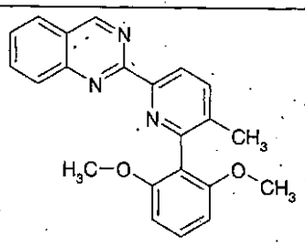
107		0,88	342,27	C	
108		0,67	340,25	C	
109		1	332,23	C	
110		0,79	388,3	C	
111		1,09	390,29	C	

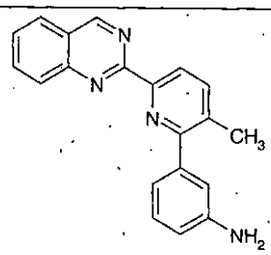
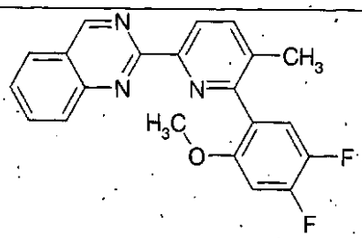
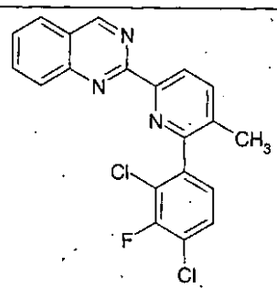
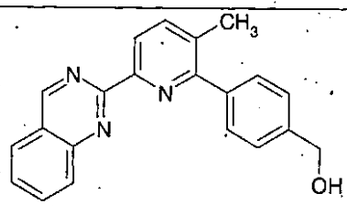
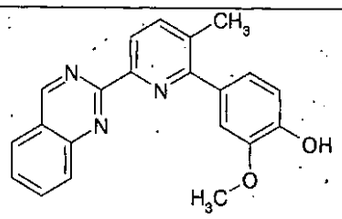
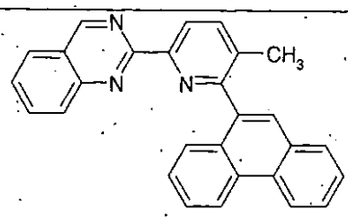
112		1,1	374,3	C	
113		0,72	356,25	C	
114		1,08	340,31	C	
115		1,04	362,3	C	
116		1,03	390,28	C	
117		1,17	368,35	C	

000328

118		0,81	340,28	C	
119		0,51	314,28	C	
120		0,96	357,28	C	
121		0,99	378,3	C	
122		0,81	362,11	C	

123		0,88	356,27	C	
124		0,81	343,22	C	
125		0,96	344,27	C	
126		0,76	337,28	C	
127		1,02	440,29	C	
128		0,75	356,28	C	

129		1,08	400,22	C	
130		0,9	343,26	C	
131		0,99	326,31	C	
132		0,82	323,32	C	
133		0,8	340,29	C	
134		1,09	374,31	C	
135		0,63	358,27	C	

136		0,44	313,29	C	
137		0,9	364,27	C	
138		1,07	384,18	C	
139		0,58	328,29	C	
140		0,57	344,24	C	
141		1,13	398,31	C	

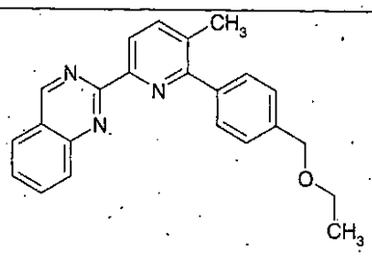
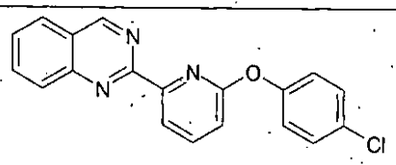
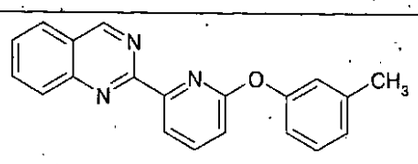
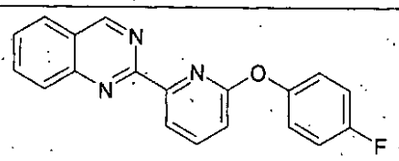
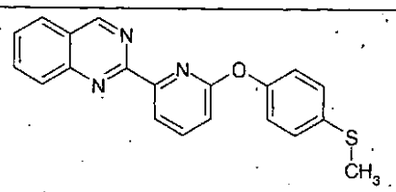
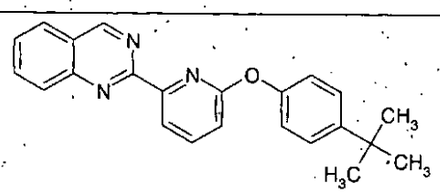
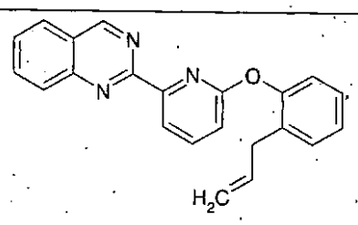
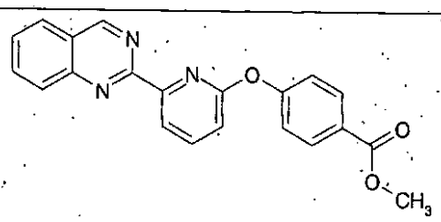
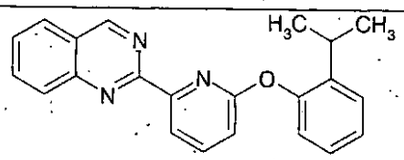
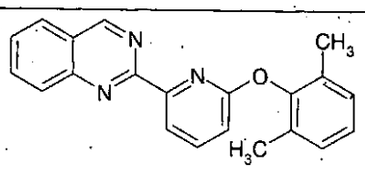
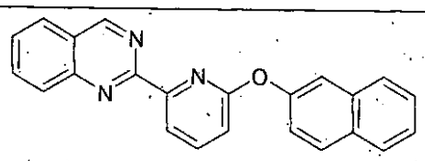
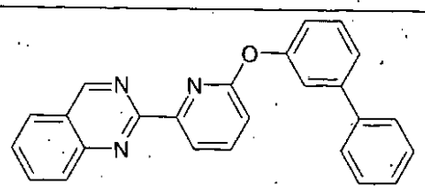
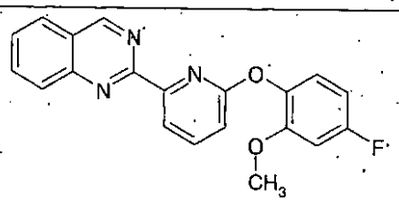
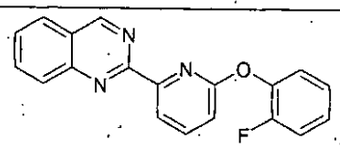
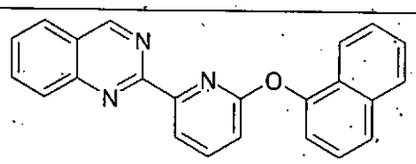
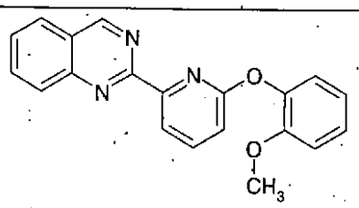
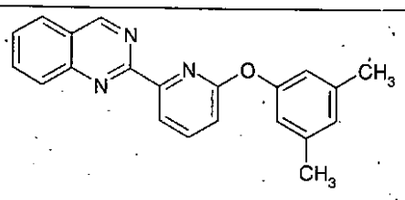
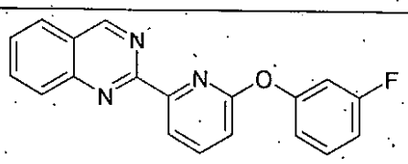
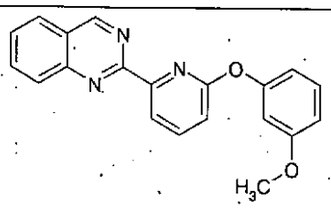
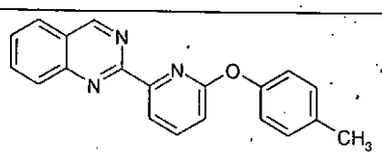
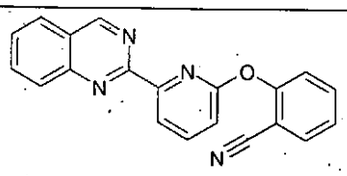
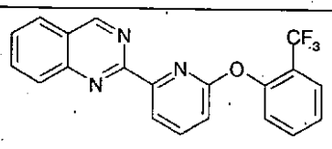
142		0,92	356,33	C	

TABLA 4

La Tabla 4 muestra el tiempo de retención y el valor de $(M+H)^+$ y/o el valor del punto de fusión medido para compuestos seleccionados de la fórmula I.a donde R^1 es H y A es ariloxi opcionalmente sustituido

Entrada	Estructura	TR(min)	(medido)	LC/MS	PF °C
1		1,66	334,07	A	
2		1,6	314,14	A	
3		1,5	318,11	A	
4		1,64	346,08	A	
5		1,95	356,42	A	

6		1,74	340,30	A	
7		1,51	358,08	A	
8		1,83	342,38	A	
9		1,67	328,26	A	
10		1,73	350,38	A	
11		1,93	376,14	A	
12		1,47	348,35	A	
13		1,48	318,17	A	

14		1,71	350,22	A	
15		1,37	330,29	A	
16		1,73	328,25	A	
17		1,54	318,10	A	
18		1,49	330,23	A	
19		1,6	314,25	A	
20		1,38	325,10	A	
21		1,7	368,17	A	

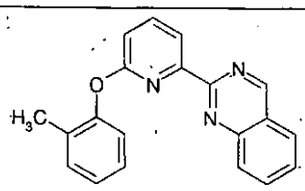
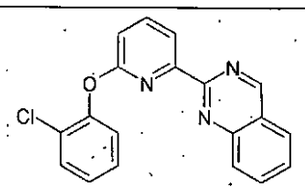
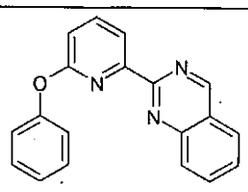
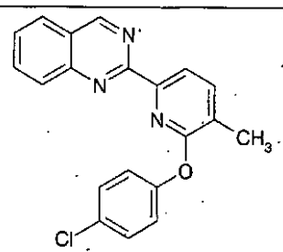
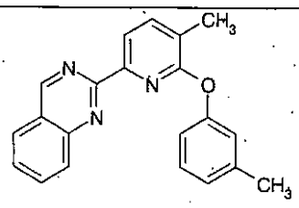
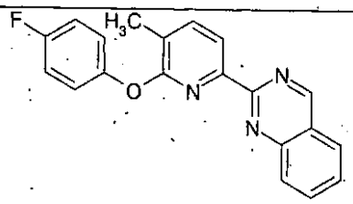
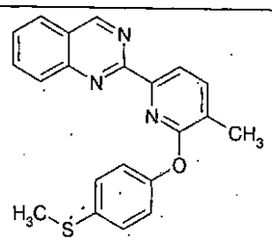
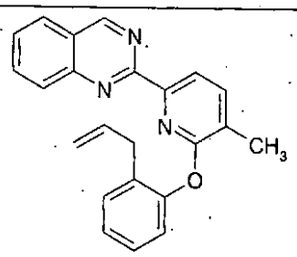
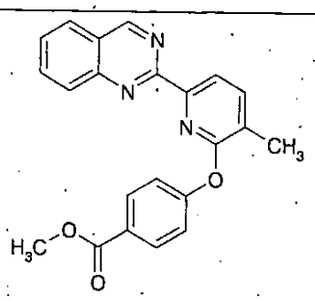
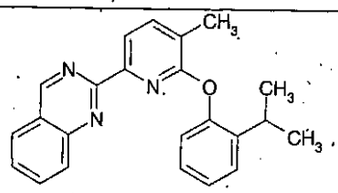
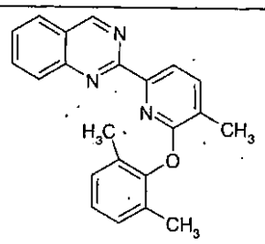
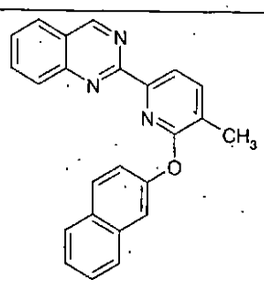
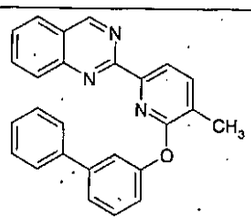
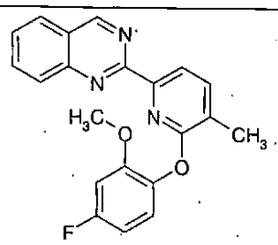
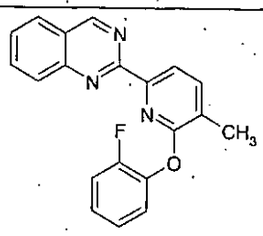
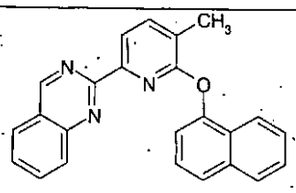
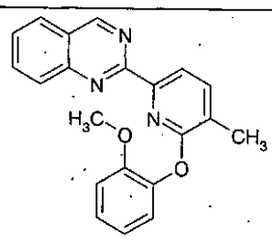
22		X	X	X	aceite
23		X	X	X	137-138
24		1,81	300,00	E	aceite

TABLA 5

La Tabla 5 muestra el tiempo de retención y el valor de $(M+H)^+$ y/o el valor del punto de fusión medido para compuestos seleccionados de la fórmula I.a donde R1 es Metilo y A es ariloxi opcionalmente sustituido

Entrada	Estructura	TR(min)	(medido)	LC/MS	PF °C
1		2,04	348,07	B	
2		1,96	328,14	B	

3		1,91	332,10	B	137-138
4		2,02	360,07	B	
5		2,1	354,16	B	
6		1,87	372,09	B	
7		2,21	356,14	B	
8		2,08	342,16	B	

9		2,11	364,10	B	
10		2,27	390,14	B	
11		1,84	362,09	B	
12		1,88	332,10	B	
13		2,09	364,10	B	
14		1,76	344,11	B	

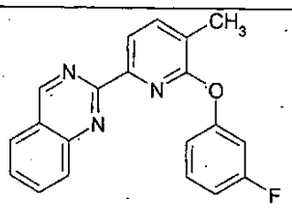
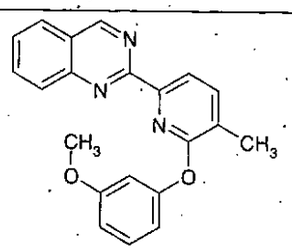
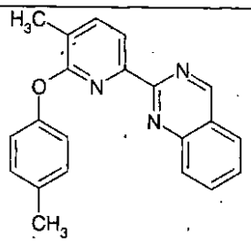
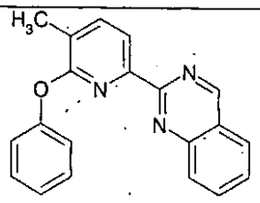
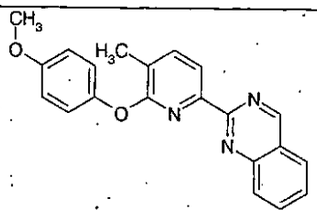
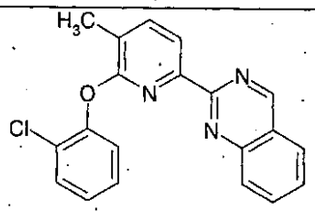
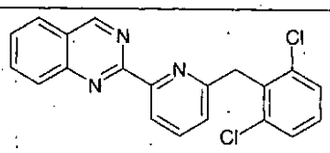
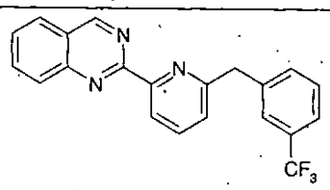
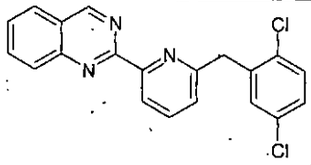
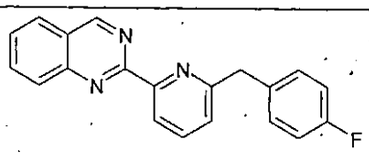
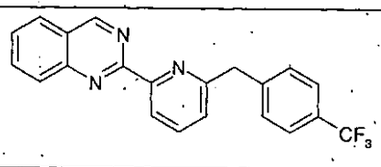
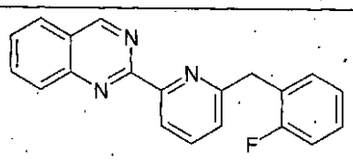
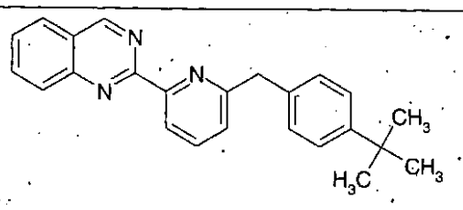
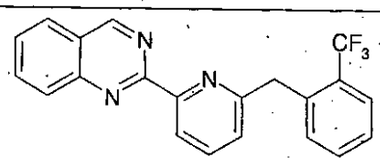
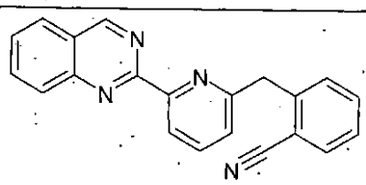
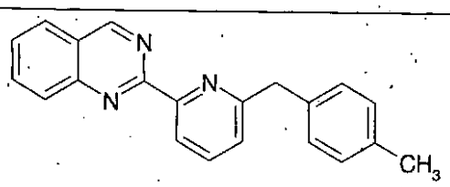
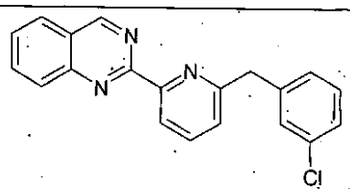
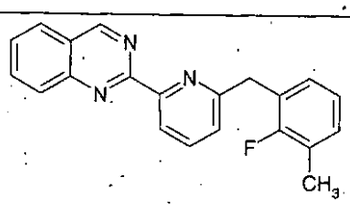
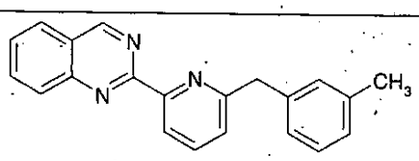
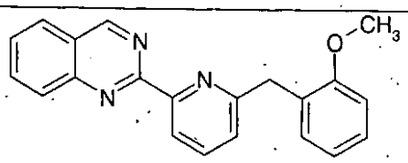
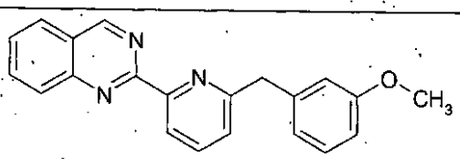
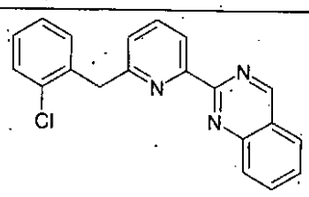
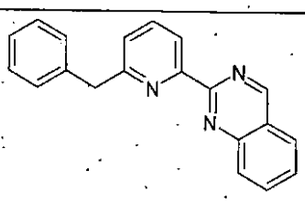
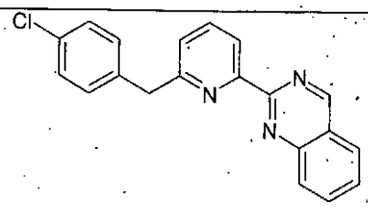
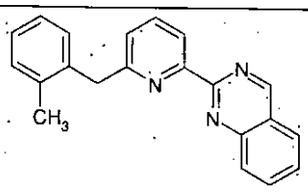
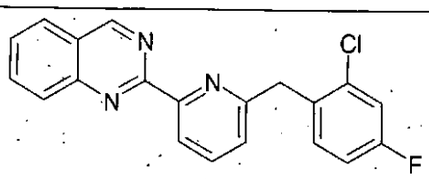
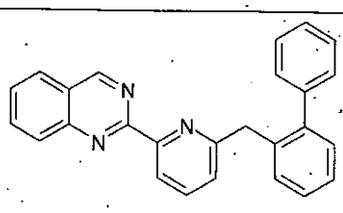
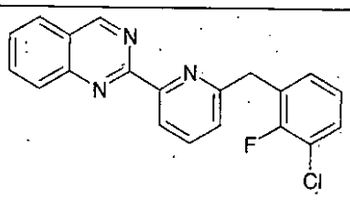
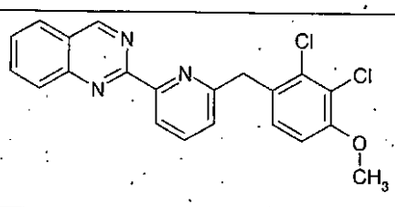
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16		1,87	344,11	B	
17		1,98	328,14	B	
18					aceite
19					125-126
20		2,02	349,00	E	

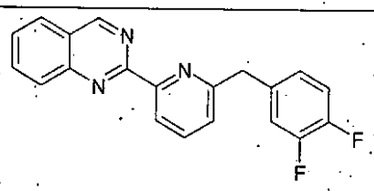
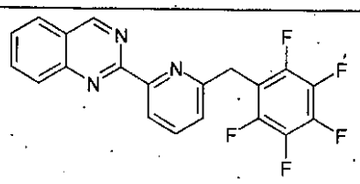
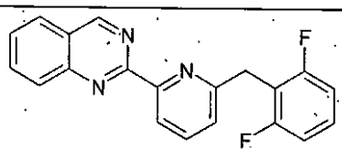
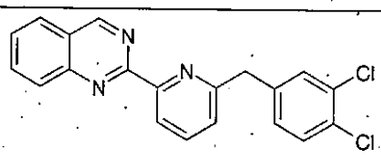
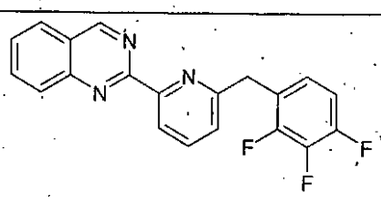
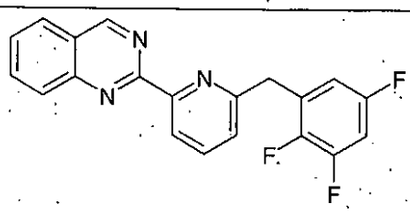
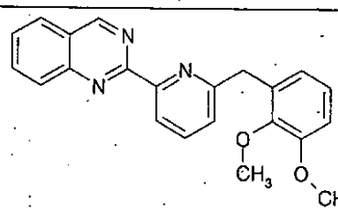
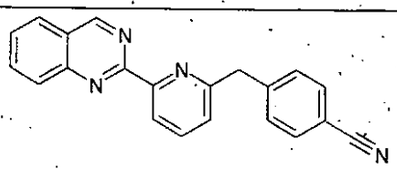
TABLA 6

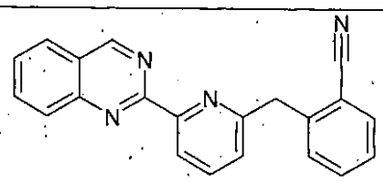
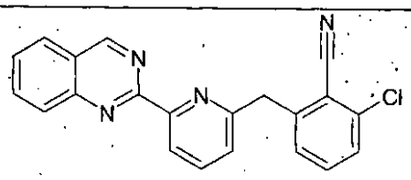
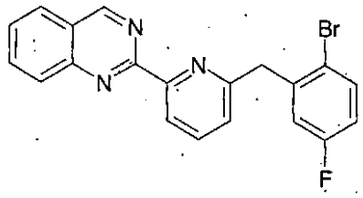
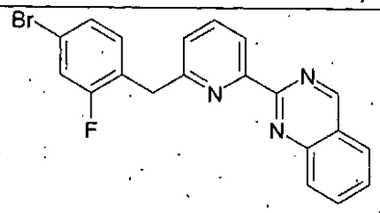
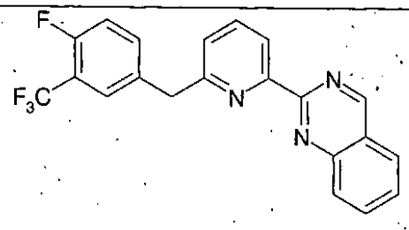
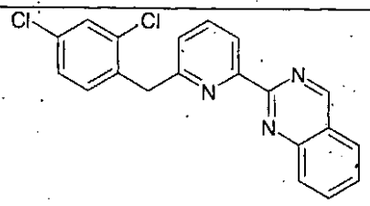
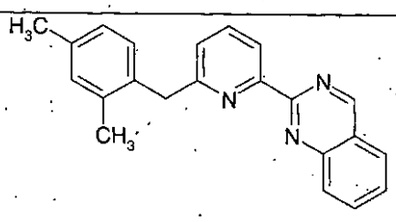
La Tabla 6 muestra el tiempo de retención y el valor de $(M+H)^+$ y/o el valor del punto de fusión medido para compuestos seleccionados de la fórmula I.a donde R^1 es H y A es arilalquilo opcionalmente sustituido.

Entrada	Estructura	TR (min)	$(M+H)^+$ (medido)	LC/MS	PF °C
1		1,76	366,00	A	
2		1,73	366,28	A	
3		1,86	366,01	A	
4		1,41	316,15	A	
5		1,77	366,14	A	
6		1,45	316,13	A	
7		1,89	354,27	A	

8		1,78	366,26	A	
9		1,41	323,20	A	
10		1,46	312,29	A	
11		1,62	332,07	A	
12		1,61	330,20	A	
13		1,46	312,23	A	
14		1,14	328,14	A	
15		1,34	328,29	A	

16					132-133
17					102-103
18					112-113
19					aceite
20		2,21	350,27	B	
21		2,27	374,31	B	
22		2,21	350,27	B	
23		2,27	396,21	B	

24		2,09	334,28	B	
25		2,35	388,22	B	
26		2,11	334,28	B	
27		2,33	366,19	B	
28		2,19	352,28	B	
29		2,19	352,28	B	
30		1,87	358,33	B	
31		1,91	323,30	B	

32		1,95	323,30	B	
33		2,13	357,28	B	
34		2,25	394,20	B	
35		2,29	394,20	B	
36		2,29	384,25	B	
37		2,35	366,19	B	
38		2,15	326,36	B	

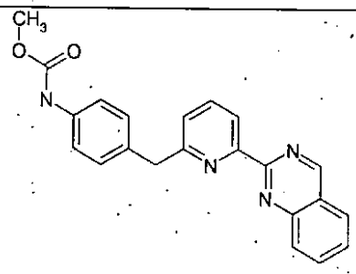
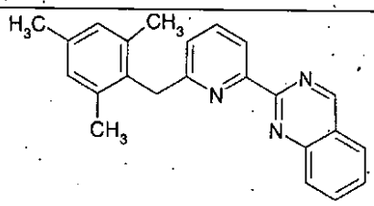
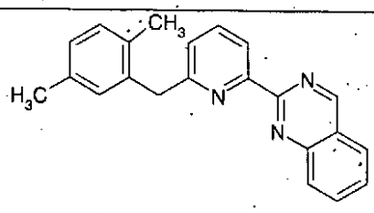
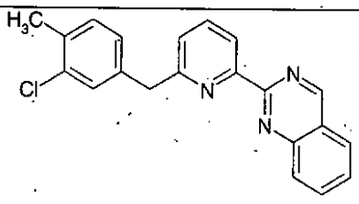
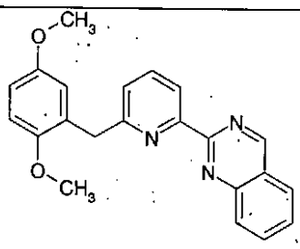
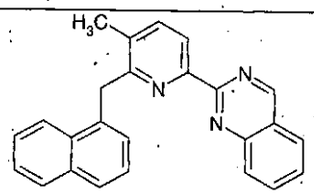
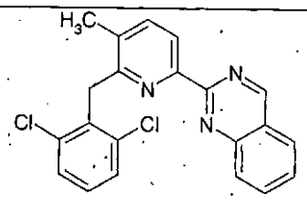
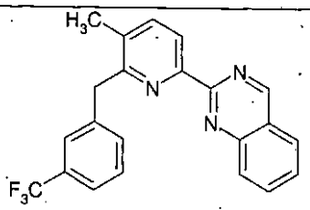
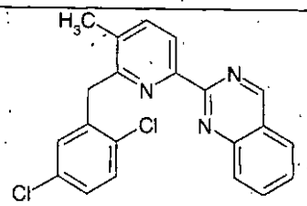
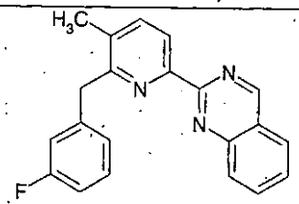
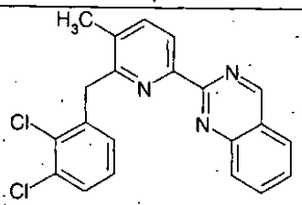
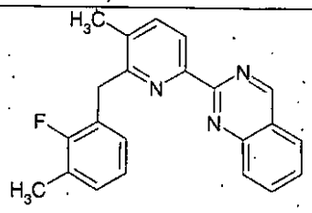
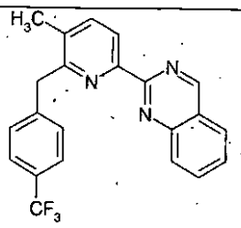
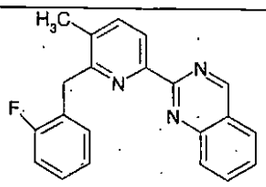
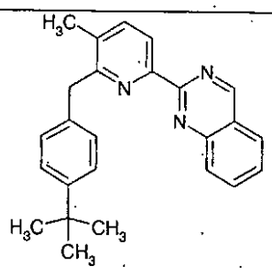
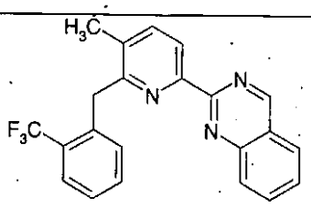
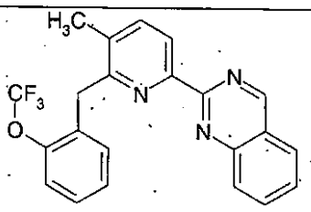
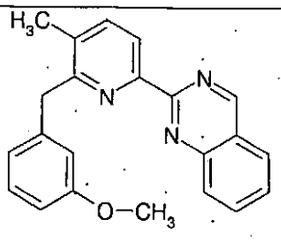
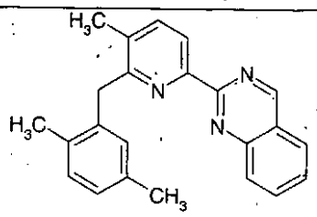
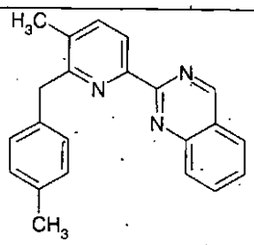
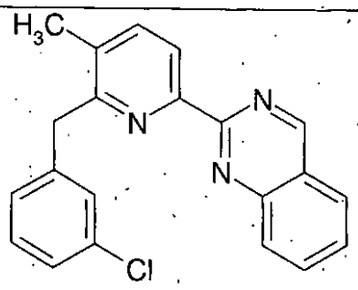
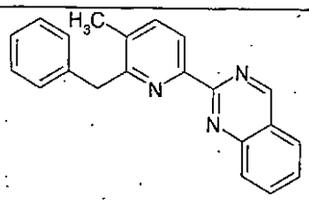
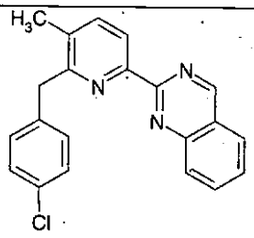
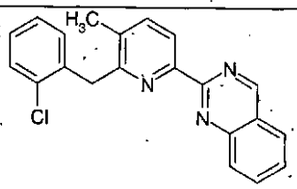
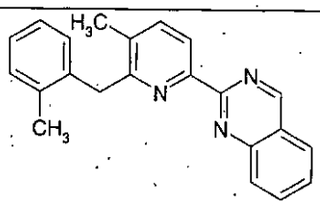
39		1,79	371,32	B	
40		2,29	340,33	B	
41		2,19	326,36	B	
42		2,27	346,31	B	
43		1,87	358,33	B	

TABLA 7

La Tabla 7 muestra el tiempo de retención y el valor de $(M+H)^+$ y/o el valor del punto de fusión medido para compuestos seleccionados de la fórmula I.a donde R^1 es Metilo A es arilalquilo opcionalmente sustituido.

Entrada	Estructura	TR (min)	$(M+H)^+$ (medido)	LC/MS	PF °C
1		1,59	362,15	B	
2		1,8	380,01	B	
3		1,78	380,11	B	
4		1,93	380,07	B	
5		1,46	330,15	B	
6		1,89	380,05	B	

7		1,6	344,17	B	
8		1,81	380,14	B	
9		1,49	330,15	B	
10		1,82	368,19	B	
11		1,83	380,09	B	
12		1,84	396,11	B	
13		1,35	342,16	B	

14		1,47	340,17	B	
15		1,47	326,19	B	
16		1,66	346,12	B	
17					164-165
18					171-172
19					166-167
20					177-180

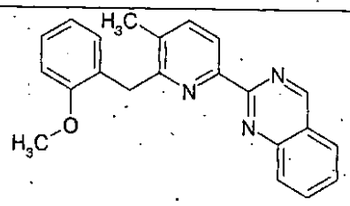
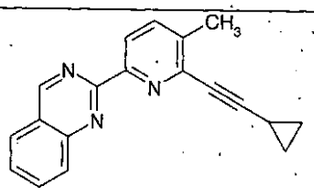
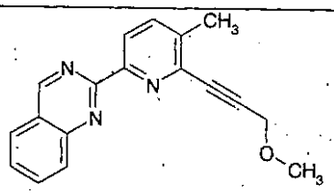
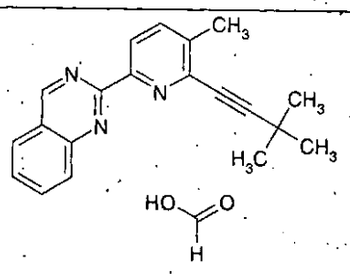
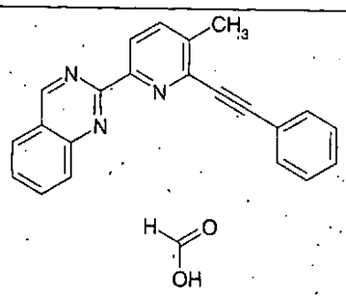
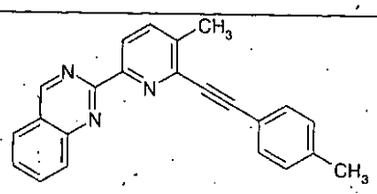
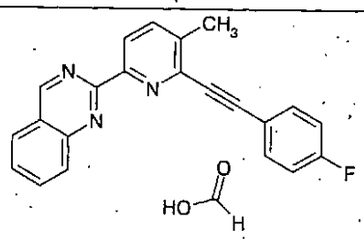
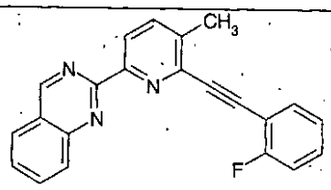
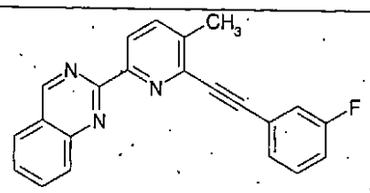
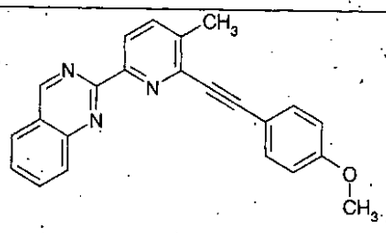
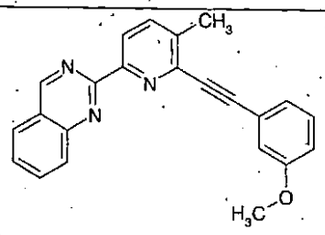
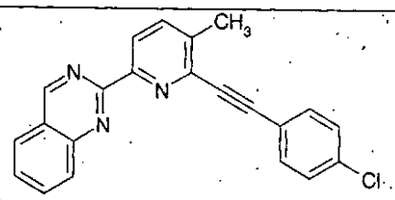
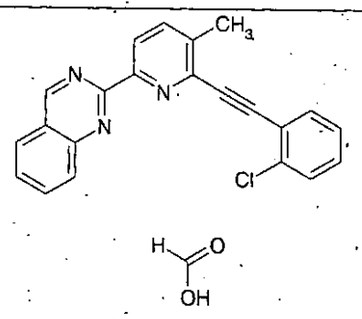
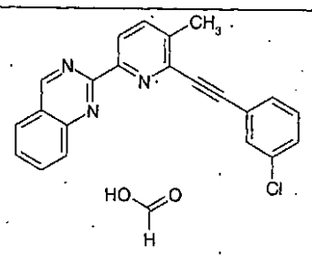
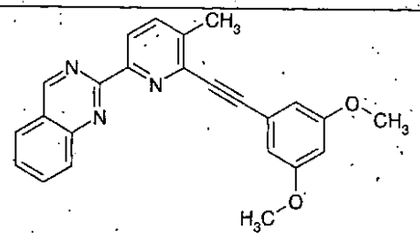
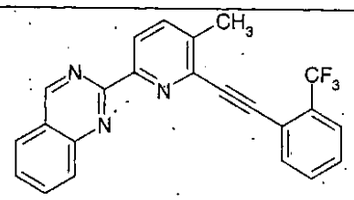
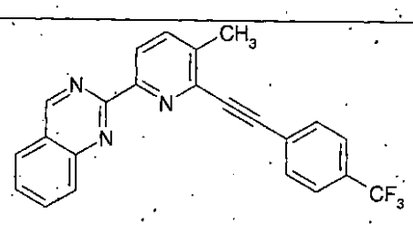
21					140-146

TABLA 8

La Tabla 8 muestra el tiempo de retención y el valor de $(M+H)^+$ y/o el valor del punto de fusión medido para compuestos seleccionados de la fórmula I.a donde R^1 es Metilo o H y A es alquinilo C_{2-6} opcionalmente sustituido.

Entrada	Estructura	TR (min)	$(M+H)^+$ (medido)	LC/MS	PF °C
1		1,69	286,22	A	
2		1,25	290,20	A	
3		1,8	302,24	A	

4		1,79	322,19	A	
6		1,99	336,19	A	
7		1,87	340,16	A	
8		1,84	340,16	A	
9		1,83	340,16	A	
10		1,88	352,16	A	
11		1,83	352,16	A	

12		2,03	356,11	A	
13		1,96	356,12	A	
14		1,97	356,12	A	
15		1,92	382,16	A	
16		1,98	390,14	A	
17		2,07	390,14	A	

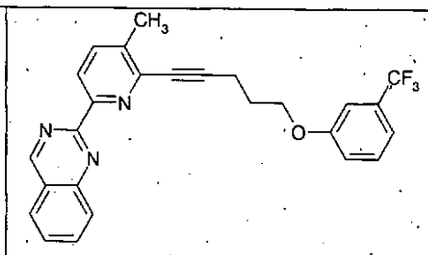
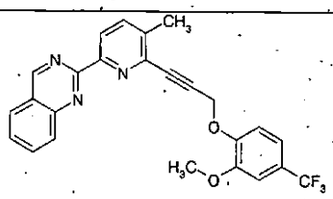
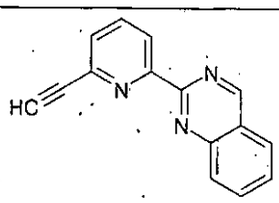
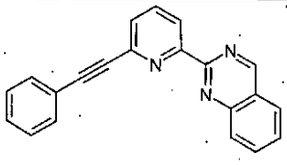
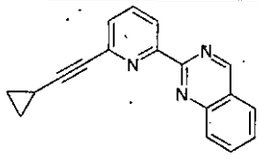
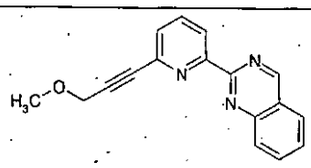
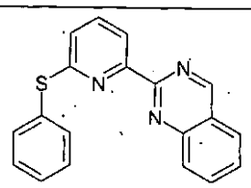
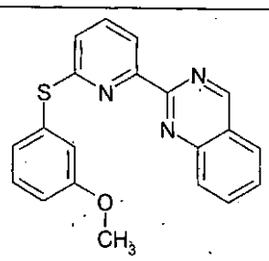
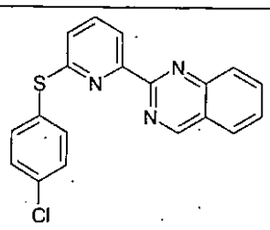
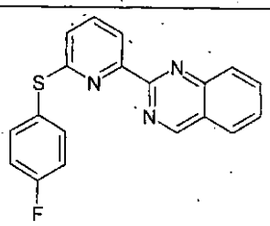
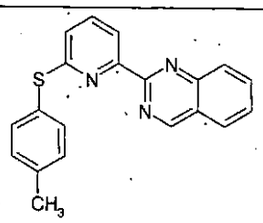
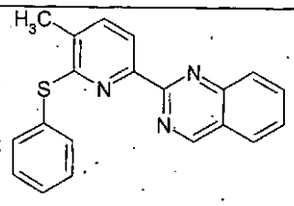
18		2,18	448,18	A	
19		1,91	450,15	A	
20					193-194
21					136-137
22		1,77	272	E	
23		1,77	272	E	

TABLA 9

La Tabla 9 muestra el tiempo de retención y el valor de $(M+H)^+$ y/o el valor del punto de fusión medido para compuestos seleccionados de la fórmula I.a donde R^1 es metilo o H y A es ariltio

Entrada	Estructura	TR (min)	$(M+H)^+$ (medido)	LC/MS	PF °C
1					161-162
2		1,91	346,00	E	aceite
3					151-152
4					166-168
5					156-158
6					124-126

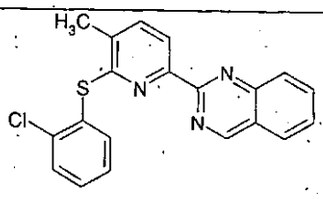
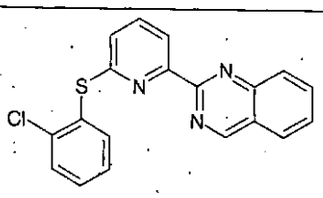
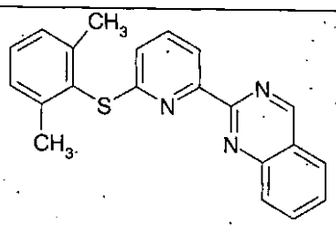
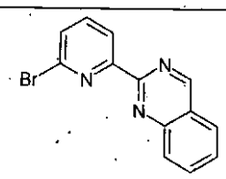
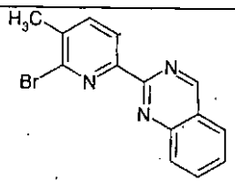
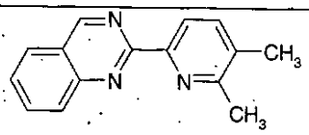
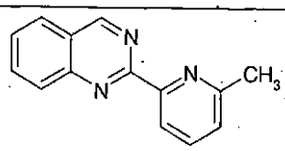
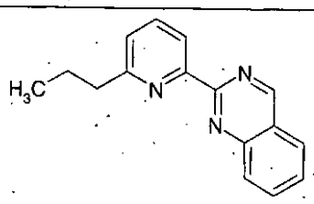
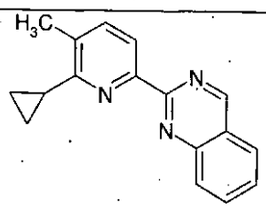
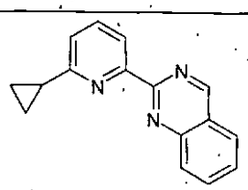
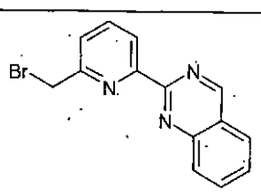
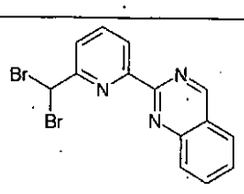
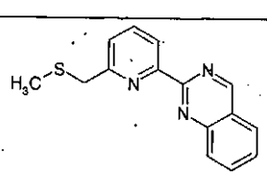
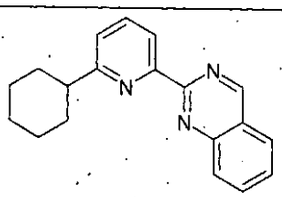
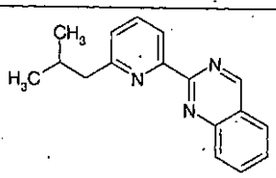
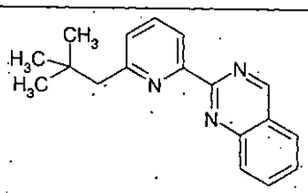
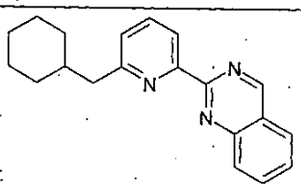
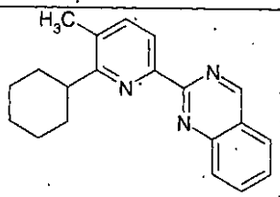
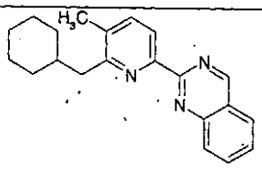
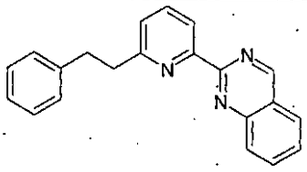
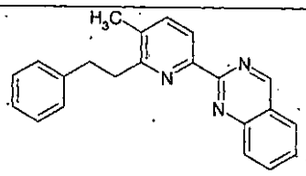
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9					126-128

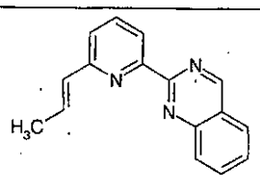
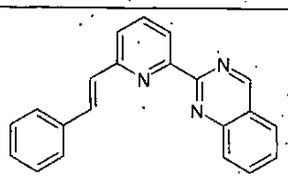
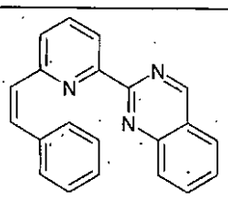
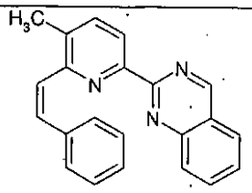
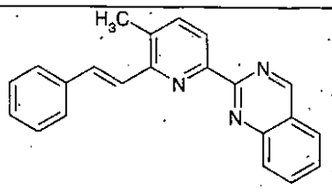
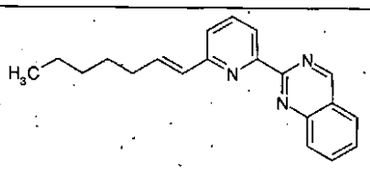
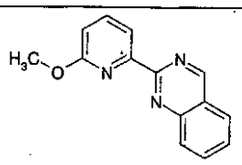
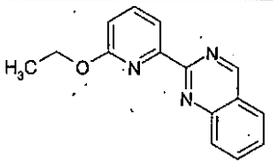
TABLA 10

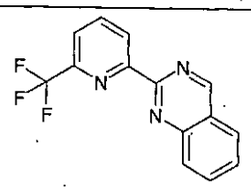
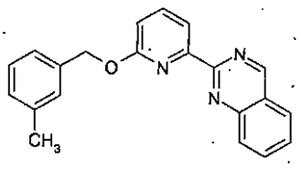
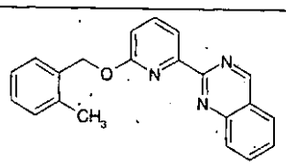
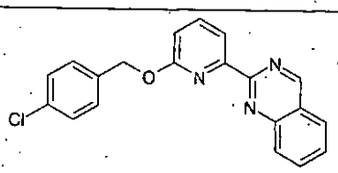
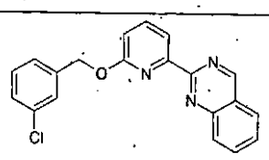
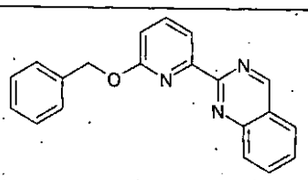
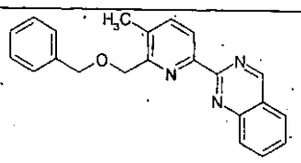
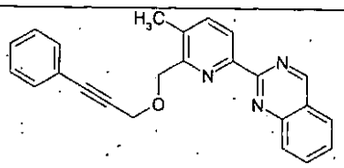
La Tabla 10 muestra el tiempo de retención y el valor de $(M+H)^+$ y/o el valor del punto de fusión medido para compuestos seleccionados de la fórmula I.a donde R^1 es H o metilo y A es halógeno, alquilo C $_{1-8}$ opcionalmente sustituido, alquenilo C $_{2-8}$, cicloalquilo C $_{3-10}$, alcoxi C $_{1-8}$ sustituido y no sustituido, haloalquilo C $_{1-8}$ y arilalcoxi

Entrada	Estructura	TR (min)	(medido)	LC/MS	PF °C
1		1,6	288,00	E	
2		1,71	302	E	168-169

3		0,29	236,19	B	
4		0,39	222,19	A	134-136
5		1,34	250	E	
6		1,45	262	E	158-159
7		1,31	248	E	139-140
8		1,58	302	E	142-143
9		1,78	380	E	
10		1,51	268	E	101-103

11		1,66	290	E	
12		1,46	264	E	
13		1,57	278	E	106-107
14		1,74	304	E	95-96
15		1,71	304	E	173-174
16		1,68	318	E	180-181
17		1,7	312	E	
18		1,64	326	E	

19		1,46	248,00	E	
20		1,83	310	E	128-129
21		1,78	310	E	
22		1,7	324	E	129-130
23		1,94	324	E	
24		1,58	304,00	A	
25		1,51	238	E	
26		1,64	252	E	110-112

27					136-138
28		2,01	328	E	68-70
29		1,99	328	E	72-75
30		2,03	348	E	111-114
31		2,04	348	E	111-115
32		2,01	314	E	
33					103-105
34		1,86	366	E	

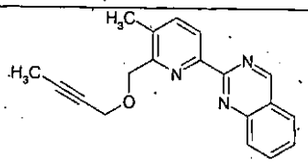
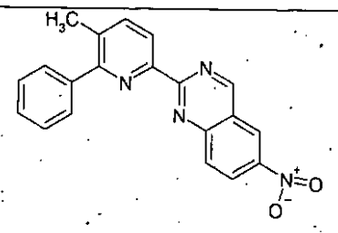
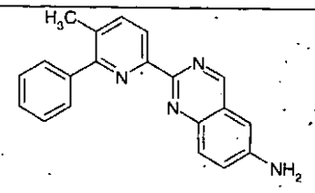
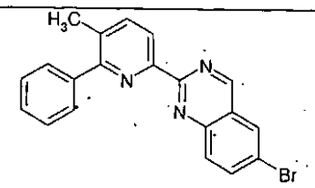
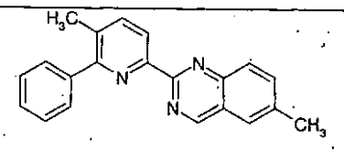
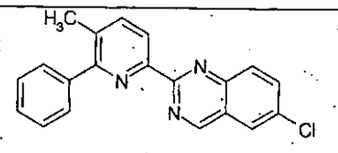
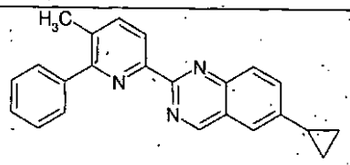
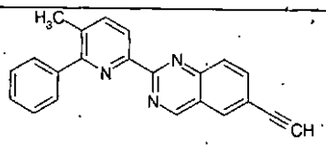
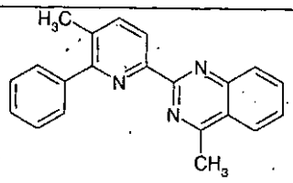
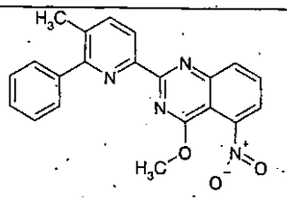
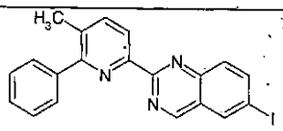
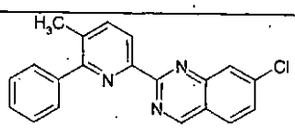
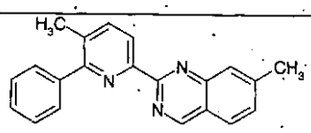
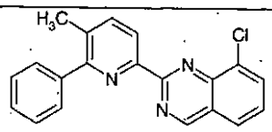
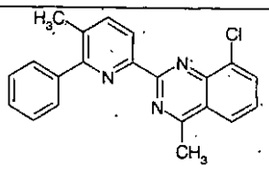
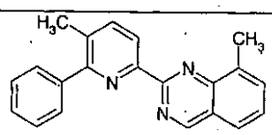
35					85-87

TABLA 11

La Tabla 11 muestra el tiempo de retención y el valor de $(M+H)^+$ y/o el valor del punto de fusión medido para compuestos seleccionados de la fórmula I donde R^1 es Metilo , A es fenilo no sustituido y al menos un sustituyente entre R^1, R^2, R^3, R^4, R^5, R^6 es diferente de H

Entrada	Estructura	TR (min)	(M+H) (medido)	LC/MS	PF °C
1		1,89	343	E	201-204
2		1,46	313	E	209-212
3		2,00	378	E	188-189
4		1,86	312	E	164-166
5		1,93	332	E	184-185

6		1,98	338	E	155-157
7		1,92	322	E	183-185
8		1,79	312	E	141-143
9		1,85	373	E	245-246
10		2,05	424	E	195-196
11		1,96	332	E	172-174
12		1,87	312	E	156-158
13		1,96	332	E	179-180
14		1,99	346	E	175-176
15		1,94	312	E	157-158

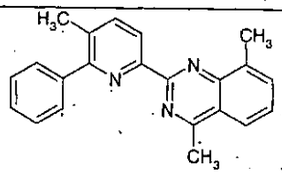
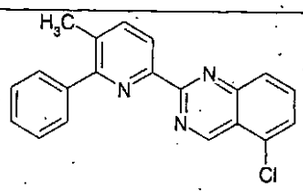
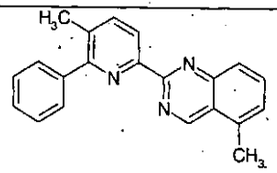
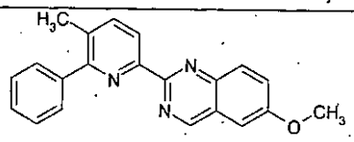
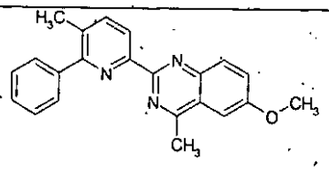
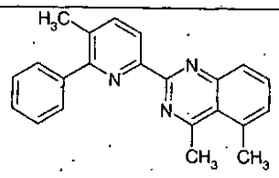
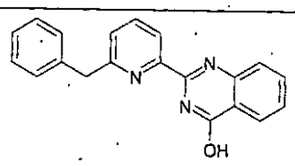
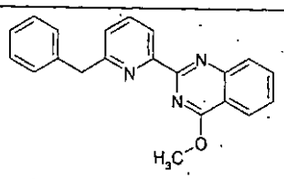
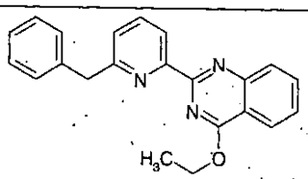
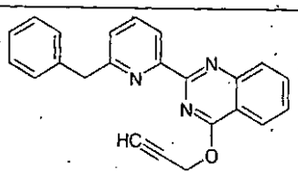
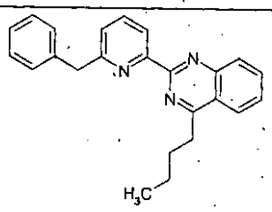
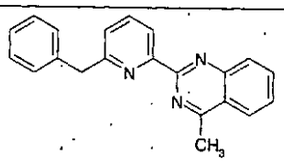
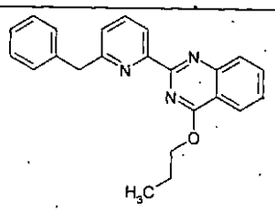
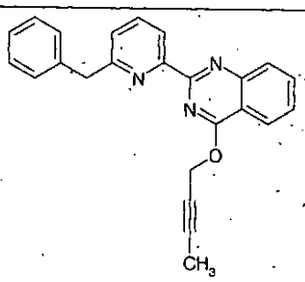
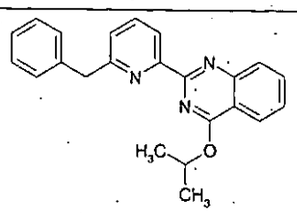
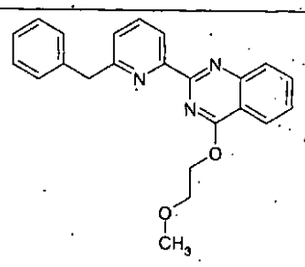
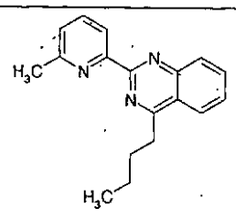
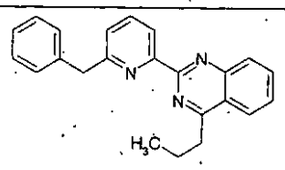
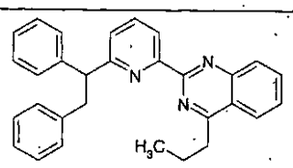
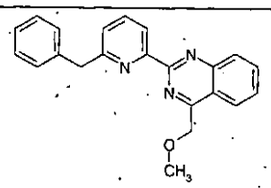
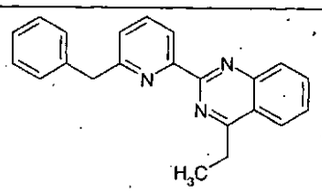
16					154-156
17					219-220
8					187-188
19					169-170
20					164-165
21					178-181

TABLA 12

La Tabla 12 muestra el tiempo de retención y el valor de $(M+H)^+$ y/o el valor del punto de fusión medido para compuestos seleccionados de la fórmula I donde R^1 es H o metilo, A es alquilo C $_{1-8}$ o arilalquilo y al menos un sustituyente entre R^1, R^2, R^3, R^4, R^5, R^6 es diferente de H

Entrada	Estructura	TR (min)	(M+H) (medido)	LC/MS	PF °C

1					181-182
2		1,80	328	E	
3		1,98	348	E	
4		1,89	352	E	
5		2,05	354	E	
6		1,73	312	E	
7		2,02	356	E	
8		1,96	366	E	

9		1,97	356	E	
10		1,78	372	E	
11		1,53	278	E	
12		1,95	340	E	
13		2,24	430	E	
14		1,70	342	E	
15		1,85	326	E	

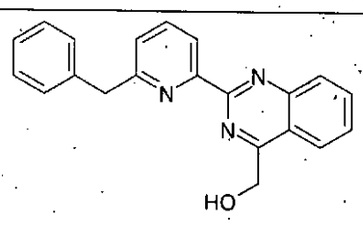
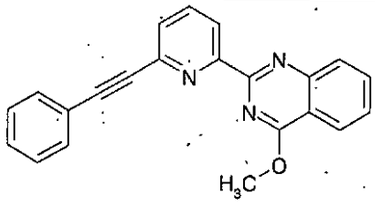
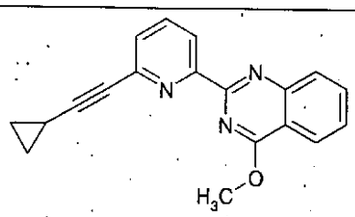
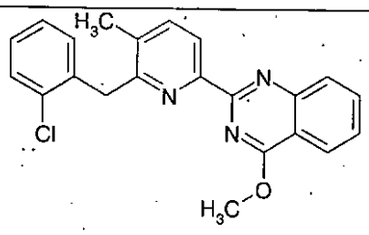
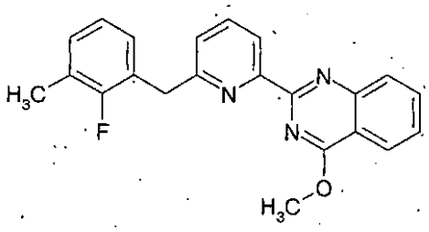
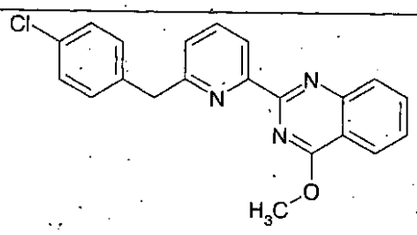
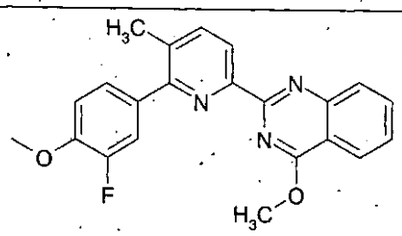
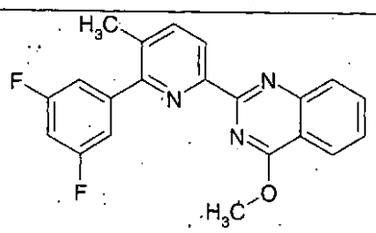
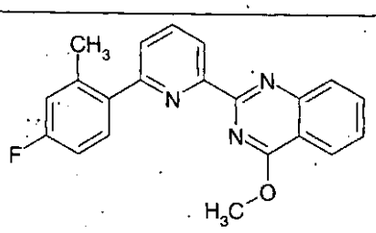
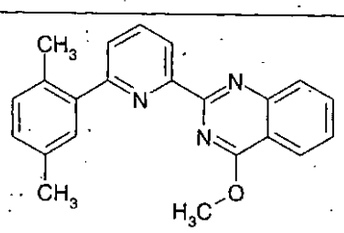
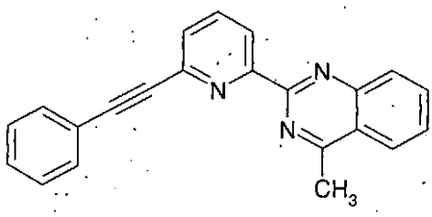
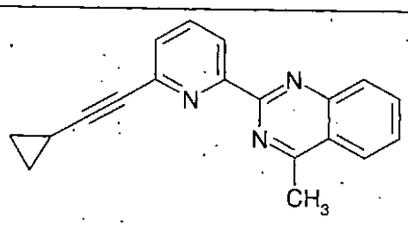
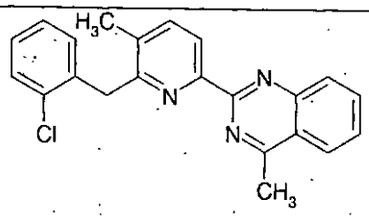
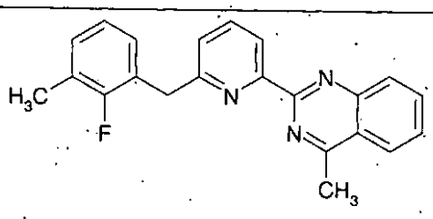
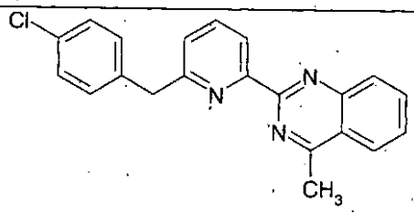
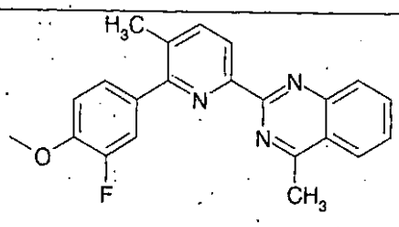
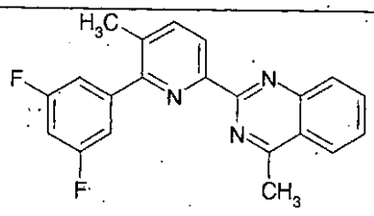
16		1,80	328	E	

TABLA 13

La Tabla 13 muestra el tiempo de retención y el valor de $(M+H)^+$ y/o el valor del punto de fusión medido para compuestos seleccionados de la fórmula I donde R^1 es H o metilo, A es alquinilo C_{2-10}, arilo o arilalquilo y R^2 es alquilo C1-8 o alcoxi C1-8.

Entrada	ESTRUCTURA	TR (min)	$(M+H)^+$ (medido)	LC/MS	PF °C
1		2,03	338	E	
2		1,88	302	E	
3					167-170

4		1,94	360	E	
5					121-123
6					173-175
7					165-167
8		1,99	346	E	
9		2,03	342	E	

10					131-133
11		1,84	286	E	
12					137-139
13		1,89	344	E	
14					114-116
15					186-188
16					172-174

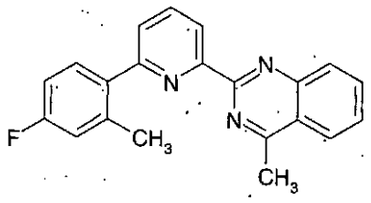
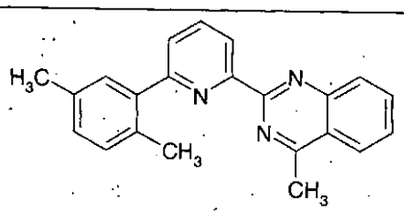
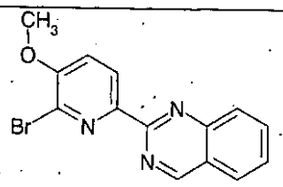
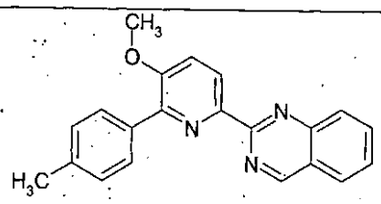
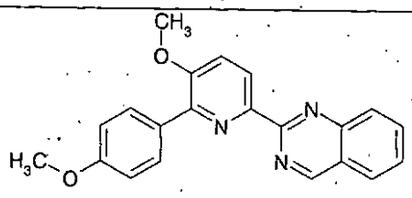
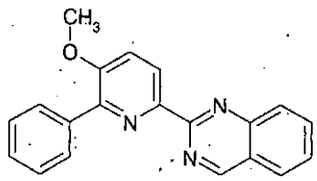
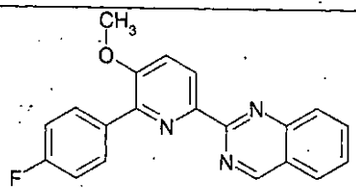
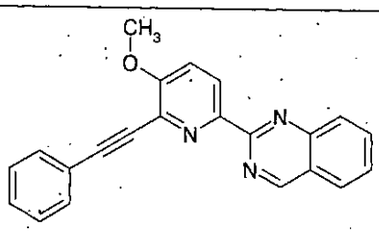
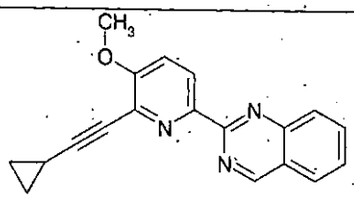
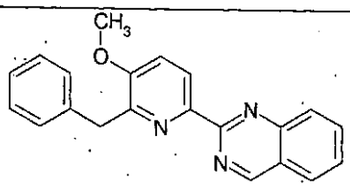
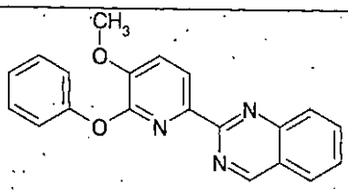
17					187-189
18					99-101

TABLA 14

La Tabla 14 muestra el tiempo de retención y el valor de $(M+H)^+$ y/o el valor del punto de fusión medido para compuestos seleccionados de la fórmula I donde R^1 es Metoxi y A es halógeno, alquinilo C_{2-10}, arilo, ariloxi y arilalquilo.

Entrada	ESTRUCTURA	TR (min)	$(M+H)^+$ (medido)	LC/MS	PF °C
1					189-191
2					131-133
3					155-157

4					168-169
5					153-155
6					58-60
7		1,70	302	E	
8		1,78	328	E	
9		1,76	330	E	

Ejemplo 6: Ejemplos biológicos

Alternaria solani / tomate / preventivo (Alternaria en tomate)

Las plantas de tomate de 4 semanas de edad cv. Roter Gnom son tratadas con el compuesto de ensayo formulado en una cámara de pulverización. Las plantas de ensayo son inoculadas pulverizándolas con una suspensión de esporas dos días después de la aplicación. Las plantas de ensayo inoculadas son incubadas a 22/18°C (día/noche) y 95% de hr en un invernadero y se evalúa el porcentaje de área de la hoja cubierta por

enfermedad cuando un nivel apropiado de enfermedad aparece en plantas de control no tratadas (5 – 7 días después de la aplicación).

Compuestos (Tabla/Entrada)

3/70, 3/71, 3/72, 3/74, 3/75, 3/76, 3/77, 3/82, 3/83, 3/84, 3/85, 3/86, 3/89, 3/90, 3/92, 3/93, 3/94, 3/95, 3/101, 5/18, 5/4, 6/16, 6/17, 6/18, 7/17, 7/18, 11/4, 4/22, 6/19, 9/2, 2/3, 2/4, 2/6, 2/9, 2/13, 2/15, 2/28, 2/30, 2/32, 2/33, 2/37, 2/38, 2/46, 2/54, 2/55, 2/60, 2/66, 2/68, 2/70, 2/73, 2/90, 2/94, 9/4, 9/6, 9/7, 9/8, 4/10, 6/11, 6/12, 3/9, 3/11, 3/17, 3/21, 3/26, 3/36, 3/37, 3/38, 3/46, 3/53, 3/56, 11/8, 5/13, 7/7, 8/1, 12/6, a 200 ppm dan al menos 80% de control de la enfermedad en este ensayo cuando se compara con discos de hoja control sin tratar bajo las mismas condiciones, lo cual muestra un extenso desarrollo de la enfermedad.

Botryotinia fuckeliana (Botrytis cinerea) / tomate / preventivo (Botrytis en tomate)

Se tratan plantas de tomate de 4 semanas de edad cv. Roter Gnom con el compuesto de ensayo formulado en una cámara de pulverización. Las plantas de ensayo son inoculadas pulverizándolas con una suspensión de esporas dos días después de la aplicación. Las plantas de ensayo inoculadas son incubadas a 20°C y 95% de hr en un invernadero y se evalúa el porcentaje de área de la hoja cubierta por la enfermedad cuando un nivel apropiado de enfermedad aparece en plantas de control no tratadas (5 – 6 días después de la aplicación).

Compuestos (Tabla/Entrada) 3/69, 3/71, 3/72, 3/75, 3/76, 3/83, 3/85, 3/89, 3/90, 3/92, 3/94, 3/101, 5/18, 6/16, 6/17, 7/19, 2/1, 2/6, 2/13, 2/37, 2/55, 2/60, 6/11, 6/12, 10/7, 3/9, 3/21, 3/26, 3/36, 3/38, 3/53, 8/1 a 200 ppm proporcionan al menos 80% de control de la enfermedad en este ensayo cuando se compara con discos de hojas control sin tratar bajo las mismas condiciones, los cuales muestran un extenso desarrollo de la enfermedad.

Erysiphe necator (Uncinula necator) / uva / preventivo (mildiú polvoriento en uva)

Se tratan plántulas de uvas de 5 semanas de edad cv. Gutedel con el compuesto de ensayo formulado en una cámara de pulverización. Se inoculan las plantas de ensayo agitando las plantas infectadas con mildiú polvoriento de uva por encima de las mismas 1 día después de la aplicación. Las plantas de ensayo inoculadas son incubadas a 24/22°C (día/noche) y 70% de hr bajo un régimen de luz de 14/10 h (luz/oscuridad) y se evalúa el porcentaje de área de la hoja cubierta por la enfermedad cuando un nivel apropiado de

enfermedad aparece en plantas de control no tratadas (7 – 9 días después de la aplicación).

Compuestos (Tabla/Entrada) 3/75, 3/85, 3/89, 3/90, 3/92, 6/16, 6/17, 2/54, 2/55, 2/68, 10/4, 6/11, 6/12, 10/7, 3/21, 3/38, 3/53, 11/8, 7/7, 12/2, 12/3, 12/6 a 200 ppm brindan al menos 80% de control de la enfermedad en este ensayo cuando se compara con discos de hojas control sin tratar bajo las mismas condiciones, los cuales muestran un extenso desarrollo de la enfermedad.

Mycosphaerella arachidis (Cercospora arachidicola) / maní / preventivo

Se tratan plantas de maní de 3 semanas de edad cv. Georgia Green con el compuesto de ensayo formulado en una cámara de pulverización. Se inoculan las plantas de ensayo pulverizándolas con una suspensión de esporas sobre su superficie inferior de la hoja un día después de la aplicación. Luego de un período de incubación de 4 días bajo un casquete plástico a 23°C y 100% hr, las plantas de ensayo se guardan a 23°C / 20°C (día/noche) y 70% hr en un invernadero. Se evalúa el porcentaje de área de la hoja cubierta por la enfermedad cuando un nivel apropiado de enfermedad aparece en plantas de control no tratadas (12 – 14 días después de la aplicación).

Los compuestos (Tabla/Entrada) 3/75, 3/76, 3/85, 3/89, 3/90, 3/92, 5/17, 5/3, 6/17, 7/17, 2/1, 2/6, 2/13, 2/26, 2/37, 2/54, 2/55, 6/11, 6/12, 10/7, 10/4, 3/9, 3/26, 3/38, 3/46, 3/53, 3/56, 11/8, 8/1, 12/2, a 200 ppm brindan al menos 80% de control de la enfermedad en este ensayo cuando se compara con discos de hojas control sin tratar bajo las mismas condiciones, los cuales muestran un extenso desarrollo de la enfermedad.

Mycosphaerella graminicola (Septoria tritici) / trigo / preventivo (mancha de la hoja por Septoria tritici en trigo)

Se tratan plantas de trigo de 2 semanas de edad cv. Riband con el compuesto de ensayo formulado en una cámara de pulverización. Se inoculan las plantas de ensayo pulverizando una suspensión de esporas sobre las mismas un día después de la aplicación. Luego de un período de incubación de 1 día a 22°C/21 °C (día/noche) y 95% hr, las plantas de ensayo se mantienen a 22°C/21°C (día/noche) y 70% de hr en un invernadero. Se evalúa el porcentaje del área de la hoja cubierta por la enfermedad cuando un nivel apropiado de enfermedad aparece en plantas de control no tratadas (16 – 19 días después de la aplicación).

Los compuestos (Tabla/Entrada) 3/71, 3/74, 3/75, 3/76, 3/77, 3/82, 3/83, 3/85, 3/89, 3/90, 3/92, 3/93, 3/94, 3/101, 6/16, 6/18, 7/17, 6/19, 2/73, 6/10, 6/11, 6/12, 6/15, 3/9, 11/8, 12/2

a 200 ppm brindan al menos 80% de control de la enfermedad en este ensayo cuando se compara con discos de hojas control sin tratar bajo las mismas condiciones, los cuales muestran un extenso desarrollo de la enfermedad.

Phytophthora infestans / papa / preventivo (tizón tardío en la papa)

Se tratan plantas de papa de 2 semanas de edad cv. Bintje con el compuesto de ensayo formulado en una cámara de pulverización. Se inoculan las plantas de ensayo pulverizándolas con una suspensión de esporangios 2 días después de la aplicación. Las plantas de ensayo inoculadas son incubadas a 18°C con 14 h de luz/día y 100 % de hr en una cámara de crecimiento y se evalúa el porcentaje de área de la hoja cubierta por la enfermedad cuando un nivel apropiado de enfermedad aparece en plantas de control no tratadas (5 – 7 días después de la aplicación).

Los compuestos (Tabla/Entrada) 3/71, 3/72, 3/75, 3/76, 3/77, 3/85, 3/90, 3/92, 5/18, 6/17, 7/17, 2/55, 2/60 a 200 ppm brindan al menos 80% de control de la enfermedad en este ensayo cuando se compara con discos de hojas control sin tratar bajo las mismas condiciones, los cuales muestran un extenso desarrollo de la enfermedad.

Plasmopara viticola / uva / preventivo (mildiú velloso de la uva)

Se tratan plántulas de uvas de 5 semanas de edad cv. Gutedel con el compuesto de ensayo formulado en una cámara de pulverización. Las plantas de ensayo son inoculadas mediante una pulverización de una suspensión de esporangios sobre la superficie inferior de la hoja un día después de la aplicación. Las plantas de ensayo inoculadas son incubadas a 22° C y 100% de humedad relativa en un invernadero y se evalúa el porcentaje de área de la hoja cubierta por la enfermedad cuando un nivel apropiado de enfermedad aparece en plantas de control no tratadas (6 – 8 días después de la aplicación).

Los compuestos (Tabla/Entrada) 3/69, 3/71, 3/72, 3/73, 3/74, 3/75, 3/76, 3/77, 10/3, 3/82, 3/83, 3/84, 3/85, 3/86, 3/89, 3/90, 3/92, 3/93, 3/94, 3/95, 3/101, 5/18, 5/17, 11/2, 5/3, 5/4, 6/16, 6/17, 11/5, 4/22, 7/19, 6/19, 9/2, 2/3, 2/6, 2/9, 2/13, 2/26, 2/28, 2/30, 2/37, 2/46, 2/54, 2/55, 2/60, 2/68, 2/70, 2/73, 2/79, 2/90, 2/94, 4/10, 6/15, 10/7, 3/9, 3/11, 3/21, 3/26, 3/36, 3/37, 3/38, 3/46, 3/53, 3/56, 11/8, 7/7, 8/1, 12/2, a 200 ppm brindan al menos 80% de control de la enfermedad en este ensayo cuando se compara con discos de hojas control sin tratar bajo las mismas condiciones, los cuales muestran un extenso desarrollo de la enfermedad.

Pyrenophora teres (Helminthosporium teres) / cebada / preventivo (Mancha reticulada en cebada)

Se tratan plantas de cebada de 1 semana de edad cv. Regina con el compuesto de ensayo formulado en una cámara de pulverización. Se inoculan las plantas de ensayo pulverizándolas con una suspensión de esporas 2 días después de la aplicación. Las plantas de ensayo inoculadas son incubadas a 20°C y 95% de h.r. y se evalúa el porcentaje de área de la hoja cubierta por la enfermedad cuando un nivel apropiado de enfermedad aparece en plantas de control no tratadas (5 – 7 días después de la aplicación).

Los compuestos (Tabla/Entrada) 3/69, 3/70, 3/71, 3/72, 3/73, 3/74, 3/76, 3/82, 3/83, 3/84, 3/85, 3/86, 3/89, 3/90, 3/92, 3/93, 3/94, 3/95, 3/101, 5/18, 5/3, 6/16, 6/17, 6/18, 7/18, 11/4, 11/5, 4/22, 6/19, 9/2, 2/3, 2/6, 2/9, 2/28, 2/32, 2/54, 2/55, 2/90, 9/4, 9/6, 9/7, 9/8, 4/15, 6/11, 6/12; 6/15, 4/23, 3/9, 3/26, 3/38, 3/53, 11/8, 5/13, 7/7 a 200 ppm brindan al menos 80% de control de la enfermedad en este ensayo cuando se compara con discos de hojas control sin tratar bajo las mismas condiciones, los cuales muestran un extenso desarrollo de la enfermedad.

Phaeosphaeria nodorum (Septoria nodorum) / trigo / preventivo de disco de hoja (tizón de gluma)

Se colocan segmentos de hojas de trigo cv. Kanzler en agar en una placa de pocillos múltiples (formato de 24 pocillos) y se pulverizan con el compuesto del ensayo formulado diluido en agua. Los discos de hoja se inoculan con una suspensión de esporas del hongo 2 días después de la aplicación. Se incuban los discos de hojas del ensayo a 20°C y 75% h.r. bajo un régimen de luz 12 h luz/ 12 h oscuridad en un gabinete climático y se evalúa la actividad de un compuesto como porcentaje de control de la enfermedad en comparación con las hojas no tratadas cuando un nivel apropiado de daño de la enfermedad aparece en discos de hojas de control no tratados (5 – 7 días después de la aplicación).

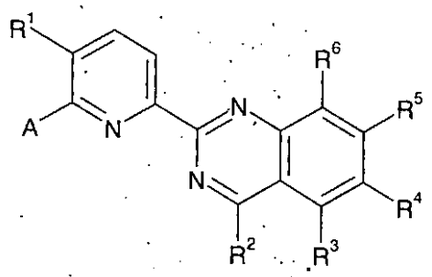
Los compuestos (Tabla/Entrada) 2/38, 2/50, 2/57, 2/60, 2/61, 2/66, 2/104, 2/105, 2/108, 2/110, 2/111, 2/112, 2/113, 2/115, 2/116, 2/117, 2/119, 2/121, 2/124, 2/125, 2/126, 2/128, 2/130, 2/131, 2/132, 2/133, 3/102, 3/103, 3/104, 3/105, 3/106, 3/107, 3/108, 3/109, 3/110, 3/114, 3/118, 3/120, 3/123, 3/124, 3/125, 3/126, 3/128, 3/129, 3/131, 3/132, 3/133, 3/134, 3/135, 3/137, 3/138, 3/139, 3/142, 4/24, 6/20, 6/21, 6/22, 6/23, 6/24, 6/26, 6/27, 6/28, 6/29, 6/30, 6/31, 6/32, 6/33, 6/34, 6/35, 6/37, 6/38, 6/39, 6/40, 6/41, 6/42, 6/43, 7/20, 7/21,

10/17, 10/20, 10/21, 10/22, 10/23, 11/11, 11/12, 11/13, 11/14, 11/15, 11/16, 11/18, 11/19, 11/20, 11/21, 12/2, 12/3, 12/5, 12/6, 12/9, 12/10, 12/13, 12/15, 12/16, 14/2, 14/3, 14/4, 14/5 a 200 ppm brindan al menos 80% de control de la enfermedad en este ensayo cuando se compara con discos de hojas control sin tratar bajo las mismas condiciones, los cuales muestran un extenso desarrollo de la enfermedad.

Si bien la invención ha sido descrita con referencia a sus realizaciones preferidas y ejemplos, el alcance de la presente invención no se encuentra limitado solamente a aquellas realizaciones descritas. Como será evidente para las personas capacitadas en la técnica, pueden efectuarse modificaciones y adaptaciones a la invención descrita anteriormente sin apartarse del espíritu y alcance de la invención, lo cual es definido y circunscrito por las reivindicaciones adjuntas. Todas las publicaciones mencionadas en esta invención se incorporan a la presente como referencia en su totalidad para todo propósito del mismo modo como si cada publicación individual estuviese específica e individualmente indicada como estando incorporada a modo de referencia.

REIVINDICACIONES

1. Un compuesto de fórmula I:



(I)

donde

R^1 es hidrógeno, hidroxilo, halo, ciano, alquilo C_{1-8}, haloalquilo C_{1-8}, alcoxi C_{1-8}, haloalcoxi C_{1-8}, alquiltio C_{1-8} o cicloalquilo C_{3-10};

R^2 es hidrógeno, hidroxilo, halo, alquilo C_{1-8}, alcoxi C_{1-8}; alqueniloxi C_{1-8} o anquiniloxi C_{1-8}, cicloalquilo C_{3-10};

R^3, R^4, R^5 y R^6 son, independientemente, hidrógeno, hidroxilo, halo, ciano, nitro, amino, mono- y bis-alquilamino C_{1-8}, alquilo C_{1-8}, alquenilo C_{2-8}, alquinilo C_{2-8}, haloalquilo C_{1-8}, alcoxi C_{1-8}, haloalcoxi C_{1-8}, alquiltio C_{1-8} o cicloalquilo C_{3-10};

A es halo, alquilo C_{1-10}, alquenilo C_{2-10}, alquinilo C_{2-10}, haloalquilo C_{1-8}, alcoxi C_{1-8}, cicloalquilo C_{3-10}, cicloalquiloxi C_{3-10}, arilo, arilalquilo, ariloxi, arilalquiloxi o ariltio;

o una sal o un N–óxido del mismo, siempre que si A es metilo y cada R^1, R^3, R^4, R^5 y R^6 es hidrógeno, R^2 no sea cloro.

2. Un compuesto de acuerdo con la reivindicación 1, donde R^1 es hidrógeno, halo, ciano, alquilo C_{1-3}, alcoxi C_{1-3}, haloalquilo C_{1-3} o alquiltio C_{1-3}.

R^2 es hidrógeno, hidroxilo, halo, alquilo C_{1-5}, cicloalquilo C_{3-5}; alquiniloxi C_{1-5} o alcoxi C_{1-5};

R^3, R^4, R^5 y R^6 son, independientemente, hidrógeno, halo, hidroxilo, ciano, alquilo C_{1-8}, haloalquilo C_{1-8}, alcoxi C_{1-8}, haloalcoxi C_{1-8}, amino o mono- o di-alquilo C_{1-8} y

A es halo, alquilo C_{1-8}, alquenilo C_{2-8}, alquinilo C_{1-8}, haloalquilo C_{1-8}, alcoxi C_{1-8}, cicloalquilo C_{3-10}, cicloalquiloxi C_{3-10}, arilo, arilalquilo, ariloxi, arilalquiloxi o ariltio;

3. Un compuesto de acuerdo con la reivindicación 2, donde

R^1 es hidrógeno, flúor, cloro, metilo, etilo, metoxi, etoxi o tridluorometilo, preferentemente hidógeno, metilo o metoxi,

R^2 es hidrógeno, hidroxilo, cloro, metilo o metoxi, preferentemente hidrógeno, metilo o metoxi

R^3, R^4, R^5 y R^6 son, independientemente, hidrógeno, halo, ciano, alquilo C_{1-3}, haloalquilo C_{1-3}, alcoxi C_{1-3}, haloalcoxi C_{1-3}, amino o mono- o di-C_{1-8} alquilamino, preferentemente independientemente, hidrógeno, halo, ciano, alquilo C_{1-3} o alcoxi C_{1-3}, más preferentemente independientemente, hidrógeno, halo, ciano, alquilo C_{1-3} o alcoxi C_{1-3};

A es halo, alquilo C_{1-8}, arilo opcionalmente, arilalquilo opcionalmente sustituido o ariloxi opcionalmente sustituido , prefiblemente halo, fenilo opcionalmente sustituido, naftilo opcionalmente sustituido, bencilo opcionalmente sustituido, fenoxi opcionalmente sustituido, feniltio opcionalmente sustituido o ariletinilo opcionalmente sustituido, más preferentemente fenilo opcionalmente sustituido, naftilo opcionalmente sustituido, bencilo opcionalmente sustituido, fenoxi opcionalmente sustituido, feniltio opcionalmente sustituido o ariletinilo opcionalmente sustituido.

4. Un compuesto de acuerdo con la reivindicación 1, donde

R^1 es hidrógeno, halo, alquilo C_{1-3}, alcoxi C_{1-3} o haloalquilo C_{1-3} o alcoxiC_{1-3}.

R^2 es hidrógeno, hidroxilo, halo, alquilo C_{1-5}, cicloalquilo C_{3-5} o alcoxi C_{1-5},

R^3, R^4, R^5 y R^6 son, independientemente, hidrógeno, halo, alquilo C_{1-3}, haloalquilo C_{1-3} o alcoxi C_{1-3} y

A es halo, arilo opcionalmente sustituido, arilaquilo opcionalmente sustituido, ariloxi opcionalmente sustituido o ariltio opcionalmente sustituido, donde los sutituyentes opcionales se seleccionan de halo, ciano, nitro, hidroxilo, alquilo C_{1-3}, haloalquilo C_{1-3}, alquilcarbonilo C_{1-3}, alcoxicarbonilo C_{1-3} y alcoxi C_{1-3} o una combinación de cualquiera de estos sustituyentes.

5. Un compuesto de acuerdo con la reivindicación 4, donde

R^1 es hidrógeno, flúor, clorometilo, etilo, metoxi o trifluormetilo, etoxi o metoxi, preferentemente hidrógeno, flúor, cloro, metilo, etilo, etoxi o metoxi.

R^2 es hidrógeno, cloro, metilo o metoxi,

R^3, R^4, R^5 y R^6 son, independentemente, hidrógeno, flúor, cloro, metilo, hidroxilo, trifluorometilo o metoxi y

A es bromo, cloro, yodo, fenilo opcionalmente sustituido, fenilmetilo opcionalmente sustituido, fenoxi opcionalmente sustituido, feniltilio opcionalmente sustituido o feniletinilo opcionalmente sustituido, donde los sutituyentes opcionales se seleccionan de flúor, cloro, ciano, metilo, trifluorometilo o metoxi o una combinación de estos sustituyentes.

6. Un compuesto de acuerdo con la reivindicación 1, donde A es halógeno, fenilo opcionalmente sustituido, bencilo opcionalmente sustituido o fenoxi opcionalmente sustituido.

7. Un compuesto de acuerdo a la reivindicación 6, donde A es fenilo opcionalmente sustituido o bencilo opcionalmente sustituido.

8. Un compuesto de acuerdo con la reivindicación 1, que es:

2-(5-metil-6-*o*-tolilpiridin-2-il)-quinazolina (Compuesto I.a 096);

2-[6-(4-fluor-3-metilfenil)-5-metilpiridin-2-il]-quinazolina (Compuesto I.a 681),

2-[6-(3-fluor-4-metoxi-fenil)-5-metilpiridin-2-il]-quinazolina (Compuesto I.a 581);

2-[6-(3,5-dimetilfenil)-5-metilpiridin-2-il]-quinazolina (Compuesto I.a 881);

2-[6-(3,5-difluorfenil)-5-metilpiridin-2-il]-quinazolina (Compuesto I.a 831);

2-[6-(3,4-difluorfenil)-5-metilpiridin-2-il]-quinazolina (Compuesto I.a 421);

6-Metil-2-(5-metil-6-fenilpiridin-2-il)-quinazolina (Compuesto I.s 021);

2-[6-(2-clorobenzil)-piridin-2-il]-quinazolina (Compuesto I.a 067);

2-[6-(2-metilbenzil)-piridin-2-il]-quinazolina (Compuesto I.a 092);

2-(6-benzil-5-metilpiridin-2-il)-quinazolina (Compuesto I.a 022);

2-(6-benzilpiridin-2-il)-6-metilquinazolina (Compuesto I.s 017);

2-[6-(2,5-dimetil-fenil)-piridin-2-il]-quinazolina;

2-(6-benzil-piridin-2-il)-4-metoxi-quinazolina;

2-[6-(2-fluor-3-metil-benzil)-5-metil-piridin-2-il]-quinazolina;

2-[6-(2-fluor-3-metil-benzil)-piridin-2-il]-quinazolina;

4-metil-2-(5-metil-6-fenil-piridin-2-il)-quinazolina;

2-[6-(4-metoxi-2-metil-fenil)-5-metil-piridin-2-il]-quinazolina;

2-[6-(2-fluor-5-metil-fenil)-5-metil-piridin-2-il]-quinazolina;

2-[6-(4-fluor-2-metil-fenil)-piridin-2-il]-quinazolina;

2-(6-ciclopropiletinil-5-metil-piridin-2-il)-quinazolina;

2-(6-fenoxi-piridin-2-il)-quinazolina;

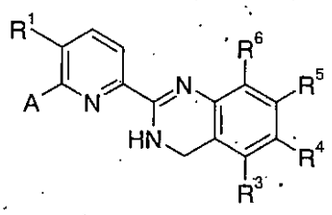
2-(5-metil-6-fenoxi-piridin-2-il)-quinazolina;

5-metil-2-(5-metil-6-fenil-piridin-2-il)-quinazolina;

y 2-[5-metoxi-6-(4-metoxi-fenil)-piridin-2-il]-quinazolina.

9. Un proceso para la preparación de un compuesto de formula I, donde R^2 es hidrógeno, que comprende:

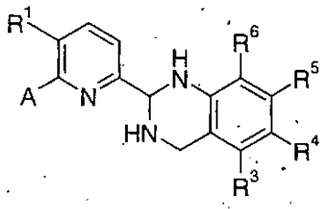
(i) reaccionar un compuesto de fórmula II con un agente oxidante:



(II)

; or

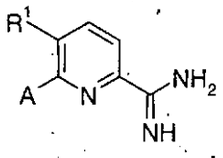
(ii) reaccionar un compuesto de fórmula (VIII) con un agente de oxidación:



(VIII)

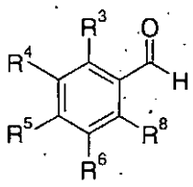
; o

(iii) reaccionar un compuesto de fórmula XIII con una sal del mismo:



(XIII)

y un benzaldehido de fórmula XIV:



(XIV)

con una base,

donde R^1, R^3, R^4, R^5, R^6 y A son según lo definido en la reivindicación 1 y R^8 es un halógeno o un grupo amino.

10. Un método para prevenir y/o controlar la infección fúngica en plantas o material vegetal de propagación que comprende la aplicación a la planta o el material vegetal de propagación o el locus del mismo una cantidad fungicidamente eficaz de un compuesto de fórmula I.

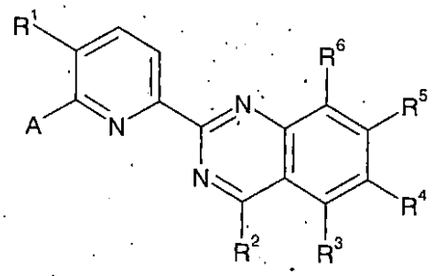
11. Una composición para el control de la infección fúngica que comprende un compuesto de fórmula I i es un portador o diluyente agriculturalmente aceptable.

12. Una composición de acuerdo con la reivindicación 11, que además comprende al menos un compuesto fungicidamente activo adicional además del compuesto de la fórmula (I).

13. Una composición de acuerdo con la reivindicación 12, donde el compuesto fungicidamente activo adicional es acibenzolar-S-metil, azoxistrobin, clorotalonil, ciproconazol, ciprodinil, difenoconazol, fenpropidin, fluazinam, fludioxonil, hexaconazol, isopirazam, mandipropamid, mefenoxam, penconazol, propiconazol, piroquilon, sedaxano o tiabendazol.

RESUMEN

La presente invención se refiere a un compuesto de fórmula I:



donde los sutituyentes tienen las definiciones según lo definido en la reivindicación 1 o una sal o un N-óxido de los mismos, su uso y los métodos para el control y/o la prevención de la infección microbiana, particularmente infección fúngica, en plantas y a procesos para la preparación de estos compuestos.

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SUPERINTENDENCIA DE INDUSTRIA Y COMERCIO
DIVISION DE NUEVAS CREACIONES
TARJETA ARCHIVO PROPIETARIOS

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(72) Inventor(es) **LAURA QUARANTA, CLEMENS LAMBERTH, DAVID GUILLAUME CLAUDE FRANÇOIS LEFRANC, JAYANT UMARYE, PETER RENOLD, ANDREW EDMUNDS, MARTIN POULIOT**

(74) Apoderado: **DRA. MARGARITA CASTELLANOS ABONDANO**

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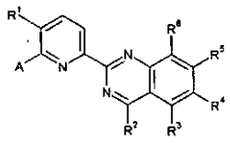
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(21) Nº de solicitud:	(51) Int. Cl.:
22) Fecha de solicitud:	(71)Solicitante(s) **SYNGENTA PARTICIPATIONS AG**
(30) Prioridad (31) Nº Prioridad 32) Fecha (33) País **1102/DEL/2009** **29/05/2009** **IN**	(72) Inventor(es) **LAURA QUARANTA** **CLEMENS LAMBERTH** **DAVID GUILLAUME CLAUDE FRANÇOIS LEFRANC** **Y OTROS**
	(74) Apoderado: **MARGARITA CASTELLANOS ABONDANO**
(85) Fecha limite inicio fase nacional: (86) Datos relativos a la presentación de la solicitud PCT Fecha de presentación de la solicitud: **26/05/2010** Nº de solicitud **PCT/EP2010/057220**	(87) Publicación internacional Fecha: **02/12/2010** Nº publicación: **WO 2010/136475 A1**

(54) Titulo: **QUINAZOLINAS SUSTITUDAS COMO FUNGICIDAS**

Reivindicación(es):

1. Un compuesto de fórmula I:



(I)

donde
R^1 es hidrógeno, hidroxilo, halo, ciano, alquilo C_{1-8}, haloalquilo C_{1-8}, alcoxi C_{1-8}, haloalcoxi C_{1-8}, alquiltio C_{1-8} o cicloalquilo C_{3-10};
R^2 es hidrógeno, hidroxilo, halo, alquilo C_{1-8}, alcoxi C_{1-8}; alqueniloxi C_{1-8} o anquiniloxi C_{1-8}, cicloalquilo C_{3-10};
R^3, R^4, R^5 y R^6 son, independientemente, hidrógeno, hidroxilo, halo, ciano, nitro, amino, mono- y bis-alquilamino C_{1-8}, alquilo C_{1-8}, alquenilo C_{2-8}, alquinilo C_{2-8}, haloalquilo C_{1-8}, alcoxi C_{1-8}, haloalcoxi C_{1-8}, alquiltio C_{1-8} o cicloalquilo C_{3-10};
A es halo, alquilo C_{1-10}, alquenilo C_{2-10}, alquinilo C_{2-10}, haloalquilo C_{1-8}, alcoxi C_{1-8}, cicloalquilo C_{3-10}, cicloalquiloxi C_{3-10}, arilo, arilalquilo, ariloxi, arilalquiloxi o ariltio;
o una sal o un N–óxido del mismo, siempre que si A es metilo y cada R^1, R^3, R^4, R^5 y R^6 es hidrógeno, R^2 no sea cloro.

2. Un compuesto de acuerdo con la reivindicación 1, donde R^1 es hidrógeno, halo, ciano, alquilo C_{1-3}, alcoxi C_{1-3}, haloalquilo C_{1-3} o alquiltio C_{1-3}.
R^2 es hidrógeno, hidroxilo, halo, alquilo C_{1-5}, cicloalquilo C_{3-5}; alquiniloxi C_{1-5} o alcoxi C_{1-5};
R^3, R^4, R^5 y R^6 son, independientemente, hidrógeno, halo, hidroxilo, ciano, alquilo C_{1-8}, haloalquilo C_{1-8}, alcoxi C_{1-8}, haloalcoxi C_{1-8}, amino o mono- o di-alquilo C_{1-8} y
A es halo, alquilo C_{1-8}, alquenilo C_{2-8}, alquinilo C_{1-8}, haloalquilo C_{1-8}, alcoxi C_{1-8}, cicloalquilo C_{3-10}, cicloalquiloxi C_{3-10}, arilo, arilalquilo, ariloxi, arilalquiloxi o ariltio;

3. Un compuesto de acuerdo con la reivindicación 2, donde
R^1 es hidrógeno, flúor, cloro, metilo, etilo, metoxi, etoxi o tridluorometilo, preferentemente hidógeno, metilo o metoxi,
R^2 es hidrógeno, hidroxilo, cloro, metilo o metoxi, preferentemente hidrógeno, metilo o metoxi
R^3, R^4, R^5 y R^6 son, independientemente, hidrógeno, halo, ciano, alquilo C_{1-3}, haloalquilo C_{1-3} , alcoxi C_{1-3}, haloalcoxi C_{1-3}, amino o mono- o di-C_{1-8} alquilamino, preferentemente independientemente, hidrógeno, halo, ciano, alquilo C_{1-3} o alcoxi C_{1-3}, más preferentemente independientemente, hidrógeno, halo, ciano, alquilo C_{1-3} o alcoxi C_{1-3};
A es halo, alquilo C_{1-8}, arilo opcionalmente, arilalquilo opcionalmente sustituido o ariloxi opcionalmente sustituido , prefiblemente halo, fenilo opcionalmente sustituido, naftilo opcionalmente sustituido, bencilo opcionalmente sustituido, fenoxi opcionalmente sustituido, feniltio opcionalmente sustituido o ariletinilo opcionalmente sustituido, más preferentemente fenilo opcionalmente sustituido, naftilo opcionalmente sustituido, bencilo opcionalmente sustituido, fenoxi opcionalmente sustituido, feniltio opcionalmente sustituido o ariletinilo opcionalmente sustituido.

4. Un compuesto de acuerdo con la reivindicación 1, donde
R^1 es hidrógeno, halo, alquilo C_{1-3}, alcoxi C_{1-3} o haloalquilo C_{1-3} o alcoxiC_{1-3}.
R^2 es hidrógeno, hidroxilo, halo, alquilo C_{1-5}, cicloalquilo C_{3-5} o alcoxi C_{1-5},
R^3, R^4, R^5 y R^6 son, independientemente, hidrógeno, halo, alquilo C_{1-3}, haloalquilo C_{1-3} o alcoxi C_{1-3} y
A es halo, arilo opcionalmente sustituido, arilaquilo opcionalmente sustituido, ariloxi opcionalmente sustituido o ariltio opcionalmente sustituido, donde los sutituyentes opcionales se seleccionan de halo, ciano, nitro, hidroxilo, alquilo C_{1-3} , haloalquilo C_{1-3}, alquilcarbonilo C_{1-3}, alcoxicarbonilo C_{1-3} y alcoxi C_{1-3} o una combinación de cualquiera de estos sustituyentes.

5. Un compuesto de acuerdo con la reivindicación 4, donde
R^1 es hidrógeno, flúor, clorometilo, etilo, metoxi o trifluormetilo, etoxi o metoxi, preferentemente hidrógeno, flúor, cloro, metilo, etilo, etoxi o metoxi.
R^2 es hidrógeno, cloro, metilo o metoxi,
R^3, R^4, R^5 y R^6 son, independentemente, hidrógeno, flúor, cloro, metilo, hidroxilo, trifluorometilo o metoxi y

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SUPERINTENDENCIA DE INDUSTRIA Y COMERCIO
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RECIBO DE CAJA

No. 11 - 115590

Bogotá D.C., Noviembre 28 de 2011 - 14:50:45

RECIBIDO DE : CASTELLANOS Y Y CO NI 800.174.641

*** Soporte del Pago ***

TIPO PAGO	BANCO	CUENTA	No. PAGO	FECHA PAGO	VR PAGO
CONSIGNACION	BANCO DE BOGOTA	062754387	387647654	28/11/2011	5.632.000.00

*** Conceptos Pagados ***

CANT.	RENTISTICO	CONCEPTO	Vr.UNDITARIO	Vr.CONCEPTO
1	50005-01-01 SOLICITUDES	1 TRAMITES DE SOL. DE PATENTE DE INVENCION	571.000.00	571.000.00
				$571.000.00

SON: **QUINIENTOS SETENTA Y UN MIL PESOS MONEDA CORRIENTE***

Responsable: _____

Recibo de Caja Aplicado al Expediente No. _____

SUPERINTENDENCIA DE INDUSTRIA Y COMERCIO

No. 11-163118- -00000-0000

Fecha: 2011-11-28 15:12:15 Dep. 2020 DIR.NUEVASCR
Tra. 11 PATENTEIYII Eve: 378 FASENACIONALI
Act. 411 PRESENTACION Folios: 382

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SUPERINTENDENCIA DE INDUSTRIA Y COMERCIO
NIT : 800.176.089-2
- / -

Industria y Comercio
SUPERINTENDENCIA

RECIBO DE CAJA

No. 11 - 115591

Bogotá D.C., Noviembre 28 de 2011 - 14:50:45

RECIBIDO DE : CASTELLANOS Y Y CO NI 800.174.641

*** Soporte del Pago ***

TIPO PAGO	BANCO	CUENTA	No. PAGO	FECHA PAGO	VR.PAGO
CONSIGNACION	BANCO DE BOGOTA	062754387	387647654	28/11/2011	5.632.000.00

*** Conceptos Pagados ***

CANT.	RENTISTICO	CONCEPTO	Vr.UNDITARIO	Vr.CONCEPTO
3	50005-01-01 SOLICITUDES	1607 REIVINDICACION UNITARIA ADICIONAL A LAS 10 INICICIALES	27.000.00	81.000.00
				$81.000.00

SON: **OCHENTA Y UN MIL PESOS MONEDA CORRIENTE***

Responsable: _____
-**-

Recibo de Caja Aplicado al Expediente No. _____

SUPERINTENDENCIA DE INDUSTRIA Y COMERCIO

No. 11-163118- -00000-0000

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No. 11-163118- -00000-0000 S

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Act: 411 PRESENTACION Folios: 382

PATENTE 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 [X] **MODELO DE UTILIDAD PCT** [ ] **Art. 33 Decisión 486/00 Circular Única**

- [X] Indicación que se solicita una PCT
- [X] Datos de identificación del solicitante o de la persona que presenta la solicitud
- [X] Copia de la solicitud en español, tal como fue presentada inicialmente (capitulo descriptivo, reivindicatorio, resumen)
- [ ] Dibujos de ser estos pertinentes
- [X] Comprobante de pago de las tasas establecidas (de ser el caso formato de descuento)

Completa [X] 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 [ ]