CSA836341

Industria y Comercio

Organizacion y Digitalizacion CSA Ltda

SUPERINT

SUPERINTENDENCIA DE INDUSTRIA Y COMERCIO
No. 10-089491- -00000-0000
Fecha: 2010-07-22 16:46:27 Dep: 2020 DIR.NUEVASCR
Tra. 11 PATENTEIYII Eve: 378 FASENACIONALL
Act. 411 PRESENTACION Folios: 358

Delegatura de P

División de Nuevas Creaciones

PCT

SOLICITUD

PATENTE DE INVENCIÓN

10-89491

21. **EXPEDIENTE No.** 1/2

54. **TÍTULO** DERIVADOS DE PIRIMIDINA 5-FLUORO COMO FUNGICIDAS

51. **CLASIFICACIÓN INTERNACIONAL**

71. **SOLICITANTE** DOW AGROSCIENCES LLC

DOMICILIO INDIANAPOLIS, INDIANA, ESTADOS UNIDOS DE AMERICA

74. **APODERADO** LUZ CLEMENCIA DE PAEZ
C.C. 35.456.344 de Usaquén

22. **BOGOTÁ, D.C.,**

(FORMA P-10)

Industria y Comercio
SUPERINTENDENCIA

PETITORIO

SUPERINTENDENCIA DE INDUSTRIA Y COMERCIO
No. 10-089491- -00000-0000
Fecha: 2010-07-22 16:46:27 Dep: 2020 DIR.NUEVASCR
Tra: 11 PATENTEIYII Eve: 378 FASENACIONALI
Act: 411 PRESENTACION Folios: 358

FORMULARIO UNICO DE [illegible]
PCT
ENTRADA EN FASE NACIONAL

1 SOLICITUD DE:

☒ Patente de Invención. ☐ Patente de Modelo de Utilidad.
☒ Capítulo I. ☐ Capítulo II.

2 SOLICITANTE (71)

Nombre: **DOW AGROSCIENCES LLC**
Sociedad constituida y existente bajo las leyes del Estado de Delaware, Estados Unidos de América.

Dirección: 9330 Zionsville Road,
Indianapolis,
Indiana 46268-1054,
Estados Unidos de América

Domicilio: Indianapolis,
Indiana,
Estados Unidos de América

IDENTIFICACIÓN

C.C. ☐ NIT ☐
C.E. ☐ Otro ☐
Cual _____
Número _____

3 REPRESENTANTE O APODERADO

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

IDENTIFICACIÓN

C.C. ☒ NIT ☐
C.E. ☐ Otro ☐
Cual _____
Número 35.456.344 T.P 23.555

4 INVENTOR (ES) (72)

1. **Zoltan BENKO**
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4. **Kristy BRYAN**
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6. **Jeffrey EPP**
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7. **Beth LORSBACH**
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9. **Kevin MEYER**
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Estados Unidos de América

12. Mark POBANZ
4293 Sedge Ct.,
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Estados Unidos de América

13. James RUIZ
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14. Frisby SMITH
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Davis,
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Estados Unidos de América

15. Michael SULLENBERGER
15610 River Birch Road,
Westfield,
Indiana 46074,
Estados Unidos de América

16. Jeffery WEBSTER
7581 W. Oakwood Court,
New Palestine,
Indiana 46163,
Estados Unidos de América

17. Chenglin YAO
14178 Esprit Drive,
Wesfield,
Indiana 46074,
Estados Unidos de América

18. David YOUNG
5263 Navajo Way,
Carmel,
Indiana 46033,
Estados Unidos de América

(5) **PCT (86)**
Solicitud Internacional No. PCT/US2009/031683 Fecha: 22 de enero de 2009
Publicación Internacional No. WO 2009/094442 A3 Fecha: 30 de julio de 2009

(6) **Título (54)**
DERIVADOS DE PIRIMIDINA 5-FLUORO COMO FUNGICIDAS

(7) **Clasificación Internacional (51)** C07D 239/047, C07D 413/12
A01N 43/54 A01P 3/00

(8) **Prioridad** SI ☒ NO ☐

(33) País de Origen	(32) Fecha	(31) Número de Solicitud
US	22 de enero de 2008	61/011,799
US	17 de noviembre de 2008	61/115,297

(9)
Comprobante de pago No.: 10-57,124 **Fecha:** 10 de julio de 2010
Comprobante de pago No.: 10-20,563 **Fecha:** 15 de marzo de 2010
Comprobante de pago No.: 10-48,316 **Fecha:** junio 15 de 2010

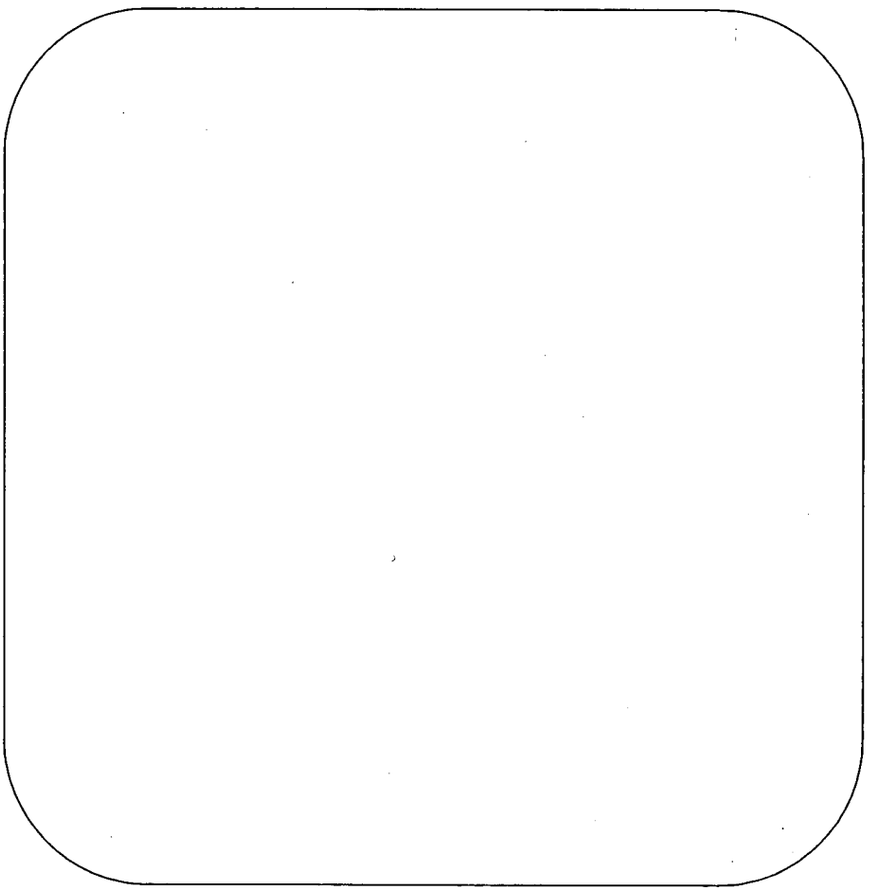
10

Anexos:

- [x] Comprobante de pago de la tasa de presentación de la solicitud por $ 554.000.oo.
- [x] Comprobante de pago por 23reivindicaciones adicionales después de la décima reivindicación por $598.000.oo.
- [x] Documento que acredita la existencia y representación legal cuando el solicitante sea persona jurídica.
- [x] Poderes, si fuere el caso.
- [x] Copia auténtica de la Sustitución de poder efectuada a mi favor por el Doctor EMILIO FERRERO.
- [ ] Documento de cesión del inventor al solicitante o a su causante.
- [x] 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 efectuado por la IPEA.
- [ ] Traducción del examen preliminar efectuado por la IPEA.
- [ ] De ser el caso, copia del contrato de acceso.
- [ ] De ser el caso, documento que acredite la licencia o autorización de uso de conocimientos tradicionales de las comunidades indígenas.
- [ ] De ser el caso, certificado de depósito del material biológico.
- [ ] Arte final 12x12
- [ ] De ser el caso, información sobre otras solicitudes de patente o títulos obtenidos en el extranjero por el mismo titular o su causante, relacionadas parcial o totalmente con la invención de esta solicitud.
- [x] Otros: VER HOJA DE DOCUMENTOS ANEXOS

11

FIGURA CARACTERÍSTICA



12 Solicito la concesión de la patente,

NOMBRE: LUZ CLEMENCIA DE PAEZ

FIRMA : Luz Clemencia de Paez

C.C. 35.456.344 de Usaquén T.P. 23.555

SCT

DOCUMENTOS ANEXOS

1. ☒ Publicación Internacional WO 2009/094442 A3

   Descripción:

   Páginas 121 en el idioma original

   Páginas 153 en español

   Reivindicaciones: Número (s) 33

   Figuras: Número (s)

2. ☒ **Forma PCT/ISA/210.** Informe de Búsqueda Internacional.

3. ☒ **Forma PCT/IB/306.** Notificación de la inscripción del cambio de dirección del inventor **FRISBY SMITH.**

4. ☒ Extracto de publicación.

NO SE REALIZARON MODIFICACIONES EN LA FASE INTERNACIONAL

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

For patents, utility models, industrial designs, trademarks, commercial names, trade emblems, slogans.

Para patentes, modelos de utilidad, diseños industriales, marcas de fábrica, nombres comerciales, enseñas, lemas comerciales.

REPRESENTACION, RATIFICACION Y PODER

REPRESENTATION, RATIFICATION AND POWER OF ATTORNEY

I (we), the undersigned/Yo (nosotros), abajo firmado (s)

Dow AgroSciences LLC

of/domiciliado (s) en 9330 Zionsville Road, Indianapolis, Indiana 46268, United States of America,

por el presente ratificamos la _____

_____

presentada por _____

como agente oficioso ante _____

_____

en _____

el _____

y por el presente nombramos a GERMAN CAVELIER, tpa. 832; GONZALO PERDOMO, tpa. 831; EMILIO FERRERO, tpa. 31929; y LUZ CLEMENCIA DE PAEZ, tpa. 23555; domiciliados en la Carrera 4ª Nº 72-35, Bogotá, Colombia, en obedecimiento a lo dispuesto en el parágrafo 1º del Artículo 543 del Código de Comercio, el primero como principal y los demás como suplentes, en su orden, como mis (nuestros) representantes en todos los asuntos de propiedad industrial con facultad para recibir notificaciones y nombrar apoderados judiciales o extrajudiciales. El primer representante suplente reemplazará al principal en caso de ausencia o impedimento temporal o definitivo de aquél. La misma regla se aplicará cuando el segundo representante suplente haya de reemplazar al primero, o el tercero al segundo. En tales casos, el representante principal, o el primero, o segundo suplente, en su caso, o ambos, declararán su intención de no ejercer la representación mediante declaración autenticada por Notario Público en Colombia, o por Notario u otro Funcionario Público, o Cónsul de Colombia, en el extranjero; y si se tratare de impedimento, con el certificado respectivo. Si alguno de los nombrados faltare definitivamente, el siguiente tomará su lugar. Cuando cesare la ausencia o impedimento del representante principal, o de su primero o segundo suplentes, en su caso, podrán ejercer la representación, en su orden, uno u otros según el caso, asumiéndola por el solo hecho de ejercerla, cesando en ese momento el ejercicio de la representación por el primero, segundo o tercer suplente en su caso.

Además, confiero (conferimos) poder a los abogados arriba nombrados, para obtener la protección de mi (nuestra) propiedad industrial y contra la competencia desleal, a cuyo efecto autorizo (amos) a los dichos apoderados para que me (nos) representen ante cualesquiera entidades o personas, ejerzan o no jurisdicción, especialmente ante las del orden administrativo, contencioso-administrativo y judicial, así como los tribunales de arbitramento, en todo lo concerniente a los siguientes asuntos: a) Obtención de patentes de invención, modelos de utilidad y diseños industriales; el registro de marcas de fábrica, colectivas, defensivas, de servicio, lemas comerciales, nombres comerciales, enseñas, variedades vegetales y denominaciones de origen; su renovación, traspaso, cambio de nombre o domicilio, cancelación voluntaria; y el registro de licencias de uso de esos derechos; b) Las acciones de competencia desleal, protección del consumidor, oposiciones u observaciones, cancelación administrativa o judicial, nulidad,

hereby ratify the _____

_____

filed without a Power of Attorney by _____

_____

before _____

_____

in _____

on _____

and do hereby appoint GERMAN CAVELIER, tpa. 832; GONZALO PERDOMO, tpa. 831; EMILIO FERRERO, tpa. 31929; and LUZ CLEMENCIA DE PAEZ, tpa. 23555; domiciled at Carrera 4ª Nº 72-35, Bogotá, Colombia, in due compliance with the terms of Paragraph 1st. of Article 543 of the Commercial Code, the first as principal and the others as alternates, in the order of their appointment, as our representatives for all industrial property matters with faculty to receive notifications and to appoint attorneys in and out of Court. The first alternate shall replace the principal in case of his absence or of temporal or definitive impediment. The same rule will apply when the second alternate representative is to replace the first one, or when the third is to replace the first or second alternates in their turn, or both of them, second. In such cases, the principal representative, or the shall state their intention not to act as representatives through a declaration given before a Notary Public in Colombia, or before a Notary or another Public Official or a Colombian Consul abroad; and in case of impediment, the appropriate certificate shall suffice. If any of the appointees were to be definitely absent, the following alternate shall take his place. When the absence or impediment of the principal representative, or of the first or second alternate in their turn ceases, the representation can be taken again in their sequence, by the principal, the first or second alternate depending on case, and the mere fact or its exercise will [illegible] representation by the first, second, [illegible] end at such time.

In addition, we grant a Power of Attorney in favor of the above-named attorneys at law so that they may obtain the protection of my (our) industrial property and against the unfair competition, and authorize the said attorneys to represent me (us) before any authorities or persons, with or without jurisdiction, and by those administrative, administrative contentious and judicial, as well as before Arbitration Court, in all matters concerning the following: a) Obtainment of patents, utility models and industrial designs; the registration of trademarks, collective, defensive and service marks, slogans, commercial names, trade emblems, vegetal varieties and denominations of origin; its renewal, assignment, change of name or domicile, voluntary cancellation; and the registration of licenses of use of the above rights; b) Actions of

CONSULADO GEN
NOTARIA SEXTA DEL CIRCUITO DE BOGOTA D.C. HAGO CONSTAR QUE LA FOTOCOPIA COINCIDE CON EL ORIGINAL QUE HE TENIDO A LA VISTA
BOGOTA D.C. REPUBLICA DE COLOMBIA
22 OCT 2010
AMPARO QUINTERO APARICIO
NOTARIA

A la vuelta ⟶

Over ⟶

medidas cautelares, concesión de licencias, la tutela, la protección de secretos industriales, y en general los juicios civiles, penales, administrativos o contencioso-administrativos relacionados con estos derechos; acciones y procesos que promovamos o se nos promuevan. Y para que en todos los asuntos arriba determinados, puedan sustituir este mandato, transigir, conciliar, admitir los hechos del proceso, desistir, cancelar, recibir, renunciar, y ratificar los actos de agentes oficiosos.

unfair competition, protection to the consumer, oppositions or observations, administrative or Court cancellation, nullity, infringement, granting of licenses, the action for writ mandamus, the protection of trade secrets, and in general the civil, penal, and administrative suits relating to those rights; actions and suits instituted by me (us) or against me (us). And that in all the above matters they may substitute this Power of Attorney, compromise, conciliate, admit the facts of the case, desist, cancel, receive, resign, and ratify acts done by representatives without a power of attorney.

Given and signed this/Dado y firmado hoy February 6, 1998

in/en Indianapolis, Indiana 46268

United States or America

Kenneth L. Loertscher

Signature / Firma

Kenneth L. Loertscher
Assistant Secretary
& General Patent Counsel

RAL DE CO
CHICAGO

COMO NOTARIA SEXTA DEL CIRCULO DE BOGOTA D.C., HAGO CONSTAR QUE LA FOTOCOPIA COINCIDE CON EL ORIGINAL QUE HE TENIDO A LA VISTA
BOGOTA D.C. REPUBLICA DE COLOMBIA
22 JUL. 2010
AMPARO QUINTERO ARTEAGA
NOTARIA

8

CERTIFICADO NOTARIAL (INDIVIDUO)

A los 6 días del mes de FEBRERO de 19 98

ante mí, Notario Público de ESTADO DE INDIANA

compareció(eron) personalmente KENNETH L. LOERTSCHER

a quien(es) doy fe de conocer y me consta que es(son) la(s) persona(s) firmante(s) del documento que precede, y quien(es) tiene(n) capacidad legal para otorgarlo.

NOTARIAL CERTIFICATE (INDIVIDUAL)

On this 6th day of February 1998

before me, a Notary Public of State of Indiana

personally appeared Kenneth L. Loertscher

to me known, and known to me to be the person(s) who executed that foregoing document, and who has(have) legal capacity to execute it.

Notario Público — Notary Public

CERTIFICADO NOTARIAL (SOCIEDAD)

Hoy, 6 de FEBRERO de 19 98

compareció(eron) ante mí

KENNETH L. LOERTSCHER

quien(es) compareció personalmente y que firma(n) este documen

NOTARIAL CERTIFICATE (CORPORATION)

On this 6th day of February 1998

appeared before me

Kenneth L. Loertscher

who(m) I know personally and who(m) signed this document as

Consulado General de Colombia

500 NORTH MICHIGAN AVENUE, SUITE 2040
CHICAGO, ILLINOIS 60611

TEL. (312) 923-1196 FAX (312) 923-1197

No. 254 Chicago, FEB 20 1998

El suscrito Cónsul General de Colombia, **CERTIFICA**, que el señor (a) MARY REEVER; quien como SECRETARIA DELEGADA ESTADO, IN.; autenticó la firma del signatario del poder precedente, ejercía en la fecha las funciones indicadas y su firma corresponde a la registrada en este Consulado; que la compañía DOW AGROSCIENCES LLC es una sociedad constituída de acuerdo con las leyes de DELAWARE y cumple su objeto conforme a las mismas; que el (a) señor (a) KENNETH L. LOERTSCHER, quien en su carácter de REPRESENTA de la citada compañía confiere el poder precedente de Colombia su representante legal en este país.

El Cónsul General no asume responsabilidad alguna por el contenido del documento.

COMO NOTARIA SEXTA DEL CIRCULO DE BOGOTA D.C. HAGO CONSTAR QUE LA FOTOCOPIA COINCIDE CON EL ORIGINAL QUE HE TENIDO A LA VISTA
BOGOTA D.C. REPUBLICA DE COLOMBIA
22 JUL. 2010
AMPARO QUINTERO CORTURO
NOTARIA

PAGADO IMPUESTO DE TIMBRE Y DERECHOS CONSULARES
US$56.00 (Cincuenta y seis dólares)

CARLOS ALFONSO NEGRET MOSQUERA
Cónsul General

CONSULADO GENERAL DE COLOMBIA ★ CHICAGO

1816

Secretary of State

by: Mary Reever
Deputy

CERTIFICADO NOTARIAL (INDIVIDUO)

A los 6 días del mes de FEBRERO de 19 98

ante mí, Notario Público de ESTADO DE INDIANA

compareció(eron) personalmente KENNETH L. LOERTSCHER

a quien(es) doy fe de conocer y me consta que es(son) la(s) persona(s) firmante(s) del documento que precede, y quien(es) tiene(n) capacidad legal para otorgarlo.

NOTARIAL CERTIFICATE (INDIVIDUAL)

On this 6th day of February 1998

before me, a Notary Public of State of Indiana.

personally appeared Kenneth L. Loertscher

to me known, and known to me to be the person(s) who executed that foregoing document, and who has(have) legal capacity to execute it.

Notario Público — Notary Public

CERTIFICADO NOTARIAL (SOCIEDAD)

Hoy, 6 de FEBRERO de 19 98

compareció(eron) ante mí

KENNETH L. LOERTSCHER

conozco personalmente y que firma(n) este documen entación de la Sociedad/compañía

a Dow AgroSciences LLC

visto los siguientes documentos:*

CADO DE CONSTITUCION, FECHADO 1 JULIO 1997
CADO DE MODIFICACION, FECHADO 1 OCT. 1997
ION JUNTA DIRECTIVA, FECHADO 23 OCT, 1991
IMIENTO ESCRITO DE LA JUNTA, 1 JULIO 1997

chos documentos prueban lo siguiente:

Dow AgroSciences LLC

ociedad/Compañía legalmente constituída y existente

rdo con las leyes de l Estado de Delaware,

ados Unidos de América

NOTARIAL CERTIFICATE (CORPORATION)

On this 6th day of February 1998

appeared before me

Kenneth L. Loertscher

who(m) I know personally and who(m) signed this document as representative(s) of the ~~Corporation/Company~~ Limited Liability Company named Dow AgroSciences LLC

I Certify:

1. That I have seen the following documents:*

Certificate of formation, dated July 1, 1997
Certificate of amendment, dated October 1, 1997
Board resolution, dated October 23, 1991
Board written consent, dated July 1, 1997

2. That the above documents duly prove the following:

2.1. That Dow AgroSciences LLC is a ~~Corporation~~/Limited Liability Company legally constituted and in existence in accordance with the laws of the State of Delaware, United States of America

UNITED STATES OF AMERICA

CERTIFICATE OF OFFICE

STATE OF INDIANA

I, Sue Anne Gilroy, Secretary of State, DO HEREBY CERTIFY: That, according to records on file in my office, Lydia S. Owens was duly elected or appointed to the office of Notary Public for Lake County and that the term of said office included the date of February 6, 1998, that to all official acts as said official, full faith and credit ought to be given in all Courts of Justice and elsewhere. In testimony whereof, I have here unto set my hand and affixed the Great Seal of the State of Indiana, at Indianapolis, this Tenth day of February, 19.98

COMO NOTARIA SEXTA DEL CIRCULO DE BOGOTA D.C., HAGO CONSTAR QUE LA FOTOCOPIA COINCIDE CON EL ORIGINAL QUE HE TENIDO A LA VISTA
BOGOTA D.C. REPUBLICA DE COLOMBIA
22 JUL. 2010
AMPARO QUINTERO ARTURO
NOTARIA

SEAL OF THE STATE OF INDIANA 1816

Sue Anne Gilroy
Secretary of State

CHICAGO

by: Mary Beever
Deputy

10

CERTIFICADO NOTARIAL (INDIVIDUO)

A los 6 días del mes de FEBRERO de 19 98

ante mí, Notario Público de ESTADO DE INDIANA

compareció(eron) personalmente KENNETH L. LOERTSCHER

a quien(es) doy fe de conocer y me consta que es(son) la(s) persona(s) firmante(s) del documento que precede, y quien(es) tiene(n) capacidad legal para otorgarlo.

NOTARIAL CERTIFICATE (INDIVIDUAL)

On this 6th day of February 1998

before me, a Notary Public of State of Indiana

personally appeared Kenneth L. Loertscher

to me known, and known to me to be the person(s) who executed that foregoing document, and who has(have) legal capacity to execute it.

Notario Público — Notary Public

CERTIFICADO NOTARIAL (SOCIEDAD)

Hoy, 6 de FEBRERO de 19 98

compareció(eron) ante mí

KENNETH L. LOERTSCHER

)zco personalmente y que firma(n) este documen
ıción de la Sociedad/compañía

Dow AgroSciences LLC

ıo los siguientes documentos:*

DO DE CONSTITUCION,FECHADO 1 JULIO 1997
DO DE MODIFICACION,FECHADO 1 OCT. 1997
)N JUNTA DIRECTIVA, FECHADO 23 OCT,199
MIENTO ESCRITO DE LA JUNTA,1 JULIO 199

ios documentos prueban lo siguiente:

Dow AgroSciences LLC

ciedad/Compañía legalmente constituída y existente

do con las leyes de l Estado de Delaware,
Unidos de América

omicilio de dicha Sociedad/Compañía es
ionsville Road, Indianapolis, Indiana
Estados Unidos de America.

objeto u objeto para los cuales se otorga el presente
án comprendidos dentro del objeto social de la
da Sociedad/Compañía.

el(los) Sr.(Sres.) KENNETH L. LOERTSCHER
TARIO ASSISTENTE y ASESOR LEGAL PATENTES

autorizado(s) para otorgar el presente Poder.

ad INDIANAPOLIS

do INDIANA

y 6 FEB. de 19 98

NOTARIAL CERTIFICATE (CORPORATION)

On this 6th day of February 1998

appeared before me

Kenneth L. Loertscher

who(m) I know personally and who(m) signed this document as representative(s) of the ~~Corporation/Company~~ Limited Liability Company,

named Dow AgroSciences LLC

I Certify:

1. That I have seen the following documents:*

Certificate of formation, dated July 1, 1997
Certificate of amendment, dated October 1, 1997
Board resolution, dated October 23, 1991
Board written consent, dated July 1, 1997

2. That the above documents duly prove the following:

2.1. That Dow AgroSciences LLC
is a ~~Corporation~~ Limited Liability Company legally constituted and in existence

in accordance with the laws of the State of Delaware,
United States of America

2.2. That the domicile of said ~~Corporation~~ Limited Liability Company is 9330 Zionsville Road, Indianapolis, Indiana 46268, United States of America.

2.3. That the purpose of purposes for which the present Power of Attorney is granted are comprised within the corporation purposes set forth in the charter of the mentioned ~~Corporation/Company~~ limited liability company.

2.4. That Mr.(Messrs.) Kenneth L. Loertscher
is (are) General Patent Counsel
and (is) (are) granted sufficient Power of Attorney.

COMO NOTARIA SEXTA DEL CIRCULO DE BOGOTA D.C. HAGO CONSTAR QUE LA FOTOCOPIA COINCIDE CON EL ORIGINAL QUE HE TENIDO A LA VISTA
BOGOTA D.C. REPUBLICA DE COLOMBIA
22 JUL 2010
AMPARO QUINTERO LARTUNDO
NOTARIA

City Indianapolis

State

This 6 day of February 19 98

Lydia S. Owens

Lydia S. Owens
Notary Public, State of Indiana
Hendricks County
My Commission Expires 03/05/00

Notario Público — Notary Public

*Los documentos deben identificarse con fecha y origen.

*Documents must be identified with date and origin.

CERTIFICACION NOTARIAL Y AUTENTICACION CONSULAR

1. Es necesaria la legalización con certificado notarial. El Notario Público debe certificar:

1.1. Que la compañía está legalmente constituída y actualmente existente.

1.2. Su domicilio.

1.3. Que el propósito del poder está incluído en el objeto social de la compañía.

1.4. Que el poderdante está autorizado para dar el poder en nombre de la compañía.

2. Los documentos que hay que mostrarle al Notario Público para probar los puntos anteriores, deben estar expresados en el Certificado Notarial con fecha y origen:

2.1. Respecto a los puntos 1.1, 1.2 y 1.3 sugerimos referirse a los artículos de constitución con fecha y lugar de otorgamiento; y

2.2. Para el punto 1.4 sugerimos mencionar la resolución de la Junta Directiva o los estatutos, según los cuales la persona que otorga el poder está autorizada para ello.

3. Enseguida el Señor Cónsul de Colombia debe autenticar la firma del Notario Público.

NOTARIAL CERTIFICATION AND CONSULAR AUTENTIFICATION

1. Notarial legalization is necessary. The Notary Public must certify:

1.1. That the company is legally constituted and presently existent.

1.2. Its place of business.

1.3. That the purpose of the Power-of-Attorney is included in the activities of the company.

1.4. That the grantor is empowered to give the Power of Attorney in the name of the company he represents.

2. The documents which the Notary Public had before him to prove the above points must be listed by him in the Notarial Certificate with dated and origin:

2.1. In connection with points 1.1., 1.2. and 1.3 we suggest referring to the articles of incorporation with date and place of execution.

2.2. For point 1.4 we suggest to mention the resolution of the Board of Directors and/or the by-laws whereby the person who grants the Power of Attorney has been authorized to give it.

3. Then the Colombian Consul must legalize the signature of the Notary Public.

NOTA PARA EL SEÑOR CONSUL COLOMBIANO: Según el artículo 259 del C. de P.C. el Señor Cónsul debe autenticar la última precedente autenticación.

COMO NOTARIA SEXTA DEL CIRCULO DE BOGOTA D.C. HAGO CONSTAR QUE LA FOTOCOPIA COINCIDE CON EL ORIGINAL QUE HE TENIDO A LA VISTA
BOGOTA D.C. REPUBLICA DE COLOMBIA
22 JUL. 2010
AMPARO QUINTERO ARTURO
NOTARIA

12

TRADUCCION OFICIAL No.03.075.98 de un documento escrito en inglés el cual para su identificación se sella con el sello del Traductor al mismo tiempo que la presente. Esta traducción ha sido preparada por Bernarda R. de Martínez, Traductora Oficial debidamente reconocida por el Ministerio de Relaciones Exteriores y aprobada por el Ministerio de Justicia de Colombia mediante Resolución No. 683 de 1991.

(Se traducen sellos y legalizaciones que aparecen a continuación de un documento escrito en inglés y español por medio del cual **Dow AgroSciences LLC,** otorga Poder a favor de **GERMAN CAVELIER, GONZALO PERDOMO, EMILIO FERRERO y LUZ CLEMENCIA DE PAEZ.**)

Dado y firmado hoy 6 de febrero de 1998, en Indianápolis, Indiana, E.E.U.U., por Kenneth L. Loertscher, Subsecretario y Asesor General de Patentes.

(Sellos parciales en tinta del Consulado General de [illegible] Chicago.)

COMO NOTARIA SEXTA DEL CIRCULO DE BOGOTA D.C. HAGO CONSTAR QUE LA FOTOCOPIA COINCIDE CON EL ORIGINAL QUE HE TENIDO A LA VISTA BOGOTA D.C. REPUBLICA DE COLOMBIA
22 JUL. 2010
AMPARO QUINTERO ARTURO
NOTARIA [illegible]

CERTIFICADO NOTARIAL (INDIVIDUO), en inglés y español referente a la capacidad legal que tenía KENNETH L. LOERTSCHER para otorgar el documento antes mencionado, expedido el 6 de febrero de 1998 en el Estado de Indiana.

CERTIFICADO NOTARIAL (SOCIEDAD), en inglés y español referente a la existencia legal de la sociedad **Dow AgroSciences LLC,** expedido en la Ciudad de Indianápolis, Indiana, E.E.U.U., el 6 de febrero de 1998.

(Firmado), Lydia S. Owens, Notario Público

(Sello notarial en tinta), LYDIA S. OWENS Notario Público, Estado de Indiana, Condado de Hendricks Mi comisión expira el 03/05/00

(Sello notarial seco), LYDIA S. OWENS NOTARIO PUBLICO INDIANA

(Sello parcial en tinta del Consulado General de Colombia en Chicago.)

ESTADO UNIDOS DE AMERICA

CERTIFICADO DEL CARGO

ESTADO DE INDIANA

Yo, **SUE ANN GILROY**, Secretario de Estado de Indiana, **POR EL PRESENTE CERTIFICO**: que, de acuerdo con los archivos que obran en mi oficina, **LYDIA S. OWENS** fue debidamente elegido y nombrado para el cargo de **NOTARIO PUBLICO** en y para el **Condado de Hendricks** y que el término de dicho cargo incluía la fecha del **6 de febrero de 1998**: que a todos sus actos oficiales se les debe dar completa fe y crédito dentro de esta Corte de Justicia. **EN TESTIMONIO DE LO CUAL**, he firmado y estampado el Gran Sello del Estado de Indiana, en Indianápolis, **hoy 10 de febrero de 1998.**

COMO NOTARIA SEXTA DEL CIRCULO DE BOGOTA D.C., HAGO CONSTAR QUE LA FOTOCOPIA COINCIDE CON EL ORIGINAL QUE HE TENIDO A LA VISTA
BOGOTA D.C. REPUBLICA DE COLOMBIA
22 JUL. 2010
AMPARO QUINTERO ARTURO
NOTARIA

(Firma facsimilar), Sue Ann Gilroy
Secretario de Estado

(Firmado), Por: Mary Reever
Suplente

(Adherido se encuentra sello de oblea dorado con texto en relieve), SELLO DEL ESTADO DE INDIANA 1816

(Sello parcial en tinta del Consulado General de Colombia en Chicago.)

(En español), Certificación de la firma y cargo de MARY REEVER, de la existencia legal de la compañía DOW AGROSCIENCES LLC y de la autoridad que tenía su representante Legal, KENNETH L. LOERTSCHER, para otorgar el poder mencionado arriba. Esta certificación fue expedida por el **CONSULADO GENERAL DE COLOMBIA EN CHICAGO, ILLINOIS**, bajo el **N° 254**, de fecha **20 de febrero de 1998** y firmada por Carlos Alfonso Negret Mosquera, Cónsul General. Pagados Impuesto de Timbre y Derechos Consulares por valor de veintiún dólares.

(Sello parcial en tinta del Consulado General de Colombia en Chicago.)

(al dorso), Legalización de la firma que antecede expedida por el **MINISTERIO DE RELACIONES EXTER** [illegible] 220, de fecha **11 de marzo de 1998** y firmada por el Jefe de Legalizaciones.

COMO NOTARIA SEXTA DEL CIRCULO DE BOGOTA D.C. HAGO CONSTAR QUE LA FOTOCOPIA COINCIDE CON EL ORIGINAL QUE HE TENIDO A LA VISTA BOGOTA D.C. REPUBLICA DE COLOMBIA
22 JUL. 2010
AMPARO QUINTERO ARTURO
NOTARIA

Es traducción fiel y completa de la cual se deja copia en los archivos de esta oficina para su confrontación y a la cual me remito.

Bogotá, 17 de marzo de 1998

COLO 6641-801-001-3

BRM.137

Bernarda R. de Martínez
Traductora e Interprete Oficial
Resolución 683 Minjusticia 1991

COMO NOTARIO SEXTO DEL CIRCULO DE SANTAFE DE BOGOTA, HAGO CONSTAR QUE LA(S) ANTERIOR(ES) FIRMA(S) PUESTA(S) POR

Borgota Le Arturo

IDENTIFICADOS CON C.C. No.(s)

ES(SON) AUTENTICA(S)

SANTAFE DE BOGOTA, 17 MAR 1998

NOTARIA SEXTA
SANTAFE DE BOGOTA, D.C.

NO SE ASUME RESPONSABILIDAD DEL TEXTO

98 MAR 18 AM 11:56

JEFE DE LEGALIZACIONES
SANTAFE DE BOGOTA D.C.

MINISTERIO DE RELACIONES EXTERIORES

022686

COMO NOTARIA SEXTA DEL CIRCULO DE BOGOTA D.C. HAGO CONSTAR QUE LA FOTOCOPIA COINCIDE CON EL ORIGINAL QUE HE TENIDO A LA VISTA

BOGOTA D.C. REPUBLICA DE COLOMBIA

22 JUL. 2010

AMPARO QUINTERO ARTURO
NOTARIA

JS

CAVELIER
ABOGADOS

TENET ®
CABLES: CAVELEYES
TELEX: 45479 CAVE-CO
TELEX: (23) 7407375 CAVE-UC

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

TELEFONOS: (57) (1) 347-36 11
(57) (1) 212-01 00
TELEFAX: (57) (1) 217-92 11
(57) (1) 235-88 50

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

Poderdante: DOW AGROSCIENCES LLC

Poder conferido el: 06-02-98

Yo, GERMAN CAVELIER, obrando en mi calidad de apoderado principal del poderdante arriba mencionado, atentamente manifiesto a Usted que sustituyo el poder que me ha sido conferido en la persona del doctor EMILIO FERRERO, Abogado con Tarjeta Profesional No. 31.929, con todas las facultades que me fueron conferidas.

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

Señor Jefe,

GERMAN CAVELIER
T.P.A. No. 832
C.C. No. 2.877.924 de Bogotá

COMO NOTARIA SEXTA DEL CIRCULO DE BOGOTA D.C. HAGO CONSTAR QUE LA FOTOCOPIA COINCIDE CON EL ORIGINAL QUE HE TENIDO A LA VISTA
BOGOTA D.C. REPUBLICA DE COLOMBIA
22 JUL. 2010
AMPARO QUINTERO ARTURO
NOTARIA

Acepto:

EMILIO FERRERO
T.P.A. Nº 31.929
C.C. No. 438.019 de Usaquén

2e-780-2

NOTARIA SEXTA DE BOGOTA
RECONOCIMIENTO Y PRESENTACION PERSONAL

Bogotá,,D. C. 25 FEB 1998

Ante Mí, PABLO MENDEZ BARAJAS S.
NOTARIO SEXTO ENCARGADO DEL CIRCULO DE BOGOTA.

Comparecí(eron)

quien(es) exhibió(eron) la(s) C. C. 4738019

[illegible] 77802 87/8/29

domiciliado(s) en Bogotá y declaró(aron) que la(s) firma(s) que aparecen(n) en el presente documento es(son) la(s) suya(s) y que el contenido del mismo es cierto.

En constancia se firma esta Diligencia.

NOTARIA SEXTA
PABLO MENDEZ BARAJAS
Notario Sexto Encargado

COMO NOTARIA SEXTA DEL CIRCULO DE BOGOTA D.C., HAGO CONSTAR QUE LA FOTOCOPIA COINCIDE CON EL ORIGINAL QUE HE TENIDO A LA VISTA
BOGOTA D.C., REPUBLICA DE COLOMBIA
22 JUL. 2010
AMPARO QUINTERO ARTURO
NOTARIA

16.

CAVELIER
ABOGADOS

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

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TELEFONO: (57) (1) 347-3611
TELEFAX: (57) (1) 217-9211 (57) (1) 310-4995

Señores

SUPERINTENDENCIA DE INDUSTRIA Y COMERCIO

E. S. D.

Poderdante : **DOW AGROSCIENCES LLC**

Poder conferido el : 06/02/1998

Yo, EMILIO FERRERO, obrando como apoderado principal del poderdante arriba mencionado, mediante el presente escrito sustituyo el poder a mi otorgado a la doctora LUZ CLEMENCIA DE PAEZ, identificada con cédula de ciudadanía No. 35.456.344 y Tarjeta Profesional N°23.555, con todas las facultades que me fueron conferidas.

Hago la anterior sustitución conforme al artículo 66 del Código de Procedimiento Civil.

EMILIO FERRERO
T.P.A. N° 31.929
C.C. N° 438.019 de Usaquén

Acepto:

LUZ CLEMENCIA DE PAEZ
T.P.A. N° 23.555
C.C. No. 35.456.344 de Usaquén

COMO NOTARIA SEXTA DEL CIRCULO DE BOGOTÁ D.C. HAGO CONSTAR QUE LA FOTOCOPIA COINCIDE CON EL ORIGINAL QUE HE TENIDO A LA VISTA
BOGOTA D.C. REPUBLICA DE COLOMBIA
22 JUL. 2010
AMPARO QUINTERO ARTURO
NOTARIA

NOTARIA SEXTA DE BOGOTA
RECONOCIMIENTO Y PRESENTACION PERSONAL
Bogotá, D.C.
Ante mi AMPARO QUINTERO ARTURO 22 OCT 2009
NOTARIA SEXTA DEL CIRCULO DE
BOGOTÁ, D.C.
Comparecio(eron) Emilio Ferrero
Williamson y Luz Clemencia
Suarez de Paez
Quien(es) exhibió(eron) la(s) C.C.
CC 438019 TP 31929
CC 35456344 TP 23555
Y declaró(eron) que la(s) firma(s) que aparece(n)
en el presente documento es(son) la(s) suya(s) y
que el contenido del mismo es cierto.
En constancia se firma esta diligencia.

Emilio Ferrero
Luz Clemencia Suárez

REPÚBLICA DE COLOMBIA
Amparo Quintero Arturo
NOTARIA
NOTARIA SEXTA DE BOGOTÁ

COMO NOTARIA SEXTA DEL CIRCULO DE BOGOTÁ D.C. HAGO CONSTAR QUE LA FOTOCOPIA COINCIDE CON EL ORIGINAL QUE HE TENIDO A LA VISTA
BOGOTA D.C. REPUBLICA DE COLOMBIA
22 JUL. 2010
AMPARO QUINTERO ARTURO
NOTARIA

VIII-2-1	**Declaration: Entitlement to apply for and be granted a patent** Declaration as to the applicant's entitlement, as at the international filing date, to apply for and be granted a patent (Rules 4.17(ii) and 51bis.1(a)(ii)), in a case where the declaration under Rule 4.17(iv) is not appropriate: Name (LAST, First)	in relation to this international application DOW AGROSCIENCES LLC is entitled to apply for and be granted a patent by virtue of the following:
VIII-2-1(ii)		DOW AGROSCIENCES LLC is entitled as employer of the inventor, BENKO, Zoltan
VIII-2-1(ii)		DOW AGROSCIENCES LLC is entitled as employer of the inventor, BOEBEL, Timothy
VIII-2-1(ii)		DOW AGROSCIENCES LLC is entitled as employer of the inventor, BREAUX, Nneka
VIII-2-1(ii)		DOW AGROSCIENCES LLC is entitled as employer of the inventor, BRYAN, Kristy
VIII-2-1(ii)		DOW AGROSCIENCES LLC is entitled as employer of the inventor, DAVIS, George
VIII-2-1(ii)		DOW AGROSCIENCES LLC is entitled as employer of the inventor, EPP, Jeffrey
VIII-2-1(ii)		DOW AGROSCIENCES LLC is entitled as employer of the inventor, LORSBACH, Beth
VIII-2-1(ii)		DOW AGROSCIENCES LLC is entitled as employer of the inventor, MARTIN, Timothy
VIII-2-1(ii)		DOW AGROSCIENCES LLC is entitled as employer of the inventor, MEYER, Kevin
VIII-2-1(ii)		DOW AGROSCIENCES LLC was entitled as employer of the inventor, NADER, Bassam
VIII-2-1(ii)		DOW AGROSCIENCES LLC is entitled as employer of the inventor, OWEN, W.
VIII-2-1(ii)		DOW AGROSCIENCES LLC is entitled as employer of the inventor, POBANZ, Mark
VIII-2-1(ii)		DOW AGROSCIENCES LLC is entitled as employer of the inventor, RUIZ, James
VIII-2-1(ii)		DOW AGROSCIENCES LLC was entitled as employer of the inventor, SMITH, Frisby

VIII-2-1(ii)		DOW AGROSCIENCES LLC is entitled as employer of the inventor, SULLENBERGER, Michael
VIII-2-1(ii)		DOW AGROSCIENCES LLC is entitled as employer of the inventor, WEBSTER, Jeffery
VIII-2-1(ii)		DOW AGROSCIENCES LLC is entitled as employer of the inventor, YAO, Chenglin
VIII-2-1(ii)		DOW AGROSCIENCES LLC is entitled as employer of the inventor, YOUNG, David

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

(19) World Intellectual Property Organization
International Bureau

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PCT

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C07D 403/12 (2006.01) *A01N 43/54* (2006.01)
C07D 405/12 (2006.01) *A01N 43/78* (2006.01)
C07D 409/12 (2006.01) *A01P 3/00* (2006.01)

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61/011,799 22 January 2008 (22.01.2008) US
61/115,297 17 November 2008 (17.11.2008) US

(71) Applicant *(for all designated States except US)*: **DOW AGROSCIENCES LLC** [US/US]; 9330 Zionsville Road, Indianapolis, IN 46268-1054 (US).

(72) Inventors; and

(75) Inventors/Applicants *(for US only)*: **BENKO, Zoltan** [US/US]; 8402 North Park Avenue, Indianapolis, IN 46240 (US). **BOEBEL, Timothy** [US/US]; 5747 N. Oxford Street, Indianapolis, IN 46220 (US). **BREAUX, Nneka** [US/US]; 1526 East Sturm Avenue, Indianapolis, IN 46201 (US). **BRYAN, Kristy** [US/US]; 1502 Cool Creek Drive, Carmel, IN 46033 (US). **DAVIS, George** [US/US]; 15114 Count Fleet Ct., Carmel, IN 46032 (US). **EPP, Jeffrey** [US/US]; 17947 Kinder Oak Drive, Noblesville, IN 46062 (US). **LORSBACH, Beth** [US/US]; 6034 Haverford Avenue, Indianapolis, IN 46220 (US). **MARTIN, Timothy** [US/US]; 6095 Ramsey Drive, Noblesville, IN 46062 (US). **MEYER, Kevin** [US/US]; 100 Lexington Court, Zionsville, IN 46077 (US). **NADER, Bassam** [US/US]; 12025 Colbarn Drive, Fishers, IN 46038 (US). **OWEN, W.** [GB/US]; 12421 Pebblepointe Pass, Carmel, IN 46033 (US). **POBANZ, Mark** [US/US]; 4293 Sedge Ct., Zionsville, IN 46077 (US). **RUIZ, James** [US/US]; 13448 Glen Oaks Court, Westfield, IN 46074 (US). **SMITH, Frisby** [US/US]; 4622 Cowell Boulevard, Davis, CA 95618 (US). **SULLENBERGER, Michael** [US/US]; 15610 River Birch Road, Westfield, IN 46074 (US). **WEBSTER, Jeffery** [US/US]; 7581 W. Oakwood Court, New Palestine, IN 46163 (US). **YAO, Chenglin** [US/US]; 14178 Esprit Drive, Westfield, IN 46074 (US). **YOUNG, David** [US/US]; 5263 Navajo Way, Carmel, IN 46033 (US).

(74) Agent: **ARNETT, Charles**; 9330 Zionsville Rd, Indianapolis, Indiana 46268 (US).

(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, 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, PG, PH, PL, PT, RO, RS, RU, SC, SD, SE, SG, SK, SL, SM, ST, SV, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, ZA, ZM, ZW.

(84) Designated States *(unless otherwise indicated, for every kind of regional protection available)*: ARIPO (BW, GH, GM, KE, LS, MW, MZ, NA, SD, SL, SZ, TZ, UG, ZM, ZW), Eurasian (AM, AZ, BY, KG, KZ, MD, RU, TJ, TM), European (AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HR, HU, IE, IS, IT, LT, LU, LV, MC, MK, MT, NL, NO, PL, PT, RO, SE, SI, SK, 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))*

— *before the expiration of the time limit for amending the claims and to be republished in the event of receipt of amendments (Rule 48.2(h))*

(88) Date of publication of the international search report:
19 November 2009

WO 2009/094442 A3

(54) Title: 5-FLUORO PYRIMIDINE DERIVATIVES AS FUNGICIDES

(57) Abstract: This present disclosure is related to the field of 5-fluoro pyrmidines and their derivatives and to the use of these compounds as fungicides.

5-FLUORO PYRIMIDINE DERIVATIVES

Cross Reference to Related Applications

This application claims the benefit of U.S. Provisional Patent Application Serial No. 61/011,799 filed January 22, 2008, and U.S. Provisional Patent Application Serial No. 61/115,297 filed November 17, 2008.

Field of the Invention

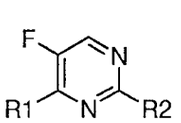
This present disclosure is related to the field of 5-fluoro pyrimidines and their derivatives and to the use of these compounds as fungicides.

Background and Summary of the Invention

Fungicides are compounds, of natural or synthetic origin, which act to protect and cure plants against damage caused by agricultural relevant fungi. Generally, no single fungicide is useful in all situations. Consequently, research is ongoing to produce fungicides that may have better performance, are easier to use, and cost less.

The present disclosure relates to 5-fluoro pyrimidine compounds and their use as fungicides. The compounds of the present disclosure may offer protection against ascomycetes, basidiomycetes, deuteromycetes and oomycetes.

One embodiment of the present disclosure may include compounds of Formula I:



I

wherein R^1 is $-N(R^3)R^4$;

R^2 is $-OR^{21}$;

5-FLUORO PYRIMIDINE DERIVATIVES

Cross Reference to Related Applications

This application claims the benefit of U.S. Provisional Patent Application Serial No. 61/011,799 filed January 22, 2008, and U.S. Provisional Patent Application Serial No. 61/115,297 filed November 17, 2008.

Field of the Invention

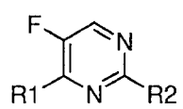
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Background and Summary of the Invention

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One embodiment of the present disclosure may include compounds of Formula I:



I

wherein R^1 is $-N(R^3)R^4$;

R^2 is $-OR^{21}$;

R^3 is:

H;

C_1-C_6 alkyl optionally substituted with 1- to 3 R^5;

C_2-C_6 alkenyl optionally substituted with 1-3 R^5;

a 5- or 6- membered heteroaromatic ring selected from the group consisting of furanyl, pyridinyl, pyridinyl-N-oxide, pyrimidinyl, pyridazinyl, pyrazinyl, thiazolyl, triazinyl, thiadiazolyl, oxazolyl, isoxazolyl, triazolyl, each heteroaromatic ring being optionally substituted with 1-3 R^{30};

imidazole fused with an aromatic or heteroaromatic ring selected from the group consisting of benzene, oxazole, isoxazole, furan, thiazole, pyrimidine, pyridine, pyrrole, pyrazine, thiophene, each aromatic or heteroaromatic ring being optionally substituted with 1 to 3 R^{30};

benzo[1,3]dioxolyl;

3H-isobenzofuran-1-onyl;

cyano;

C_3-C_6 alkynyl optionally substituted with 1-3 R^5;

$-C(=O)R^6$;

$-C(=O)OCH_2C(=O)R^8$;

$-C(=S)R^6$;

$-C(=S)NHR^8$;

$-C(=O)N(R^8)\ R^{10}$;

$-OR^7$;

$-P(O)(OR^{15})_2$;

$-S(O)_2R^8$;

$-SR^8$;

$-Si(R^8)_3$;

$-N(R^9)R^{10}$;

$-N=C(R^{15})R^{16}$;

$-(CHR^{22})_mR^{37}$;

$-(CHR^{24})OR^{29}$; or

$-C(=NR^{16})SR^{16}$;

wherein m is an integer from 1-3;

R^4 is:

H;

C_1-C_6 alkyl, optionally substituted with 1-3 R^5;

-C(=O)R^6; or

-C(=O)N(R^8) R^{10};

alternatively R^3 and R^4 may be taken together to form:

a 5- or 6- membered saturated or unsaturated ring containing 1-3 heteroatoms wherein each ring may be optionally substituted with 1-3 R^{11};

=C(R^{12})N(R^{13})R^{14};

=C(R^{13})(R^{14});

=C(R^{15})OR^{15};

=S(R^{34})$_2$; or

=NR^{35};

R^5 is independently halogen, C_1-C_6 alkyl, C_1-C_4 haloalkyl, C_1-C_4 alkoxy, C_1-C_4 haloalkoxy, C_1-C_4 alkylthio, C_1-C_4 haloalkylthio, amino, C_1-C_3 alkylamino, C_2-C_6 alkoxycarbonyl, C_2-C_6 alkylcarbonyl, C_2-C_6 alkylaminocarbonyl, -OH, N-methyl piperazine or C_3-C_6 trialkylsilyl;

R^6 is independently H, C_1-C_6 alkyl, C_1-C_5 haloalkyl, C_1-C_5 alkoxy, C_1-C_5 haloalkoxy C_2-C_6 alkoxycarbonyl, C_1-C_4 alkoxyalkoxy, C_2-C_6 alkylaminocarbonyl; 1-benzo[1,2,3]thiadiazol-7-yl, thiazolyl, benzyl, phenyl, phenoxy, or benzyloxy wherein the thiazolyl, benzyl, phenyl, phenoxy, or benzyloxy may be optionally substituted with 1-3 R^{20}, a 5- or 6- membered saturated or unsaturated ring containing 1-3 heteroatoms wherein each ring may be optionally substituted with 1-3 R^{11};

R^7 is H, C_1-C_6 alkyl, C_2-C_6 alkenyl, C_1-C_5 haloalkyl, benzyl which may be optionally substituted with 1-5 R^{20}, CHR^{18}C(O)OR^{19}, or a 5- or 6- membered saturated or unsaturated ring containing 1-3 heteroatoms wherein each ring may be optionally substituted with 1-3 R^{11};

R^8 is independently C_1-C_6 alkyl, C_1-C_6 haloalkyl, amino, C_1-C_6 alkylamino, C_2-C_6 dialkylamino, phenyl optionally substituted with 1-3 R^{30}, or a 5- or 6- membered saturated or unsaturated ring containing 1-3 heteroatoms wherein each ring may be optionally substituted with 1-3 R^{11};

R^9 is H, C_1-C_6 alkyl C_1-C_6 haloalkyl, -C(=O)R^{17}, or phenyl optionally substituted with 1-3 R^{20},

R^{10} is H or C_1-C_6 alkyl, C_1-C_6 haloalkyl, or phenyl optionally substituted with 1-3 R^{20};

R^{11} is independently halogen, C_1-C_6 alkyl, C_1-C_6 haloalkyl, C_1-C_6 alkoxy, C_1-C_6 haloalkoxy, C_1- C_6 alkylthio, C_1-C_6 haloalkylthio, amino, C_1-C_6 alkylamino, C_2-C_6 dialkylamino, C_2-C_6 alkoxycarbonyl, or C_2-C_6 alkylcarbonyl;

R^{12} is H or C_1-C_4 alkyl;

R^{13} and R^{14} are independently H, cyano, -OH, C_1-C_4 alkyl, C_1-C_6 alkoxy, C_2-C_6, alkylcarbonyl, phenyl, or benzyl wherein the phenyl or benzyl may be optionally substituted with 1-3 R^{20};

alternatively R^{13} and R^{14} may be taken together to form:

a 5- or 6- membered saturated or unsaturated ring containing 1-3 heteroatoms wherein each ring may be optionally substituted with 1-3 R^{11}, or 3,4-dihydro-1H-isoquinolin-2-yl;

alternatively R^{12} and R^{13} may be taken together to form:

a 5- or 6- membered saturated or unsaturated ring containing 1-3 heteroatoms wherein each ring may be optionally substituted with 1-3 R^{11};

R^{15} is H or C_1-C_6 alkyl;

R^{16} is H, C_1-C_6 alkyl, or phenyl optionally substituted with 1-3 R^{20};

alternatively R^{15} and R^{16} may be taken together as $-(CH_2)_4$- or $-(CH_2)_5$- ;

R^{17} is H, C_1-C_6 alkyl, C_1-C_6 haloalkyl, C_1-C_6 alkoxy, phenyl, phenoxy, or benzyloxy wherein each ring may be optionally substituted with 1-3 R^{20};

R^{18} is H, C_1-C_6 alkyl, or C_1-C_6 haloalkyl;

R^{19} is H, C_1-C_6 alkyl, C_1-C_6 haloalkyl, or benzyl;

R^{20} is independently halogen, cyano, nitro, amino, C_1-C_6 alkoxyalkoxy, C_1-C_6 alkyl, C_1-C_6 haloalkyl, C_1-C_6 hydroxyalkyl, C_2-C_6 alkoxyalkyl, C_2-C_6 haloalkoxyalkyl, C_2-C_6 alkenyl, C_2-C_6 haloalkenyl, C_3-C_6 alkynyl, C_3-C_6 haloalkynyl, hydroxyl, C_1-C_6 alkoxy, C_1-C_6 haloalkoxy, C_2-C_6 alkenyloxy, C_2-C_6 haloalkenyloxy, C_3-C_6 alkynyloxy, C_3-C_6 haloalkynyloxy, C_1-C_6 alkylthio, C_1-C_6 haloalkylthio, C_1-C_6 alkylsulfonyl, C_1-C_6 haloalkylsulfonyl, C_2-C_6 alkenylthio, C_2-C_6 haloalkenylthio, C_2-C_6 haloalkenylsulfonyl, C_3-C_6 alkynylthio, C_3-C_6 alkynylsulfonyl, C_3-C_6 haloalkynylsulfonyl, C_1-C_6 alkylamino, C_2-C_8 dialkylamino, C_3-C_8 dialkylaminocarbonyl, C_2-C_6 alkoxycarbonyl, C_2-C_6 alkylcarbonyl, C_3-C_6 trialkylsilyl, 2-[(E)-methoxyimino]-N-methyl-acetamidyl, phenyl, benzyl, benzyloxy, phenoxy,or a 5- or 6- membered heteroaromatic ring wherein each phenyl, benzyl, benzyloxy, phenoxy, or 5- or 6- membered heteroaromatic ring may be optionally substituted with 1-3 substitutents independently selected from R^{31};

R^{21} is:

H;

C_1-C_{14} alkyl;

C_1-C_6 haloalkyl;

C_2-C_4 alkenyl;

C_2-C_4 haloalkenyl;

C_3-C_4 alkynyl;

C_3-C_4 haloalkynyl;

phenyl, naphthyl, or tetrahydroquinolinyl each optionally substituted with 1-3 R^{20};

$-(CHR^{22})_mR^{23}$;

$-(CHR^{24})_mC(O)OR^{25}$;

$-(CHR^{24})_mC(O)R^{26}$;

$-(CHR^{24})_mC(O)N(R^{27})R^{28}$;

$-(CHR^{24})_mOR^{29}$;

$-(CHR^{24})_mSR^{29}$

$-(CHR^{24})_mN(R^{27})R^{28}$;

$-C(=O)R^{32}$;

$-N=C(R^{32})(R^{36})$;

$-NR^{25}C(=O)OR^{25}$

$-Si(R^8)_3$;

$-SO_2R^{33}$;

C_2-C_6 alkoxy carbonyl;

C_2-C_6 alkylaminocarbonyl;

C_2-C_6 alkylcarbonyl;

sugars selected from the group consisting of beta-D-glucose-tetraacetate, rhamnose, fructose, and pentose; or

a 5- or 6- membered heteroaromatic ring selected from the group consisting of furanyl, pyridinyl, pyridinyl-N-oxide, pyrimidinyl, pyridazinyl, pyrazinyl, pyrazolyl, thiazolyl, triazinyl, thiadiazolyl, oxazolyl, triazolyl or isoxazolyl wherein each 5- or 6- member heteroaromatic ring may be optionally substituted with 1-5 R^{20};

R^{22} is independently:

H;

halogen;

cyano;

nitro;

C_1-C_6 alkyl;

C_1-C_6 haloalkyl;

phenyl or benzyl optionally substituted with 1-3 R^{20};

C_1-C_6 hydroxyalkyl;

C_2-C_6 alkoxylalkyl;

C_3-C_6 haloalkynyl;

C_2-C_6 alkenyl;

C_2-C_6 haloalkenyl;

C_3-C_6 alkynyl;

C_1-C_6 alkoxy;

C_1-C_6 haloalkoxy;

C_1-C_6 alkylthio;

C_1-C_6 alkylamino;

C_2-C_8 dialkylamino;

C_3-C_6 cycloalkylamino;

C_4-C_6 (alkyl)cycloalkylamino;

C_2-C_6 alkylcarbonyl;

C_2-C_6 alkoxycarbonyl;

C_2-C_6 alkylaminocarbonyl;

C_3-C_8 dialkylaminocarbonyl;

C_3-C_6 trialkylsilyl;

ring-fused heteroaromatic rings selected from the group consisting of benzothiophenyl, quinolinyl, isoquinolinyl, thieno[2,3-b]pyridyl, 1-methyl-1H-thieno[2,3-c]pyrazolyl, and benzoimidazolyl, wherein each of the rings may be further substituted with 1-3 R^{20}; or

a 5- or 6- membered heteroaromatic ring selected from the group consisting of furanyl, pyridinyl, pyridinyl-N-oxide, pyrimidinyl, pyridazinyl, pyrazinyl, thiazolyl, triazinyl, thiadiazolyl, oxazolyl, isoxazolyl, triazolyl and thienyl;

R^{23} is:

H;

halogen;

C_1-C_6 alkyl;

C_1-C_6 haloalkyl;

C_2-C_6 dialkylamino;

phenyl optionally substituted with 1-5 R^{20};

ring-fused heteroaromatic rings selected from the group consisting of

benzothiophenyl, quinolinyl, isoquinolinyl, thieno[2,3-b]pyridyl, 1-methyl-1H-thieno[2,3-c]pyrazolyl, benzofuranyl and benzoimidazolyl, 2,3-dihydro-benzofuran-2-yl, 4-methyl-4H-thieno[3,2-b]pyrrol-5-yl, 1-methyl-1H-indol-5-yl, imidazo[1,2-a]pyridin-2-yl, imidazo[2,1-b]thiazol-6-yl, benzothiazol-2-yl, benzo[b]thiophen-7-yl, and 1-methyl-1H-indazol-3-yl, wherein each of the rings may be further substituted with 1-3 R^{20};

naphthyl;

benzo[1,3]dioxolyl;

pyrrolidinonyl;

oxetanyl;

C_1-C_6 alkylthio optionally substituted with 1-5 R^{20};

a 5- or 6- membered saturated or unsaturated ring containing 1-3 heteroatoms wherein each ring may be optionally substituted with 1-3 R^{11}; or

a 5- or 6- membered heteroaromatic ring selected from the group consisting of furanyl, pyridinyl, pyridinyl-N-oxide, pyrimidinyl, pyridazinyl, pyrazinyl, pyrazolyl, thiazolyl, triazinyl, thiadiazolyl, oxazolyl, isoxazolyl, triazolyl, imidazolyl, thiophene-2-yl and thiophen-3-yl wherein each heteroaromatic ring may be optionally substituted with 1-3 R^{20};

R^{24} is H, C_1-C_6 alkyl, C_1-C_6 alkoxy, benzyl, or phenyl wherein each of the benzyl or phenyl may be optionally substituted with 1-3 R^{20};

R^{25} is H, C_1-C_6 alkyl, phenyl or benzyl optionally substituted with 1-3 R^{20};

R^{26} is:

H;

C_1-C_6 alkyl;

C_1-C_6 alkoxy;

phenyl optionally substituted with 1-3 R^{20}; or

a 5- or 6 membered heteroaromatic ring selected from the group consisting of furanyl, pyridinyl, pyridinyl-N-oxide, pyrimidinyl, pyridazinyl, pyrazinyl,

thiazolyl, triazinyl, thiadiazolyl, oxazolyl, triazolyl and isoxazolyl;

R^{27} and R^{28} are independently:

H;

C_1-C_6 alkyl;

benzyl or phenyl wherein each of the benzyl or phenyl may be optionally substituted with 1-3 R^{20};or

a 5- or 6- membered saturated or unsaturated ring containing 1-3 heteroatoms wherein each ring may be optionally substituted with 1-3 R^{11};

R^{29} is:

H;

C_1-C_6 alkyl;

C_1-C_6 haloalkyl;

C_1-C_6 alkoxyalkyl;

C_2-C_6 alkylcarbonyl;

benzyl or phenyl wherein each of the benzyl or phenyl may be optionally substituted with 1-3 R^{20}; or

a 5- or 6- membered saturated or unsaturated ring containing 1-3 heteroatoms wherein each ring may be optionally substituted with 1-3 R^{11};

R^{30} is independently halogen, cyano, nitro, C_1-C_6 alkyl, C_1-C_6 haloalkyl, C_1-C_6 hydroxyalkyl, C_2-C_6 alkoxyalkyl, C_2-C_6 haloalkoxyalkyl, C_2-C_6 alkenyl, C_2-C_6 haloalkenyl, C_3-C_6 alkynyl, C_3-C_6 haloalkynyl, hydroxyl, C_1-C_6 alkoxy, C_1-C_6 haloalkoxy, C_2-C_6 alkenyloxy, C_2-C_6 haloalkenyloxy, C_3-C_6 alkynyloxy, C_3-C_6 haloalkynyloxy, C_1-C_6 alkylthio, C_1-C_6 alkylsulfonyl, C_1-C_6 haloalkylsulfonyl, C_2-C_6

alkenylthio, C_2-C_6 haloalkenylthio, C_2-C_6 haloalkenylsulfonyl, C_3-C_6 alkynylthio, C_3-C_6 alkynylsulfonyl, C_3-C_6 haloalkynylsulfonyl, C_1-C_6 alkylamino, C_2-C_8 dialkylamino, C_3-C_8 dialkylaminocarbonyl, C_3-C_6 trialkylsilyl, thiazolyl, phenyl, pyrimidinyl, or pyridyl, wherein the thiazolyl, phenyl, pyridyl, or pyrimidinyl may be optionally substituted with 1-3 R^{20};

R^{31} is independently halogen, cyano, nitro, C_1-C_6 alkyl, C_1-C_6 haloalkyl, C_1-C_6 hydroxyalkyl, C_2-C_6 alkoxyalkyl, C_2-C_6 haloalkoxyalkyl, C_2-C_6 alkenyl, C_2-C_6 haloalkenyl, C_3-C_6 alkynyl, C_3-C_6 haloalkynyl, hydroxyl, C_1-C_6 alkoxy, C_1-C_6 haloalkoxy, C_2-C_6 alkenyloxy, C_2-C_6 haloalkenyloxy, C_3-C_6 alkynyloxy, C_3-C_6 haloalkynyloxy, C_1-C_6 alkylthio, C_1-C_6 alkylsulfonyl, C_1-C_6 haloalkylsulfonyl, C_2-C_6 alkenylthio, C_2-C_6 haloalkenylthio, C_2-C_6 haloalkenylsulfonyl, C_3-C_6 alkynylthio, C_3-C_6 alkynylsulfonyl, C_3-C_6 haloalkynylsulfonyl, C_1-C_6 alkylamino, C_2-C_8 dialkylamino, C_3-C_8 dialkylaminocarbonyl, or C_3-C_6 trialkylsilyl;

R^{32} is independently:

C_1-C_6 alkyl, C_1-C_6 haloalkyl, C_1-C_6 hydroxyalkyl, C_2-C_6 alkoxyalkyl, C_2-C_6 haloalkoxyalkyl, C_2-C_6 alkenyl, C_2-C_6 haloalkenyl, C_3-C_6 alkynyl, C_3-C_6 haloalkynyl, hydroxyl, C_1-C_6 alkoxy, C_1-C_6 haloalkoxy, C_2-C_6 alkenyloxy, C_2-C_6 haloalkenyloxy, C_3-C_6 alkynyloxy, C_3-C_6 haloalkynyloxy, C_1-C_6 alkylthio, C_1-C_6 alkylsulfonyl, C_1-C_6 haloalkylsulfonyl, C_2-C_6 alkenylthio, C_2-C_6 haloalkenylthio, C_2-C_6 haloalkenylsulfonyl, C_3-C_6 alkynylthio, C_3-C_6 alkynylsulfonyl, C_3-C_6 haloalkynylsulfonyl, C_1-C_6 alkylamino, C_2-C_8 dialkylamino, C_3-C_8 dialkylaminocarbonyl, C_3-C_6 trialkylsilyl;

phenyl wherein the phenyl ring may be optionally substituted with 1-3 R^{20}; or

a 5- or 6- membered saturated or unsaturated ring containing 1-3 heteroatoms wherein each ring may be optionally substituted with 1-3 R^{11};

R^{33} is independently:

C_1-C_6 alkyl, C_1-C_6 haloalkyl, phenyl or thienyl optionally substituted with 1-3 R^{20}; or

a 5- or 6- membered saturated or unsaturated ring containing 1-3 heteroatoms wherein each ring may be optionally substituted with 1-3 R^{11};

R^{34} is:

C_1-C_6 alkyl, C_1-C_6 haloalkyl, C_2-C_6 alkoxyalkyl, C_1-C_6 alkylamino; or

a 5- or 6- membered saturated or unsaturated ring containing 1-3 heteroatoms wherein each ring may be optionally substituted with 1-3 R^{11};

R^{35} is:

C_1-C_6 alkyl, C_2-C_6 alkylcarbonyl; or

a 5- or 6- membered saturated or unsaturated ring containing 1-3 heteroatoms wherein each ring may be optionally substituted with 1-3 R^{11};

R^{36} is H, cyano, C_1-C_6 alkyl, C_1-C_6 alkoxy, benzyl, or phenyl wherein each of the benzyl or phenyl may be optionally substituted with 1-3 R^{20};

alternatively R^{32} and R^{36} may be taken together to form:

a 5- or 6- membered saturated or unsaturated ring containing 1-3 heteroatoms wherein each ring may be optionally substituted with 1-3 R^{11}; and

R^{37} is independently:

H, halogen, or phenyl optionally substituted with 1-5 R^{20};

C_1-C_6 alkyl, C_1-C_6 haloalkyl, hydroxyl, C_1-C_6 alkoxy, or C_1-C_6 haloalkoxy; or

a 5- or 6- membered saturated or unsaturated ring containing 1-3 heteroatoms wherein each ring may be optionally substituted with 1-3 R^{11}.

Another embodiment of the present disclosure may include a fungicidal composition for the control or prevention of fungal attack comprising the compounds described below and a phytologically acceptable carrier material.

Yet another embodiment of the present disclosure may include a method for the control or prevention of fungal attack on a plant, the method including the steps of applying a fungicidally effective amount of one or more of the compounds described below to at least one of the fungus, the plant, an area adjacent to the plant, and the seed adapted to produce the plant.

The term "alkyl" refers to a unbranched, branched, or cyclic carbon chain, including methyl, ethyl, propyl, butyl, isopropyl, isobutyl, tertiary butyl, pentyl, hexyl, cyclopropyl, cyclobutyl, cyclopentyl, cyclohexyl and the like.

The term "alkenyl" refers to a branched, unbranched or cyclic carbon chain containing one or more double bonds including ethenyl, propenyl, butenyl, isopropenyl, isobutenyl, cyclohexenyl, and the like.

The term "alkynyl" refers to refers to a branched or unbranched carbon chain containing one or more triple bonds including propynyl, butynyl and the like.

As used throughout this specification, the term 'R' refers to the group consisting of C_{2-8} alkyl, C_{3-8} alkenyl or C_{3-8} alkynyl, unless stated otherwise.

The term "alkoxy" refers to an -OR substituent.

The term "alkoxycarbonyl" refers to a -C(O)-OR substituent.

The term "alkylcarbonyl" refers to a -C(O)-R substituent.

The term "alkylsulfonyl" refers to an $-SO_2$-R substituent.

The term "haloalkylsulfonyl" refers to a sulfonyl substitution on an alkyl which is partially substituted with halogen atoms.

The term "alkylthio" refers to an -S-R substituent.

The term "alkylaminocarbonyl" refers to a -C(O)-N(H)-R substituent.

The term "dialkylaminocarbonyl" refers to a $-C(O)-NR_2$ substituent.

The term "alkylcycloalkylamino" refers to a cycloalkylamino substituent that is substituted with an alkyl group.

The term "trialkylsilyl" refers to $-SiR_3$.

The term "cyano" refers to a -C≡N substituent.

The term "hydroxyl" refers to a -OH substituent

The term "amino" refers to a $-NH_2$ substituent

The term "alkylamino" refers to a –N(H)-R substituent

The term "dialkylamino" refers to a $-NR_2$ substituent

The term "alkoxyalkoxy" refers to $-O(CH2)_nO(CH2)_n$ where n is an interger from 1-3

The term "alkoxyalkyl" refers to an alkoxy substitution on an alkyl.

The term "haloalkoxyalkyl" refers to an alkoxy substitution on an alkyl which may be partially substituted with halogen atoms

The term "hydroxyalkyl" refers to an alkyl which is substituted with a hydroxyl group.

The term "haloalkoxy" refers to a –OR-X substituent, wherein X is Cl, F, Br, or I, or any combination thereof.

The term "haloalkyl" refers to an alkyl, which is substituted with Cl, F, I, or Br or any combination thereof.

The term "haloalkenyl" refers to an alkenyl, which is substituted with Cl, F, I, or Br or any combination thereof.

The term "haloalkynyl" refers to an alkynyl which is substituted with Cl, F, I, or Br or any combination thereof.

The term "halogen" or "halo" refers to one or more halogen atoms, defined as F, Cl, Br, and I.

The term "hydroxycarbonyl" refers to a –C(O)-OH substituent.

The term "nitro" refers to a $-NO_2$ substituent.

The term "thienyl" refers to a 5-member aromatic ring with one sulfur atom.

Throughout the disclosure, reference to the compounds of Formula I is read as also including optical isomers and salts of Formula I, and hydrates thereof. Specifically, when Formula I contains a branched chain alkyl group, it is understood that such compounds include optical isomers and racemates thereof. Exemplary salts include: hydrochloride, hydrobromide, hydroiodide, and the like.

It is also understood by those skilled in the art that additional substitution is allowable, unless otherwise noted, as long as the rules of chemical bonding and strain energy are satisfied and the product still exhibits fungicidal activity.

Another embodiment of the present disclosure is a use of a compound of Formula I, for protection of a plant against attack by a phytopathogenic organism or the treatment of a plant infested by a phytopathogenic organism, comprising the application of a compound of Formula I, or a composition comprising the compound to soil, a plant, a part of a plant, foliage, and/or seeds.

Additionally, another embodiment of the present disclosure is a composition useful for protecting a plant against attack by a phytopathogenic organism and/or treatment of a plant infested by a phytopathogenic organism comprising a compound of Formula I and a phytologically acceptable carrier material.

Detailed Description of the Present Disclosure

The compounds of the present disclosure may be applied by any of a variety of known techniques, either as the compounds or as formulations comprising the compounds. For example, the compounds may be applied to the roots, seeds or foliage of plants for the control of various fungi, without damaging the commercial value of the plants. The materials may be applied in the form of any of the generally used formulation types, for example, as solutions, dusts, wettable powders, flowable concentrates, or emulsifiable concentrates.

Preferably, the compounds of the present disclosure are applied in the form of a formulation, comprising one or more of the compounds of Formula I with a phytologically acceptable carrier. Concentrated formulations may be dispersed in water, or other liquids, for application, or formulations may be dust-like or granular, which may then be applied without further treatment. The formulations can be prepared according to procedures that are conventional in the agricultural chemical art.

The present disclosure contemplates all vehicles by which one or more of the compounds may be formulated for delivery and use as a fungicide. Typically, formulations are applied as aqueous suspensions or emulsions. Such suspensions or

emulsions may be produced from water-soluble, water suspendable, or emulsifiable formulations which are solids, usually known as wettable powders; or liquids, usually known as emulsifiable concentrates, aqueous suspensions, or suspension concentrates. As will be readily appreciated, any material to which these compounds may be added may be used, provided it yields the desired utility without significant interference with the activity of these compounds as antifungal agents.

Wettable powders, which may be compacted to form water dispersible granules, comprise an intimate mixture of one or more of the compounds of Formula I, an inert carrier and surfactants. The concentration of the compound in the wettable powder may be from about 10 percent to about 90 percent by weight based on the total weight of the wettable powder, more preferably about 25 weight percent to about 75 weight percent. In the preparation of wettable powder formulations, the compounds may be compounded with any finely divided solid, such as prophyllite, talc, chalk, gypsum, Fuller's earth, bentonite, attapulgite, starch, casein, gluten, montmorillonite clays, diatomaceous earths, purified silicates or the like. In such operations, the finely divided carrier and surfactants are typically blended with the compound(s) and milled.

Emulsifiable concentrates of the compounds of Formula I may comprise a convenient concentration, such as from about 10 weight percent to about 50 weight percent of the compound, in a suitable liquid, based on the total weight of the concentrate. The compounds may be dissolved in an inert carrier, which is either a water miscible solvent or a mixture of water-immiscible organic solvents, and emulsifiers. The concentrates may be diluted with water and oil to form spray mixtures in the form of oil-in-water emulsions. Useful organic solvents include aromatics, especially the high-boiling naphthalenic and olefinic portions of petroleum such as heavy aromatic naphtha. Other organic solvents may also be used, for example, terpenic solvents, including rosin derivatives, aliphatic ketones, such as cyclohexanone, and complex alcohols, such as 2-ethoxyethanol.

Emulsifiers which may be advantageously employed herein may be readily determined by those skilled in the art and include various nonionic, anionic, cationic and amphoteric emulsifiers, or a blend of two or more emulsifiers. Examples of nonionic emulsifiers useful in preparing the emulsifiable concentrates include the polyalkylene

glycol ethers and condensation products of alkyl and aryl phenols, aliphatic alcohols, aliphatic amines or fatty acids with ethylene oxide, propylene oxides such as the ethoxylated alkyl phenols and carboxylic esters solubilized with the polyol or polyoxyalkylene. Cationic emulsifiers include quaternary ammonium compounds and fatty amine salts. Anionic emulsifiers include the oil-soluble salts (e.g., calcium) of alkylaryl sulphonic acids, oil soluble salts or sulfated polyglycol ethers and appropriate salts of phosphated polyglycol ether.

Representative organic liquids which may be employed in preparing the emulsifiable concentrates of the compounds of the present invention are the aromatic liquids such as xylene, propyl benzene fractions; or mixed naphthalene fractions, mineral oils, substituted aromatic organic liquids such as dioctyl phthalate; kerosene; dialkyl amides of various fatty acids, particularly the dimethyl amides of fatty glycols and glycol derivatives such as the n-butyl ether, ethyl ether or methyl ether of diethylene glycol, and the methyl ether of triethylene glycol and the like. Mixtures of two or more organic liquids may also be employed in the preparation of the emulsifiable concentrate. Organic liquids include xylene, and propyl benzene fractions, with xylene being most preferred in some cases. Surface-active dispersing agents are typically employed in liquid formulations and in an amount of from 0.1 to 20 percent by weight based on the combined weight of the dispersing agent with one or more of the compounds. The formulations can also contain other compatible additives, for example, plant growth regulators and other biologically active compounds used in agriculture.

Aqueous suspensions comprise suspensions of one or more water-insoluble compounds of Formula I, dispersed in an aqueous vehicle at a concentration in the range from about 5 to about 50 weight percent, based on the total weight of the aqueous suspension. Suspensions are prepared by finely grinding one or more of the compounds, and vigorously mixing the ground material into a vehicle comprised of water and surfactants chosen from the same types discussed above. Other components, such as inorganic salts and synthetic or natural gums, may also be added to increase the density and viscosity of the aqueous vehicle.

The compounds of Formula I can also be applied as granular formulations, which are particularly useful for applications to the soil. Granular formulations generally

contain from about 0.5 to about 10 weight percent, based on the total weight of the granular formulation of the compound(s), dispersed in an inert carrier which consists entirely or in large part of coarsely divided inert material such as attapulgite, bentonite, diatomite, clay or a similar inexpensive substance. Such formulations are usually prepared by dissolving the compounds in a suitable solvent and applying it to a granular carrier which has been preformed to the appropriate particle size, in the range of from about 0.5 to about 3 mm. A suitable solvent is a solvent in which the compound is substantially or completely soluble. Such formulations may also be prepared by making a dough or paste of the carrier and the compound and solvent, and crushing and drying to obtain the desired granular particle.

Dusts containing the compounds of Formula I may be prepared by intimately mixing one or more of the compounds in powdered form with a suitable dusty agricultural carrier, such as, for example, kaolin clay, ground volcanic rock, and the like. Dusts can suitably contain from about 1 to about 10 weight percent of the compounds, based on the total weight of the dust.

The formulations may additionally contain adjuvant surfactants to enhance deposition, wetting and penetration of the compounds onto the target crop and organism. These adjuvant surfactants may optionally be employed as a component of the formulation or as a tank mix. The amount of adjuvant surfactant will typically vary from 0.01 to 1.0 percent by volume, based on a spray-volume of water, preferably 0.05 to 0.5 volume percent. Suitable adjuvant surfactants include, but are not limited to ethoxylated nonyl phenols, ethoxylated synthetic or natural alcohols, salts of the esters or sulphosuccinic acids, ethoxylated organosilicones, ethoxylated fatty amines and blends of surfactants with mineral or vegetable oils. The formlulations may also include oil-in-water emulsions such as those disclosed in U.S. Patent Application Serial No. 11/495,228, the disclosure of which is expressly incorporated by reference herein.

The formulations may optionally include combinations that contain other pesticidal compounds. Such additional pesticidal compounds may be fungicides, insecticides, herbicides, nematocides, miticides, arthropodicides, bactericides or combinations thereof that are compatible with the compounds of the present invention in the medium selected for application, and not antagonistic to the activity of the present

compounds. Accordingly, in such embodiments, the other pesticidal compound is employed as a supplemental toxicant for the same or for a different pesticidal use. The compounds of Formula I, and the pesticidal compound in the combination can generally be present in a weight ratio of from 1:100 to100:1.

The compounds of the present disclosure may also be combined with other fungicides to form fungicidal mixtures and synergistic mixtures thereof. The fungicidal compounds of the present disclosure are often applied in conjunction with one or more other fungicides to control a wider variety of undesirable diseases. When used in conjunction with other fungicide(s), the presently claimed compounds may be formulated with the other fungicide(s), tank mixed with the other fungicide(s) or applied sequentially with the other fungicide(s). Such other fungicides may include 2-(thiocyanatomethylthio)-benzothiazole, 2-phenylphenol, 8-hydroxyquinoline sulfate, antimycin, Ampelomyces, quisqualis, azaconazole, azoxystrobin, Bacillus subtilis, benalaxyl, benomyl, benthiavalicarb-isopropyl, benzylaminobenzene-sulfonate (BABS) salt, bicarbonates, biphenyl, bismerthiazol, bitertanol, blasticidin-S, borax, Bordeaux mixture, boscalid, bromuconazole, bupirimate, calcium polysulfide, captafol, captan, carbendazim, carboxin, carpropamid, carvone, chloroneb, chlorothalonil, chlozolinate, Coniothyrium minitans, copper hydroxide, copper octanoate, copper oxychloride, copper sulfate, copper sulfate (tribasic), cuprous oxide, cyazofamid, cyflufenamid, cymoxanil, cyproconazole, cyprodinil, dazomet, debacarb, diammonium ethylenebis-(dithiocarbamate), dichlofluanid, dichlorophen, diclocymet, diclomezine, dichloran, diethofencarb, difenoconazole, difenzoquat ion, diflumetorim, dimethomorph, dimoxystrobin, diniconazole, diniconazole-M,dinobuton, dinocap, diphenylamine, dithianon, dodemorph, dodemorph acetate, dodine, dodine free base, edifenphos, enestrobin, epoxiconazole, ethaboxam, ethoxyquin, etridiazole, famoxadone, fenamidone, fenarimol, fenbuconazole, fenfuram, fenhexamid, fenoxanil, fenpiclonil, fenpropidin, fenpropimorph, fentin, fentin acetate, fentin hydroxide, ferbam, ferimzone, fluazinam, fludioxonil, flumorph, fluopicolide, fluoroimide, fluoxastrobin, fluquinconazole, flusilazole, flusulfamide, flutolanil, flutriafol, folpet, formaldehyde, fosetyl, fosetyl-aluminium, fuberidazole, furalaxyl, furametpyr, guazatine, guazatine acetates, GY-81, hexachlorobenzene, hexaconazole, hymexazol, imazalil, imazalil sulfate, imibenconazole,

iminoctadine, iminoctadine triacetate, iminoctadine tris(albesilate), ipconazole, iprobenfos, iprodione, iprovalicarb, isoprothiolane, kasugamycin, kasugamycin hydrochloride hydrate, kresoxim-methyl, mancopper, mancozeb, mandipropamid, maneb, mepanipyrim, mepronil, mercuric chloride, mercuric oxide, mercurous chloride, metalaxyl, mefenoxam, metalaxyl-M, metam, metam-ammonium, metam-potassium, metam-sodium, metconazole, methasulfocarb, methyl iodide, methyl isothiocyanate, metiram, metominostrobin, metrafenone, mildiomycin, myclobutanil, nabam, nitrothal-isopropyl, nuarimol, octhilinone, ofurace, oleic acid (fatty acids), orysastrobin, oxadixyl, oxine-copper, oxpoconazole fumarate, oxycarboxin, pefurazoate, penconazole, pencycuron, pentachlorophenol, pentachlorophenyl laurate, penthiopyrad, phenylmercury acetate, phosphonic acid, phthalide, picoxystrobin, polyoxin B, polyoxins, polyoxorim, potassium bicarbonate, potassium hydroxyquinoline sulfate, probenazole, prochloraz, procymidone, propamocarb, propamocarb hydrochloride, propiconazole, propineb, proquinazid, prothioconazole, pyraclostrobin, pyrazophos, pyributicarb, pyrifenox, pyrimethanil, pyroquilon, quinoclamine, quinoxyfen, quintozene, Reynoutria sachalinensis extract, silthiofam, simeconazole, sodium 2-phenylphenoxide, sodium bicarbonate, sodium pentachlorophenoxide, spiroxamine, sulfur, SYP-Z071, SYP-048, tar oils, tebuconazole, tecnazene, tetraconazole, thiabendazole, thifluzamide, thiophanate-methyl, thiram, tiadinil, tolclofos-methyl, tolylfluanid, triadimefon, triadimenol, triazoxide, tricyclazole, tridemorph, trifloxystrobin, triflumizole, triforine, triticonazole, validamycin, vinclozolin, zineb, ziram, zoxamide, Candida oleophila, Fusarium oxysporum, Gliocladium spp., Phlebiopsis gigantean, Streptomyces griseoviridis, Trichoderma spp., (RS)-N-(3,5-dichlorophenyl)-2-(methoxymethyl)-succinimide, 1,2-dichloropropane, 1,3-dichloro-1,1,3,3-tetrafluoroacetone hydrate, 1-chloro-2,4-dinitronaphthalene, 1-chloro-2-nitropropane, 2-(2-heptadecyl-2-imidazolin-1-yl)ethanol, 2,3-dihydro-5-phenyl-1,4-dithi-ine 1,1,4,4-tetraoxide, 2-methoxyethylmercury acetate, 2-methoxyethylmercury chloride, 2-methoxyethylmercury silicate, 3-(4-chlorophenyl)-5-methylrhodanine, 4-(2-nitroprop-1-enyl)phenyl thiocyanateme: ampropylfos, anilazine, azithiram, barium polysulfide, Bayer 32394, benodanil, benquinox, bentaluron, benzamacril; benzamacril-isobutyl, benzamorf, binapacryl, bis(methylmercury) sulfate, bis(tributyltin) oxide, buthiobate, cadmium

calcium copper zinc chromate sulfate, carbamorph,
CECA, chlobenthiazone, chloraniformethan, chlorfenazole, chlorquinox, climbazole, copper bis(3-phenylsalicylate), copper zinc chromate, cufraneb, cupric hydrazinium sulfate, cuprobam, cyclafuramid, cypendazole, cyprofuram, decafentin, dichlone, dichlozoline, diclobutrazol, dimethirimol, dinocton, dinosulfon, dinoterbon, dipyrithione, ditalimfos, dodicin, drazoxolon, EBP, ESBP, etaconazole, etem, ethirim, fenaminosulf, fenapanil, fenitropan, fluotrimazole, furcarbanil, furconazole, furconazole-cis, furmecyclox, furophanate, glyodine, griseofulvin, halacrinate, Hercules 3944, hexylthiofos, ICIA0858, isopamphos, isovaledione, mebenil, mecarbinzid , metazoxolon, methfuroxam, methylmercury dicyandiamide, metsulfovax, milneb, mucochloric anhydride, myclozolin, N-3,5-dichlorophenyl-succinimide, N-3-nitrophenylitaconimide, natamycin, N-ethylmercurio-4-toluenesulfonanilide, nickel bis(dimethyldithiocarbamate), OCH, phenylmercury dimethyldithio-carbamate, phenylmercury nitrate, phosdiphen, prothiocarb; prothiocarb hydrochloride, pyracarbolid, pyridinitril, pyroxychlor, pyroxyfur, quinacetol; quinacetol sulfate, quinazamid, quinconazole, rabenzazole, salicylanilide, SSF-109, sultropen, tecoram, thiadifluor, thicyofen, thiochlorfenphim, thiophanate, thioquinox, tioxymid, triamiphos, triarimol, triazbutil, trichlamide, urbacid, XRD-563, and zarilamid, IK-1140, NC-224, and any combinations thereof.

Additionally, the compounds of the present invention may be combined with other pesticides, including insecticides, nematocides, miticides, arthropodicides, bactericides or combinations thereof that are compatible with the compounds of the present invention in the medium selected for application, and not antagonistic to the activity of the present compounds to form pesticidal mixtures and synergistic mixtures thereof. The fungicidal compounds of the present disclosure may be applied in conjunction with one or more other pesticides to control a wider variety of undesirable pests. When used in conjunction with other pesticides, the presently claimed compounds may be formulated with the other pesticide(s), tank mixed with the other pesticide(s) or applied sequentially with the other pesticide(s). Typical insecticides include, but are not limited to: antibiotic insecticides such as allosamidin and thuringiensin; macrocyclic lactone insecticides such as spinosad; avermectin insecticides such as abamectin, doramectin, emamectin, eprinomectin, ivermectin and selamectin; milbemycin

insecticides such as lepimectin, milbemectin, milbemycin oxime and moxidectin; arsenical insecticides such as calcium arsenate, copper acetoarsenite, copper arsenate, lead arsenate, potassium arsenite and sodium arsenite; botanical insecticides such as anabasine, azadirachtin, d-limonene, nicotine, pyrethrins, cinerins, cinerin I, cinerin II, jasmolin I, jasmolin II, pyrethrin I, pyrethrin II, quassia, rotenone, ryania and sabadilla; carbamate insecticides such as bendiocarb and carbaryl; benzofuranyl methylcarbamate insecticides such as benfuracarb, carbofuran, carbosulfan, decarbofuran and furathiocarb; dimethylcarbamate insecticides dimitan, dimetilan, hyquincarb and pirimicarb; oxime carbamate insecticides such as alanycarb, aldicarb, aldoxycarb, butocarboxim, butoxycarboxim, methomyl, nitrilacarb, oxamyl, tazimcarb, thiocarboxime, thiodicarb and thiofanox; phenyl methylcarbamate insecticides such as allyxycarb, aminocarb, bufencarb, butacarb, carbanolate, cloethocarb, dicresyl, dioxacarb, EMPC, ethiofencarb, fenethacarb, fenobucarb, isoprocarb, methiocarb, metolcarb, mexacarbate, promacyl, promecarb, propoxur, trimethacarb, XMC and xylylcarb; dinitrophenol insecticides such as dinex, dinoprop, dinosam and DNOC; fluorine insecticides such as barium hexafluorosilicate, cryolite, sodium fluoride, sodium hexafluorosilicate and sulfluramid; formamidine insecticides such as amitraz, chlordimeform, formetanate and formparanate; fumigant insecticides such as acrylonitrile, carbon disulfide, carbon tetrachloride, chloroform, chloropicrin, para-dichlorobenzene, 1,2-dichloropropane, ethyl formate, ethylene dibromide, ethylene dichloride, ethylene oxide, hydrogen cyanide, iodomethane, methyl bromide, methylchloroform, methylene chloride, naphthalene, phosphine, sulfuryl fluoride and tetrachloroethane; inorganic insecticides such as borax, calcium polysulfide, copper oleate, mercurous chloride, potassium thiocyanate and sodium thiocyanate; chitin synthesis inhibitors such as bistrifluron, buprofezin, chlorfluazuron, cyromazine, diflubenzuron, flucycloxuron, flufenoxuron, hexaflumuron, lufenuron, novaluron, noviflumuron, penfluron, teflubenzuron and triflumuron; juvenile hormone mimics such as epofenonane, fenoxycarb, hydroprene, kinoprene, methoprene, pyriproxyfen and triprene; juvenile hormones such as juvenile hormone I, juvenile hormone II and juvenile hormone III; moulting hormone agonists such as chromafenozide, halofenozide, methoxyfenozide and tebufenozide; moulting hormones such as α-ecdysone and ecdysterone; moulting inhibitors such as diofenolan; precocenes such as precocene I,

precocene II and precocene III; unclassified insect growth regulators such as dicyclanil; nereistoxin analogue insecticides such as bensultap, cartap, thiocyclam and thiosultap; nicotinoid insecticides such as flonicamid; nitroguanidine insecticides such as clothianidin, dinotefuran, imidacloprid and thiamethoxam; nitromethylene insecticides such as nitenpyram and nithiazine; pyridylmethyl-amine insecticides such as acetamiprid, imidacloprid, nitenpyram and thiacloprid; organochlorine insecticides such as bromo-DDT, camphechlor, DDT, pp'-DDT, ethyl-DDD, HCH, gamma-HCH, lindane, methoxychlor, pentachlorophenol and TDE; cyclodiene insecticides such as aldrin, bromocyclen, chlorbicyclen, chlordane, chlordecone, dieldrin, dilor, endosulfan, endrin, HEOD, heptachlor, HHDN, isobenzan, isodrin, kelevan and mirex; organophosphate insecticides such as bromfenvinfos, chlorfenvinphos, crotoxyphos, dichlorvos, dicrotophos, dimethylvinphos, fospirate, heptenophos, methocrotophos, mevinphos, monocrotophos, naled, naftalofos, phosphamidon, propaphos, TEPP and tetrachlorvinphos; organothiophosphate insecticides such as dioxabenzofos, fosmethilan and phenthoate; aliphatic organothiophosphate insecticides such as acethion, amiton, cadusafos, chlorethoxyfos, chlormephos, demephion, demephion-O, demephion-S, demeton, demeton-O, demeton-S, demeton-methyl, demeton-O-methyl, demeton-S-methyl, demeton-S-methylsulphon, disulfoton, ethion, ethoprophos, IPSP, isothioate, malathion, methacrifos, oxydemeton-methyl, oxydeprofos, oxydisulfoton, phorate, sulfotep, terbufos and thiometon; aliphatic amide organothiophosphate insecticides such as amidithion, cyanthoate, dimethoate, ethoate-methyl, formothion, mecarbam, omethoate, prothoate, sophamide and vamidothion; oxime organothiophosphate insecticides such as chlorphoxim, phoxim and phoxim-methyl; heterocyclic organothiophosphate insecticides such as azamethiphos, coumaphos, coumithoate, dioxathion, endothion, menazon, morphothion, phosalone, pyraclofos, pyridaphenthion and quinothion; benzothiopyran organothiophosphate insecticides such as dithicrofos and thicrofos; benzotriazine organothiophosphate insecticides such as azinphos-ethyl and azinphos-methyl; isoindole organothiophosphate insecticides such as dialifos and phosmet; isoxazole organothiophosphate insecticides such as isoxathion and zolaprofos; pyrazolopyrimidine organothiophosphate insecticides such as chlorprazophos and pyrazophos; pyridine organothiophosphate insecticides such as chlorpyrifos and

and pyrimidifen; pyrrole insecticides such as chlorfenapyr; tetronic acid insecticides such as spiromesifen; thiourea insecticides such as diafenthiuron; urea insecticides such as flucofuron and sulcofuron; and unclassified insecticides such as closantel, crotamiton, EXD, fenazaflor, fenoxacrim, flubendiamide, hydramethylnon, isoprothiolane, malonoben, metaflumizone, metoxadiazone, nifluridide, pyridaben, pyridalyl, rafoxanide, triarathene and triazamate, and any combinations thereof.

Additionally, the compounds of the present invention may be combined with herbicides that are compatible with the compounds of the present invention in the medium selected for application, and not antagonistic to the activity of the present compounds to form pesticidal mixtures and synergistic mixtures thereof. The fungicidal compounds of the present disclosure may be applied in conjunction with one or more herbicides to control a wide variety of undesirable plants. When used in conjunction with herbicides, the presently claimed compounds may be formulated with the herbicide(s), tank mixed with the herbicide(s) or applied sequentially with the herbicide(s). Typical herbicides include, but are not limited to: *amide herbicides* such as allidochlor, beflubutamid, benzadox, benzipram, bromobutide, cafenstrole, CDEA, chlorthiamid, cyprazole, dimethenamid, dimethenamid-P, diphenamid, epronaz, etnipromid, fentrazamide, flupoxam, fomesafen, halosafen, isocarbamid, isoxaben, napropamide, naptalam, pethoxamid, propyzamide, quinonamid and tebutam; *anilide herbicides* such as chloranocryl, cisanilide, clomeprop, cypromid, diflufenican, etobenzanid, fenasulam, flufenacet, flufenican, mefenacet, mefluidide, metamifop, monalide, naproanilide, pentanochlor, picolinafen and propanil; *arylalanine herbicides* such as benzoylprop, flamprop and flamprop-M; *chloroacetanilide herbicides* such as acetochlor, alachlor, butachlor, butenachlor, delachlor, diethatyl, dimethachlor, metazachlor, metolachlor, S-metolachlor, pretilachlor, propachlor, propisochlor, prynachlor, terbuchlor, thenylchlor and xylachlor; *sulfonanilide herbicides* such as benzofluor, perfluidone, pyrimisulfan and profluazol; *sulfonamide herbicides* such as asulam, carbasulam, fenasulam and oryzalin; *antibiotic herbicides* such as bilanafos; *benzoic acid herbicides* such as chloramben, dicamba, 2,3,6-TBA and tricamba; *pyrimidinyloxybenzoic acid herbicides* such as bispyribac and pyriminobac; *pyrimidinylthiobenzoic acid herbicides* such as pyrithiobac; *phthalic acid herbicides* such as chlorthal; *picolinic acid herbicides* such as

aminopyralid, clopyralid and picloram; *quinolinecarboxylic acid herbicides* such as quinclorac and quinmerac; *arsenical herbicides* such as cacodylic acid, CMA, DSMA, hexaflurate, MAA, MAMA, MSMA, potassium arsenite and sodium arsenite; *benzoylcyclohexanedione herbicides* such as mesotrione, sulcotrione, tefuryltrione and tembotrione; *benzofuranyl alkylsulfonate herbicides* such as benfuresate and ethofumesate; *carbamate herbicides* such as asulam, carboxazole chlorprocarb, dichlormate, fenasulam, karbutilate and terbucarb; *carbanilate herbicides* such as barban, BCPC, carbasulam, carbetamide, CEPC, chlorbufam, chlorpropham, CPPC, desmedipham, phenisopham, phenmedipham, phenmedipham-ethyl, propham and swep; *cyclohexene oxime herbicides* such as alloxydim, butroxydim, clethodim, cloproxydim, cycloxydim, profoxydim, sethoxydim, tepraloxydim and tralkoxydim; *cyclopropylisoxazole herbicides* such as isoxachlortole and isoxaflutole; *dicarboximide herbicides* such as benzfendizone, cinidon-ethyl, flumezin, flumiclorac, flumioxazin and flumipropyn; *dinitroaniline herbicides* such as benfluralin, butralin, dinitramine, ethalfluralin, fluchloralin, isopropalin, methalpropalin, nitralin, oryzalin, pendimethalin, prodiamine, profluralin and trifluralin; *dinitrophenol herbicides* such as dinofenate, dinoprop, dinosam, dinoseb, dinoterb, DNOC, etinofen and medinoterb; *diphenyl ether herbicides* such as ethoxyfen; *nitrophenyl ether herbicides* such as acifluorfen, aclonifen, bifenox, chlomethoxyfen, chlornitrofen, etnipromid, fluorodifen, fluoroglycofen, fluoronitrofen, fomesafen, furyloxyfen, halosafen, lactofen,nitrofen, nitrofluorfen and oxyfluorfen; *dithiocarbamate herbicides* such as dazomet and metam; *halogenated aliphatic herbicides* such as alorac, chloropon, dalapon, flupropanate, hexachloroacetone, iodomethane, methyl bromide, monochloroacetic acid, SMA and TCA; *imidazolinone herbicides* such as imazamethabenz, imazamox, imazapic, imazapyr, imazaquin and imazethapyr; *inorganic herbicides* such as ammonium sulfamate, borax, calcium chlorate, copper sulfate, ferrous sulfate, potassium azide, potassium cyanate, sodium azide, sodium chlorate and sulfuric acid; *nitrile herbicides* such as bromobonil, bromoxynil, chloroxynil, dichlobenil, iodobonil, ioxynil and pyraclonil; *organophosphorus herbicides* such as amiprofos-methyl, anilofos, bensulide, bilanafos, butamifos, 2,4-DEP, DMPA, EBEP, fosamine, glufosinate, glyphosate and piperophos; *phenoxy herbicides* such as bromofenoxim, clomeprop, 2,4-DEB, 2,4-DEP, difenopenten,

disul, erbon, etnipromid, fenteracol and trifopsime; *phenoxyacetic herbicides* such as 4-CPA, 2,4-D, 3,4-DA, MCPA, MCPA-thioethyl and 2,4,5-T; *phenoxybutyric herbicides* such as 4-CPB, 2,4-DB, 3,4-DB, MCPB and 2,4,5-TB; *phenoxypropionic herbicides* such as cloprop, 4-CPP, dichlorprop, dichlorprop-P, 3,4-DP, fenoprop, mecoprop and mecoprop-P; *aryloxyphenoxypropionic herbicides* such as chlorazifop, clodinafop, clofop, cyhalofop, diclofop, fenoxaprop, fenoxaprop-P, fenthiaprop, fluazifop, fluazifop-P, haloxyfop, haloxyfop-P, isoxapyrifop, metamifop, propaquizafop, quizalofop, quizalofop-P and trifop; *phenylenediamine herbicides* such as dinitramine and prodiamine; *pyrazolyl herbicides* such as benzofenap, pyrazolynate, pyrasulfotole, pyrazoxyfen, pyroxasulfone and topramezone; *pyrazolylphenyl herbicides* such as fluazolate and pyraflufen; *pyridazine herbicides* such as credazine, pyridafol and pyridate; *pyridazinone herbicides* such as brompyrazon, chloridazon, dimidazon, flufenpyr, metflurazon, norflurazon, oxapyrazon and pydanon; *pyridine herbicides* such as aminopyralid, cliodinate, clopyralid, dithiopyr, fluroxypyr, haloxydine, picloram, picolinafen, pyriclor, thiazopyr and triclopyr; *pyrimidinediamine herbicides* such as iprymidam and tioclorim; *quaternary ammonium herbicides* such as cyperquat, diethamquat, difenzoquat, diquat, morfamquat and paraquat; *thiocarbamate herbicides* such as butylate, cycloate, di-allate, EPTC, esprocarb, ethiolate, isopolinate, methiobencarb, molinate, orbencarb, pebulate, prosulfocarb, pyributicarb, sulfallate, thiobencarb, tiocarbazil, tri-allate and vernolate; *thiocarbonate herbicides* such as dimexano, EXD and proxan; *thiourea herbicides* such as methiuron; *triazine herbicides* such as dipropetryn, triaziflam and trihydroxytriazine; *chlorotriazine herbicides* such as atrazine, chlorazine, cyanazine, cyprazine, eglinazine, ipazine, mesoprazine, procyazine, proglinazine, propazine, sebuthylazine, simazine, terbuthylazine and trietazine; *methoxytriazine herbicides* such as atraton, methometon, prometon, secbumeton, simeton and terbumeton; *methylthiotriazine herbicides* such as ametryn, aziprotryne, cyanatryn, desmetryn, dimethametryn, methoprotryne, prometryn, simetryn and terbutryn; *triazinone herbicides* such as ametridione, amibuzin, hexazinone, isomethiozin, metamitron and metribuzin; *triazole herbicides* such as amitrole, cafenstrole, epronaz and flupoxam; *triazolone herbicides* such as amicarbazone, bencarbazone, carfentrazone, flucarbazone, propoxycarbazone, sulfentrazone and thiencarbazone-methyl; *triazolopyrimidine*

herbicides such as cloransulam, diclosulam, florasulam, flumetsulam, metosulam, penoxsulam and pyroxsulam; *uracil herbicides* such as butafenacil, bromacil, flupropacil, isocil, lenacil and terbacil; *3-phenyluracils*; *urea herbicides* such as benzthiazuron, cumyluron, cycluron, dichloralurea, diflufenzopyr, isonoruron, isouron, methabenzthiazuron, monisouron and noruron; *phenylurea herbicides* such as anisuron, buturon, chlorbromuron, chloreturon, chlorotoluron, chloroxuron, daimuron, difenoxuron, dimefuron, diuron, fenuron, fluometuron, fluothiuron, isoproturon, linuron, methiuron, methyldymron, metobenzuron, metobromuron, metoxuron, monolinuron, monuron, neburon, parafluron, phenobenzuron, siduron, tetrafluron and thidiazuron; *pyrimidinylsulfonylurea herbicides* such as amidosulfuron, azimsulfuron, bensulfuron, chlorimuron, cyclosulfamuron, ethoxysulfuron, flazasulfuron, flucetosulfuron, flupyrsulfuron, foramsulfuron, halosulfuron, imazosulfuron, mesosulfuron, nicosulfuron, orthosulfamuron, oxasulfuron, primisulfuron, pyrazosulfuron, rimsulfuron, sulfometuron, sulfosulfuron and trifloxysulfuron; *triazinylsulfonylurea herbicides* such as chlorsulfuron, cinosulfuron, ethametsulfuron, iodosulfuron, metsulfuron, prosulfuron, thifensulfuron, triasulfuron, tribenuron, triflusulfuron and tritosulfuron; *thiadiazolylurea herbicides* such as buthiuron, ethidimuron, tebuthiuron, thiazafluron and thidiazuron; and *unclassified herbicides* such as acrolein, allyl alcohol, azafenidin, benazolin, bentazone, benzobicyclon, buthidazole, calcium cyanamide, cambendichlor, chlorfenac, chlorfenprop, chlorflurazole, chlorflurenol, cinmethylin, clomazone, CPMF, cresol, ortho-dichlorobenzene, dimepiperate, endothal, fluoromidine, fluridone, flurochloridone, flurtamone, fluthiacet, indanofan, methazole, methyl isothiocyanate, nipyraclofen, OCH, oxadiargyl, oxadiazon, oxaziclomefone, pentachlorophenol, pentoxazone, phenylmercury acetate, pinoxaden, prosulfalin, pyribenzoxim, pyriftalid, quinoclamine, rhodethanil, sulglycapin, thidiazimin, tridiphane, trimeturon, tripropindan and tritac.

Another embodiment of the present disclosure is a method for the control or prevention of fungal attack. This method comprises applying to the soil, plant, roots, foliage, seed or locus of the fungus, or to a locus in which the infestation is to be prevented (for example applying to cereal or grape plants), a fungicidal effective amount of one or more of the compounds of Formula I. The compounds are suitable for treatment of various plants at fungicidal levels, while exhibiting low phytotoxicity. The

compounds may be useful both in a protectant and/or an eradicant fashion.

The compounds have been found to have significant fungicidal effect particularly for agricultural use. Many of the compounds are particularly effective for use with agricultural crops and horticultural plants.

It will be understood by those in the art that the efficacy of the compound for the foregoing fungi establishes the general utility of the compounds as fungicides.

The compounds have broad ranges of activity against fungicidal pathogens. Exemplary pathogens may include, but are not limited to, wheat leaf blotch (*Septoria tritici*, also known as *Mycosphaerella graminicola*), apple scab (*Venturia inaequalis*), and Cercospora leaf spots of sugar beets (*Cercospora beticola*), peanuts (*Cercospora arachidicola* and *Cercosporidium personatum*) and other crops, and black sigatoka of bananas (*Mycosphaerella fujiensis*). The exact amount of the active material to be applied is dependent not only on the specific active material being applied, but also on the particular action desired, the fungal species to be controlled, and the stage of growth thereof, as well as the part of the plant or other product to be contacted with the compound. Thus, all the compounds, and formulations containing the same, may not be equally effective at similar concentrations or against the same fungal species.

The compounds are effective in use with plants in a disease-inhibiting and phytologically acceptable amount. The term "disease inhibiting and phytologically acceptable amount" refers to an amount of a compound that kills or inhibits the plant disease for which control is desired, but is not significantly toxic to the plant. This amount will generally be from about 0.1 to about 1000 ppm (parts per million), with 1 to 500 ppm being preferred. The exact concentration of compound required varies with the fungal disease to be controlled, the type of formulation employed, the method of application, the particular plant species, climate conditions, and the like. A suitable application rate is typically in the range from about 0.10 to about 4 pounds/acre (about 0.01 to 0.45 grams per square meter, g/m^2).

Any range or desired value given herein may be extended or altered without losing the effects sought, as is apparent to the skilled person for an understanding of the teachings herein.

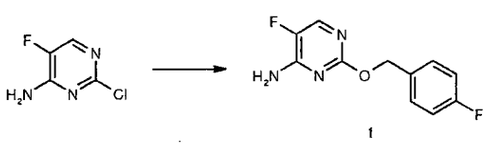
The compounds of Formula I may be made using well-known chemical

procedures. Intermediates not specifically mentioned in this disclosure are either commercially available, may be made by routes disclosed in the chemical literature, or may be readily synthesized from commercial starting materials utilizing standard procedures.

The following examples are presented to illustrate the various aspects of the compounds of the present disclosure and should not be construed as limitations to the claims.

Examples:

Preparation of 5-Fluoro-2-(4-fluorobenzyloxy)pyrmidin-4-amine (**1**):



1

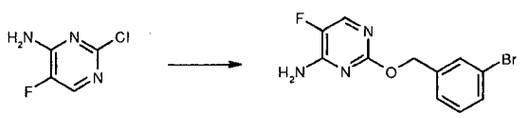
To a solution of 4-fluorobenzyl alcohol (2.56 g, 20.3 mmol) in 1,4-dioxane (20 mL) was added 60% NaH (0.813 g, 20.3 mmol) in several portions over a period of 10 min. To the magnetically stirred solution was added 2-Chloro-5-fluoropyrimidin-4-amine* (2.00 g, 13.6 mmol) and the mixture was stirred at room temperature until gas evolution subsided. The reaction mixture was then heated in a CEM Discover microwave reactor at 120 °C for 90 min. The cooled reaction mixture was partitioned between ethyl acetate and water, the organic phase was concentrated, and the product was purified by column chromatography (hexane / ethyl acetate gradient) to yield 5-fluoro-2-(4-fluorobenzyloxy)pyrimidin-4-amine (1.66 g, 52% yield) as a white solid: mp 129-131 °C; ^{1}H NMR (300MHz, $CDCl_3$) δ 7.91 (d, J = 2.6 Hz, 1H), 7.42 (m, 2H), 7.03 (m, 2H), 5.27 (s, 2H), 5.05 (br s, 2H); MS (ESI) *m/z* 238 $(M+H)^+$.

*4-Amino-2-chloro-5-fluoropyrimidine can be purchased commercially or can be prepared through known literature methods.

1. Hayashi, T.; Kawakami, T. JP Patent 2005126389

2. Durr, G.J. *J. Med. Chem.* 1965, 8(2), 253.

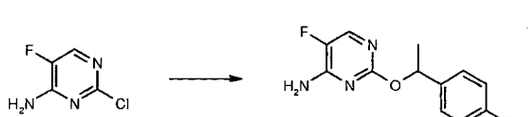
2-(3-Bromobenzyloxy)-5-fluoropyrimidin-4-ylamine (**2**):



2

To a magnetically stirred mixture of KO^{t}Bu (1.0 M in ^{t}BuOH, 1.36 ml, 1.36 mmol) was added (3-bromophenyl)methanol (0.25 g, 1.36 mmol). To the resulting solution was added 2-chloro-5-fluoropyrimidine-4-ylamine (0.10 g, 0.68 mmol) and the mixture was capped and stirred at 90 °C for 4 h. The reaction mixture was cooled to room temperature, diluted with water, and the resulting precipitate was collected by filtration. The solid was washed with water, washed with cyclohexane, and dried in the vacuum oven. A CH_2Cl_2 solution of the compound was loaded onto Biotage SCX column and eluted with CH_2Cl_2 followed by 2.0 M NH_3 in MeOH. The solvent was evaporated under reduced pressure to give the title compound (0.100 g, 49%) as an off-white solid: mp 143-145 °C; ^{1}H NMR (400MHz, DMSO-d_6) δ 7.90 (d, J = 2.5 Hz, 1H), 7.61 (s, 1H), 7.43 (d, J = 8.0 Hz, 1H), 7.36 (d, J = 7.7 Hz, 1H), 7.22 (t, J = 7.7 Hz, 1H), 5.28 (s, 2H), 5.20 (br s, 2H); GCMS (EI) *m/z* 297, 299 $(M)^+$.

Preparation of 5-Fluoro-2-[1-(4-fluorophenyl)ethoxy]pyrimidin-4-ylamine (**3**):

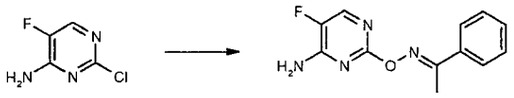


3

To a magnetically stirred mixture of 4-amino-2-chloro-5-fluoropyrimidine (11.10 g, 75.2 mmol) in 1-(4-Fluorophenyl)ethanol (11.70 g, 82.8 mmol) was added a 1.0 M solution of KO^{t}Bu in ^{t}BuOH (82.8 mL, 82.8 mmol) in one portion, and the resulting tan mixture was heated to reflux and stirred for 24 h. The solvent was removed *in vacuo* and the resulting red-orange oil was purified by flash chromatography (SiO_2, 0→10% MeOH / CH_2Cl_2) to

give 5.5 g of red-orange oil. The oil was suspended in hexanes (100 mL) and stirred for 16 h. Water (100 mL) was added to the unchanged mixture, and the biphasic system was stirred vigorously for 1 h. The resulting cream colored solid was collected by vacuum filtration, washed with warm water (55 °C, 2 x 100 mL), and dried under vacuum at 55 °C for 16 h to give 5-fluoro-2-[1-(4-fluorophenyl)ethoxy]pyrimidin-4-ylamine (3.30 g, 17.2% yield) as a white solid: mp 96-98 °C; ^{1}H NMR (300MHz, $CDCl_3$) δ 7.84 (d, J = 2.6 Hz, 1H), 7.42-7.38 (m, 2H), 7.03-6.97 (m, 2H), 5.99 (q, J = 6.6 Hz, 1H), 5.09 (br s, 2H), 1.61 (d, J = 6.6 Hz, 3H); MS (ESI) *m/z* 252 $(M+H)^+$, *m/z* 250 $(M-H)^-$.

Preparation of 1-Phenyl-ethanone-O-(4-amino-5-fluoropyrimidin-2-yl)oxime (**4**):



4

To a magnetically stirred mixture of 4-amino-2-chloro-5-fluoropyrimidine (0.10 g, 0.68 mmol) and acetophenone oxime (0.092 g, 0.68 mmol) in dry DMF (3 mL) in a 5 mL Biotage Iniator microwave vessel was added NaH (0.027 g of a 60 wt. % suspension, 0.68 mmol) under a N_2 atmosphere. After gas evolution ceased, the resulting mixture was sealed with a Biotage Initiator microwave septa cap and heated to 100 °C in a Biotage Initiator microwave for 60 min. The contents were poured into a vial with water (5 mL) and CH_2Cl_2 (5 mL), and neutralized with a few drops of 2N HCl. The phases were separated and the organic extract was dried over $MgSO_4$, filtered, and evaporated under a stream of nitrogen. The crude contents were purified on silica (EtOAc/hexanes gradient) and evaporation of the product fractions gave 0.057 g (34%) of 1-Phenyl-ethanone-O-(4-amino-5-fluoro-pyrimidin-2-yl)oxime as an off-white solid: mp 163-165 °C; ^{1}H NMR (300MHz, $CDCl_3$) δ 8.04 (d, J = 2.6 Hz , 1H), 7.75 (m, 2H), 7.42 (m, 3H), 5.25 (bs, 2H), 2.51 (s, 3H); HPLC-MS (ESI) *m/z* 247 $(M+H)^+$.

Preparation of 5-Fluoro-2-(thiophen-2-ylmethoxy)-pyrimidin-4-ylamine (**5**):



5

To a mixture of 2-chloro-5-fluoropyrimidin-4-ylamine (2.00 g, 13.5 mmol) and thiophen-2-ylmethanol (1.92 g, 16.9 mmol) with a magnetic stir bar in a 20 mL Biotage Initiator microwave reaction vessel was added KO^{t}Bu (17.0 mL of 1M in ^{t}BuOH, 17.0 mmol). The resulting mixture was sealed with a Biotage Initiator microwave septa cap and heated in a Biotage Initiator microwave to 100 °C for 30 min. The heating cycle was repeated (2x) for a total reaction time of 90 min. The contents were poured into ice-water and the pH was adjusted to neutral with 2N HCl. The resulting solid was filtered and washed with water (2x) and then 20% ether/hexanes (100 mL). The remaining solid was dried overnight at 50 °C under vacuum to give 4.17 g (68%) of 5-fluoro-2-(thiophen-2-ylmethoxy)pyrimidin-4-ylamine as a pale yellow powder: mp 92-94 °C; ^{1}H NMR (300MHz, $CDCl_3$) δ 7.92 (d, J = 2.7 Hz, 1H), 7.29 (m, 1H), 7.13 (d, J = 3.6 Hz, 1H), 6.97 (m, 1H), 5.46 (s, 2H), 5.17 (br s, 2H); MS (ESI) m/z 226 $(M+H)^+$.

Preparation of N-[5-Fluoro-2-(thiophen-2-ylmethoxy)pyrimidin-4-yl]acetamide (**6**):

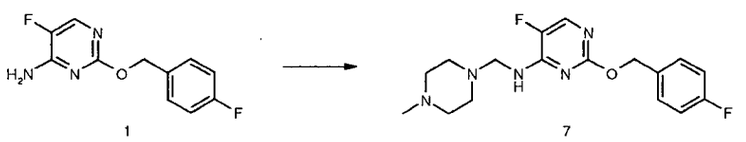


5 6

In a 2 dram screw cap vial, a solution of 5-fluoro-2-(thiophen-2-ylmethoxy)-pyrimidin-4-ylamine (0.10 g, 0.4 mmol) in CH_2Cl_2 was treated with acetyl chloride (0.032 g, 0.4 mmol,) and PS-NMM (0.42 g, 0.8 mmol), a resin-bound equivalent of *N*-methyl morpholine (NMM). The mixture was shaken at RT for 12 h. The reaction mixture was filtered and the solvent evaporated to yield 0.084 g (75%) of the title compound as white solid: mp 134-136 °C; ^{1}H NMR (300MHz, $CDCl_3$) δ 8.24 (d, J = 2.6 Hz,1H), 7.86 (bs,

1H), 7.31 (m, 1H), 7.23 (m, 1H), 7.00 (m, 1H), 5.54 (s, 2H), 2.58 (s, 3H); MS (ESI) *m/z* 268 $(M+H)^+$.

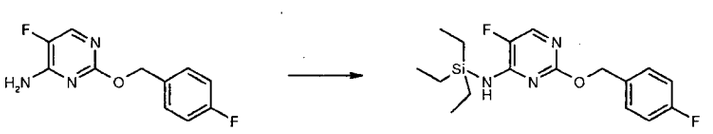
Preparation of [5-Fluoro-2-(4-fluorobenzyloxy)pyrimidin-4-yl]-(4-methylpiperazin-1-ylmethyl)amine (**7**):



1 7

To a magnetically stirred mixture of paraformaldehyde (0.24 g, 8 mmol) in CH_2Cl_2 (20 mL) was added *N*-methylpiperazine (0.80 g, 8.0 mmol). The suspension was stirred overnight at ambient temperature on an orbital shaker, and then 5-fluoro-2-(4-fluorobenzyloxy)pyrimidin-4-ylamine (0.47 g, 2.0 mmol) was added. The resulting mixture was stirred over the weekend at RT. The solvent was evaporated and the crude residue was washed twice with 50% ether/petroleum ether and dried under a stream of N_2 to give 0.21 g (30%) of [5-fluoro-2-(4-fluorobenzyloxy)pyrimidin-4-yl](4-methylpiperazin-1-ylmethyl)amine as a beige solid: mp 125-126 °C; ^{1}H NMR (300MHz, $CDCl_3$) δ 7.83 (d, J = 2.3 Hz, 1H), 7.43 (m, 2H), 7.03 (t, J = 8.5 Hz, 2H), 5.40 (bs, 1H), 5.27 (s, 2H), 4.41 (d, J = 6.8 Hz, 2H), 2.63 (bs, 4H), 2.47 (bs, 4H), 2.30 (s, 3H); HPLC-MS (ESI) *m/z* 350 $(M+H)^+$.

Preparation of [5-Fluoro-2-(4-fluorobenzyloxy)pyrimidin-4-yl]triethylsilanylamine (**8**):

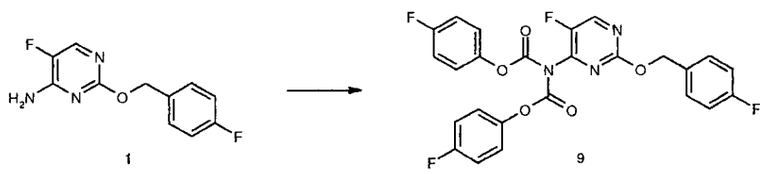


1 8

To a magnetically stirred mixture of 5-fluoro-2-(4-fluorobenzyloxy)pyrimidin-4-ylamine (0.25 g, 1.05 mmol) in dry THF (5 mL) at 0 °C was added NaH (0.042 g of 60 wt. % suspension in mineral oil, 1.05 mmol). When bubbling ceased, triethylsilyl chloride

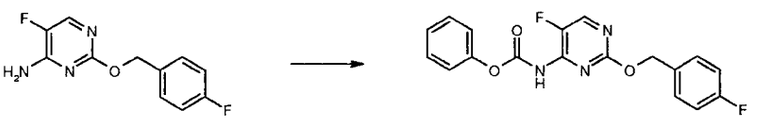
(0.158 g, 1.05 mmol) was added dropwise (neat) *via* syringe. After stirring overnight at ambient temperature, the reaction mixture was poured into ether and washed with a mixture of aqueous saturated sodium bicarbonate and brine solution. The organic layer was separated, dried over Na_2SO_4, filtered, and evaporated to give a white solid. This crude material was purified on silica by column chromatography (EtOAc / hexanes gradient) to give 0.121 g (33%) of [5-fluoro-2-(4-fluorobenzyloxy)pyrimidin-4-yl]-triethylsilanylamine as a clear yellow oil: ^{1}H NMR (300MHz, $CDCl_3$) δ 7.89 (d, J = 2.5 Hz, 1H), 7.39 (m, 2H), 7.03 (t, J = 8.6 Hz, 2H), 5.27 (s, 2H), 4.53 (s, 1H), 0.99 (m, 9H), 0.83 (m, 6H); HPLC-MS (ESI) m/z 352 $(M+H)^+$.

Preparation of [5-Fluoro-2-(4-fluorobenzyloxy)pyrimidin-4-yl]*bis*-carbamic acid 4-fluorophenyl ester (**9**):



To a magnetically stirred ice-cold mixture of 5-fluoro-2-(4-fluorobenzyloxy)pyrimidin-4-ylamine (0.25 g, 1.05 mmol) in dry THF (5 mL) was added NaH (0.042 g of a 60 wt. % suspension in mineral oil, 1.05 mmol). After bubbling ceased, 4-fluorophenyl chloroformate (0.184 g, 1.05 mmol) was added dropwise as a solution in dry THF. After stirring one hour, the reaction was partitioned between EtOAc and brine solution. The organic extract was dried over Na_2SO_4, filtered, and evaporated. The crude material was purified on silica using a gradient of EtOAc/Hex and then MeOH/EtOAc to give 0.054 g (14%) of [5-fluoro-2-(4-fluorobenzyloxy)pyrimidin-4-yl]*bis*-carbamic acid 4-fluoro-phenyl ester as a white solid: mp 103-105 °C; ^{1}H NMR (300MHz, $CDCl_3$) δ 8.58 (d, J = 2.2 Hz, 1H), 7.43 (m, 2H), 7.08 (m, 10H), 5.40 (s, 2H); HPLC-MS (ESI) m/z 514 $(M+H)^+$.

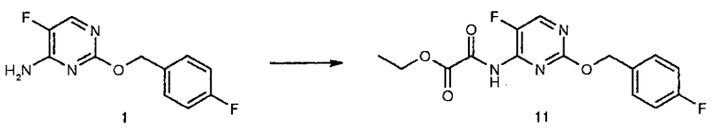
Preparation of [5-Fluoro-2-(4-fluorobenzyloxy)pyrimidin-4-yl]carbamic acid phenyl ester (**10**):



1 10

To a stirred mixture of 5-fluoro-2-(4-fluorobenzyloxy)pyrimidin-4-ylamine (0.20 g, 0.84 mmol) in dry THF (3 mL) at ice-bath temperatures was added NaH (0.034 g of 60 wt. % suspension in mineral oil, 0.84 mmol). When bubbling ceased, the resulting mixture was transferred (dropwise) *via* cannula to an ice-cold, stirred mixture of diphenyl carbonate (1.8 g, 8.4 mmol) in dry THF (5 mL). The mixture was stirred overnight, poured into EtOAc, and washed with saturated aq. NH_4Cl solution followed by brine solution. The EtOAc layer was separated, dried over Na_2SO_4, filtered, and evaporated. The crude material was purified on silica gel using a gradient of EtOAc and hexanes to give 0.063 g (21%) of [5-fluoro-2-(4-fluorobenzyloxy)pyrimidin-4-yl]carbamic acid phenyl ester as a white solid: mp 129-131 °C; ^{1}H NMR (300MHz, $CDCl_3$) δ 8.28 (d, J = 2.3 Hz, 1H), 7.43 (m, 5H), 7.30-7.20 (m, 2H), 7.02 (t, J = 8.6 Hz, 2H), 5.38 (s, 2H); HPLC-MS (ESI) *m/z* 358 $(M+H)^+$.

Preparation of N-[5-Fluoro-2-(4-fluorobenzyloxy)pyrimidin-4-yl]oxalamic acid ethyl ester (**11**):

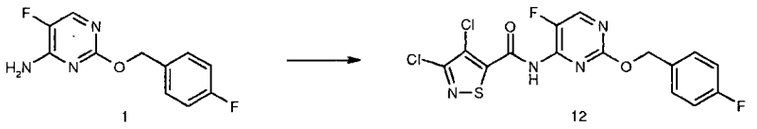


1 11

To a mixture of 5-fluoro-2-(4-fluorobenzyloxy)pyrimidin-4-ylamine (0.235 g, 0.99 mmol), *N*-methyl morpholine on polystyrene (0.538 g, 1.24 mmol), and CH_2Cl_2 (5 mL) was added chloro-oxo-acetic acid ethyl ester (0.135 g, 0.99 mmol) and the resulting mixture was agitated on an orbital shaker for 16 h. The reaction contents were filtered

onto an acidic SPE cartridge and eluted with CH_2Cl_2. The CH_2Cl_2 filtrate was evaporated to give 0.165 g (50%) of N-[5-fluoro-2-(4-fluorobenzyloxy)pyrimidin-4-yl]oxalamic acid ethyl ester as a clear oil: ^{1}H NMR (300MHz, $CDCl_3$) δ 9.21 (bs, 1H), 8.38 (d, J = 2.3 Hz, 1H), 7.48 (m, 2H), 7.03 (t, J = 8.5 Hz, 2H), 5.40 (s, 2H), 4.48 (q, J = 7.1 Hz, 2H), 1.45 (t, J = 7.1 Hz, 3H); HPLC-MS (ESI) m/z 338 $(M+H)^+$.

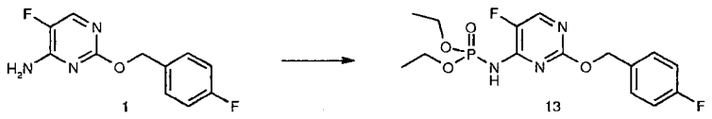
Preparation of 3,4-Dichloroisothiazole-5-carboxylic acid [5-fluoro-2-(4-fluorobenzyl-oxy)pyrimidin-4-yl]amide (**12**):



To a suspension of 3,4-dichloroisothiazole-5-carboxylic acid (0.15 g, 0.76 mmol) in oxalyl chloride (2 mL) was added a catalytic amount of dimethylformamide (2 drops) and the mixture was heated to 80 °C and stirred for 2 h. The excess oxalyl chloride was removed on the rotary evaporator. Meanwhile, 5-fluoro-2-(4-fluorobenzyloxy)-pyrimidin-4-ylamine (0.17 g, 0.68 mmol) was dissolved in THF (1 mL), treated with LiHMDS (1M in THF, 0.76 mL, 0.76 mmol) and stirred for 10 min. The freshly prepared 3,4-dichlorothiazole-5-carbonyl chloride*, dissolved in THF (1 mL), was added and the reaction was capped and stirred for 12 h. The reaction was diluted with water and the target compound was extracted with CH_2Cl_2 (3 x 5mL). The combined extracts were dried over $MgSO_4$ and then evaporated under reduced pressure. The mixture was eluted with CH_2Cl_2 through an anionic-exchange solid phase extraction column and then further purified by reverse-phase chromatography to give 3,4-dichloroisothiazole-5-carboxylic acid [5-fluoro-2-(4-fluorobenzyloxy)pyrimidin-4-yl]amide (0.035 g, 12%) as a tan solid: mp 87-90 °C; ^{1}H NMR (400 MHz, DMSO-d_6) δ 11.78 (s, 1H), 8.67 (s, 1H), 7.51-7.48 (m, 2H), 7.24-7.19 (m, 2H), 5.25 (s, 2H); MS (ESI) m/z 417 $(M+H)^+$, 415 $(M-H)^-$.

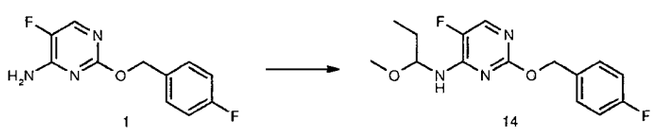
*Nagata, T.; Kogure, A.; Yonekura, N.; Hanai, R.; Kaneko, I.; Nakano, Y. JP 2007211002 A

Preparation of [5-Fluoro-2-(4-fluorobenzyloxy)pyrimidin-4-yl]phosphoramidic acid diethyl ester (**13**):



To a magnetically stirred solution of 5-fluoro-2-(4-fluorobenzyloxy)pyrimidin-4-ylamine (0.10 g, 0.42 mmol) in dry THF (5 mL) under a nitrogen atmosphere was added NaH (0.017 g of a 60 wt.% suspension, 0.42 mmol) and the mixture was stirred until bubbling ceased. Diethyl chlorophosphate (0.073 g, 0.42 mmol) was added dropwise, and the mixture was stirred at ambient temperature for 1h. The reaction mixture was evaporated to dryness and the residue dissolved in EtOAc and washed saturated aqueous NH_4Cl solution. The organic layer was separated, dried over Na_2SO_4, filtered, and evaporated. The crude material was purified on silica (acetone/CH_2Cl_2 gradient) to give 0.017 g (11%) of [5-fluoro-2-(4-fluorobenzyloxy)pyrimidin-4-yl]phosphoramidic acid diethyl ester as a white solid: mp 109-111 °C; ^{1}H NMR (300MHz, $CDCl_3$) δ 8.10 (t, J = 1.8 Hz, 1H), 7.43 (m, 2H), 7.03 (t, J = 8.5 Hz, 2H), 6.18 (br s, 1H), 5.35 (s, 2H), 4.25 (m, 4H), 1.38 (t, J = 7.1 Hz, 6H); HPLC-MS (ESI) *m/z* 374 $(M+H)^+$.

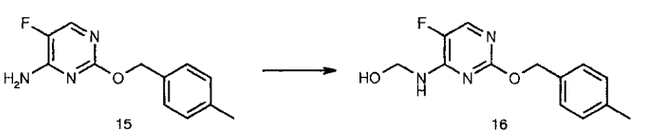
Preparation of [5-Fluoro-2-(4-fluorobenzyloxy)pyrimidin-4-yl](1-methoxypropyl)amine (**14**):



To a solution of 5-fluoro-2-(4-fluorobenzyloxy)pyrimidin-4-ylamine (0.10 g, 0.42 mmol) in propionaldehyde (2 mL) was added a catalytic amount of camphorsulfonic acid. The mixture was agitated on an orbital shaker at room temperature for 4 h and then evaporated to dryness. Methanol (2 mL) was added, and the resulting solution was

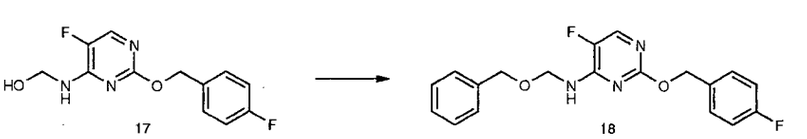
warmed to 60 °C for 1 h. After evaporation, the crude product was purified by reverse phase chromatography to yield the title compound (0.030 g, 24% yield) as a clear, colorless oil: ^{1}H NMR (300MHz, $CDCl_3$) δ 7.91 (d, J = 2.5 Hz, 1H), 7.47-7.41 (m, 2H), 7.09-7.01 (m, 2H), 5.41 (dt, J = 9.9 and 6.0 Hz, 1H), 5.30 (s, 2H), 5.2 (bd, J ~10 Hz, 1H), 3.12 (s, 3H), 1.88-1.60 (m, 2H), 0.98 (t, J = 7.1 Hz, 3H). HPLC-MS 308 (ES^-), 310 (ES^+).

Preparation of [5-Fluoro-2-(4-methylbenzyloxy)pyrimidin-4-ylamino]methanol (**16**):



To a solution of 5-fluoro-2-(4-methylbenzyloxy)pyrimidin-4-ylamine (0.10 g, 0.43 mmol) in dioxane (2 mL) was added paraformaldehyde (0.060 g, 2 mmol) and the mixture was agitated on an orbital shaker at 90 °C for 16 h, cooled, and evaporated to dryness. Purification by reverse phase chromatography afforded 0.070 g (63%) of the title compound as a white solid: mp 97-98°C; ^{1}H NMR ($CDCl_3$) δ 7.94 (d, J = 2.5Hz, 1H), 7.36 (d, J = 7.9Hz, 2H), 7.19 (d, J = 7.9Hz, 2H), 5.97 (bs, 1H), 5.33 (s, 2H), 5.04-4.99 (m, 2H), 3.39 (t, J = 8.0Hz, 1H), 2.37 (s, 3H); MS (ESI) m/z 264 $(M+H)^+$.

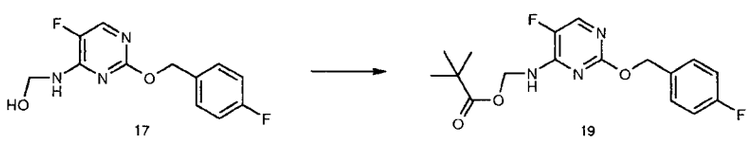
Preparation of Benzyloxymethyl[5-fluoro-2-(4-fluorobenzyloxy)pyrimidin-4-yl]amine (**18**):



To a mixture of [5-fluoro-2-(4-fluorobenzyloxy)pyrimidin-4-ylamino]methanol (0.10 g, 3.7 mmol) in benzyl alcohol (1 mL) was added a catalytic amount of *p*-toluene sulfonic acid. After 30 min, the reaction was cooled to room temperature and partitioned between ethyl acetate and saturated sodium bicarbonate. The phases were separated and the organic portion was dried over anhydrous Na_2SO_4, filtered and evaporated to obtain the

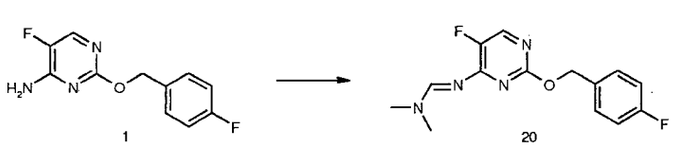
crude product. Purification by reverse phase chromatography afforded 0.094 g (70%) of the title compound as a white solid: mp 64-66 °C; ^{1}H NMR ($CDCl_3$) δ 7.93 (d, J = 2.7Hz, 1H), 7.47-7.40 (m, 2H), 7.37-7.29 (m, 5H), 7.08-7.00 (m, 2H), 5.81-5.70 (bm, 1H), 5.29 (s, 2H), 5.12 (d, J = 6.9Hz, 2H), 4.63 (s, 2H); MS (ESI) m/z 358 $(M+H)^+$.

Preparation of 2,2-Dimethylpropionic acid[5-fluoro-2-(4-fluorobenzyloxy)pyrimidin-4-ylamino]methyl ester (**19**):



To a mixture of [5-fluoro-2-(4-fluorobenzyloxy)pyrimidin-4-ylamino]methanol (0.10 g, 0.37 mmol) in pyridine (2 mL) was added trimethylacetyl chloride (0.048 g, 0.40 mmol), and the mixture was agitated on an orbital shaker at 60 °C for 4 h. The reaction mixture was cooled, evaporated to dryness, and partitioned between EtOAc and water. The organic layer was dried over Na_2SO_4, filtered, and evaporated to yield the title compound (0.078 g, 60% yield) as a white solid: mp 134-135 °C; ^{1}H NMR (300MHz, $CDCl_3$) δ 7.97 (d, J = 2.5 Hz, 1H), 7.49-7.44 (m, 2H), 7.11-7.03 (m, 2H), 6.17 (bt, $J \approx 7$ Hz, 1H), 6.17 (d, J = 7.4 Hz, 2H), 5.33 (s, 2H), 1.20 (s, 9H); HPLC-MS m/z 352 $(M+H)^+$.

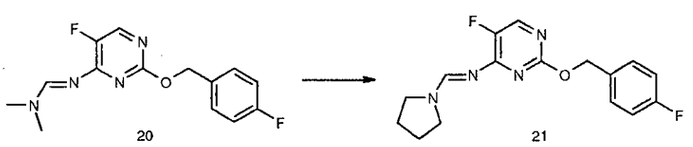
Preparation of *N*'-[5-Fluoro-2-(4-fluorobenzyloxy)pyrimidin-4-yl]-*N,N* dimethyl-formamidine (**20**):



To a magnetically stirred solution of 5-fluoro-2-(4-fluorobenzyloxy)pyrimidin-4-ylamine (1.00 g, 4.2 mmol) in *N,N*-dimethylformamide (DMF, 20 mL) was added *N,N*-dimethylformamide dimethyl acetal (0.55 g, 4.6 mmol) and stirring was continued 16 h at

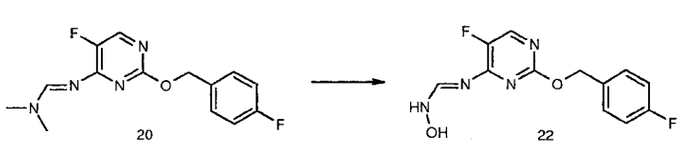
RT. The solution was poured into 100 mL of ice water, whereupon a white precipitate was produced. The mixture was cooled at 0 °C for 1 h and then filtered to produce the title compound (1.10 g, 89%) as a white solid: mp 113-115 °C; ^{1}H NMR ($CDCl_3$) δ 8.65 (s, 1H), 8.04 (d, J = 2.6 Hz, 1H), 7.46-7.40 (m, 2H), 7.07-6.98 (m, 2H), 5.30 (s, 2H), 3.17 (s, 3H), 3.16 (s, 3H); MS (ESI) m/z 292 $(M+H)^+$. Anal. Calcd for $C_{14}H_{14}F_2N_4O$: C, 57.53; H, 4.83; N, 19.17. Found: C, 57.67; H, 4.84; N, 19.09.

Preparation of [5-Fluoro-2-(4-fluorobenzyloxy)pyrimidin-4-yl]-[1-pyrrolidin-1-yl-methylidene]amine (**21**):



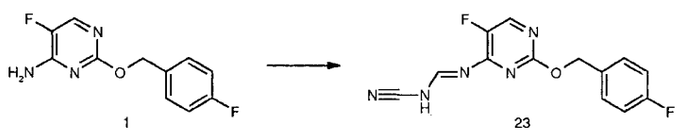
To a solution of N'-[5-fluoro-2-(4-fluorobenzyloxy)pyrimidin-4-yl]-N,N-dimethylformamidine (0.10 g, 0.36 mmol) in toluene (2 mL) was added pyrrolidine (0.051 g, 0.72 mmol) and a catalytic amount of camphorsulfonic acid. The vented vial was placed on an orbital shaker, agitated at 90 °C for 16 h, cooled, and evaporated to dryness. Purification by reverse phase chromatography afforded the title compound (0.060 g, 53% yield) as a white solid: mp 102-103 °C; ^{1}H NMR (300MHz, $CDCl_3$) δ 8.87 (s, 1H), 8.06 (d, J = 2.7 Hz, 1H), 7.49-7.42 (m, 2H), 7.09-7.01 (m, 2H), 5.32 (s, 2H), 3.73-3.62 (m, 4H), 2.07-1.96 (m, 4H); HPLC-MS (ESI) m/z 319 $(M+H)^+$.

Preparation of N-[5-Fluoro-2-(4-fluorobenzyloxy)pyrimidin-4-yl]-N'-hydroxy-formamidine (**22**):



To a solution of N'-[5-fluoro-2-(4-fluorobenzyloxy)pyrimidin-4-yl]-N,N-dimethyl-formamidine (0.10 g, 0.34 mmol) in EtOH (2 mL) was added hydroxylamine hydrochloride (0.047 g, 0.68 mmol) and the mixture was agitated on an orbital shaker for 1.5 h at 50 °C. The reaction mixture was cooled and evaporated to dryness. Water was added to produce a slurry which was filtered to isolate the title compound (0.090 g, 94% yield) as a white solid: mp 169-171 °C; ^{1}H NMR (300MHz, $CDCl_3$) δ 8.15 (d, J = 2.2 Hz, 1H), 8.02 (bs, 2H), 7.49-7.43 (m, 2H), 7.11-7.02 (m, 3H), 5.35 (s, 2H); HPLC-MS (ESI) *m/z* 281 $(M+H)^+$, 279 $(M-H)^-$.

Preparation of N-[5-Fluoro-2-(4-fluorobenzyloxy)pyrimidin-4-yl]-N'-cyanoformamidine (**23**):

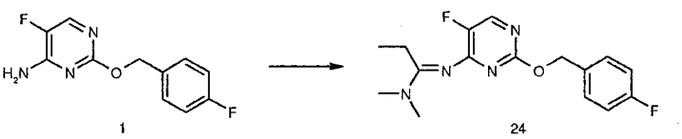


1 23

Cyanamide (8.00 g, 190.0 mmol) was stirred at reflux in triethylorthoformate (60 mL) for 2 h. The reaction was cooled to room temperature and distilled to provide ethyl-N-cyanoimidate (12.5 g, bp = 110-112 °C/45 mm Hg).* To this imidate (1 mL) was added 5-fluoro-2-(4-fluorobenzyloxy)pyrimidin-4-ylamine (0.05 g, 0.2 mmol) and the mixture was heated at 90 °C for 4 h, cooled, diluted with chloroform, filtered, and evaporated. The crude product was purified by reverse phase chromatography to furnish 0.053 g (17%) of the title compound as an off white solid: mp 148-149 °C; ^{1}H NMR (300MHz, $CDCl_3$) δ 9.45 and 9.33 (bd, bs, $J \approx$ 10 Hz, 1H), 8.33 and 8.25 (2d, $J \approx$ 2Hz, 1H), 7.46-7.38 (m, 2H), 7.11-7.01 (m, 2H), 5.35 and 5.33 (2s, 2H); HPLC-MS (ESI) *m/z* 290 $(M+H)^+$, 288 $(M-H)^-$.

* Bridsen, Peter K., and Wang, Xiaodong, *Synthesis,* **1995**, 855-8.

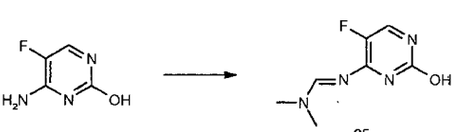
Preparation of N'-[5-Fluoro-2-(4-fluorobenzyloxy)pyrimidin-4-yl]-N,N-dimethyl-propionamidine (**24**):



1 24

To a solution of *N,N*-Dimethylpropionamide (0.202 g, 2.0 mmol) in $CHCl_3$ (2 mL) was added phosphorous oxychloride ($POCl_3$, 0.066 g, 0.43 mmol) and the mixture was agitated on an orbital shaker at room temperature for 1 h. Triethylamine (0.22 g, 2.2 mmol) and 5-fluoro-2-(4-fluorobenzyloxy)pyrimidin-4-ylamine (0.10 g, 0.40 mmol) were added, and the mixture was agitated at 50 °C for 3 h, cooled to room temperature, partitioned between chloroform and water, the phases separated, and the organics evaporated under reduced pressure. Purification by reverse phase chromatography afforded the title compound (0.042 g, 31% yield) as a yellow oil: ^{1}H NMR (300MHz, $CDCl_3$) δ 8.87 (s, 1H), 8.04 (d, *J* = 2.5 Hz, 1H), 7.46-7.40 (m, 2H), 7.07-6.99 (m, 2H), 5.30 (s, 2H), 3.13 (s, 6H), 2.55 (q, *J* = 7.7 Hz, 2H), 1.15 (t, *J* = 7.7 Hz, 3H); HPLC-MS (ESI) *m/z* 321 $(M+H)^+$.

Preparation of N'-(5-Fluoro-2-hydroxy-pyrimidin-4-yl)-N,N-dimethyl-formamidine (**25**):

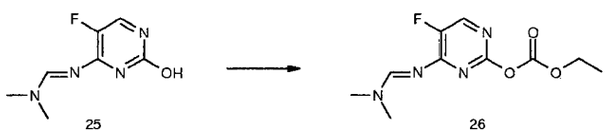


25

To a magnetically stirred solution of 4-amino-5-fluoro-pyrimidin-2-ol* (4.00 g, 31.0 mmol) in DMF (100 mL) was added *N,N*-dimethylformamide dimethyl acetal (4.00 g, 34.0 mmol). The mixture was stirred at room temperature for 72 h, diluted with diethyl ether (200 mL), and filtered. The solid product was washed with heptane to give the title compound (5.23 g, 92% yield) as a white solid: mp 240-243 °C; ^{1}H NMR (300MHz, DMSO-d_6) δ 10.7 (bs, 1H), 8.59 (s, 1H), 7.7 (d, *J* = 5.6 Hz, 1H), 3.18 (s, 3H), 3.06 (s, 3H); HPLC-MS (ESI) *m/z* 185 $(M+H)^+$, 183 $(M-H)^-$.

*4-amino-5-fluoro-pyrimidin-2-ol can be purchased commercially.

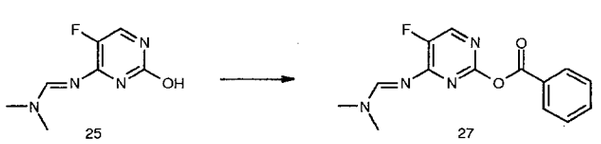
Preparation of Carbonic acid 4-(dimethylamino-methyleneamino)-5-fluoropyrimidin-2-yl ester ethyl ester (**26**):



25 26

To a solution of N'-(5-fluoro-2-hydroxy-pyrimidin-4-yl)-N,N-dimethylformamidine (0.10, 0.54 mmol) in CH_2Cl_2 (2 mL) were added triethylamine (0.20 g, 2.0 mmol) and ethyl chloroformate (0.065 g, 0.60 mmol), and the mixture was agitated on an orbital shaker at room temperature overnight. The reaction was diluted with CH_2Cl_2 and the solution was washed with water, dried over $MgSO_4$, filtered and evaporated. The crude product was purified by silica gel column chromatography (EtOAc / petroleum ether gradient) to yield 0.031 g (22%) of the title compound as a white solid: mp 124-126 °C; ^{1}H NMR (300MHz, $CDCl_3$) δ 8.67 (s, 1H), 8.19 (d, J = 2.2 Hz, 1H), 4.35 (q, J = 7.14 Hz, 2H), 3.21 (s, 6H), 1.40 (t, J = 7.14 Hz, 3H); HPLC-MS (ESI) m/z 258 $(M+H)^+$.

Preparation of Benzoic acid 4-(dimethylamino-methyleneamino)-5-fluoropyrimidin-2-yl ester (**27**):

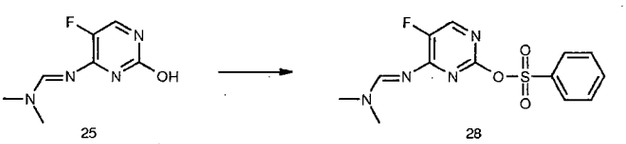


25 27

To a suspension of N'-(5-fluoro-2-hydroxypyrimidin-4-yl)-N,N-dimethylformamidine (0.10 g, 0.54 mmol) in pyridine (2 mL) was added benzoyl chloride (0.084 g, 0.60 mmol), and the mixture was agitated on an orbital shaker for 16 h at RT. The reaction mixture was partitioned between EtOAc and saturated aq $NaHCO_3$, and the organic phase was dried over solid $MgSO_4$, filtered, and evaporated to give the title compound (0.147 g 94%) as a white solid: mp 136-138 °C; ^{1}H NMR (300MHz, $CDCl_3$) δ 8.69 (s, 1H), 8.27

(d, J = 2.4Hz, 2H), 8.25-8.20 (m, 2H), 7.69-7.63 (m, 1H), 7.56-7.49 (m, 2H), 3.23 (s, 3H), 3.20 (s, 3H); HPLC-MS(ESI) *m/z* 289 $(M+H)^+$.

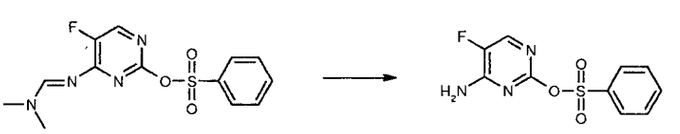
Preparation of Benzenesulfonic acid 4-(dimethylamino-methyleneamino)-5-fluoro-pyrimidin-2-yl ester (**28**):



25 28

To a suspension of N'-(5-fluoro-2-hydroxypyrimidin-4-yl)-N,N-dimethylformamidine (0.10 g, 0.54 mmol) in pyridine (2 mL) was added benzene sulfonyl chloride (0.106 g, 0.60 mmol) and the mixture was agitated on an orbital shaker for 16 h at room temperature. The reaction mixture was partitioned between EtOAc and saturated aq $NaHCO_3$, and the organic phase was dried over solid $MgSO_4$, filtered, and concentrated under reduced pressure. Purification by reverse phase chromatography (H_2O / MeCN gradient) afforded the title compound (0.089 g, 46% yield) as a white solid: mp 124-125 °C; ^1H NMR (300MHz, $CDCl_3$) δ 8.54 (s, 1H), 8.12-8.07 (m, 3H), 7.73-7.66 (m, 1H), 7.62-7.56 (m, 2H), 3.21 (s, 6H); HPLC-MS (ESI) *m/z* 325 $(M+H)^+$.

Preparation of Benzenesulfonic acid 4-amino-5-fluoropyrimidin-2-yl ester (**29**):

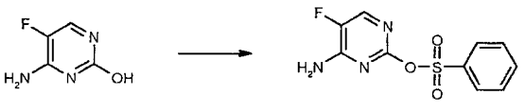


28 29

To a solution of HCl in doxane (3 mL of 10%) was added benzenesulfonic acid 4-(dimethylamino-methyleneamino)-5-fluoropyrimidin-2-yl ester (0.090, 0.3 mmol) and the mixture was agitated on an orbital shaker at room temperature for 1.5 h. The solvent was removed by evaporation and the residue was dissolved in a 1:1 solution of dioxane and water (2.5 mL) and treated with saturated aq $NaHCO_3$ (0.5 mL). After 16 h, the

reaction mixture was partitioned between EtOAc and water and the organic phase was dried over Na_2SO_4, filtered, and the solvent evaporated to yield the title compound (0.059 g, 79% yield) as a white solid: mp 139-141 °C; ^{1}H NMR (300MHz, DMSO- d_6) δ 8.05-8.00 (m, 3H), 7.90-7.75 (m, 3H), 7.70-7.63 (m, 2H); HPLC-MS (ESI) *m/z* 268 $(M-H)^-$, 270 $(M+H)^+$.

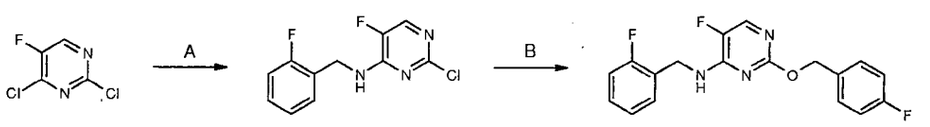
Preparation of Benzenesulfonic acid 4-amino-5-fluoropyrimidin-2-yl ester (**29**):



29

To a suspension of 5-fluorocytosine (0.177 g, 1.4 mmol) in pyridine (5 mL) was added and benzene sulfonyl chloride (0.284 g, 1.6 mmol) and the mixture was stirred at room temperature for 2 h. The reaction mixture was evaporated to dryness and the crude material purified by reverse phase chromatography to yield the title compound (0.106 g, 29% yield) as a white solid: mp 145-146 °C; ^{1}H NMR (300MHz, DMSO- d_6) δ 8.05-8.00 (m, 3H), 7.9-7.75 (m, 3H), 7.70-7.63 (m, 2H); HPLC-MS (ESI) *m/z* 270 $(M+H)^+$, 268 $(M-H)^-$.

Preparation of (2-Fluorobenzyl)-[5-fluoro-2-(4-fluorobenzyloxy)pyrimidin-4-yl]amine (**30**):



30

A) A magnetically stirred solution of 2,4-dichloro-5-fluoropyrimidine* (0.105 g, 0.63 mmol) in 5 mL of dry THF was treated with 2-fluorobenzylamine (0.085 g, 0.68 mmol) and excess triethylamine, and the resulting mixture was heated at 80 °C for 5 h. The reaction mixture was partitioned between CH_2Cl_2 and dilute HCl, and the organic phase

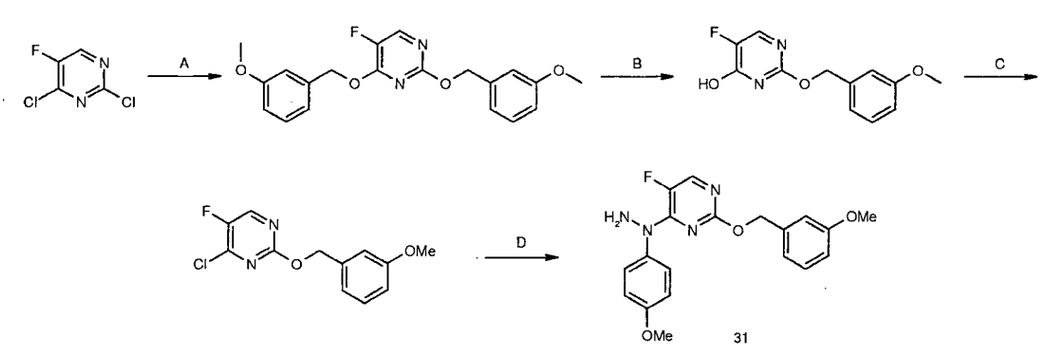
was washed with brine, dried over Na_2SO_4, and filtered. The solvent was removed under reduced pressure to yield 0.157 g (97%) of the title compound as a yellow solid: mp 117-118 °C; ^{1}H NMR (300MHz, $CDCl_3$) δ 7.90 (d, J = 2.6, 1H), 7.47 – 7.27 (m, 2H), 7.21 – 7.01 (m, 2H), 5.54 (s, 1H), 4.76 (d, J = 5.9, 2H); MS (ESI) m/z 256 $(M+H)^+$.

*2,4-Dichloro-5-fluoropyrimidine can be purchased commercially.

B) A solution of (2-chloro-5-fluoropyrimidin-4-yl)-(2-fluorobenzyl)amine* (0.103 g, 0.40 mmol) in 5 mL of dry THF was treated with 4-fluorobenzylalcohol (0.062 g, 0.49 mmol) and a 1.0 M solution of KO^{t}Bu in ^{t}BuOH (0.4 mL , 0.4 mmol). The mixture was heated at 80 °C in a sealed vial for 18 h, partitioned between CH_2Cl_2 and water, and the organic phase was washed with brine, dried over Na_2SO_4, and filtered. The solvent was removed under reduced pressure and the residue purified by flash column chromatography (SiO_2, 10→20% EtOAc / petroleum ether) to yield the title compound (0.157 g, 42%) as a white solid: mp 83-84 °C; ^{1}H NMR (300MHz, $CDCl_3$) δ 7.83 (d, J = 2.8, 1H), 7.45 – 7.27 (m, 5H), 7.15 – 6.96 (m, 5H), 5.37 (br s, 1H), 5.29 (s, 3H), 4.74 (d, J = 5.9, 3H); MS (ESI) m/z 346 $(M+H)^+$

*Singh, R.; Argade, A.; Payan, D.G.; Clough, J.; Keim, H.; Sylvain, C.; Li, H.; Bhamidipati, S., WO 2004014382 A1 20040219

Preparation of 5-fluoro-2-(3-methoxybenzyloxy)-4-(1-(4-methoxyphenyl)-hydrazinyl)pyrimidine (**31**):



A) A 1.0 M solution of KO^{t}Bu in KO^{t}Bu (66 mL, 66 mmol) was added to a mixture of 2,4-dichloro-5-fluoropyrimidine (5.04 g, 30.1 mmol) and 3-methoxybenzyl alcohol (7.8 mL, 62.8 mmol) in a 250 mL round bottom flask. A significant exotherm was observed and the resulting mixture was stirred at room temperature for 2 h. The reaction was diluted with EtOAc (100 mL) and washed with brine (50 mL x 2). The organic layer was dried over $MgSO_4$, filtered, and concentrated under reduced pressure. Crystallization from hot EtOH provided a material which was collected on a fritted funnel and rinsed with ice-cold EtOH to provide the title compound (7.94 g, 71%) as a white solid: mp 81-83 °C; ^{1}H NMR (300 MHz, $CDCl_3$) δ 8.10 (d, J = 2.3 Hz, 1H), 7.29 (m, 2H), 7.02 (m, 4H), 6.87 (dt, J = 2.2, 7.8 Hz, 2H), 5.44 (s, 2H), 5.35 (s, 2H), 3.82 (s, 3H), 3.82 (s, 3H); MS (ESI) m/z 371 $(M+H)^+$.

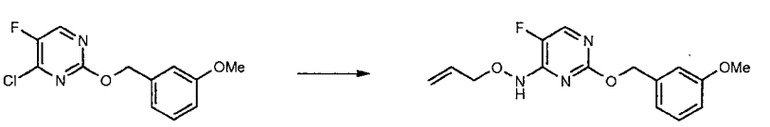
B) A solution of 2.0 N KOH in water (85 mL, 170 mmol) was added to a mixture of 5-fluoro-2,4-bis(3-methoxybenzyloxy)pyrimidine (7.9 g, 21.3 mmol) and EtOH (21 mL) in a 500 mL round bottom flask. A reflux condenser was attached, and the reaction was heated at 95 °C for 16 h. After cooling to room temperature, the reaction mixture was washed with Et_2O (2 x 50 mL), and then acidified with 1 N HCl to pH 3. The resulting solid material was collected on a fritted funnel. Subsequent extraction with excess EtOAC, and concentration under reduced pressure provided the title compound (3.63 g, 68%) as a white solid: mp 136-139; ^{1}H NMR (300 MHz, DMSO-d_6) δ 12.97 (br s, 1H), 7.87 (d, J = 3.7 Hz, 1H), 7.30 (t, J = 7.9 Hz, 1H), 7.00 (m, 2H), 6.91 (dd, J = 1.8, 8.0 Hz, 1H), 5.29 (s, 2H), 3.74 (s, 3H); MS (ESI) m/z 251 $(M+H)^+$.

C) An oven-dried 100 mL Schlenk flask was charged with 5-fluoro-2-(3-methoxybenzyloxy)pyrimidin-4-ol (3.63 g, 14.5 mmol) and *N,N*-dimethylaniline (3.7 mL, 29.2 mL). Phosphorous oxychloride ($POCl_3$, 40 mL, 429 mmol) was added, and resulting solution was heated to 95 °C under nitrogen. After 2 h, the reaction was cooled to room temperature and concentrated to constant volume under reduced pressure at 50 °C. The remaining residue was diluted with Et_2O (50 mL) and washed with 1 N HCl (2 x 50 mL). Concentration at reduced pressure provided a solid, which was washed with water and

collected by vacuum filtration. The title compound (4.09 g, 105%) was isolated as a white solid: mp 96-100 °C; ^{1}H NMR (300 MHz, DMSO-d_6) δ 8.81 (d, J = 0.8 Hz, 1H), 7.30 (t, J = 8.1 Hz, 1H), 7.02 (m, 2H), 6.91 (dd, J = 2.3, 8.3 Hz, 1H), 5.34 (s, 2H), 3.75 (s, 3H); MS (ESI) m/z 269 $(M+H)^+$.

D) To a mixture of 4-chloro-2-(3-methoxybenzyl)-5-fluoropyrimidine (0.153 g, 0.568 mmol) and 4-methoxyphenyl-hydrazine hydrochloride (0.324 g, 1.85 mmol) in ethanol (5 mL) was added triethylamine (0.272, 2.69 mmol) and the mixture was heated to 50 °C for 16 h. The reaction was cooled to room temperature and diluted with Et_2O (50 mL). The Et_2O solution was washed with water (2 x 50 mL), dried over $MgSO_4$, filtered, and concentrated. The residue was triturated with Et_2O to obtain 5-fluoro-2-(3-methoxy-benzyloxy)-4-(1-(4-methoxyphenyl)hydrazinyl)pyrimidine (0.113 g, 54% yield) as a white solid: mp 121-123.5 °C; ^{1}H NMR (300 MHz, DMSO-d_6) δ 8.02 (d, J = 5.4 Hz, 1H), 7.28 (t, J =8.1 Hz, 1H), 7.22 (d, J = 8.5 Hz, 2H), 6.99-6.93 (m, 2H), 6.93-6.85 (m, 3H), 5.25 (s, 2H), 3.75 (s, 3H), 3.74 (s, 3H); MS (ESI) m/z 371 $(M+H)^+$, 354 $(M-NH_2)^+$.

Preparation of O-allyl-N-(5-fluoro-2-(3-methoxybenzyloxy)pyrimidin-4-yl)hydroxyl-amine (**32**):

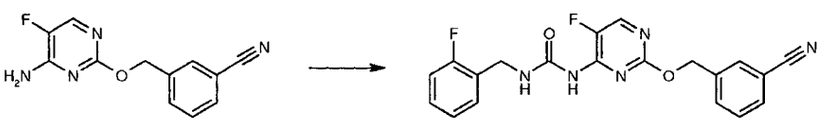


32

To a mixture of 4-chloro-2-(3-methoxybenzyl)-5-fluoropyrimidine (0.151 g, 0.558 mmol) and *O*-allyl hydroxylamine hydrochloride (0.201 g, 1.83 mmol) in 5:1 MeOH:CH_3CN (5 mL) was added triethylamine (0.273 g, 2.70 mmol) and the mixture was heated at 50 °C for18 h. The reaction was cooled to room temperature and diluted with Et_2O (50 mL). The organic solution was washed with water (2 x 50 mL), dried over $MgSO_4$, filtered, and concentrated. Purification by flash chromatography (SiO_2, 17%-->50% EtOAc /

hexane) afforded O-allyl-N-(5-fluoro-2-(3-methoxybenzyloxy)-pyrimidin-4-yl)hydroxylamine (0.113 g, 66% yield) as a colorless oil: ^{1}H NMR (300 MHz, DMSO-d_6) δ 10.94 (broad singlet, 1H), 7.94 (broad singlet, 1H), 7.28 (t, J = 8.0 Hz, 1H), 6.95-7.03 (m, 2H), 6.88 (dd, J = 2.5, 7.9 Hz, 1H), 5.97 (tdd, J = 5.8, 10.6, 17.0 Hz, 1H), 5.32 (dd, J = 1.5, 17.4 Hz, 1H), 5.24 (s, 2H), 5.22 (dd, J = 1.2, 10.6 Hz, 1H), 4.39 (d, J = 6.0 Hz, 2H), 3.74 (s, 3H); MS (ESI) m/z 306 $(M+H)^+$, 304 $(M-H)^-$.

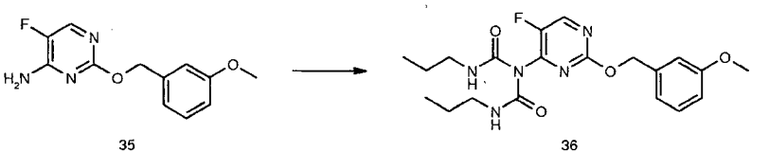
Preparation of 1-[2-(3-Cyanobenzyloxy)-5-fluoropyrimidin-4-yl]-3-(2-fluorobenzyl)urea (**34**):



33 34

To a magnetically stirred mixture of 3-(4-amino-5-fluoropyrimidin-2-yloxymethyl)-benzonitrile (0.075 g, 0.31 mmol) and 2-fluorobenzylisocyanate (0.59 mL, 0.46 mmol) in dry DMF (1.5 mL) was added LiHMDS (1.0 M in THF, 0.31 ml, 0.30 mmol). The vial was capped and the mixture stirred at room temperature for 8 h. Saturated aq. NH_4Cl (3 ml) was added and the mixture was stirred for 4 h. The heterogeneous mixture was filtered, and the solid was washed with hot water, washed with E_2O, and then dried under vacuum to give the title compound (0.075 g, 62%) as a white solid: mp 177-178 °C; ^{1}H NMR (400MHz, DMSO-d_6) δ 10.04 (s, 1H), 8.90 (t, J = 5.7 Hz, 1H), 8.37 (d, J = 2.8 Hz, 1H), 7.85 (br s, 1H), 7.80 (d, J = 7.5 Hz, 1H), 7.74 (d, J = 8.0 Hz, 1H), 7.60 (t, J = 7.8 Hz, 1H), 7.42-7.38 (m,1H), 7.35-7.29 (m, 1H), 7.20-7.14 (m, 2H), 5.33 (s, 2H), 4.49 (d, J = 5.8 Hz, 2H); HPLC-MS (ESI) m/z 396.3 $(M+H)^+$, 394.3 $(M-H)^-$.

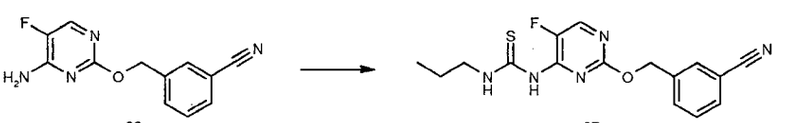
Preparation of 1-[5-Fluoro-2-(3-methoxybenzyloxy)pyrimidin-4-yl](3'-propyl carbamoyl)-3-propyl-urea (**36**)



35 36

To a magnetically stirred mixture of 5-fluoro-2-(3-methoxybenzyloxy)pyrimidin-4-ylamine (0.075 g, 0.30 mmol) and propylisocyanate (0.057 mL, 0.60 mmol) in dry DMF (1.5 mL) was added LiHMDS (1.0 M in THF, 0.60 ml, 0.60 mmol). The vial was capped and the reaction was stirred at room temperature for 8 h. The solvent was evaporated under reduced pressure and the crude material was purified by reverse-phase chromatography to give the title compound (0.043 g, 10%) as a tan solid: mp 75-78 °C; ^{1}H NMR (400MHz, DMSO-d_6) δ 12.34 (s, 1H), 8.49 (s, 1H), 7.89 (s, 1H), 7.29 (t, J = 7.8 Hz, 1H), 7.05-7.01 (m, 2H), 6.90 (dd, J = 6.9Hz, J = 2.5 Hz, 1H), 5.30 (s, 2H), 3.75 (s, 3H), 3.74-3.68 (m, 2H), 3.15-3.10 (m, 2H), 1.58-1.44 (m, 4H), 0.89-0.85 (m, 6H); HPLC-MS (ESI) m/z 420.4 $(M+H)^+$, 418.4 $(M-H)^-$.

Preparation of 1-[2-(3-Cyanobenzyloxy)-5-fluoropyrimidin-4-yl]-3-propylthiourea (**37**)



33 37

To a magnetically stirred mixture of 3-(4-amino-5-fluoropyrimidin-2-yloxymethyl)-benzonitrile (0.075 g, 0.31 mmol) and propylisothiocyanate (0.047 mL, 0.46 mmol) in dry DMF (1.5 mL) was added LiHMDS (1.0 M in THF, 0.31 ml, 0.31 mmol). The vial was capped and reaction was stirred for 8 h. Saturated aq NH_4Cl (3 ml) was added to the vial and the mixture was stirred for 4 h. The heterogeneous mixture was filtered and the solid was washed with hot water, washed with hexanes, and dried under vacuum to give the title compound (0.055 g, 52%) as a pale-yellow solid: mp 163-165 °C; ^{1}H NMR (400MHz, DMSO-d_6) δ 10.77 (s, 1H), 10.38 (s, 1H), 8.47 (d, J = 2.7 Hz, 1H), 7.90 (s, 1H), 7.82 (d, J = 7.5 Hz, 1H), 7.78 (d, J = 8.1 Hz, 1H), 7.62 (t,

J = 7.7 Hz, 1 H), 5.42 (s, 2H), 3.55 (dd, J = 12.4, 6.8 Hz, 2H), 1.65-1.59 (m, 2H), 0.95 (t, J = 7.5 Hz, 3H): HPLC-MS (ESI) *m/z* 346.3 $(M+H)^+$, 344.2 $(M-H)^-$.

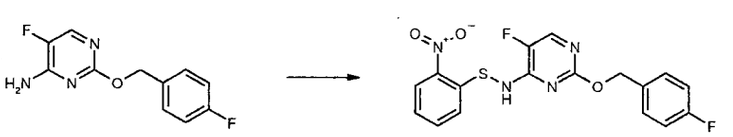
Preparation of N-[5-Fluoro-2-(4-methylbenzyloxy)pyrimidin-4-yl]methanesulfonamide (**38**):



15 38

To a solution of 5-fluoro-2-(4-methylbenzyloxy)pyrimidin-4-ylamine (0.100 g, 0.43 mmol) in anhydrous THF (4 mL) was added LiHMDS (1.07 mL of 1.0M, 1.07 mmol) dropwise at room temperature, and the resulting orange solution was stirred for 20 min. Methanesulfonyl chloride (0.108 g, 0.94 mmol) was added in one portion and the turbid, light orange solution was stirred for 60 min. The reaction was quenched with brine (5 mL) and the THF phase was separated. The aq. phase was extracted w/ EtOAc (5 mL), and the organics were combined, dried over Na_2SO_4, filtered, and concentrated to an orange gummy residue. The residue was purified by flash chromatography (SiO_2, 0→100% EtOac / hexanes) to give 0.034 g (26%) of the title compound as a white solid: mp 145-148 °C; ^{1}H NMR (400MHz, $CDCl_3$) δ 8.19 (s, 1H), 7.33 (d, J = 7.9 Hz, 2H), 7.17 (d, J = 7.9 Hz, 2H), 5.35 (s, 2H), 3.37 (s, 3H), 2.35 (s, 3H); HPLC-MS (ESI) *m/z* 312 $(M+H)^+$, 310 $(M-H)^-$.

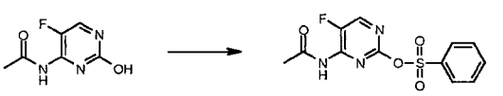
Preparation of N-[5-Fluoro-2-(4-fluorobenzyloxy)-pyrimidin-4-yl]-S-(2-nitrophenyl)-thiohydroxylamine (**39**):



1 39

To a solution of 5-fluoro-2-(4-fluorobenzyloxy)pyrimidin-4-ylamine (0.05 g, 0.2mmol) and a 1.0 M solution of KO^{t}Bu in ^{t}BuOH (1.0 mL, 1.0 mmol) was added nitrobenzene-sulfenyl chloride (0.044 g, 0.23 mmol) in one portion, and the resulting brown solution was stirred for 60 min. The reaction was diluted with water (2 mL) and neturalized to pH 7 with 1N HCl. The aq. phase was extracted with EtOAc (5 mL), and the organics were combined, dried over Na_2SO_4, filtered, and concentrated. The crude material was purified by reverse phase chromatography to yield the title compound (0.020 g, 26%) as a yellow solid: mp 184 °C; ^{1}H NMR (300MHz, $CDCl_3$) δ 8.36 (d, J = 7.25 Hz, 1H), 8.09 (d, J = 2.3 Hz, 1H), 7.59 (m, 1H), 7.36 (m 2H), 7.29 (m, 2H), 6.88 (m, 2H), 6.23 (bs, 1H), 5.19 (s, 2H); HPLC-MS (ESI) m/z 391(M+H)$^+$, 389 (M-H)$^-$.

Preparation of benzenesulfonic acid 4-acetylamino-5-fluoro-pyrimidin-2-yl ester (**40**):



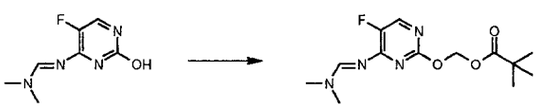
40

N-(5-fluoro-2-hydroxypyrimidin-4-yl)-acetamide* (200 mg, 1.17 mmol) was suspended in pyridine (5 mL) and stirred at ambient temperature. To the stirred suspension was added benzenesulfonyl chloride (226 mg, 1.29 mmol) and agitation was continued for 16 hours. The solvent was evaporated under a stream of nitrogen and the residue was suspended in dichloromethane (2-3 mL), placed directly onto a silica gel column, and eluted with ethyl acetate in petroleum ether (0-50% gradient) to isolate 180 mg, 0.58mmol (49%) of the title compound as a white solid: mp 142-143 °C; ^{1}H NMR (DMSO-d_6) δ 10.96 (s, 1H), 8.67 (d, J = 2.6 Hz, 1H), 8.12-8.06 (m, 2H), 7.86-7.79 (m, 1H), 7.73-7.65 (m, 2H), 2.98 (s, 3H); HPLC-MS (ESI) m/z 312 (M+H)$^+$, 310 (M-H)$^-$.

* N-(5-fluoro-2-hydroxypyrimidin-4-yl)-acetamide can be prepared through known literature methods.

1. Duschinsky, R., Fells, E., Hoffer, M. US Patent 3,309,359

Preparation of 2,2-dimethylpropionic acid 4-(dimethylamino-methyleneamino)-5-fluoro-pyrimidin-2-yloxymethyl ester (**41**):



25 41

N'-(5-fluoro-2-hydroxypyrimidin-4-yl)-N,N-dimethylformamidine (100 mg, 0.54 mmol), cesium carbonate (196 mg, 0.60 mmol), and chloromethyl pivalate (90 mg, 0.6 mmol) were shaken together in DMF (3 mL) at ambient temperature for 16 hours. The reaction mixture was partitioned between ethyl acetate and water, dried over magnesium sulfate, filtered and evaporated to yield a colorless oil which was treated with diethyl ether (3-4 mL) to produce a solid. The solid was removed and the ether solution was placed onto a silica gel column and eluted with ethyl acetate in petroleum ether (0-50% gradient) to isolate 14 mg, 0.05 mmol (9%) of the title compound as a white solid: mp 86-88 °C; ^{1}H NMR ($CDCl_3$) δ 8.73 (s, 1H), 8.06 (d, J = 2.6 Hz, 1H), 6.04 (s, 2H), 3.20 (s, 3H), 3.18 (s, 3H), 1.16 (s, 9H); HPLC-MS (ESI) m/z 299 $(M+H)^+$.

Preparation of N'-(5-fluoro-2-methoxymethoxypyrimidin-4-yl)-N,N-dimethyl-formamidine (**42**):

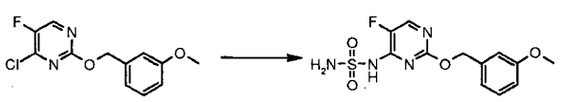


25 42

N'-(5-fluoro-2-hydroxypyrimidin-4-yl)-N,N-dimethylformamidine (100 mg, 0.54 mmol), cesium carbonate (196 mg, 0.60 mmol), and bromomethyl methyl ether (75 mg, 0.6 mmol) were shaken together in DMF (3 mL) at ambient temperature for 4 hours. The reaction mixture was partitioned between ethyl acetate and water, dried over magnesium sulfate, filtered and evaporated to yield a colorless oil which was placed directly onto a silica gel column and eluted with ethyl acetate in petroleum ether (0-80% gradient) to

isolate 23mg, 0.1 mmol (19%) of the title compound as a colorless oil: ^{1}H NMR ($CDCl_3$) δ 8.66 (s, 1H), 8.05 (d, J = 2.6Hz, 1H), 5.46 (s, 2H), 3.53 (s, 3H), 3.17 (s, 3H), 3.16 (s, 3H); HPLC-MS (ESI) m/z 229 $(M+H)^+$.

Preparation of [5-Fluoro-2-(3-methoxybenzyloxy)pyrimidin-4-yl]sulfamide (**43**):



43

To a magnetically stirred solution of 4-chloro-5-fluoro-2-(3-methoxybenzyloxy) pyrimidine* (1.3g, 4.84 mmol) in dry DMF (5mL) was added a pre-mixed suspension of 60% NaH (0.45 g, 10.65 mmol) and sulfamide (0.93g, 9.68 mmol) in dry DMF (5mL). The resulting off-white suspension was stirred at room temperature for 72hrs. The orange suspension was then heated to 50°C for 48 hours and cooled to room temperature. The reaction mixture was partitioned between ethyl acetate and brine solution. The organic extract was dried over Na_2SO_4, filtered, and evaporated. The crude material was purified by column chromatography on normal phase silica using a gradient of EtOAc/Hex and reverse phase using a gradient of H_2O/ACN to yield [5-Fluoro-2-(3-methoxybenzyloxy) pyrimidin-4-yl]sulfamide (115 mg, 7.2% yield) as a white solid: mp 126-130 °C; ^{1}H NMR (300MHz, CD_3OD) δ 8.01 (d, J = 3.63 Hz, 1H), 7.25 (m, 1H), 7.01 (m, 2H), 6.88 (m, 1H), 5.37 (s, 2H), 3.78 (s, 3H); MS (ESI) m/z 326.9 $(M-H)^-$.

* The 4-chloro-5-fluoro-2-(3-methoxybenzyloxy) pyrimidine intermediate was prepared as described in the synthesis of **31**.

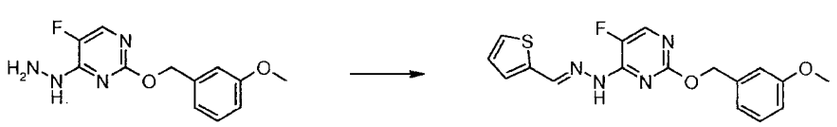
Preparation of 5-fluoro-4-hydrazinyl-2-(3-methoxybenzyloxy)pyrimidine (**44**):



44

A 125 mL Erlenmeyer flask was charged with 4-chloro-5-fluoro-2-(3-methoxybenzyl-oxy)pyrimidine (1.50 g, 5.58 mmol) and EtOH (50 mL). Hydrazine monohydrate (900 μL, 18.5 mmol) was added, and the resulting mixture was allowed to stir at room temperature. After 22 h, the reaction was transferred to a 500 mL Erlenmeyer flask and diluted with water (200 mL), whereupon a white solid began to precipitate from solution. After stirring for 7 h, solid product was collected in a fritted funnel and rinsed with excess water. After drying on the frit, the title compound was obtained (1.23 g, 83%) as a white solid: mp 103-106 °C; ^{1}H NMR (300 MHz, DMSO-d_6) δ 8.92 (bs, 1H), 7.86 (d, J = 3.6 Hz, 1H), 7.27 (t, J = 8.1 Hz, 1H), 6.94 – 7.01 (m, 2H), 6.87 (dd, J = 2.4, 7.9 Hz, 1H), 5.24 (s, 2H), 4.46 (bs, 2H), 3.74 (s, 3H); MS (ESI) *m/z* 265.2 $(M+H)^+$, 263.2 $(M-H)^-$.

Preparation of (E)-5-Fluoro-2-(3-methoxybenzyloxy)-4-(2-(thiophen-2-ylmethylene)-hydrazinyl)pyrimidine(**45**):



44 45

A 20 mL vial was charged with 5-fluoro-4-hydrazinyl-2-(3-methoxybenzyloxy)-pyrimidine (74.7 mg, 0.283 mmol), EtOH (2 mL), thiophene-2-carbaldehyde (26 μL, 0.284 mmol) and 1 M HCl in Et_2O (14 μL, 0.014 mmol) and heated at 50 °C on shaker. After 90 minutes, the reaction was cooled to room temperature concentrated on high vacuum to provide the title compound (77.8 mg, 77%) as a yellow solid: mp 136-139 °C; ^{1}H NMR (300 MHz, DMSO-d_6) δ 11.46 (bs, 1H), 8.50 (bs, 1H), 8.16 (d, J = 3.6 Hz, 1H), 7.64 (d, *J* = 5.0 Hz, 1H), 7.41 (d, *J* = 3.4 Hz, 1H), 7.28 (t, *J* = 8.0 Hz, 1H), 7.12 (dd, *J* = 3.8, 4.8 Hz, 1H), 7.04 (m, 2H), 6.88 (dd, *J* = 2.4, 8.3 Hz, 1H), 5.27 (s, 2H), 3.73 (s, 3H); MS (ESI) *m/z* 359.2 $(M+H)^+$, 357.2 $(M-H)^-$.

Preparation of 2-(benzyloxy)-4-[(dimethyl-λ^4-sulfanylidene)amino]-5-fluoropyrimidine (**47**):

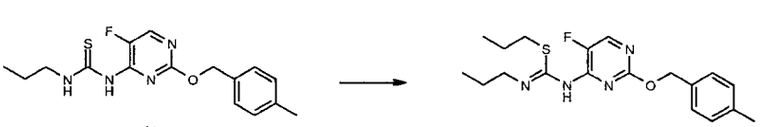


46 47

A 10 mL oven-dried Schlenk flask was charged with 2-(benzyloxy)-5-fluoropyrimidin-4-amine (101 mg, 0.462 mmol), CH_2Cl_2 (2 mL), and dimethylsulfide (75.0 µL, 1.02 mmol) and was cooled to 0 °C in an ice bath. *N*-Chlorosuccinimide (122 mg, 0.914 mmol) was added and the resulting mixture was allowed to stir at 0 °C for 45 minutes, and then at room temperature for 30 minutes. A solution of NaOMe in MeOH (25% , 360 µL, 1.35 mmol) was added. After 20 minutes, the reaction was quenched with water (3 mL) and allowed to stir for 1 hour. The crude reaction mixture was then diluted with CH_2Cl_2 and washed with water (50 mL x2), dried over anhydrous Na_2SO_4, filtered, and concentrated by rotary evaporation and then on high vacuum to give the title compound (120 mg, 93%) as an off white solid: mp 125-129 °C; ^{1}H NMR (300 MHz, DMSO-d_6): δ 7.70 (d, J = 3.9 Hz, 1H), 7.25-7.44 (m, 5H), 5.21 (s, 2H), 2.75 (s, 6H); MS (ESI) *m/z* 281.1 $(M+H)^+$.

*Yamamoto, Y.; Yamamoto, H. *J. Am. Chem. Soc.* **2004**, *126*, 4128-4129.

Preparation of 1-[5-fluoro-2-(4-methylbenzyloxy)-pyrimidin-4-yl]-2,3-dipropyl-isothiourea (**49**)

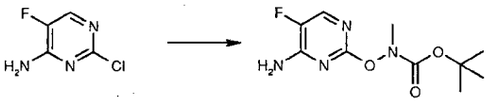


48 49

To a magnetically stirred solution of 1-[5-Fluoro-2-(4-methyl-benzyloxy)-pyrimidin-4-yl]-3-propyl-thiourea (0.50 g, 1.40 mmol) in CH_3CN (4 mL), was added potassium carbonate (0.20 g, 1.40 mmol) at room temperature and the mixture was stirred for 20 min. *N*-Propylbromide (0.19 g, 1.40 mmol) was added at room temperature and the resulting mixture stirred for 15 h. The reaction mixture was diluted with H_2O and extracted with CH_2Cl_2 (3 x 20 mL). The combined organic

layers were dried over Na_2SO_4, filtered, and the solvent evaporated. The crude mixture was purified on silica (EtOAc/hexanes gradient) and evaporation of the product fractions gave 0.335 g (63 %) of 1-[5-Fluoro-2-(4-methyl-benzyloxy)-pyrimidin-4-yl]-2,3-dipropyl-isothiourea as a pale yellow viscous liquid: ^{1}H NMR (300MHz, $CDCl_3$) δ 10.56 (bs, 1H), 8.09 (d, J = 2.6 Hz, 1H), 7.31 (m, 2H), 7.24 (m, 2H), 5.28 (s, 2H), 3.28 (dd, J = 13.6, 6.5 Hz, 4H), 2.36 (s, 3H), 1.62 (m, 4H), 1.0 (t, J = 7.4 Hz, 4H); HPLC-MS (ESI) m/z 377$(M+H)^+$.

Preparation of O-(4-amino-5-fluoropyrimidin-2-yl)-*t*-butyl *N*-methyl-*N*-hydroxycarbamate (**50**)



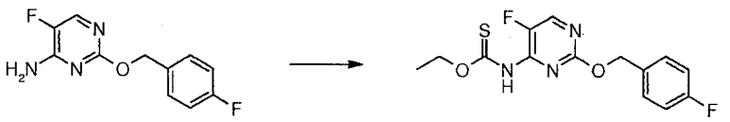
50

In a 2 dram screw cap vial, a solution of 4-amino-2-chloro-5-fluoropyrimidine (0.1 g, 0.68 mmol) and *t*-butyl *N*-methyl-*N*-hydroxycarbamate * (0.11 g, 0.75 mmol) was treated with a 1.0 M solution of KO^{t}Bu in ^{t}BuOH (1.0 mL, 1.0 mmol) in one portion, and the resulting yellow solution was heated at 100 °C and shaken for 24 h. The reaction mixture was cooled, extracted with EtOAC (3 x 5 mL), and the solvent evaporated. The crude mixture was purified via reverse phase chromatography to yield 0.10 g (56.9%) of the title compound as yellow solid: mp 123-125 °C; ^{1}H NMR (300MHz, $CDCl_3$) δ 7.96 (d, J = 2.3 Hz,1H), 5.26 (bs, 2H), 3.32 (s, 3H), 1.43 (s, 9H); MS (ESI) m/z 259 $(M+H)^+$.

* *t*-butyl *N*-methyl-*N*-hydroxycarbamate can be prepared through known literature methods:

1. Carrasco, M. R.; Brown, R. T.; Serafimova, I. M.; Silva O. *J. Org. Chem.*, **2003**, 68 (1), 195.

Preparation of *O*-ethyl 5-fluoro-2-(4-fluorobenzyloxy)pyrimidin-4-ylcarbamothioate (**51**):



1 51

5-fluoro-2-(4-fluorobenzyloxy)pyrimidin-4-amine (300 mg, 1.26 mmol) was stirred in chloroform (25 mL) and water (12 mL). Sodium bicarbonate (870 mg, 10.12 mmol) was added followed by dropwise addition of thiophosgene (218 mg, 1.9 mmol). The reaction mixture was stirred at room temperature for 16 hours, then diluted with chloroform (20 mL) and the phases were separated. The organic extract was dried over sodium sulfate, filtered, and concentrated by rotary evaporation to 1/3 volume. To this chloroform solution of crude isothiocyanate was added abs. ethanol (10 mL) and the mixture was heated in a sealed tube for 1h. The reaction mixture was cooled to room temperature, concentrated and purified by flash chromatography on silica, to give 45 mg (11%) of *O*-ethyl 5-fluoro-2-(4-fluorobenzyloxy)pyrimidin-4-ylcarbamothioate as a pale yellow solid: mp 109-119 °C; ^{1}H NMR ($CDCl_3$) δ 8.30 (bs, 2H), 7.44 (m, 2H), 7.06 (m, 2H), 5.36 (s, 2H), 4.66 (q, J = 6 Hz, 2H), 1.45 (t, J = 6 Hz, 3H); HPLC-MS (ESI): *m/z* 326 (ES^+).

Preparation of *N*-(5-fluoro-2-(4-fluorobenzyloxy)pyrimidin-4-yl)ethanethioamide (**53**):



52 53

N-(5-fluoro-2-(4-fluorobenzyloxy)pyrimidin-4-yl)acetamide (50 mg, 0.42 mmol) was stirred in a Biotage Initiator® microwave vessel with 1,2-dichloroethane (3 mL) and Lawesson's reagent (170 mg, 0.42 mmol). The vessel was heated in a Biotage Initiator® microwave to 100 °C for 5 minutes then cooled room temperature, filtered, and diluted with CH_2Cl_2. The reaction mixture was then washed brine, and the layers were separated. The organic extract was dried onto silica and purified by flash chromatography. The product-containing fractions were then evaporated to dryness and

purified again by reverse-phase HPLC to give 4 mg of *N*-(5-fluoro-2-(4-fluorobenzyl-oxy)pyrimidin-4-yl)ethanethioamide (4%) as a yellow glass: ^{1}H NMR ($CDCl_3$) δ 9.34 (b, 1H), 8.29 (d, J = 3 Hz, 1H), 7.41 (m, 2H), 7.06 (m, 2H), 5.33 (s, 2H), 3.13 (s, 3H); HPLC-MS (ESI): m/z 294 (ES^-).

Biological testing Protocols:

1. Evaluation of Fungicidal Activity: Leaf Blotch of Wheat (*Mycosphaerella graminicola;* anamorph: *Septoria tritici;* Bayer code SEPTTR):

Wheat plants (variety Yuma) were grown from seed in a greenhouse in 50% mineral soil/50% soil-less Metro mix until the first leaf was fully emerged, with 7-10 seedlings per pot. These plants were inoculated with an aqueous spore suspension of *Septoria tritici* either prior to or after fungicide treatments. After inoculation the plants were kept in 100% relative humidity (one day in a dark dew chamber followed by two to three days in a lighted dew chamber) to permit spores to germinate and infect the leaf. The plants were then transferred to a greenhouse for disease to develop.

2. Evaluation of Fungicidal Activity: Leaf Spot of Sugar Beets (*Cercospora beticola*; Bayer code CERCBE):

Sugar beets (variety HH-88) were grown in soil-less Metro mix in a greenhouse. The spores were harvested from moisturized infected leaf surface by washing whole leaves in water, and then filtered through two layers of cheesecloth. The young seedlings were inoculated with the spore suspension. The plants were kept in a dark dew room for 48 hrs, and then placed under a plastic hood in a greenhouse with a temperature of 26 °C.

3. Evaluation of Fungicidal Activity: Leaf Spot of Peanut (*Mycosphaerella arachidis*; Bayer code MYCOAR; anamorph: *Cercospora arachidicola*):

Peanuts seedlings (variety Star) were grown in soil-less Metro mix. The spores were harvested from moisturized infected leaf surface by washing whole leaves in water, and then filtered through two layers of cheesecloth. The young seedlings were inoculated with the spore suspension. The plants were kept in a dark dew room for 48 hrs, and then placed under a plastic hood in a greenhouse with a temperature of 26 °C.

4. Evaluation of Fungicidal Activity: Apple Scab (*Venturia inaequalis*; Bayer code VENTIN):

Apple seedlings (McIntosh or Golden Delicious) were grown in Metro mix in a greenhouse. Fungal spores were collected from infected leaf tissue. Plants were inoculated with the spore suspension. Plants were placed in a dew room for 24 hours with 100% relative humidity and then transferred to a greenhouse with a temperature of 18 °C for disease to develop.

5. Evaluation of fungicidal activity: Black Sigatoka Disease of Banana (*Mycosphaerella fijiensis*; BAYER code MYCOFI):

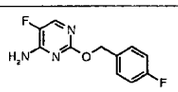
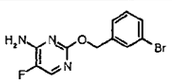
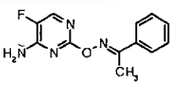
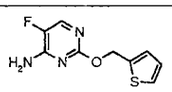
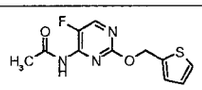
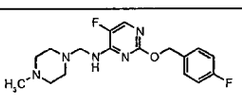
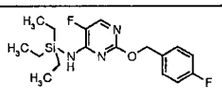
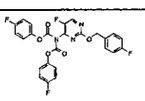
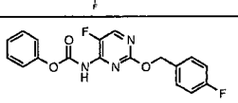
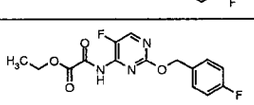
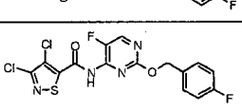
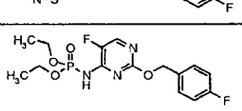
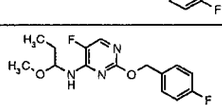
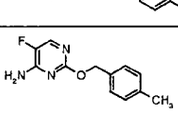
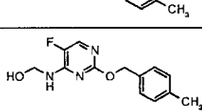
Efficacy against *Mycosphaerella fijiensis* was tested using newly emerged leaves of field grown banana plants. 20 ml of a diluted formulation of compound **1** of the required concentration were sprayed onto each test leaf over a delineated area of 20 x 20 cm. The leaves were subsequently allowed to become infected by natural inoculum, and were visually assessed for percent disease control ~ 40 - 45 days later.

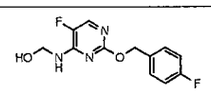
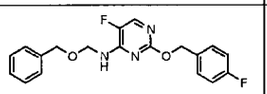
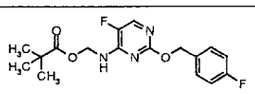
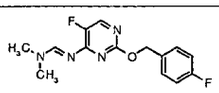
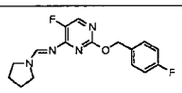
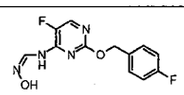
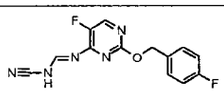
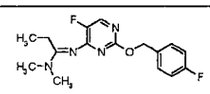
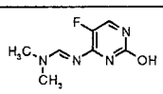
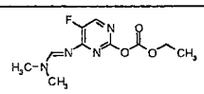
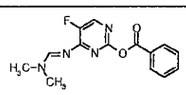
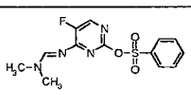
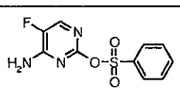
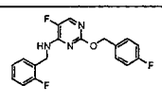
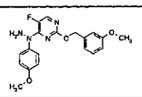
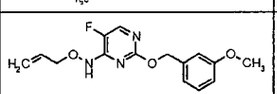
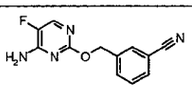
The following table presents the activity of typical compounds of the present disclosure when evaluated in these experiments. The effectiveness of the test compounds in controlling disease was determined by assessing the severity of disease on treated plants, then converting the severity to percent control based on the level of disease on untreated, inoculated plants.

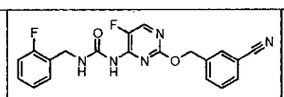
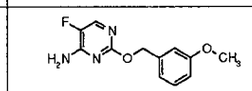
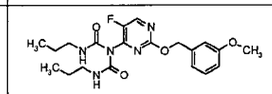
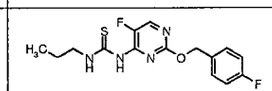
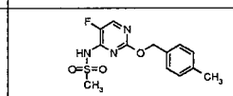
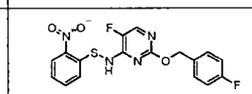
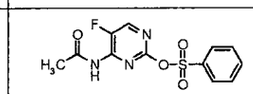
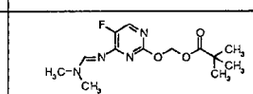
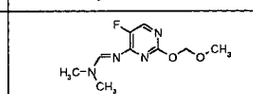
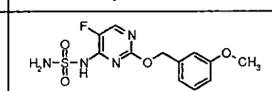
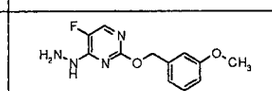
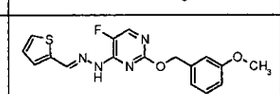
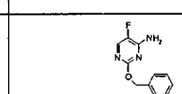
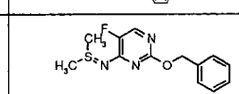
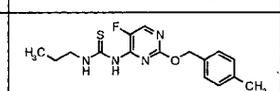
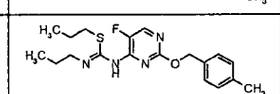
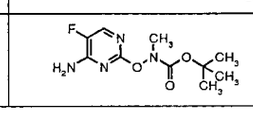
In each case of Tables I-III the rating scale is as follows:

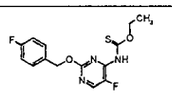
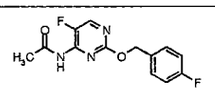
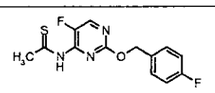
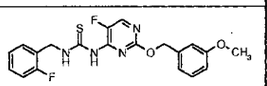
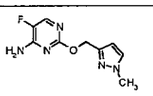
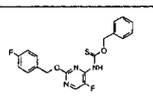
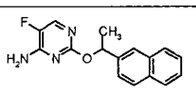
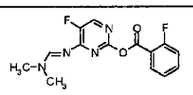
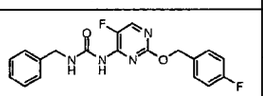
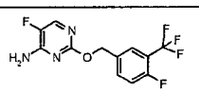
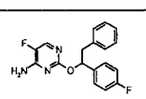
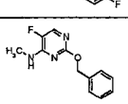
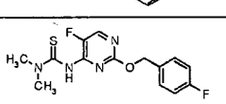
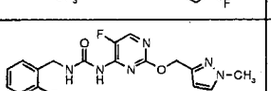
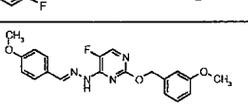
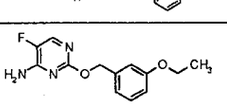
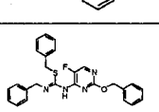
% Disease Control	Rating
76-100	A
51-75	B
26-50	C
0-25	D
Not Tested	E

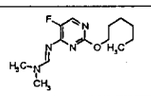
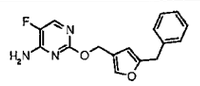
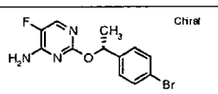
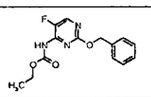
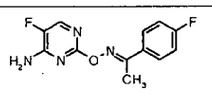
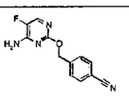
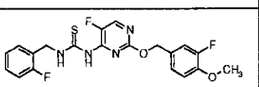
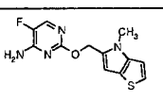
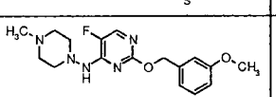
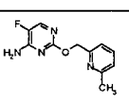
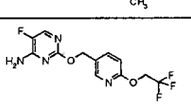
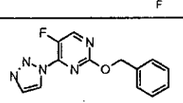
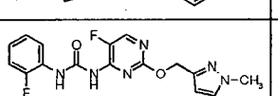
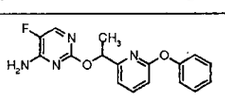
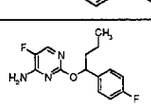
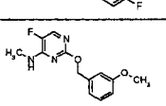
TABLE I: 3 DC and 1 DP Activity of Compounds on SEPTTR at 25 and 100 ppm

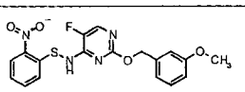
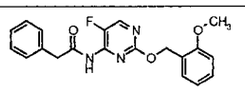
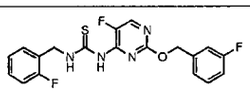
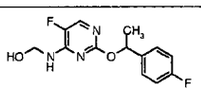
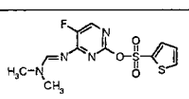
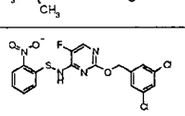
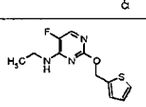
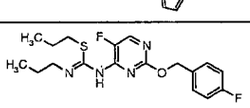
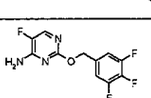
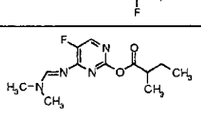
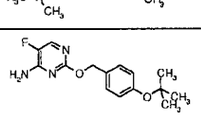
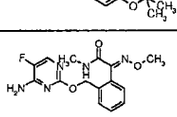
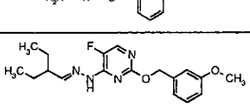
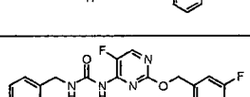
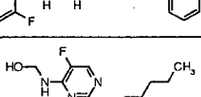
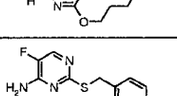
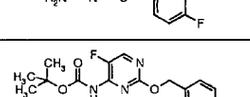
Cmpd	Structure	SEPTTR 3DC 25 ppm	SEPTTR 3DC 100 ppm	SETTTR 1DP 25 ppm	SEPTTR 1DP 100 ppm	Phys. App.	MP	Mass Spec. (ES+)	Mass Spec. (ES-)	GCMS
1		A	A	A	A	white solid	130-132	238	236	
2		A	A	A	A	off-white powder	143-145			297, 298
3		A	A	A	A	oil product			250	
4		A	A	A	A	off-white solid	163-165	247		
5		A	A	A	A	White Solid	90-92	226		
6		A	A	A	A	white solid	134-136	268	266	
7		A	A	A	A	beige solid	125-126	350	348	
8		A	A	A	A	clear yellow oil		352	350	
9		A	A	A	A	white solid	103-105	514		
10		A	A	A	A	white solid	129-131	358	356	
11		A	A	A	A	clear oil		338	336	
12		A	A	A	A	tan solid	87-90	417	415	
13		D	D	C	B	white solid	109-111	374	372	
14		A	A	A	A	clear colorless oil		310	308	
15		A	A	A	A	White Solid	135-137	234	232	
16		A	A	A	A	white solid	97-98	264	262	

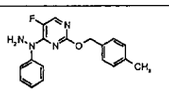
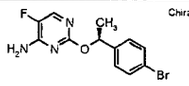
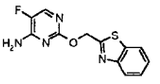
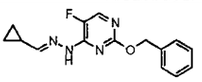
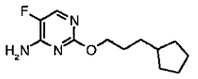
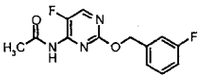
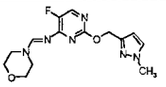
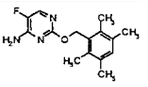
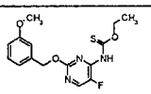
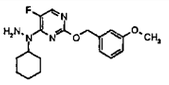
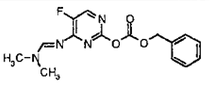
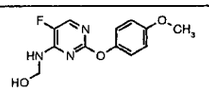
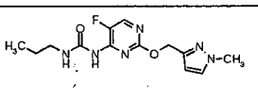
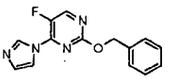
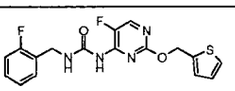
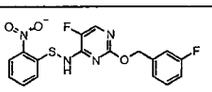
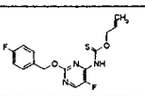
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18		B	B	B	A	white solid	64-66	358	356	
19		B	A	A	A	white solid	134-135	352		
20		A	A	A	A	white powder	115-116	293		
21		A	A	A	A	off white solid	102-103	319		
22		A	A	A	A	white solid	169-171	281	279	
23		A	A	A	A	off white solid	148-149	290	288	
24		A	A	A	A	clear yellow oil		321		
25		A	A	A	A	tan solid	240-243		183	
26		A	A	A	A	white solid	124-126	258		
27		A	A	A	A	white crystalline solid	136-138	289		
28		A	A	A	A	white solid	124-125	325		
29		B	A	A	A	white solid	139-140	270	268	
30		B	A	B	A	white solid	88-90	346	344	
31		D	D	D	D	off white solid	121-123.5	371	354	
32		D	A	C	A	colorless oil		306	304	
33		A	A	A	A	fluffy white solid	184-186	243	245	

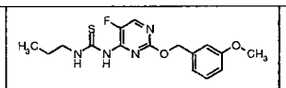
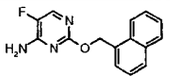
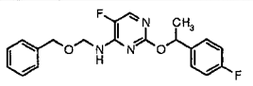
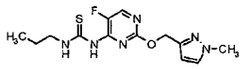
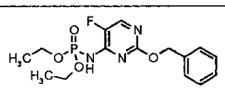
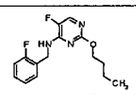
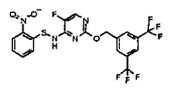
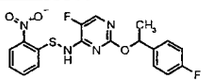
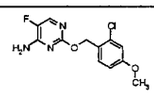
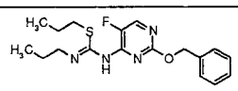
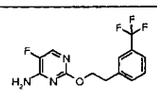
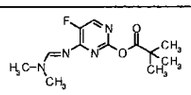
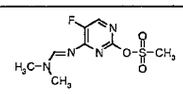
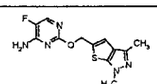
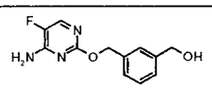
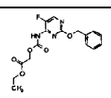
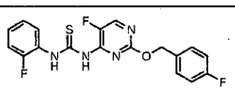
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35		A	A	A	A	yellow solid	104-106	250	248	
36		B	A	C	A	tan solid	75-78	420	418	
37		A	A	A	A	white needles	150-151	339	337	
38		D	C	C	C	White Solid	145-148	312	310	
39		D	D	A	A	yellow solid	184	391	389	
40		E	E	E	E	white solid	142-143	312	310	
41		E	E	E	E	white solid	86-88	299		
42		E	E	E	E	colorless oil		229		
43		B	B	C	B	yellow glassy solid	126-130		327	
44		B	A	D	A	off white solid	102-105	265	263	
45		C	C	B	A	yellow solid	136-139	359	357	
46		A	A	A	A	orange-white solid		220	218	
47		E	E	E	E	off white solid	125-129	281		
48		A	A	A	A	off-white solid	149-150	335	333	
49		E	E	E	E	Pale yellow viscous liquid		377		
50		C	A	C	A	pale yellow solid	123-125	259		

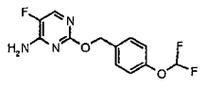
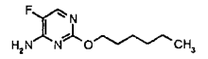
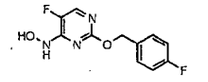
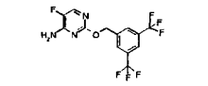
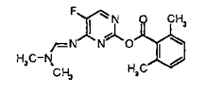
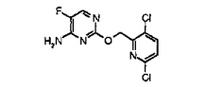
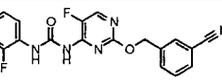
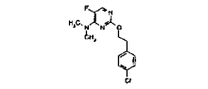
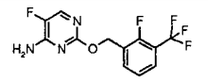
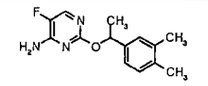
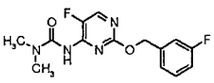
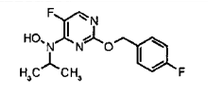
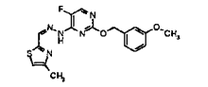
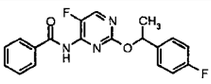
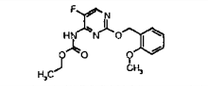
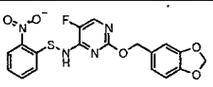
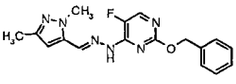
51		E	E	E	E	Pale yellow solid		326		
52		B	B	A	A	white solid	160-162	280	278	
53		A	A	A	A	yellow glass			294	
54		D	D	B	A	white solid	118-121	417	415	
55		A	A	A	A	white solid	124	224		
56		E	E	E	E	Pale yellow solid		388		
57		A	A	A	A	white solid	122-124		282	
58		A	A	A	A	white solid	170 (dec)	307		
59		D	C	D	D	white solid	190-191	371	369	
60		A	A	A	A	white solid	143	306	304	
61		D	D	A	A	white solid	111-113		326	
62		E	E	E	E	Off white solid		234		
63		E	E	E	E	yellow oil		325		
64		D	C	D	D	white solid	170-172	375	373	
65		C	B	C	B	brown/orange solid	60-64	383	381	
66		A	A	A	A	yellow solid	76-79	264	262	
67		E	E	E	E	Pale yellow solid		459		

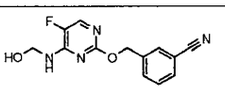
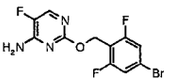
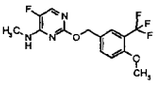
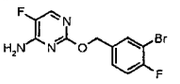
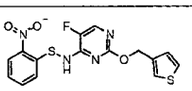
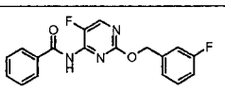
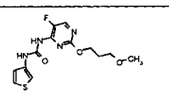
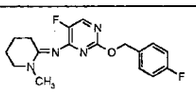
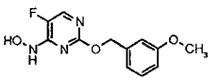
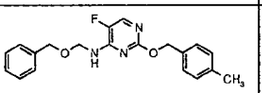
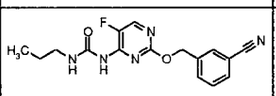
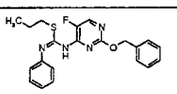
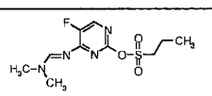
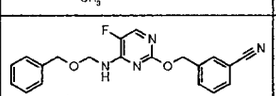
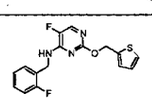
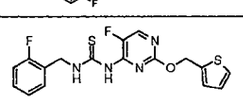
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69		B	A	A	A	beige wax		300	298	
70		C	A	C	A	white solid	94-97		312	
71		A	A	A	A			292	290	
72		A	A	A	A	beige solid	155-156	265	263	
73		E	E	E	E	Gummy liquid		310		
74		D	D	B	A	white solid		245	243	
75		A	A	C	A	off-white solid	164-166	435	433	
76		C	C	C	C	black semi-solid			277	
77		C	A	D	A	colorless oil		348	346	
78		A	A	A	A	white solid	125-127	234		
79		A	A	A	A	white solid	134-135	319		
80		D	B	D	D	white solid	63-69*	272		
81		D	D	D	D	off-white solid	187-189	361	359	
82		D	C	C	C	orange oil			325	
83		A	A	A	A	clear oil			278	
84		E	E	E	E	Gummy liquid			262	

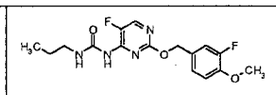
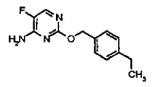
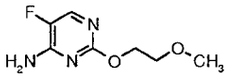
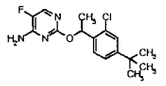
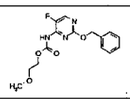
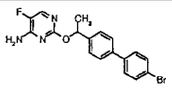
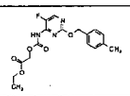
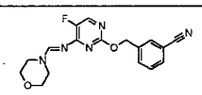
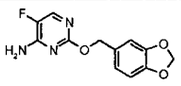
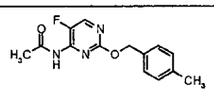
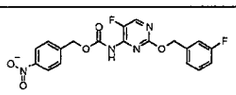
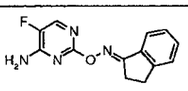
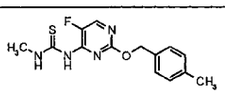
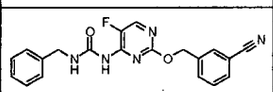
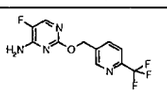
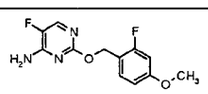
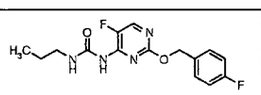
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86		D	D	C	B	white solid		368	366	
87		D	A	B	A	yellow solid	154-155	379	377	
88		C	A	C	A	clear colorless oil		282	280	
89		A	A	A	A	tan solid	129-130	331		
90		C	B	A	A	yellow solid	180-187	441	439	
91		E	E	E	E	Gummy liquid		276 [M+Na]		
92		E	E	E	E	Off white solid		381		
93		A	A	A	A	white solid	122	274	272	
94		A	A	A	A	off white solid	63-65	291		
95		A	A	A	A	yellow solid	118-120	292	--	
96		D	D	D	D	brown oil		334		
97		D	D	D	B	white solid	104-107	348	345	
98		D	D	D	D	white solid	168-169	389	387	
99		D	B	D	A	WHITE SOLID	74-77	244		
100		D	D	D	D	white solid	163-164	254	252	
101		D	D	B	B	white solid	127-129	338		

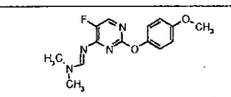
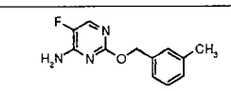
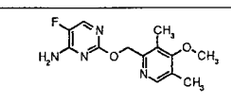
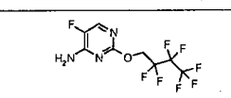
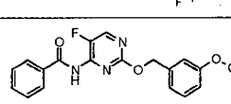
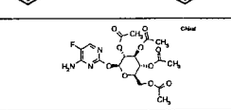
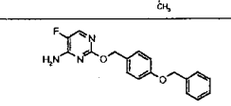
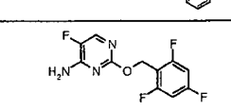
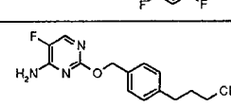
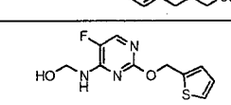
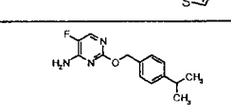
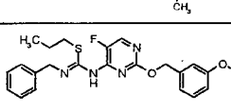
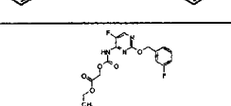
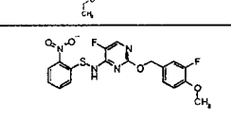
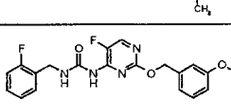
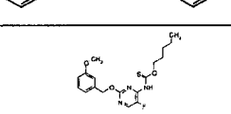
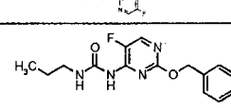
102		D	C	D	D	dark brown solid	118-122	325		
103		B	A	A	A	yellow solid	90-92		312	
104		A	A	A	A	yellow solid	179-181	277	275	
105		D	D	D	D	brown solid	143-148	288	285	
106		D	C	D	D	yellow clear oil		240	238	
107		A	A	A	A	white solid		280	278	
108		A	A	A	A	white solid	123-124	321		
109		E	E	E	E	white solid	188-192	276	274	
110		E	E	E	E	Pale yellow solid		338		
111		D	D	D	D	pale yellow oil		347		
112		A	A	A	A	white solid	128-131	340.8 (Na+)		
113		D	C	B	A	PALE YELLOW GUMMY SOLID		266		
114		D	D	D	D	white solid	133-135	389	307	
115		A	A	A	A	yellow-white wax		271		
116		D	D	D	D	white solid	162-164	377	375	
117		C	C	C	B	yellow solid	173-178	391	389	
118		E	E	E	E	Off white solid		338		

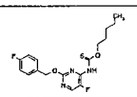
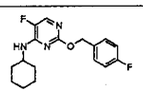
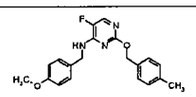
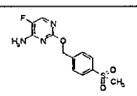
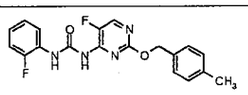
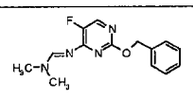
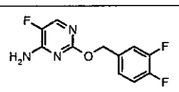
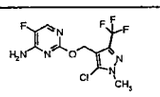
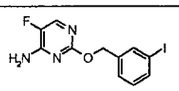
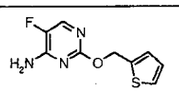
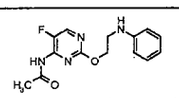
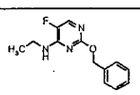
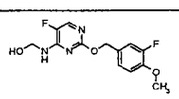
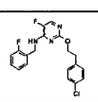
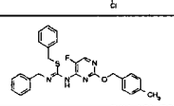
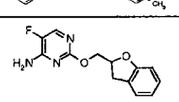
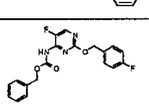
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121		B	A	B	A	clear pale yellow oil		372	370	
122		C	A	D	B	white solid	107-108	325	323	
123		C	A	D	C	clear yellow oil		356	354	
124		E	E	E	E	Pale yellow liquid		294		
125		D	D	C	C	yellow solid	175-180	509	507	
126		A	A	A	A	brown oil		405	403	
127		A	A	A	A	white solid	126	284	282	
128		E	E	E	E	Off white solid		363		
129		A	A	C	A	white solid	119-120	302	300	
130		A	A	A	A	white solid	139-141	269		
131		C	A	C	B	white crystals	133-134	263		
132		A	A	A	A	beige solid	171-173	316 (M+Na)		
133		B	A	B	A	white solid	120-123		248	
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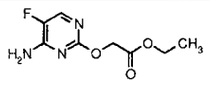
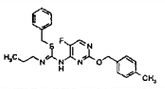
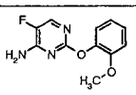
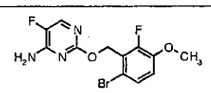
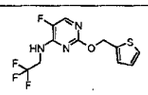
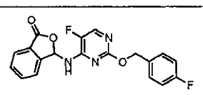
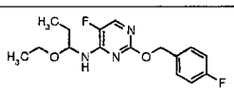
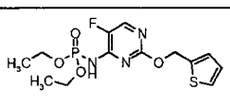
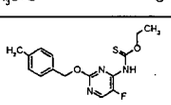
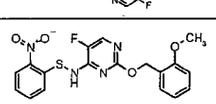
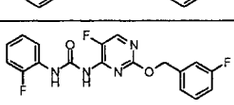
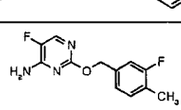
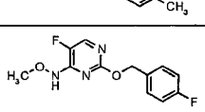
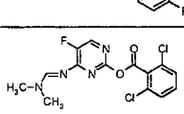
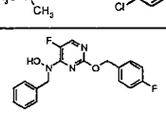
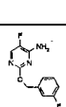
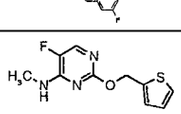
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138		A	A	A	A	off white solid	111-116	254	252	
139		D	C	C	B	white solid	106	356	354	
140		A	A	A	A	white solid	120-125	317		
141		B	C	D	A	beige solid	175-176	290		
142		D	D	D	D	off-white solid	204-205	382	380	
143		E	E	E	E	Off white solid		296		
144		A	A	A	A	white solid	101	306	304	
145		A	A	A	A	clear oil			260	
146		A	A	A	A	white solid		295		
147		C	C	D	B	off white solid	146.8-149.4	297	294	
148		D	D	B	B	yellow solid	125-129	374	372	
149		D	B	C	A	yellow oil		356	354	
150		C	A	D	A			322	320	
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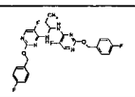
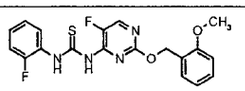
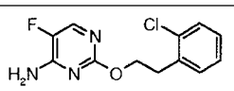
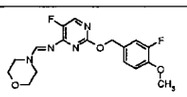
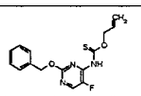
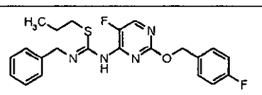
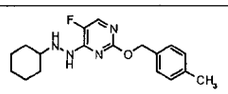
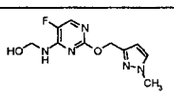
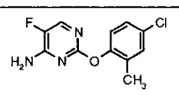
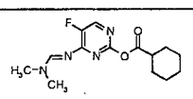
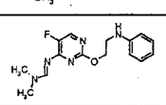
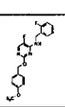
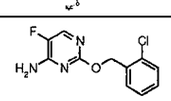
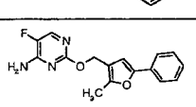
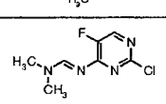
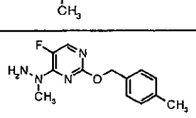
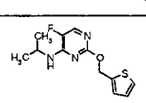
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155		A	A	B	A	white solid	94-96		330	
156		A	A	A	A	white solid	128-130	318	316	
157		C	C	C	A	yellow solid	170-175	379	377	
158		A	A	A	A	white solid	91-93	342	340	
159		C	D	D	D	OFF WHITE SOLID		327		
160		A	A	A	A	clear yellow oil		333		
161		C	A	A	A	white solid	121.5-125	267	264	
162		D	D	C	A	white solid	71-73	354	352	
163		D	D	D	D	off-white solid	161-162	330	328	
164		D	B	C	B	clear oil		360		
165		E	E	E	E	Off white solid		397		
166		A	A	A	A	brown semi-solid		291		
167		D	D	D	C	white tacky solid		365	363	
168		D	C	D	C			334		
169		D	D	B	A	off-white solid	154-155	393	391	

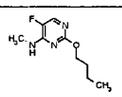
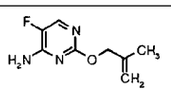
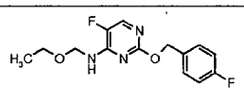
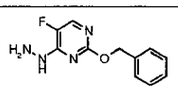
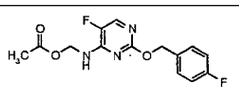
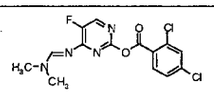
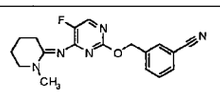
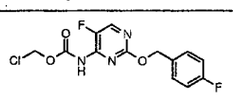
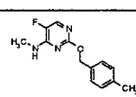
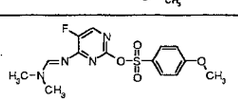
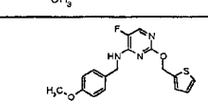
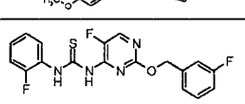
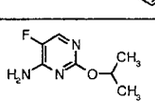
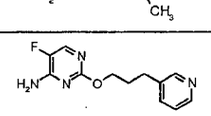
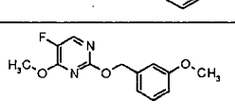
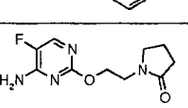
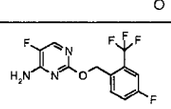
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172		D	D	D	D	white solid	77-78	188	186	
173		D	B	B	A	white solid	141-147		322	
174		A	A	A	A			322	320	
175		D	D	A	A	yellow solid	177-179		388	
176		A	A	B	A				362	
177		A	A	A	A	white solid	119-120	342		
178		A	A	A	A	white solid	153	264	262	
179		A	A	A	A	white solid	155-156	276	274	
180		D	D	D	B	white solid	163-165	417	415	
181		A	A	A	A	white solid	203-205	259	257	
182		A	A	A	A	white solid	160-161	307	305	
183		D	D	D	D	white solid	175-177	378	376	
184		B	A	A	A	white solid	147-148	289		
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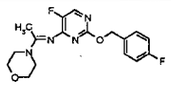
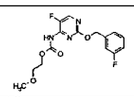
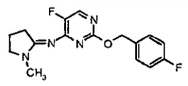
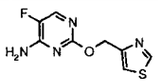
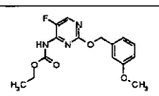
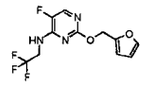
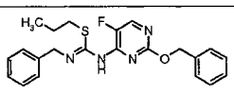
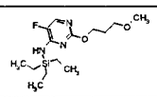
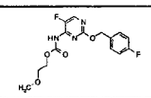
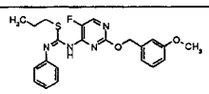
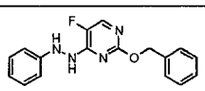
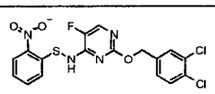
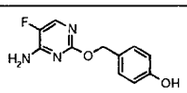
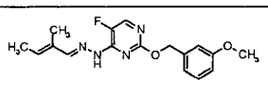
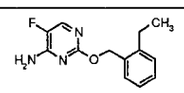
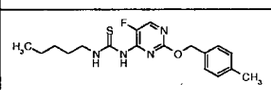
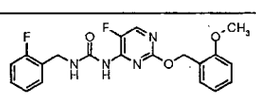
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189		B	A	B	A	white solid	163-164	279		
190		D	D	D	D	white solid	74-75	312	310	
191		A	A	A	A	viscous yellow oil		354	352	
192		B	A	A	A	white solid foam				
193		A	A	A	A	yellow solid	120	326	324	
194		A	A	A	A	white solid	154	274	272	
195		C	A	B	A	light yellow solid	51-53	387	385	
196		A	A	A	A	white solid	93-95	256	254	
197		B	A	B	A	white solid	65-66	262	260	
198		E	E	E	E	Pale yellow solid		441		
199		B	A	D	A			368	366	
200		D	D	D	C	yellow solid	181-186	421	419	
201		D	D	D	D	white solid	161-162	401	399	
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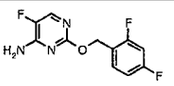
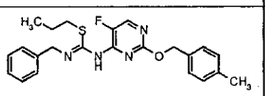
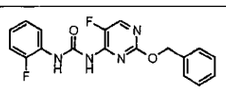
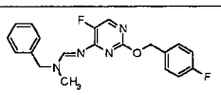
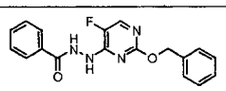
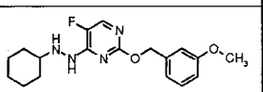
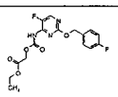
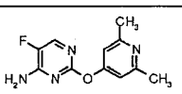
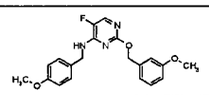
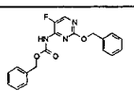
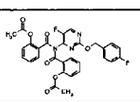
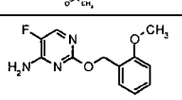
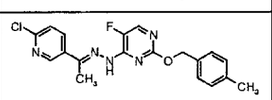
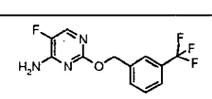
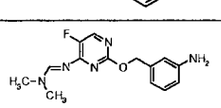
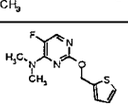
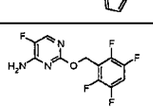
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205		D	B	D	D	pale yellow oil		321	318	
206		E	E	E	E	Off white solid			352	
207		D	D	D	C	tan solid	142-147	298	296	
208		D	C	D	D	white solid	196-198	371	369	
209		A	A	A	A	white solid	84-85	275		
210		A	A	A	A	white solid	121-123	256	254	
211		A	A	A	A	off-white solid	173-174	326	324	
212		A	A	A	A	off-white solid	122-124	346	344	
213		A	A	A	A	White Solid	90-92	226		
214		D	D	D	D	WHITE SOLID	110-113	291		
215		E	E	E	E	Off white solid		248		
216		B	A	A	A	white solid	108-112	298	296	
217		E	E	E	E	Pale yellow liquid		376		
218		E	E	E	E	Pale yellow solid		473		
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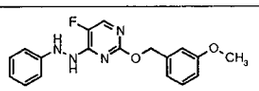
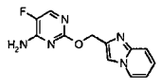
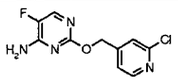
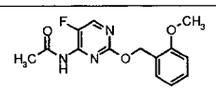
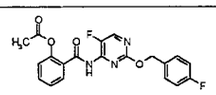
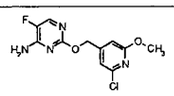
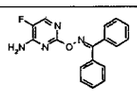
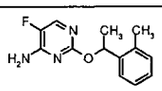
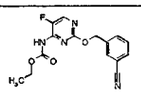
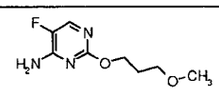
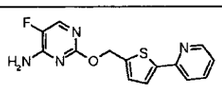
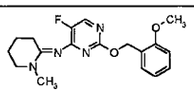
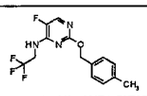
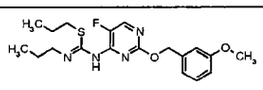
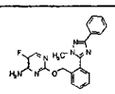
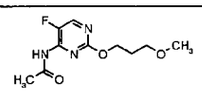
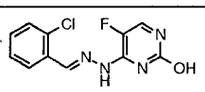
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222		E	E	E	E	Pale yellow viscous liquid			423	
223		A	A	A	A	white solid	132-134	236	234	
224		D	C	C	A	white solid	184	347	345	
225		E	E	E	E				306	
226		A	A	A	A	white glassy solid	68-77	370		
227		A	A	A	A	white solid	56-57	324	322	
228		B	A	C	A	light yellow solid		362	360	
229		E	E	E	E	Off white solid		322		
230		D	D	A	A	yellow solid	159-163	403	401	
231		D	D	D	D	white solid	193-194	375	373	
232		A	A	A	A	white solid	105-107	252	250	
233		A	A	B	A	white solid	114-115			
234		A	A	A	A	pale yellow solid		357		
235		B	A	A	A	viscous yellow oil		345	342	
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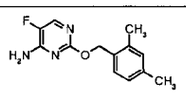
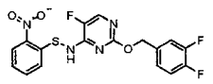
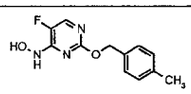
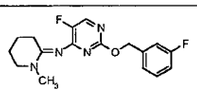
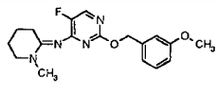
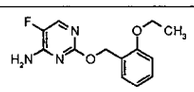
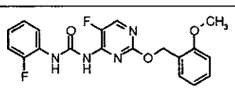
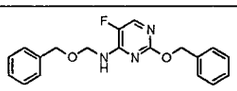
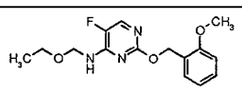
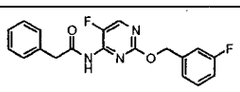
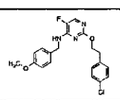
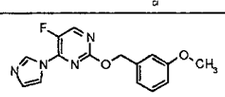
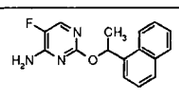
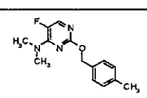
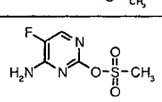
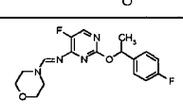
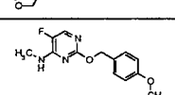
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240		D	D	D	C	white solid	86-87	268	266	
241		A	B	A	A	white solid	164-165	365		
242		E	E	E	E	Pale yellow solid		320		
243		E	E	E	E	Pale yellow solid		429		
244		D	A	D	A	pale yellow solid	138-142	332	329	
245		B	A	B	A	white solid	145-148	254	252	
246		E	E	D	D	white solid	157-158	254	252	
247		A	A	A	A	off white solid	94-95	295		
248		A	A	D	A	OFF WHITE SOLID	102-104	304		
249		C	B	C	A	off white solid	92-93	358	356	
250		E	E	E	E	white solid	117-118	254	252	
251		A	A	A	A	beige solid	120-122	300		
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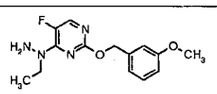
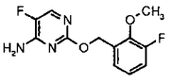
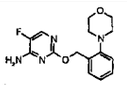
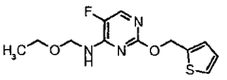
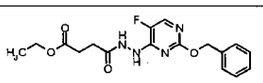
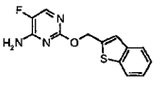
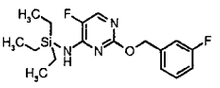
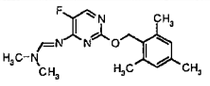
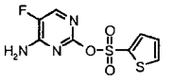
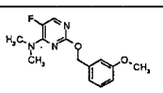
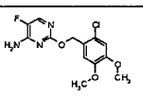
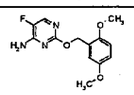
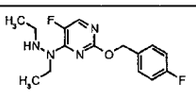
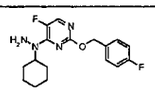
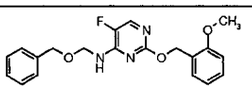
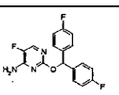
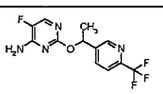
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258		C	B	B	A	off white solid	80-82	236	233	
259		A	A	B	A	white solid	103-105	310		
260		A	A	A	A	off white slid	95 (dec)	357		
261		B	A	B	A	pale amber oil		340		
262		A	A	B	A	white solid	101-105			
263		E	E	E	E	Off white solid		270 M+Na		
264		A	A	B	A	white solid	131-133	356		
265		E	E	E	E	Yellow solid			344	
266		D	A	A	A	white solid	137-138	391	389	
267		D	D	D	D	white solid	104-105	172	170	
268		C	B	D	C	white solid	126-127		247	
269		D	D	D	D	pale green solid	91-94	265	237	
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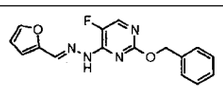
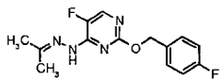
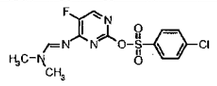
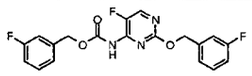
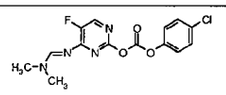
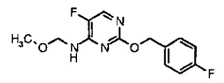
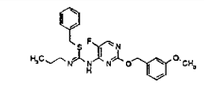
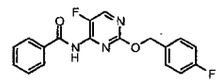
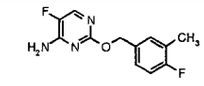
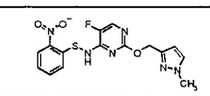
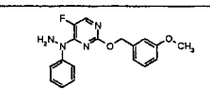
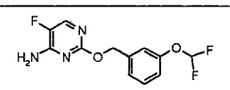
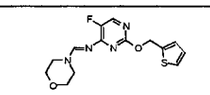
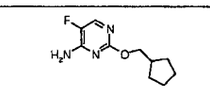
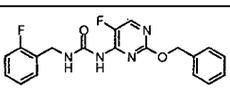
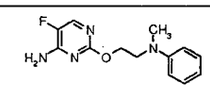
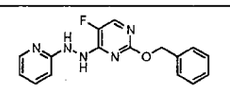
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274		A	A	A	A	off white solid	84-86	319		
275		A	A	A	A	beige solid	136	227		
276		A	A	A	A			322	320	
277		E	E	E	E				290	
278		E	E	E	E	Off white solid		411		
279		A	A	B	A	YELLOW GUMMY SOLID		316		
280		A	A	A	A			340	338	
281		E	E	E	E	Pale yellow solid		427		
282		C	B	D	C	dark brown solid	158-163	312	309	
283		D	C	B	A	yellow solid	166-176	441	439	
284		D	D	D	D	white solid	196-198	236	234	
285		C	C	B	A	pale brown solid	118-120	331	329	
286		B	A	A	A	off-white solid	121-123	248	246	
287		A	A	A	A	white solid	115-116	363	361	
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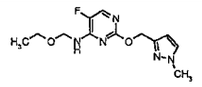
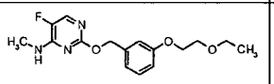
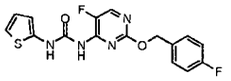
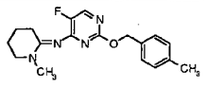
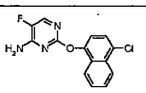
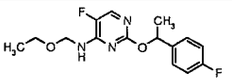
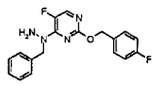
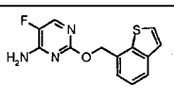
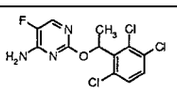
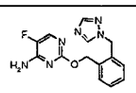
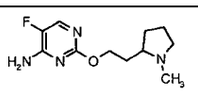
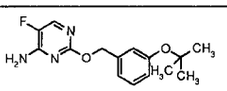
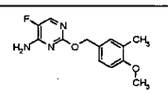
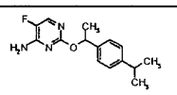
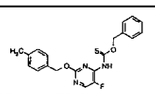
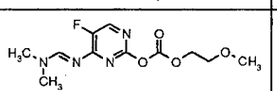
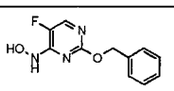
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291		D	C	D	D	off-white solid	198-200	357	355	
292		A	A	A	A	colorless oil		369		
293		D	D	D	D	white solid	200-202	340	337	
294		D	A	D	A	yellow oil		347		
295		A	A	A	A				366	
296		D	C	D	D	white solid		235	233	
297		E	E	E	E	Pale yellow liquid			368	
298		A	A	A	A			354	352	
299		E	E	E	E	off white solid	83-88	562		
300		A	A	A	A	white solid	106-107	250	248	
301		B	A	C	C	tan solid	136-139	386	384	
302		A	A	A	A	grey solid	105-107		286	
303		B	A	C	A	thick oil		290		
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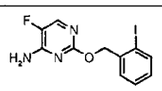
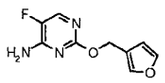
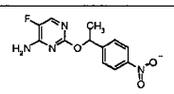
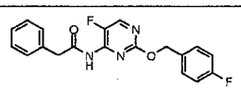
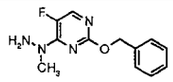
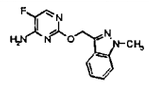
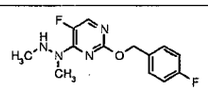
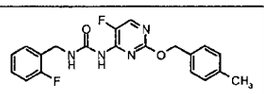
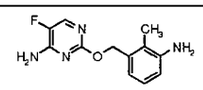
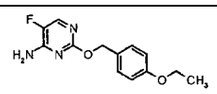
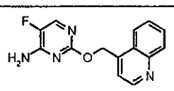
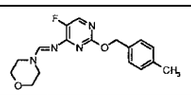
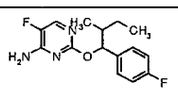
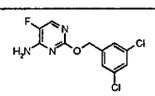
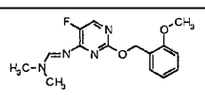
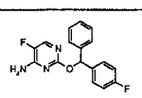
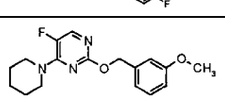
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307		A	A	A	A	white solid	161-163	261	258	
308		A	A	A	A	yellow solid	182-183	256		
309		A	A	A	A	white solid		292	290	
310		E	E	E	E	colorless oil		400	398	
311		A	A	A	A	white solid	160-161	286		
312		A	A	A	A	white solid	196-200	309	307	
313		A	A	A	A	white solid	99-102		246	
314		A	A	A	A			317	315	
315		A	A	B	A	white solid	64-65	202	200	
316		A	A	A	A	yellow solid	138-140	303		
317		C	A	B	A	pale amber oil		345		
318		E	E	E	E				314	
319		E	E	E	E	Pale yellow viscous liquid		393		
320		C	C	D	D	yellow solid	209		377	
321		A	A	A	A	LIGHT YELLOW SOLID	112-114	244		
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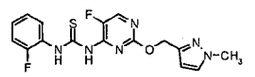
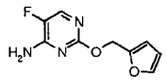
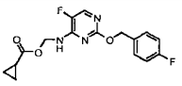
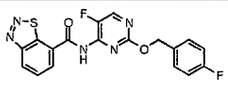
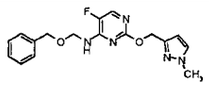
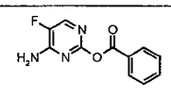
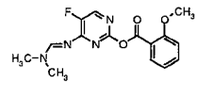
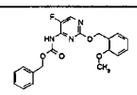
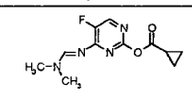
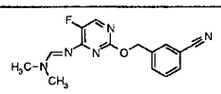
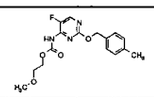
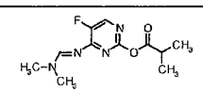
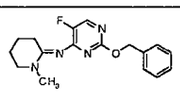
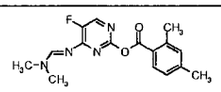
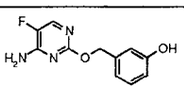
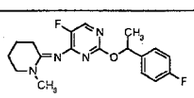
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326		B	A	A	A	pale amber oil		333		
327		B	A	B	A	pale amber oil		345		
328		A	A	A	A	off-white solid	116-117	264	262	
329		D	D	D	D	white solid	187-188	387	385	
330		D	C	D	A	white solid	75-77	340	338	
331		A	A	A	A	clear colorless oil		308	306	
332		B	C	A	A	yellow-white solid	121-123	356	354	
333		E	E	E	E	Gummy liquid		388		
334		A	A	A	A	white solid	79-80	301		
335		A	A	A	A	orange oil			282	
336		E	E	E	E	Gummy liquid		262		
337		C	C	C	A	white solid	191-192	208	206	
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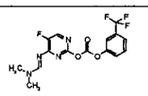
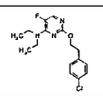
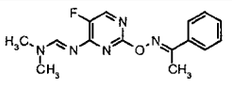
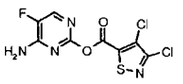
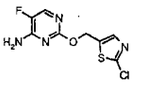
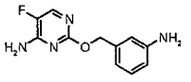
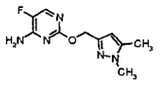
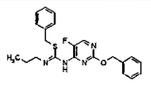
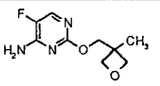
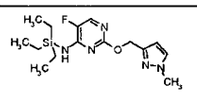
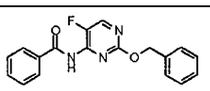
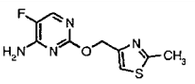
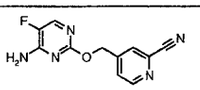
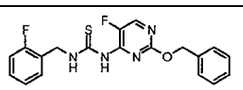
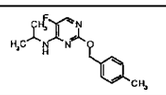
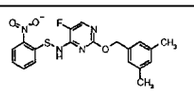
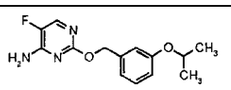
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342		A	A	A	A	off-white crystals	147-149	305	303	
343		A	A	C	A	white solid	55-57	284	282	
344		D	C	C	C	off white solid	117-121	363	361	
345		A	A	A	A	white solid	154-156	276		
346		A	A	A	A	clear oil		352	350	
347		A	A	A	A	white solid	134-135	317		
348		A	A	A	A	white solid	128-130			
349		E	E	E	E	Pale yellow liquid		278		
350		A	A	A	A	white solid	117	314	312	
351		A	A	A	A	white solid	151	280	278	
352		D	D	D	D	colorless liquid		310	307	
353		D	D	D	D	white solid	68-72	335	333	
354		C	A	B	A	clear pale yellow oil		370	368	
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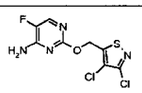
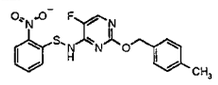
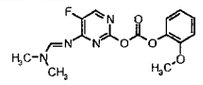
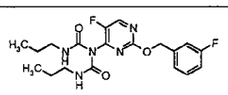
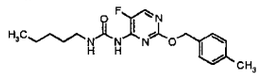
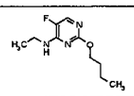
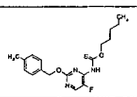
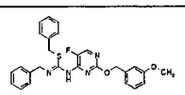
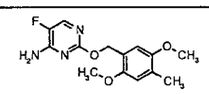
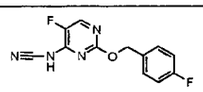
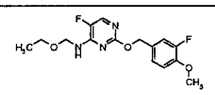
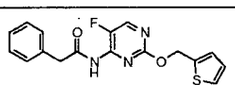
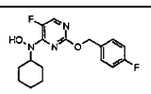
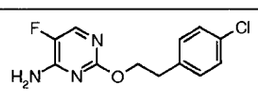
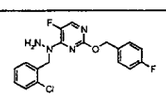
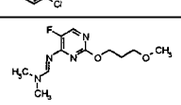
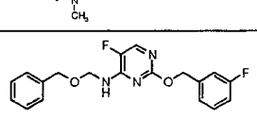
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358		C	A	D	B	brown solid	120-123	293	291	
359		A	C	A	A	white solid	172-174	360		
360		A	A	A	A	white solid	105-106	390	388	
361		A	A	B	A	white solid	150-153	339		
362		B	A	B	A	white solid	115-116	282	280	
363		E	E	E	E	Pale yellow viscous liquid		441		
364		A	A	A	A	white solid	114-115	342	340	
365		A	A	A	A	tacky yellow glass		252		
366		B	A	A	A	yellow solid	186-192	377	375	
367		D	D	D	D	off white solid	94-97.5	341		
368		A	A	A	A	tan solid	95-96	286	284	
369		A	A	A	A	white solid	138-139	323		
370		D	D	D	D	white solid	93-94	212	210	
371		D	D	D	D	white solid	168-169	371	369	
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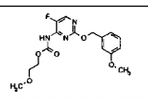
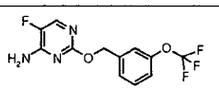
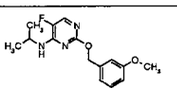
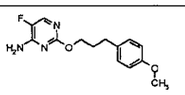
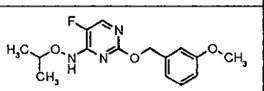
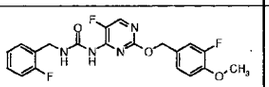
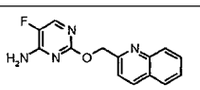
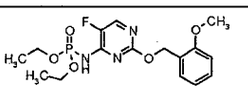
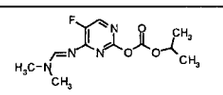
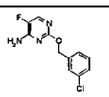
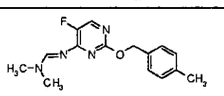
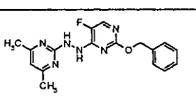
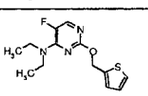
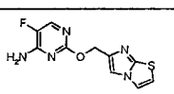
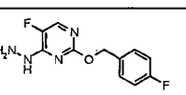
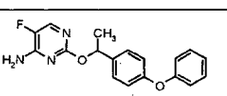
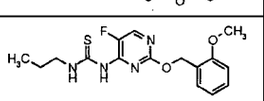
374		A	A	B	A	clear pale yellow oil		282	280	
375		C	A	D	D	yellow oil		322	320	
376		D	C	D	D	lavender powder	214-216	363	361	
377		B	A	A	A	pale amber oil		329		
378		A	A	B	A	white solid	177-179	290	288	
379		B	A	A	A	white solid	84-85	310	308	
380		D	A	A	A	off white solid	73-76	343		
381		A	A	A	A	light yellow solid	173-175	276	274	
382		D	A	A	A	white solid	149-152		336	
383		C	D	D	D	yellow solid	118-120	--	299	
384		C	A	B	A	dark oil		241	239	
385		A	A	A	A	ivory solid	104-105	292	290	
386		A	A	A	A	white solid	124	264	262	
387		A	A	A	A	orange oil			274	
388		E	E	E	E	Pale yellow solid		384		
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390		A	A	A	A	off white solid	96-100	236	234	

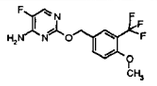
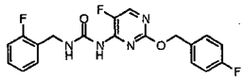
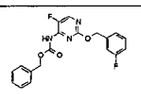
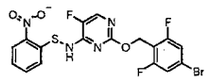
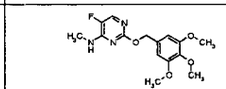
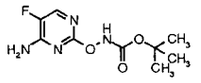
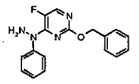
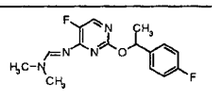
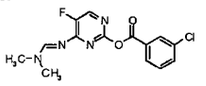
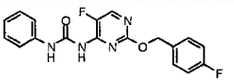
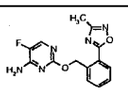
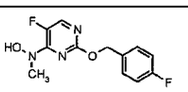
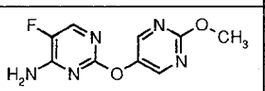
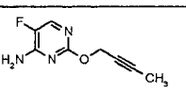
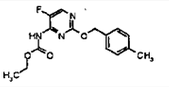
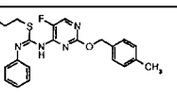
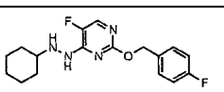
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393		C	A	C	A	clear oil			277	
394		A	A	A	A	white solid	177-179	356	354	
395		C	B	D	D	yellow oil		249	247	
396		A	A	A	A	off-white solid	181-183	274	272	
397		D	D	D	D	colorless oil		282	279	
398		D	C	D	D	white solid	176-178	385	383	
399		A	A	B	A	white solid	174	249	247	
400		A	A	A	A	off-white solid	154-156	264	262	
401		A	A	A	A	off-white solid		271		
402		A	A	A	A	white solid	121-122	331		
403		C	A	A	A	white solid	93-94		292	
404		A	A	A	A	white solid		289	287	
405		A	A	A	A	clear pale yellow oil		305		
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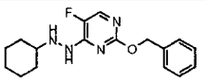
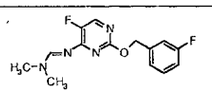
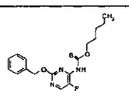
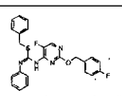
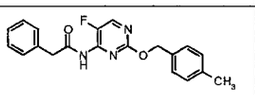
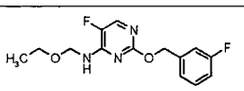
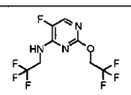
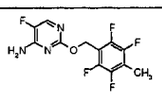
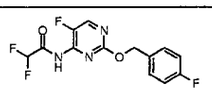
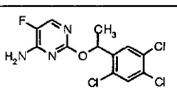
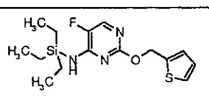
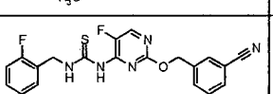
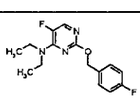
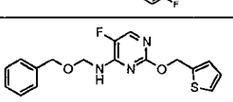
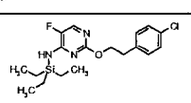
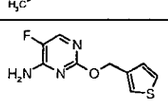
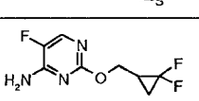
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409		A	A	A	A	tan solid		210		
410		B	A	B	A	white solid	114-115	336		
411		C	C	A	A	white solid	138-142	400	398	
412		D	B	D	A	colorless oil		344	342	
413		A	A	A	A	white solid	245-247	234	232	
414		E	E	E	E	white solid	125 (dec)	319		
415		A	A	B	A			384	382	
416		D	C	D	D			358		
417		A	A	A	A	white solid	105-115 (dec)	253		
418		A	A	A	A	brown oil		300		
419		A	A	A	A			336	334	
420		A	A	A	A	white solid	93-94	255		
421		A	A	A	A	pale amber oil		315		
422		A	A	A	A	white solid	111-112	317		
423		D	D	D	D	white solid		236	234	
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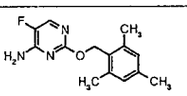
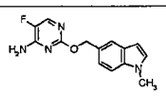
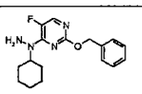
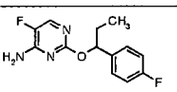
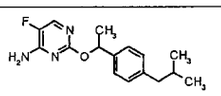
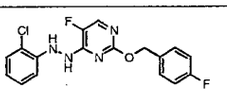
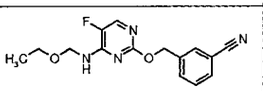
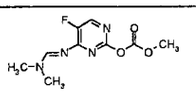
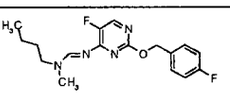
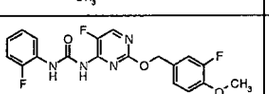
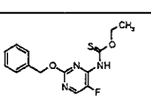
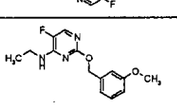
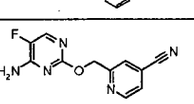
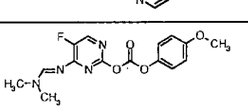
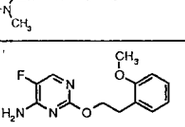
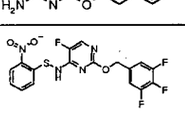
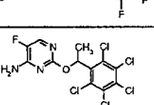
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426		E	E	E	E	Colourless liquid		324		
427		A	A	A	A	yellow white solid	125-126	302		
428		C	A	B	B	light yellow solid		309	307	
429		A	A	A	A	beige solid	168-169	261	259	
430		A	A	A	A	dark brown solid	128-130	235	233	
431		A	A	A	A	clear yellow oil		238		
432		E	E	E	E	Pale yellow solid		411		
433		D	D	D	D	yellow solid		214	212	
434		A	A	A	A	clear oil		338		
435		B	A	A	A	white solid	115-117	324	322	
436		A	A	A	A	white solid	115	241		
437		D	B	D	B	brown solid	182-183	246	244	
438		D	D	B	A	white solid	162-164	387	385	
439		E	E	E	E	Yellow solid		298 [M+Na]		
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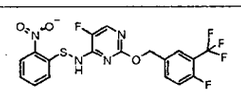
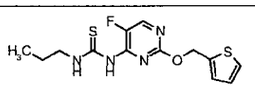
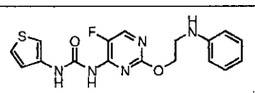
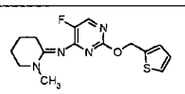
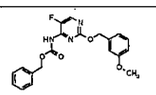
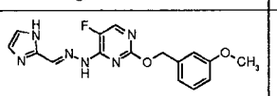
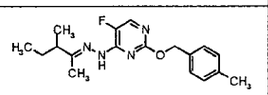
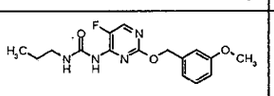
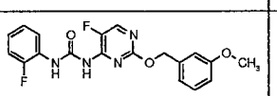
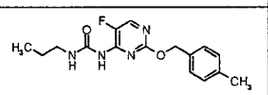
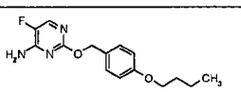
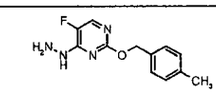
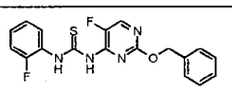
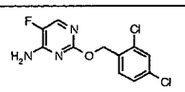
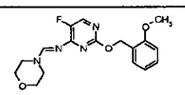
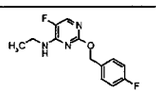
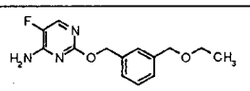
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443		C	C	A	A	yellow solid	173-177	387	385	
444		A	A	A	A	white solid	121-123	336		
445		A	A	B	A	brown oil		408	406	
446		E	E	E	E	white solid	152-153	347	345	
447		E	E	E	E	Pale yellow liquid		214		
448		E	E	E	E	Pale yellow solid		364		
449		E	E	E	E	Off white solid		489		
450		A	B	A	A	white solid	155	294	292	
451		D	D	D	D	white solid	158-160	263	261	
452		A	A	A	A	white solid	108-109	326	324	
453		B	A	A	A	light yellow glass		344	342	
454		C	D	D	A	off white solid	153.5-157.9	337	334	
455		C	A	B	A	white solid	101-103	268	266	
456		D	A	B	A	yellow oil		377		
457		B	A	B	A	LIGHT YELLOW SOLID	53-55	257		
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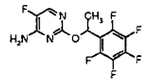
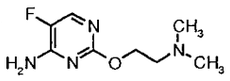
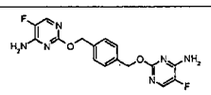
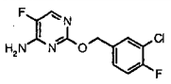
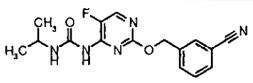
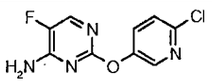
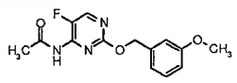
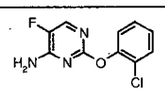
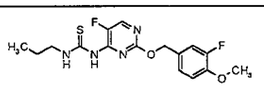
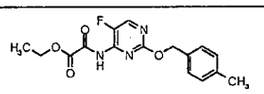
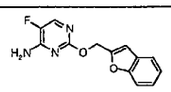
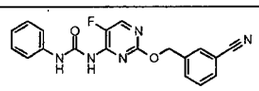
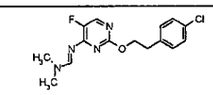
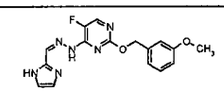
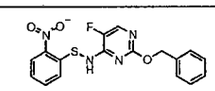
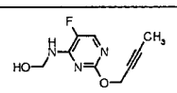
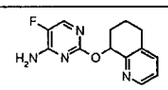
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460		A	A	A	A	white solid		304	302	
461		E	E	E	E	Gummy liquid			290	
462		B	C	B	A	white solid	143-144	278	276	
463		C	B	D	B	colorless oil		308	306	
464		D	C	D	D	off-white solid	171-174	419	417	
465		A	A	A	A	tan solid	155-157	271	269	
466		D	D	D	D	light yellow glass		386	384	
467		A	A	A	A	white solid	120-121	292.8 (Na+)		
468		A	A	A	A	white powder	143-145	254		
469		A	A	A	A	white solid	98-99	288		
470		C	C	D	D	pale pink solid	154.4-157.2	342	340	
471		E	E	E	E	Gummy liquid		282		
472		A	A	A	A	yellow solid	58-61	266	264	
473		C	A	C	A	fluffy white solid	123-124	253	251	
474		A	A	A	A	clear oil			324	
475		B	A	B	A	white solid	170-171	349	351	

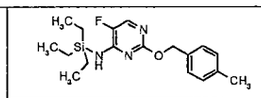
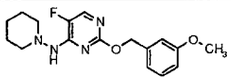
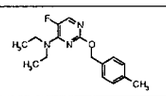
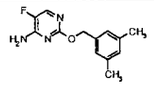
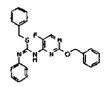
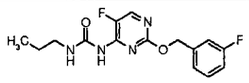
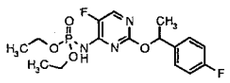
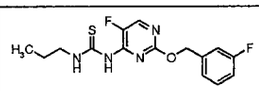
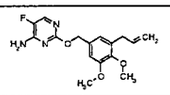
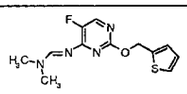
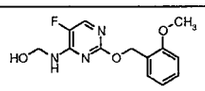
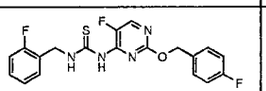
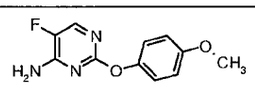
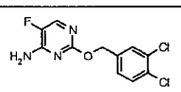
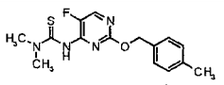
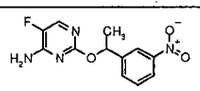
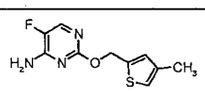
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477		D	B	D	D	white solid	189-191	389	387	
478		A	A	B	A			372	370	
479		B	B	A	A	yellow solid	143-150	488		
480		D	D	D	D	pale yellow solid	150-154	324	322	
481		A	A	A	A	white solid	169-174 (dec)			
482		D	C	D	D	off white solid	111-115	312	309	
483		A	A	A	A	clear yellow oil		307		
484		A	A	A	A	yellow oil		323		
485		D	D	D	D	white solid	206-207	357	355	
486		C	C	D	D	ivory solid	188-189	302	300	
487		D	A	D	A	white solid	153.8-157.1	268	266	
488		D	D	D	D	white solid	191-192	238	236	
489		A	A	D	B	white solid	122-123	182	180	
490		A	A	A	A			306	304	
491		E	E	E	E	Pale yellow solid		411		
492		D	C	D	C	pale brown solid	139-143	335	333	

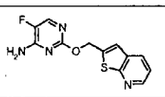
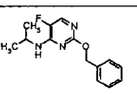
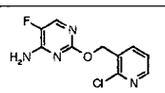
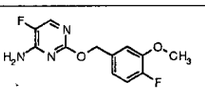
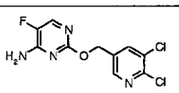
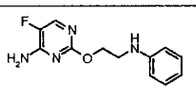
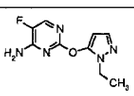
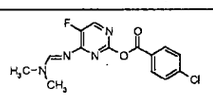
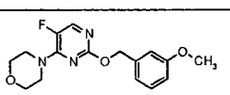
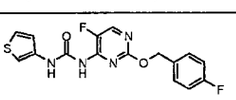
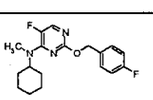
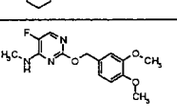
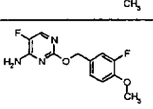
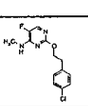
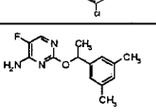
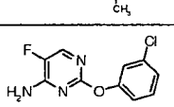
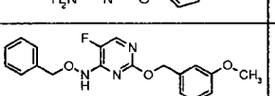
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494		A	A	A	A	off white solid	77-78	293		
495		E	E	E	E	Yellow solid		350		
496		E	E	E	E	Pale yellow solid		463		
497		D	C	B	A	white solid	123-124	352	350	
498		A	A	A	A	white solid	56-58	296	294	
499		E	E	E	E				292	
500		A	A	A	A	white solid	147-150	306	304	
501		A	A	A	A	viscous semisolid		316	314	
502		D	D	D	D	white solid	130-134		336	
503		A	A	A	A	clear oil		341	339	
504		D	D	D	B	off-white solid	165-166	412	410	
505		E	E	E	E	Brown liquid		294		
506		D	D	C	A	white solid	95-97	346	344	
507		D	A	B	A	YELLOW GUMMY SOLID		382		
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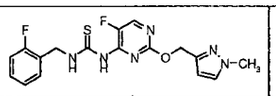
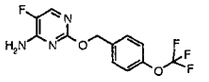
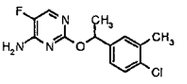
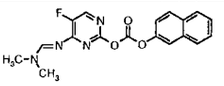
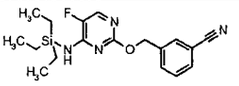
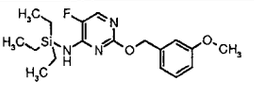
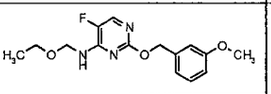
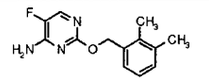
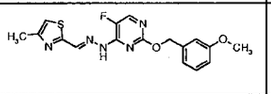
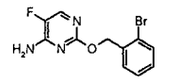
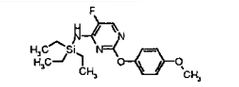
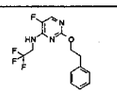
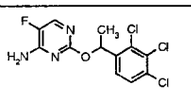
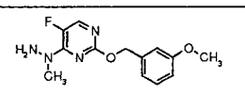
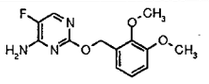
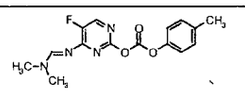
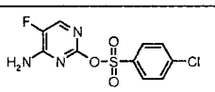
510		B	A	B	A	white solid	150	262	260	
511		C	C	B	B	yellow oil			271	
512		D	D	D	B	off white solid	63-67	318		
513		A	A	A	A	sticky solid			264	
514		A	A	A	A	yellow oil			288	
515		D	D	D	A	brown solid	123-129	363	361	
516		A	A	A	A	clear pale yellow oil		303	301	
517		A	A	A	A	white solid	125-127	243		
518		A	A	A	A	tan oil		335		
519		D	D	D	D	white solid	208-211	405	403	
520		E	E	E	E	Pale yellow solid		308		
521		E	E	E	E	Pale yellow solid		278		
522		D	D	D	C	rust solid	188-189	246	244	
523		A	A	A	A	white solid	127-130	356.8 (Na+)		
524		D	B	D	B	white solid	100-101	264	262	
525		A	A	A	A	yellow solid	154-160	427	425	
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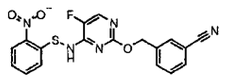
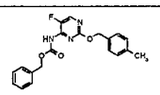
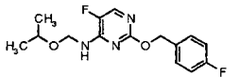
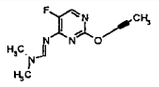
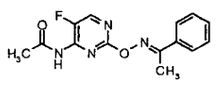
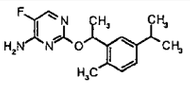
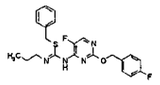
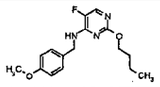
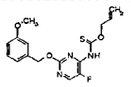
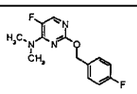
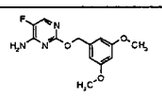
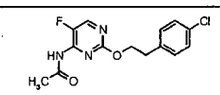
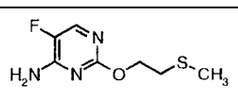
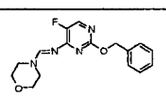
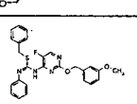
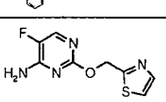
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528		D	B	A	A	tan solid	121-124	327	325	
529		D	D	D	D	OFF WHITE SOLID	188-190			
530		B	A	A	A	pale amber oil		321		
531		A	A	A	A			384	382	
532		D	C	C	B	off white solid	157-162	343	341	
533		D	C	B	B	white solid	120-123	331	329	
534		D	C	D	C	white solid	147-148	335	333	
535		D	D	D	D	white solid	182-183	387	385	
536		C	C	D	D	white solid	171-172	319	317	
537		A	A	A	A	off-white solid	112-113	292	290	
538		B	A	C	B	pale biege solid	103-107	250	247	
539		D	D	A	A	white solid	142-144	373	371	
540		A	A	A	A	white solid	185	289	287	
541		A	A	A	A	white solid	99-100	347		
542		E	E	E	E	Off white solid		266		
543		A	A	A	A	colorless oil			276	

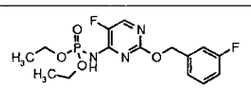
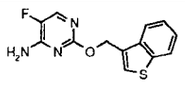
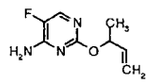
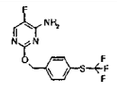
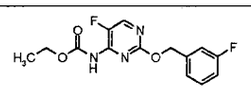
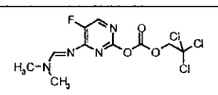
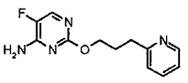
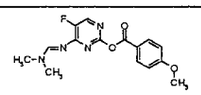
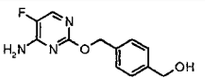
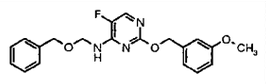
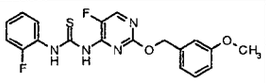
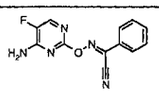
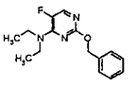
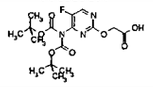
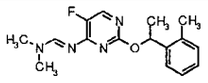
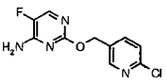
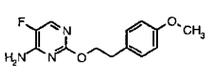
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546		B	D	B	B	white solid	235-238		360	
547		A	A	A	A	white solid	130-132	273	271	
548		D	D	D	D	white solid	196-198	330	328	
549		D	D	C	D	white solid	187-188	241	239	
550		A	A	A	A	white solid	105-106	292	290	
551		E	E	D	C	white solid	155-156	240	238	
552		D	A	D	A	off-white solid	148-149	369	367	
553		A	A	A	A	clear oil		334	332	
554		C	A	C	A	white solid	123-124	260	258	
555		D	D	D	D	white solid	203-205 (dec)	364	362	
556		D	A	C	A	WHITE SOLID	100-103	323		
557		D	D	C	D	white solid	208-210	343	341	
558		C	C	A	A	yellow solid	167-171	373	371	
559		A	A	B	A	PALE YELLOW SOLID		212		
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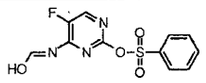
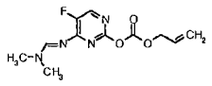
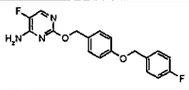
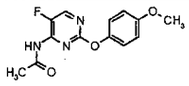
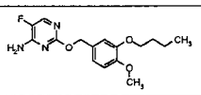
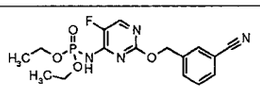
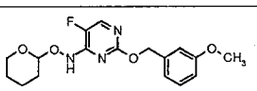
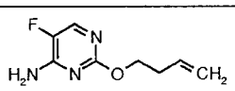
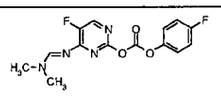
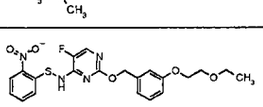
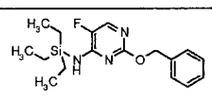
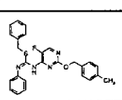
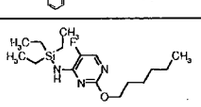
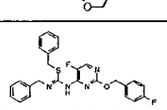
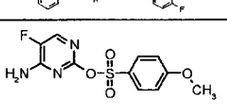
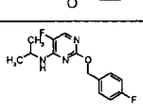
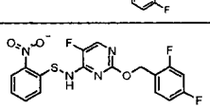
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564		C	A	B	A	white solid	109	248	246	
565		E	E	E	E	White solid		445		
566		D	D	D	D	white solid	158-159	323	321	
567		C	A	C	B	clear yellow oil		388	386	
568		A	A	B	A	off-white solid	125-126	339	337	
569		B	A	A	A	white solid	90	320	318	
570		A	A	A	A	off white solid	101-102	281		
571		A	A	A	A	white solid	132-133	280	278	
572		C	B	C	A	off-white solid	178-179	405	403	
573		D	D	C	B	white solid	201-202	236	234	
574		A	A	A	A	white solid	131	289	287	
575		E	E	E	E	yellow oil		321		
576		C	A	C	A	white solid	117-122		277	
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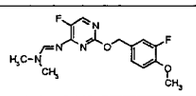
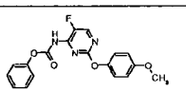
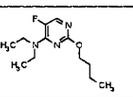
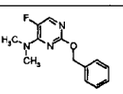
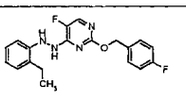
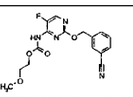
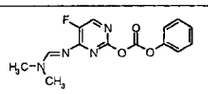
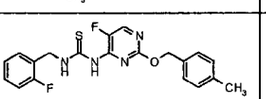
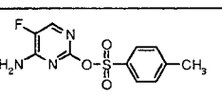
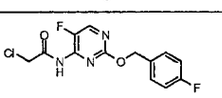
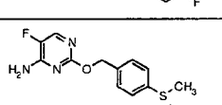
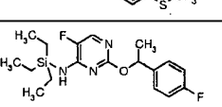
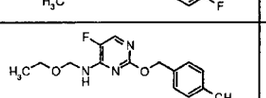
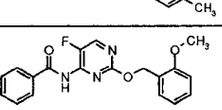
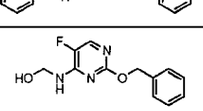
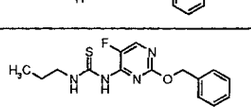
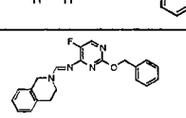
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579		E	E	E	E	Pale yellow solid		262		
580		D	B	B	A	white solid	185-187	256		
581		A	A	A	A	white solid	120	268	266	
582		A	A	A	A	off-white solid	162	290	288	
583		A	A	D	A	white solid	108-109	249	247	
584		D	A	D	A	off white solid	153-154	224	222	
585		A	A	A	A	white solid	125 (dec)	323		
586		D	D	D	D	colorless oil		320		
587		C	A	D	D	white solid	200-201	363	361	
588		D	D	D	D	white solid	86.3-89.8	335		
589		D	D	D	D	white solid	>200	294	292	
590		A	A	A	A	white solid	154	268	266	
591		E	E	E	E	Off white solid		282		
592		A	A	A	A	yellow oil			260	
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594		D	C	D	B	white solid	104.4-107	356	354	

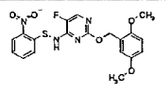
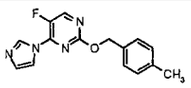
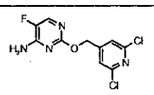
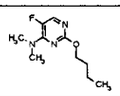
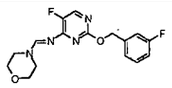
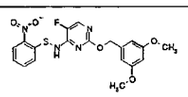
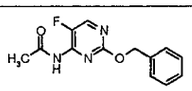
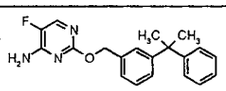
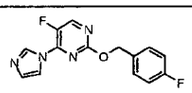
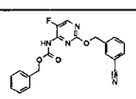
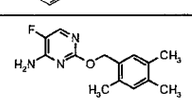
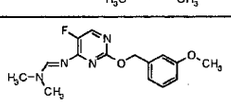
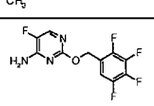
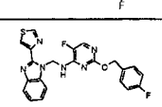
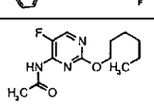
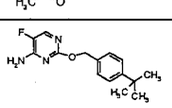
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596		A	A	A	A	off-white solid	105-107	305	302	
597		A	A	A	A	clear oil			280	
598		A	A	B	A	white solid	156-160	356		
599		A	A	B	A	clear oil		359	357	
600		A	A	A	A	clear oil		365		
601		A	A	A	A	white solid	53-54	308	306	
602		D	D	D	B	white solid	144		247	
603		D	D	C	A	off white solid	173-176	374	372	
604		A	A	A	A	off-white solid	106-108	####	####	
605		D	A	B	A	WHITE SOLID	64-67	350		
606		E	E	E	E			316		
607		D	C	D	D	white solid	112-113		334	
608		B	A	B	A	dark red oil		279	262	
609		A	A	A	A	white solid	112	280	278	
610		C	B	A	A	white solid	157-159	319		
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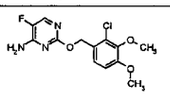
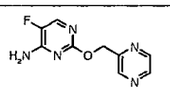
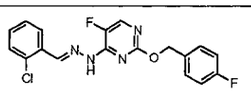
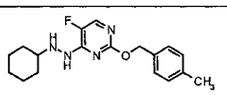
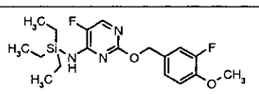
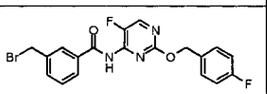
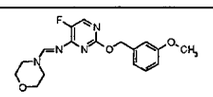
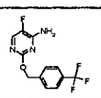
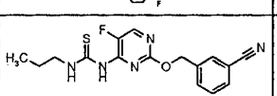
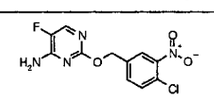
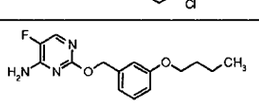
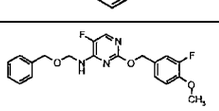
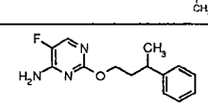
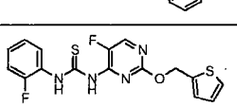
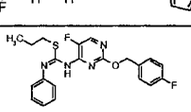
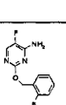
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613		A	A	A	A			368	366	
614		A	A	A	A	white solid	75-76	310	308	
615		A	A	C	A	YELLOW SOLID	58-60	237		
616		A	A	A	A	white solid	149-151		287	
617		A	A	A	A	clear oil			288	
618		E	E	E	E	Off white solid		429		
619		E	E	E	E	Gummy liquid		306		
620		E	E	E	E	Pale yellow solid		350		
621		E	E	E	E	Off white solid		266		
622		E	E	E	E	Pale yellow liquid		296		
623		B	A	A	A	white solid	112	280	278	
624		B	A	B	A	LIGHT BROWN SOLID	128-130	310		
625		D	C	D	C	white solid	114-115		202	
626		A	A	A	A	white solid	130-131	317		
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628		B	A	C	A	beige solid	101-103	227		

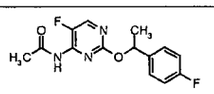
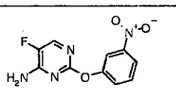
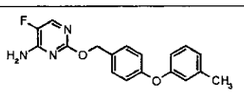
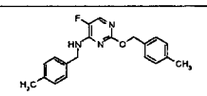
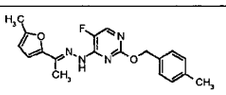
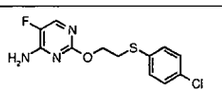
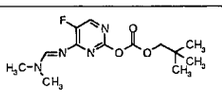
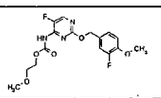
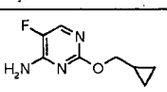
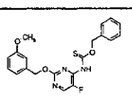
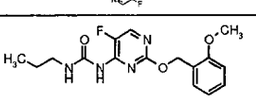
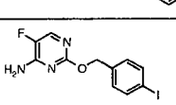
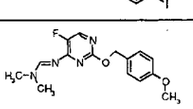
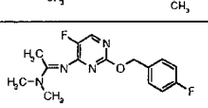
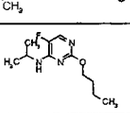
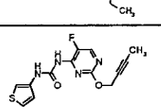
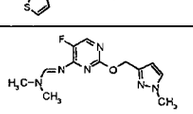
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630		A	A	A	A	white solid	167-169	276		
631		D	D	D	D	clear yellow oil		184	182	
632		A	A	A	A	white solid	128-131	320	318	
633		A	A	A	A	white solid			308	
634		A	A	A	A	white solid	130-133	359		
635		D	A	C	A	white solid	117-118		247	
636		A	A	A	A	white solid	132-135	319		
637		A	A	A	A	white solid	158-160		249	
638		D	B	B	A	white solid	77-78	370	368	
639		B	A	A	A	white solid	136-137	403	401	
640		A	A	A	A	yellow white solid	218-220	258		
641		E	E	E	E	Pale yellow liquid		276		
642		E	E	E	E	white foam	61-65	410 (M+Na)		
643		A	A	A	A	pasty off-white solid				
644		A	A	A	A	white solid	164-166	255		
645		D	B	C	A	white solid	117-118	264	262	

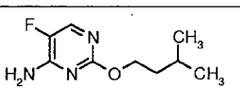
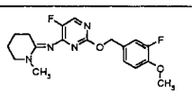
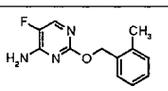
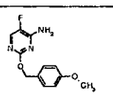
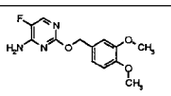
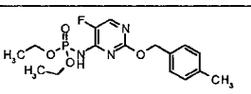
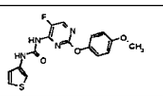
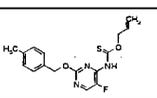
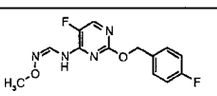
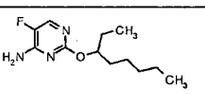
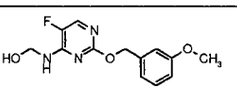
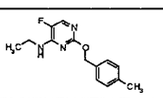
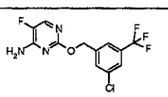
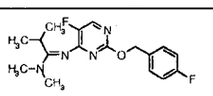
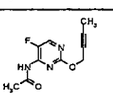
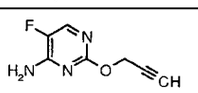
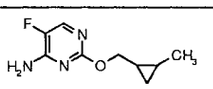
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647		A	A	A	A	white solid	89-90	290.8 (Na+)		
648		A	A	A	A	white solid	132	344	342	
649		D	A	D	C	LIGHT YELLOW SOLID	130-132	278		
650		A	A	A	A	yellow solid	108	322	320	
651		D	B	D	D	white solid		381	379	
652		D	A	D	B	yellow oil		350	348	
653		C	A	D	D	white solid	58-59	184		
654		A	A	A	A	white solid	118-121	324		
655		B	C	B	A	yellow solid	144-146	461	459	
656		A	A	A	A	clear oil		334		
657		E	E	E	E	Off white solid		459		
658		D	B	D	B	YELLOW GUMMY SOLID				
659		E	E	E	E	Pale yellow solid		477		
660		C	D	A	A	white solid	160-161			
661		E	E	E	E	Off white solid		280		
662		C	C	D	A	yellow solid	176	409	407	

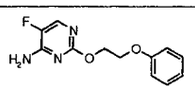
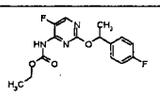
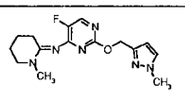
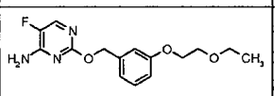
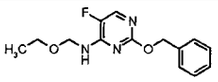
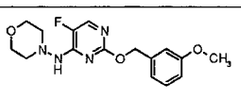
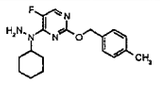
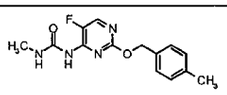
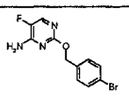
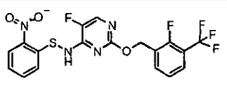
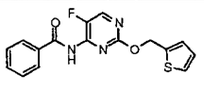
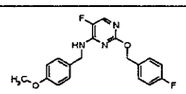
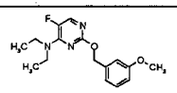
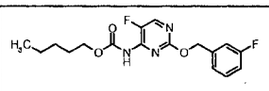
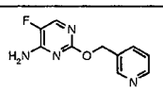
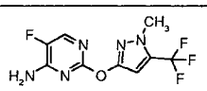
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664		D	D	D	C	OFF WHITE SOLID	125-127	356		
665		E	E	E	E	Colourless liquid		242		
666		E	E	E	E	Yellow solid		248		
667		D	A	D	A	brown solid	108-111	357	355	
668		A	A	C	A			347	345	
669		A	A	A	A	white solid	135-136	305		
670		B	A	B	A	off-white solid	188-190	401	399	
671		A	A	A	A	white solid	153-154			
672		A	A	A	A	off-white solid	127-129	314		
673		A	A	A	A	off-white solid	94-95	266	264	
674		A	A	A	A	clear oil		366	364	
675		A	A	A	A	light tan waxy solid		292	290	
676		D	D	C	A	yellow oil		354	352	
677		A	A	A	A	white solid	113-114	250	248	
678		C	A	D	A	off-white crystals	128-129	321	319	
679		A	A	A	A	off white solid	98-99	363		

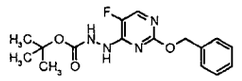
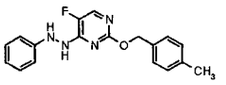
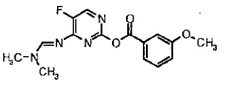
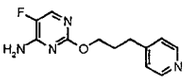
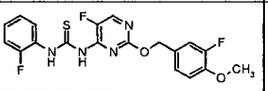
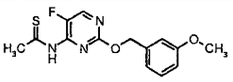
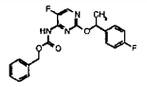
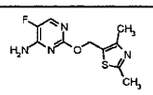
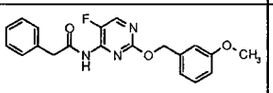
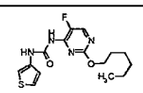
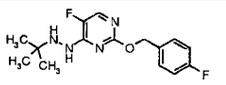
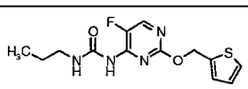
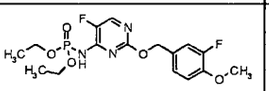
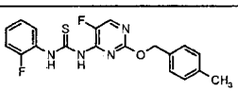
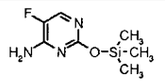
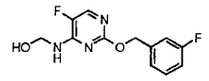
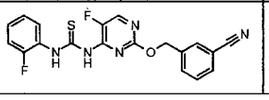
680		C	C	D	D	yellow solid	175-182	433	431	
681		A	A	A	A	white solid	86-88	285		
682		A	A	A	A	off-white solid	153-155	290		
683		E	E	E	E	Colourless liquid		236 [M+Na]		
684		A	A	A	A	white solid	94-95	335		
685		D	D	D	A	yellow solid	175-183	433	431	
686		E	E	E	E	white solid	160-161	262	260	
687		D	D	C	A	yellow solid	122	338	336	
688		A	A	A	A	white solid	93-95	289		
689		A	A	A	A	white solid	126-128	254	252	
690		A	A	B	A			379	377	
691		B	A	B	A	yellow solid	162	262	260	
692		A	A	A	A	clear yellow oil		305		
693		A	A	A	A	white solid	127-130	292	290	
694		D	C	D	C	white solid	181-183	451	449	
695		D	C	D	A	WHITE SOLID	100-102	256		
696		B	A	A	A	off-white solid	98-102	276	274	

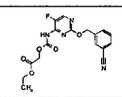
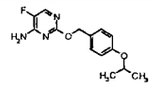
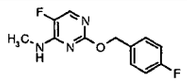
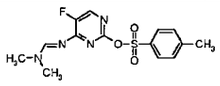
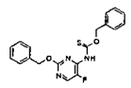
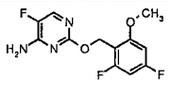
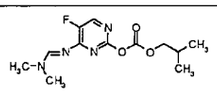
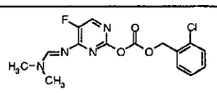
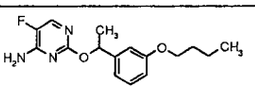
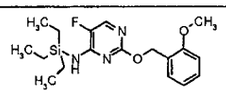
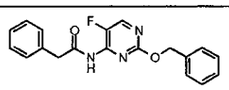
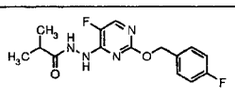
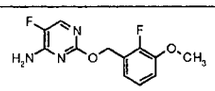
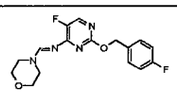
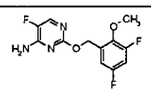
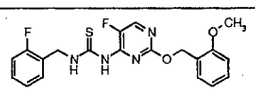
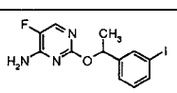
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698		A	A	A	A	white solid	117	314	312	
699		B	A	A	A	tannish solid		222		
700		C	A	D	B	tan solid	185-187	375	373	
701		D	A	D	A	pale yellow solid	138-142	332	329	
702		A	A	A	A	clear oil		382	380	
703		D	D	D	C	white solid	116-117	435	433	
704		A	A	A	A	white solid	76-77	347		
705		B	A	A	A	white solid	117-119	288	286	
706		D	B	D	A	light yellow solid	163-165	346	344	
707		A	A	A	A	orange solid	156	299	297	
708		A	A	A	A	white solid	89-90	292	290	
709		D	B	D	A	clear colorless oil		388	386	
710		B	A	B	A	colorless oil		262	260	
711		C	A	B	A	white solid	138-139	379	377	
712		E	E	E	E	Off white solid		415		
713		B	A	A	A	brown solid	95-98	238		

714		A	A	A	A	yellow oil		294	292	
715		D	D	C	B	white solid	198-201	251	249	
716		A	A	A	A	yellow solid	93	326	324	
717		A	A	B	A			338		
718		C	C	C	A	pale yellow solid	135-139	356	353	
719		E	E	D	B	white solid	103-104	300	298	
720		A	A	A	A	white solid	115	299		
721		E	E	E	E			370	368	
722		D	C	D	D	light yellow solid	58-59	184	182	
723		E	E	E	E	Pale yellow solid		400		
724		D	D	D	C	white solid	170-171	335	333	
725		A	A	A	A	yellow solid	146-147	346	344	
726		A	A	A	A	white solid	109-110	305		
727		A	A	A	A	clear yellow oil		307	305	
728		E	E	E	E	Brown liquid		228		
729		B	B	B	C	light yellow solid	178-180	307		
730		A	A	A	A	clear yellow oil		279		

731		D	D	D	D	white solid	84-85	200	198	
732		B	A	A	A	pale amber oil		362		
733		A	A	A	A	white solid	96-98	234	232	
734		A	A	A	A	White Solid	110-111	250	248	
735		A	A	A	A	white solid			278	
736		D	D	D	D	white solid		370	368	
737		D	D	D	D	YELLOW SOLID		361		
738		E	E	E	E	Pale yellow solid		334		
739		A	A	A	A	white solid	87-88	295	293	
740		E	E	D	D	yellow oil		242	240	
741		A	A	C	A	clear colorless oil		280	278	
742		E	E	E	E	Pale yellow solid		284 [M+Na]		
743		A	A	A	A	yellow solid	93		320	
744		A	A	A	A	tan oil		335		
745		A	A	A	A	WHITE SOLID	158-160	224		
746		D	A	D	D	orange solid	106-108	168	166	
747		B	A	D	A	tan oil		--	196	

748		E	E	D	D	white solid	112-113	250	248	
749		A	A	A	A			324	322	
750		C	B	C	A			328		
751		C	A	B	A	pale amber oil		319		
752		A	A	A	A	colorless oil		308	306	
753		A	A	A	A	clear pale yellow oil		278	276	
754		D	C	D	D	colorless oil		336	333	
755		D	D	C	C	pale yellow oil		331		
756		C	D	D	D	white solid	199-200	348	346	
757		A	A	A	A	light yellow needles	127-129	299	297	
758		C	B	C	A	yellow solid	163-170	459	457	
759		C	A	B	A	yellow oil		330	328	
760		E	E	E	E	Off white solid			356	
761		E	E	E	E	Brown liquid		306		
762		A	A	A	A	white solid	61-62	352	350	
763		A	A	A	A	off-white solid		221		
764		D	D	D	D	white solid	145-146	278	276	

765		D	D	D	C	white solid	44-49	335	333	
766		D	C	D	B	dark brown solid	132-140	325	323	
767		A	A	A	A	white solid	110 (dec)	319		
768		D	C	D	C	white solid	183-184	249	247	
769		D	A	B	A	off-white solid	150-152	421	419	
770		A	A	A	A	yellow oil			306	
771		A	A	A	A			386	384	
772		A	A	A	A	yellow solid	180-181	255		
773		D	D	C	A	white solid	132-134	368	366	
774		D	D	D	D	LIGHT YELLOW SOLID		339		
775		D	D	D	D	white solid	77-80	310	307	
776		D	D	D	D	white solid	157-158	311	309	
777		D	C	D	D	white solid		404	402	
778		A	A	A	A	white solid	146-147	387	385	
779		A	A	A	A	white solid	118-120			201
780		A	A	A	A	white solid	107-108	268	266	
781		D	C	D	B	light yellow solid	160-162	398	396	

782		C	A	D	C			375	373	
783		A	A	A	A	off-white solid	51-54	278	276	
784		D	D	D	D	white solid	112-115		250	
785		A	A	A	A	white solid	163-164	340		
786		E	E	E	E	Pale yellow semi solid		370		
787		A	A	A	A	white solid	171	286	284	
788		A	A	A	A	white solid	59-60	285		
789		A	A	A	A	white solid	134-141	353		
790		A	A	A	A	clear oil			304	
791		E	E	E	E	clear oil		365		
792		C	B	A	A	white solid	123-125	338	336	
793		D	D	D	D	off white solid	158-166	323	321	
794		A	A	A	A	white solid	108		266	
795		A	A	A	A	white solid	124-125	335		
796		A	A	A	A	white solid	149	286	284	
797		C	A	B	A	off-white solid	167-168	417	415	
798		A	A	A	A	clear oil			358	

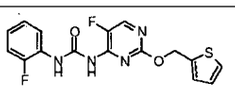
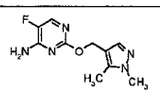
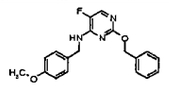
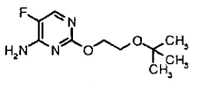
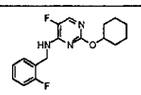
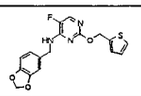
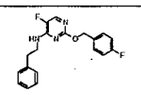
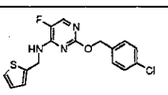
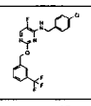
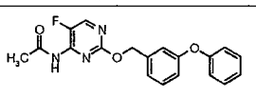
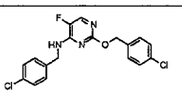
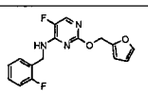
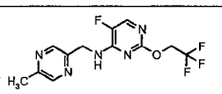
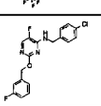
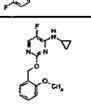
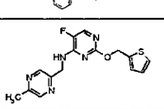
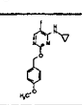
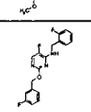
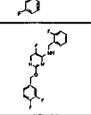
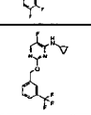
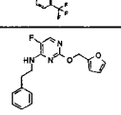
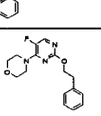
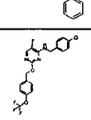
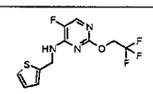
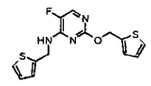
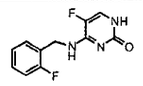
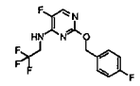
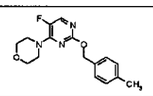
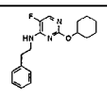
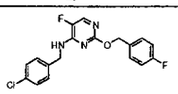
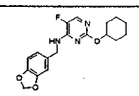
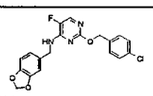
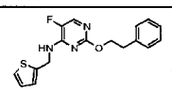
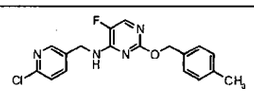
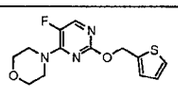
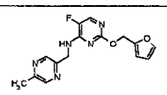
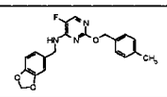
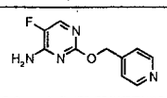
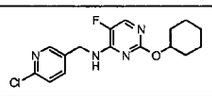
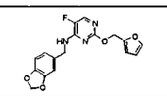
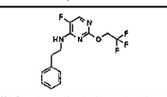
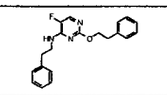
799		D	D	D	D	white solid	200-202	363	361	
800		A	A	A	A	tan solid		238		
801		E	E	E	E	Off white solid			338	
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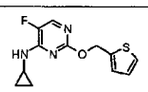
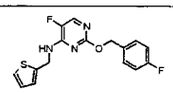
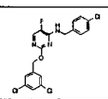
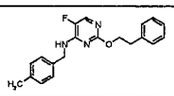
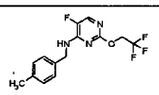
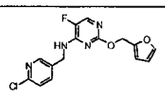
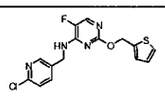
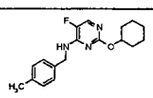
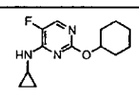
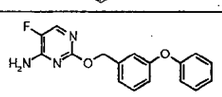
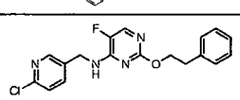
TABLE II: 1 DP Activity of Compounds on SEPTTR at 50 and 200 ppm

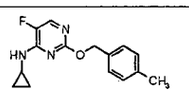
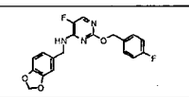
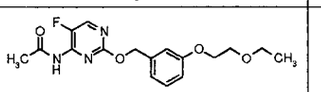
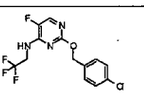
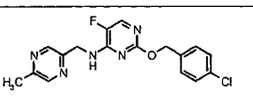
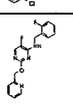
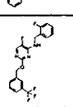
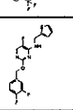
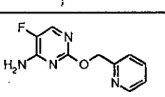
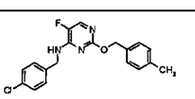
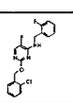
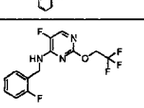
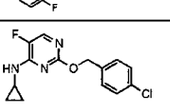
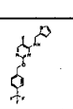
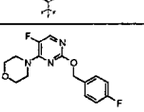
Cmpd	Structure	SEPTTR 1DP 50 ppm	SEPTTR 1DP 200 ppm	Phys. App.	MP	Mass Spec. (ES+)	Mass Spec. (ES-)	GCMS
803		D	B			320		
804		C	B			360		
805		B	A			342		
806		E	A			384		
807		E	A			294		
808		A	A			350		
809		B	A			346		
810		E	A			350		
811		A	A			412		
812		E	A			290		

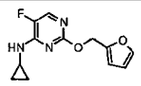
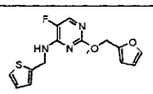
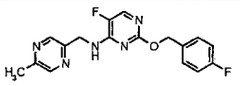
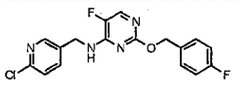
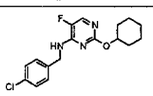
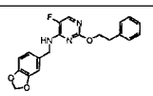
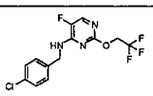
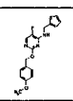
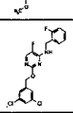
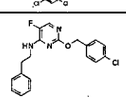
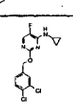
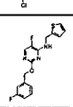
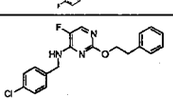
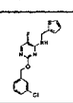
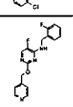
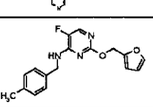
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816		A	A			378		
817		C	A			318		
818		D	D			317		
819		E	A	off white solid		328		
820		C	A			362		
821		E	A			290		
822		C	A			332		
823		E	A			290		
824		A	A			346		
825		B	A			364		
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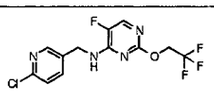
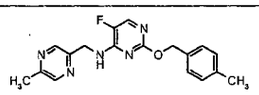
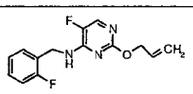
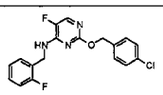
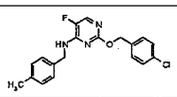
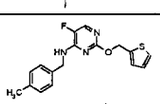
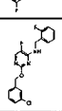
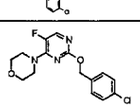
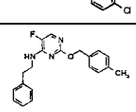
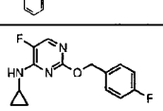
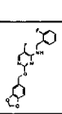
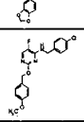
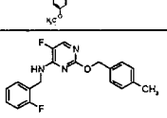
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831		B	A			322		
832		D	D	white solid	167-169	238	236	
833		C	B				318	
834		E	A			296		
835		E	A			384		
836		B	A			304		
837		D	D			316		
838		E	A			384		
839		E	A			360		
840		B	A			362		
841		B	A			346		
842		A	A			388		
843		C	A			330		
844		A	A				357	
845		A	A			296		
846		D	C	white solid	108-110	226	224	

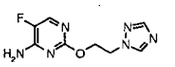
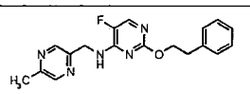
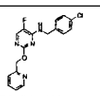
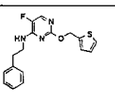
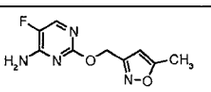
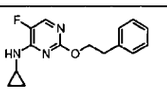
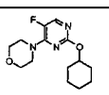
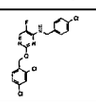
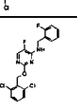
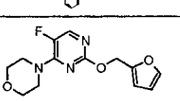
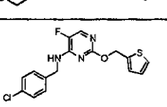
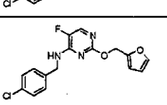
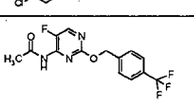
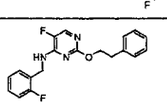
847		A	E	white solid	155-157	288	286	
848		D	D	white solid		212	210	
849		A	A			316		
850		D	B	yellow-white solid	189-191	144		
851		A	A			380		
852		B	A			278		
853		D	D	white solid	112-114	158		
854		B	A			368		
855		E	A			344		
856		E	A	off-white solid		221		
857		B	A			397		
858		D	C				335	
859		A	A			344		
860		E	A			400		
861		D	C			316		
862		B	A			338		
863		A	A			398		

864		D	C	white solid	115-117	212	210	
865		C	A			358		
866		D	A			266		
867		A	A			334		
868		B	A			414		
869		E	A			346		
870		E	A			330		
871		D	C			338		
872		C	B			316		
873		D	D			335		
874		D	D			351		
875		D	A			316		
876		D	D			249		
877		E	A			330		
878		A	A	yellow gummy solid		312	310	
879		A	A			380		
880		D	C			359		

881		A	A			274		
882		A	A			372		
883		A	A			398		
884		A	A	orange oil		350	348	
885		C	B				334	
886		A	A			360		
887		E	A			294		
888		D	B			329		
889		A	A			397		
890		E	A			352		
891		A	A	yellowish solid		221		
892		B	A			358		
893		A	A			362		
894		D	D			320		
895		A	A			294		
896		E	A			384		
897		D	B			308		

898		D	D			250		
899		C	A			306		
900		B	A			344		
901		B	A			363		
902		E	A			317		
903		D	A			336		
904		D	C			368		
905		C	B			336		
906		E	A			346		
907		B	A			398		
908		A	A			358		
909		E	A			328		
910		E	A			334		
911		D	D			358		
912		E	A			350		
913		A	A			329		
914		D	A			314		

915		D	D			337		
916		A	A			340		
917		D	B	yellow-white solid	54-56	278	276	
918		A	A			362		
919		E	A			304		
920		A	A			359		
921		A	A			364		
922		B	C			330		
923		E	A			352		
924		A	A			362		
925		D	D			324		
926		A	A			338		
927		B	A			278		
928		A	A			372		
929		B	A			374		
930		D	A			342		
931		E	A			346		

932		A	A	white solid	49-51	170	168	
933		C	B	white solid	145-149		223	
934		D	C			340		
935		C	A			345		
936		A	A	white solid	88-91	234	232	
937		A	A			330		
938		E	A			296		
939		D	A	off-white solid		225		
940		D	D			274		
941		D	D			282		
942		A	A			414		
943		B	A			398		
944		D	D			280		
945		A	A			350		
946		B	A			334		
947		A	A	white solid	144-146	330	328	
948		D	D			342		

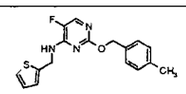
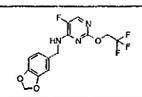
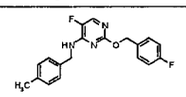
949		B	A			330		
950		D	D			346		
951		B	A			342		
952		E	C			261		
953		C	A			412		

TABLE III: 1 DP Activity of Compounds on CERCBE, VENTIN, and MYCOFI

Cmpd #	CERCBE 1DP 25 ppm	CERCBE 1DP 75 ppm	VENTIN 1DP 25 ppm	VENTIN 1DP 75 ppm	MYCOFI 1DP 50 ppm	MYCOFI 1DP 200 ppm
1	C	C	A	A	C	B
3	E	E	D	A	E	E
4	A	A	A	A	E	E
5	E	E	A	A	E	E
7	B	B	A	A	E	E
9	D	D	A	C	E	E
10	D	D	B	A	E	E
11	D	D	A	A	E	E
14	D	D	A	A	E	E
15	E	E	A	A	E	E
20	E	E	B	A	E	E
23	D	B	B	A	E	E
24	D	D	C	A	E	E
35	E	E	A	A	E	E
36	B	B	B	A	E	E
46	E	E	A	A	E	E

61	D	D	A	B	E	E
68	B	B	B	A	E	E
69	D	C	B	A	E	E
79	D	C	C	C	E	E
121	D	D	A	C	E	E
122	C	C	A	A	E	E
125	C	C	C	A	E	E
135	C	C	B	B	E	E
137	D	D	D	A	E	E
141	D	D	D	C	E	E
155	D	D	C	D	E	E
158	D	D	B	B	E	E
163	D	D	D	C	E	E
164	D	D	C	B	E	E
169	D	D	B	C	E	E
180	D	C	C	D	E	E
187	D	C	B	C	E	E
189	C	D	B	B	E	E
190	C	C	C	C	E	E
207	D	D	D	C	E	E
209	E	E	B	A	E	E
211	D	D	C	B	E	E
214	B	B	A	A	E	E
221	C	B	D	C	E	E
226	D	C	C	A	E	E
233	D	D	D	B	E	E
236	E	E	A	A	E	E
248	D	D	D	B	E	E
251	D	B	A	A	E	E
260	A	B	A	A	E	E
270	C	C	D	C	E	E

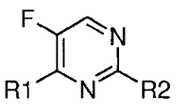
271	C	C	C	B	E	E
288	D	C	B	C	E	E
311	C	C	C	C	E	E
313	E	E	C	B	E	E
316	B	A	A	A	E	E
335	C	A	A	A	E	E
337	B	A	B	A	E	E
341	D	D	C	D	E	E
342	C	A	A	A	E	E
343	A	A	A	B	E	E
345	E	E	B	A	E	E
347	E	E	A	C	E	E
352	D	D	D	C	E	E
353	C	C	C	A	E	E
356	B	D	B	C	E	E
370	C	C	C	C	E	E
373	D	D	D	C	E	E
384	D	D	B	D	E	E
410	C	C	C	B	E	E
411	C	C	B	B	E	E
418	D	D	B	B	E	E
436	B	C	A	A	E	E
452	D	D	D	C	E	E
469	E	E	B	A	E	E
481	C	A	A	A	E	E
483	D	D	C	A	E	E
488	D	D	C	C	E	E
510	E	E	A	A	E	E
524	D	D	B	B	E	E
538	D	D	C	B	E	E
554	D	B	A	A	E	E

560	D	C	D	A	E	E
569	C	A	A	A	E	E
570	D	C	C	A	E	E
582	B	B	A	A	E	E
584	C	C	C	C	E	E
593	C	B	A	A	E	E
626	D	D	C	A	E	E
630	D	D	B	A	E	E
631	D	D	D	C	E	E
635	C	C	C	A	E	E
649	D	D	C	C	E	E
651	C	C	D	D	E	E
687	D	D	C	B	E	E
694	C	C	C	B	E	E
707	B	C	B	B	E	E
710	D	D	C	C	E	E
719	D	C	B	B	E	E
720	D	B	B	A	E	E
730	C	C	B	B	E	E
732	C	A	C	A	E	E
734	E	E	A	A	E	E
739	D	D	C	B	E	E
741	D	D	B	A	E	E
764	C	D	C	C	E	E
800	A	A	A	A	E	E
804	D	D	D	D	E	E
845	D	D	C	C	E	E
849	C	C	A	B	E	E
858	C	C	C	D	E	E
860	D	C	C	B	E	E
894	D	D	D	C	E	E

933	C	C	D	C	E	E
941	D	D	B	C	E	E
952	D	D	D	D	E	E

WHAT IS CLAIMED IS:

1. A compound of formula I



I

wherein R^1 is $-N(R^3)R^4$;

R^2 is $-OR^{21}$;

R^3 is:

H;

C_1-C_6 alkyl optionally substituted with 1- to 3 R^5;

C_2-C_6 alkenyl optionally substituted with 1-3 R^5;

a 5- or 6- membered heteroaromatic ring selected from the group consisting of furanyl, pyridinyl, pyridinyl-N-oxide, pyrimidinyl, pyridazinyl, pyrazinyl, thiazolyl, triazinyl, thiadiazolyl, oxazolyl, isoxazolyl, triazolyl, each heteroaromatic ring being optionally substituted with 1-3 R^{30};

imidazole fused with an aromatic or heteroaromatic ring selected from the group consisting of benzene, oxazole, isoxazole, furan, thiazole, pyrimidine, pyridine, pyrrole, pyrazine, thiophene, each aromatic or heteroaromatic ring being optionally substituted with 1 to 3 R^{30};

benzo[1,3]dioxolyl;

3H-isobenzofuran-1-onyl;

cyano;

C_3-C_6 alkynyl optionally substituted with 1-3 R^5;

$-C(=O)R^6$;

$-C(=O)OCH_2C(=O)R^8$;

$-C(=S)R^6$;

$-C(=S)NHR^8$;

$-C(=O)N(R^8)\ R^{10}$;

$-OR^7$;

$-P(O)(OR^{15})_2$;

$-S(O)_2R^8$;

$-SR^8$;

$-Si(R^8)_3$;

$-N(R^9)R^{10}$;

$-N=C(R^{15})R^{16}$;

$-(CHR^{22})_mR^{37}$;

$-(CHR^{24})OR^{29}$; or

$-C(=NR^{16})SR^{16}$;

wherein m is an integer from 1-3;

R^4 is:

H;

C_1-C_6 alkyl, optionally substituted with 1-3 R^5;

$-C(=O)R^6$; or

$-C(=O)N(R^8)\ R^{10}$;

alternatively R^3 and R^4 may be taken together to form:

a 5- or 6- membered saturated or unsaturated ring containing 1-3 heteroatoms wherein each ring may be optionally substituted with 1-3 R^{11};

$=C(R^{12})N(R^{13})R^{14}$;

$=C(R^{13})(R^{14})$;

$=C(R^{15})OR^{15}$;

$=S(R^{34})_2$; or

$=NR^{35}$;

R^5 is independently halogen, C_1-C_6 alkyl, C_1-C_4 haloalkyl, C_1-C_4 alkoxy, C_1-C_4 haloalkoxy, C_1-C_4 alkylthio, C_1-C_4 haloalkylthio, amino, C_1-C_3 alkylamino, C_2-C_6 alkoxycarbonyl, C_2-C_6 alkylcarbonyl, C_2-C_6 alkylaminocarbonyl, -OH, N-methyl piperazine or C_3-C_6 trialkylsilyl;

R^6 is independently H, C_1-C_6 alkyl, C_1-C_5 haloalkyl, C_1-C_5 alkoxy, C_1-C_5 haloalkoxy C_2-C_6 alkoxycarbonyl, C_1-C_4 alkoxyalkoxy, C_2-C_6 alkylaminocarbonyl; 1-benzo[1,2,3]thiadiazol-7-yl, thiazolyl, benzyl, phenyl, phenoxy, or benzyloxy wherein the thiazolyl, benzyl, phenyl, phenoxy, or benzyloxy may be optionally substituted with 1-3 R^{20}, a 5- or 6- membered saturated or unsaturated ring containing 1-3 heteroatoms wherein each ring may be optionally substituted with 1-3 R^{11};

R^7 is H, C_1-C_6 alkyl, C_2-C_6 alkenyl, C_1-C_5 haloalkyl, benzyl which may be optionally substituted with 1-5 R^{20}, $CHR^{18}C(O)OR^{19}$, or a 5- or 6- membered saturated or unsaturated ring containing 1-3 heteroatoms wherein each ring may be optionally substituted with 1-3 R^{11};

R^8 is independently C_1-C_6 alkyl, C_1-C_6 haloalkyl, amino, C_1-C_6 alkylamino, C_2-C_6 dialkylamino, phenyl optionally substituted with 1-3 R^{30}, or a 5- or 6- membered saturated or unsaturated ring containing 1-3 heteroatoms wherein each ring may be optionally substituted with 1-3 R^{11};

R^9 is H, C_1-C_6 alkyl C_1-C_6 haloalkyl, $-C(=O)R^{17}$, or phenyl optionally substituted with 1-3 R^{20},

R^{10} is H or C_1-C_6 alkyl, C_1-C_6 haloalkyl, or phenyl optionally substituted with 1-3 R^{20};

R^{11} is independently halogen, C_1-C_6 alkyl, C_1-C_6 haloalkyl, C_1-C_6 alkoxy, C_1-C_6 haloalkoxy, C_1- C_6 alkylthio, C_1-C_6 haloalkylthio, amino, C_1-C_6 alkylamino, C_2-C_6 dialkylamino, C_2-C_6 alkoxycarbonyl, or C_2-C_6 alkylcarbonyl;

R^{12} is H or C_1-C_4 alkyl;

R^{13} and R^{14} are independently H, cyano, -OH, C_1-C_4 alkyl, C_1-C_6 alkoxy, C_2-C_6, alkylcarbonyl, phenyl, or benzyl wherein the phenyl or benzyl may be optionally substituted with 1-3 R^{20};

alternatively R^{13} and R^{14} may be taken together to form:

a 5- or 6- membered saturated or unsaturated ring containing 1-3 heteroatoms wherein each ring may be optionally substituted with 1-3 R^{11}, or 3,4-dihydro-1H-isoquinolin-2-yl;

alternatively R^{12} and R^{13} may be taken together to form:

a 5- or 6- membered saturated or unsaturated ring containing 1-3 heteroatoms wherein each ring may be optionally substituted with 1-3 R^{11};

R^{15} is H or C_1-C_6 alkyl;

R^{16} is H, C_1-C_6 alkyl, or phenyl optionally substituted with 1-3 R^{20};

alternatively R^{15} and R^{16} may be taken together as $-(CH_2)_4-$ or $-(CH_2)_5-$;

R^{17} is H, C_1-C_6 alkyl, C_1-C_6 haloalkyl, C_1-C_6 alkoxy, phenyl, phenoxy, or benzyloxy wherein each ring may be optionally substituted with 1-3 R^{20};

R^{18} is H, C_1-C_6 alkyl, or C_1-C_6 haloalkyl;

R^{19} is H, C_1-C_6 alkyl, C_1-C_6 haloalkyl, or benzyl;

R^{20} is independently halogen, cyano, nitro, amino, C_1-C_6 alkoxyalkoxy, C_1-C_6 alkyl, C_1-C_6 haloalkyl, C_1-C_6 hydroxyalkyl, C_2-C_6 alkoxyalkyl, C_2-C_6 haloalkoxyalkyl, C_2-C_6 alkenyl, C_2-C_6 haloalkenyl, C_3-C_6 alkynyl, C_3-C_6 haloalkynyl, hydroxyl, C_1-C_6 alkoxy, C_1-C_6 haloalkoxy, C_2-C_6 alkenyloxy, C_2-C_6 haloalkenyloxy, C_3-C_6 alkynyloxy, C_3-C_6 haloalkynyloxy, C_1-C_6 alkylthio, C_1-C_6 haloalkylthio, C_1-C_6 alkylsulfonyl, C_1-C_6 haloalkylsulfonyl, C_2-C_6 alkenylthio, C_2-C_6 haloalkenylthio, C_2-C_6 haloalkenylsulfonyl, C_3-C_6 alkynylthio, C_3-C_6 alkynylsulfonyl, C_3-C_6 haloalkynylsulfonyl, C_1-C_6 alkylamino, C_2-C_8 dialkylamino, C_3-C_8 dialkylaminocarbonyl, C_2-C_6 alkoxycarbonyl, C_2-C_6 alkylcarbonyl, C_3-C_6 trialkylsilyl, 2-[(E)-methoxyimino]-N-methyl-acetamidyl, phenyl, benzyl, benzyloxy, phenoxy,or a 5- or 6- membered heteroaromatic ring wherein each phenyl, benzyl, benzyloxy, phenoxy, or 5- or 6- membered heteroaromatic ring may be optionally substituted with 1-3 substitutents independently selected from R^{31};

R^{21} is:

H;

C_1-C_{14} alkyl;

C_1-C_6 haloalkyl;

C_2-C_4 alkenyl;

C_2-C_4 haloalkenyl;

C_3-C_4 alkynyl;

C_3-C_4 haloalkynyl;

phenyl, naphthyl, or tetrahydroquinolinyl each optionally substituted with 1-3 R^{20};

$-(CHR^{22})_mR^{23}$;

$-(CHR^{24})_mC(O)OR^{25}$;

$-(CHR^{24})_mC(O)R^{26}$;

$-(CHR^{24})_mC(O)N(R^{27})R^{28}$;

$-(CHR^{24})_mOR^{29}$;

$-(CHR^{24})_mSR^{29}$

$-(CHR^{24})_mN(R^{27})R^{28}$;

$-C(=O)R^{32}$;

$-N=C(R^{32})(R^{36})$;

$-NR^{25}C(=O)OR^{25}$

$-Si(R^8)_3$;

$-SO_2R^{33}$;

C_2-C_6 alkoxy carbonyl;

C_2-C_6 alkylaminocarbonyl;

C_2-C_6 alkylcarbonyl;

sugars selected from the group consisting of beta-D-glucose-tetraacetate, rhamnose, fructose, and pentose; or

a 5- or 6- membered heteroaromatic ring selected from the group consisting of furanyl, pyridinyl, pyridinyl-N-oxide, pyrimidinyl, pyridazinyl, pyrazinyl, pyrazolyl, thiazolyl, triazinyl, thiadiazolyl, oxazolyl, triazolyl or isoxazolyl wherein each 5- or 6- member heteroaromatic ring may be optionally substituted with 1-5 R^{20};

R^{22} is independently:

H;

halogen;

cyano;

nitro;

C_1-C_6 alkyl;

C_1-C_6 haloalkyl;

phenyl or benzyl optionally substituted with 1-3 R^{20};

C_1-C_6 hydroxyalkyl;

C_2-C_6 alkoxylalkyl;

C_3-C_6 haloalkynyl;

C_2-C_6 alkenyl;

C_2-C_6 haloalkenyl;

C_3-C_6 alkynyl;

C_1-C_6 alkoxy;

C_1-C_6 haloalkoxy;

C_1-C_6 alkylthio;

C_1-C_6 alkylamino;

C_2-C_8 dialkylamino;

C_3-C_6 cycloalkylamino;

C_4-C_6 (alkyl)cycloalkylamino;

C_2-C_6 alkylcarbonyl;

C_2-C_6 alkoxycarbonyl;

C_2-C_6 alkylaminocarbonyl;

C_3-C_8 dialkylaminocarbonyl;

C_3-C_6 trialkylsilyl;

ring-fused heteroaromatic rings selected from the group consisting of benzothiophenyl, quinolinyl, isoquinolinyl, thieno[2,3-b]pyridyl, 1-methyl-1H-thieno[2,3-c]pyrazolyl, and benzoimidazolyl, wherein each of the rings may be further substituted with 1-3 R^{20}; or

a 5- or 6- membered heteroaromatic ring selected from the group consisting of furanyl, pyridinyl, pyridinyl-N-oxide, pyrimidinyl, pyridazinyl, pyrazinyl, thiazolyl, triazinyl, thiadiazolyl, oxazolyl, isoxazolyl, triazolyl and thienyl;

R^{23} is:

H;

halogen;

C_1-C_6 alkyl;

C_1-C_6 haloalkyl;

C_2-C_6 dialkylamino;

phenyl optionally substituted with 1-5 R^{20};

ring-fused heteroaromatic rings selected from the group consisting of benzothiophenyl, quinolinyl, isoquinolinyl, thieno[2,3-b]pyridyl, 1-methyl-1H-thieno[2,3-c]pyrazolyl, benzofuranyl and benzoimidazolyl, 2,3-dihydro-benzofuran-2-yl, 4-methyl-4H-thieno[3,2-b]pyrrol-5-yl, 1-methyl-1H-indol-5-yl, imidazo[1,2-a]pyridin-2-yl, imidazo[2,1-b]thiazol-6-yl, benzothiazol-2-yl, benzo[b]thiophen-7-yl, and 1-methyl-1H-indazol-3-yl, wherein each of the rings may be further substituted with 1-3 R^{20};

naphthyl;

benzo[1,3]dioxolyl;

pyrrolidinonyl;

oxetanyl;

C_1-C_6 alkylthio optionally substituted with 1-5 R^{20};

a 5- or 6- membered saturated or unsaturated ring containing 1-3 heteroatoms wherein each ring may be optionally substituted with 1-3 R^{11}; or

a 5- or 6- membered heteroaromatic ring selected from the group consisting of furanyl, pyridinyl, pyridinyl-N-oxide, pyrimidinyl, pyridazinyl, pyrazinyl, pyrazolyl, thiazolyl, triazinyl, thiadiazolyl, oxazolyl, isoxazolyl, triazolyl, imidazolyl, thiophene-2-yl and thiophen-3-yl wherein each heteroaromatic ring may be optionally substituted with 1-3 R^{20};

R^{24} is H, C_1-C_6 alkyl, C_1-C_6 alkoxy, benzyl, or phenyl wherein each of the benzyl or phenyl may be optionally substituted with 1-3 R^{20};

R^{25} is H, C_1-C_6 alkyl, phenyl or benzyl optionally substituted with 1-3 R^{20};

R^{26} is:

H;

C_1-C_6 alkyl;

C_1-C_6 alkoxy;

phenyl optionally substituted with 1-3 R^{20}; or

a 5- or 6 membered heteroaromatic ring selected from the group consisting of furanyl, pyridinyl, pyridinyl-N-oxide, pyrimidinyl, pyridazinyl, pyrazinyl, thiazolyl, triazinyl, thiadiazolyl, oxazolyl, triazolyl and isoxazolyl;

R^{27} and R^{28} are independently:

H;

C_1-C_6 alkyl;

benzyl or phenyl wherein each of the benzyl or phenyl may be optionally substituted with 1-3 R^{20};or

a 5- or 6- membered saturated or unsaturated ring containing 1-3 heteroatoms wherein each ring may be optionally substituted with 1-3 R^{11};

R^{29} is:

H;

C_1-C_6 alkyl;

C_1-C_6 haloalkyl;

C_1-C_6 alkoxyalkyl;

C_2-C_6 alkylcarbonyl;

benzyl or phenyl wherein each of the benzyl or phenyl may be optionally substituted with 1-3 R^{20}; or

a 5- or 6- membered saturated or unsaturated ring containing 1-3 heteroatoms wherein each ring may be optionally substituted with 1-3 R^{11};

R^{30} is independently halogen, cyano, nitro, C_1-C_6 alkyl, C_1-C_6 haloalkyl, C_1-C_6 hydroxyalkyl, C_2-C_6 alkoxyalkyl, C_2-C_6 haloalkoxyalkyl, C_2-C_6 alkenyl, C_2-C_6 haloalkenyl, C_3-C_6 alkynyl, C_3-C_6 haloalkynyl, hydroxyl, C_1-C_6 alkoxy, C_1-C_6 haloalkoxy, C_2-C_6 alkenyloxy, C_2-C_6 haloalkenyloxy, C_3-C_6 alkynyloxy, C_3-C_6 haloalkynyloxy, C_1-C_6 alkylthio, C_1-C_6 alkylsulfonyl, C_1-C_6 haloalkylsulfonyl, C_2-C_6 alkenylthio, C_2-C_6 haloalkenylthio, C_2-C_6 haloalkenylsulfonyl, C_3-C_6 alkynylthio, C_3-C_6 alkynylsulfonyl, C_3-C_6 haloalkynylsulfonyl, C_1-C_6 alkylamino, C_2-C_8 dialkylamino, C_3-C_8 dialkylaminocarbonyl, C_3-C_6 trialkylsilyl, thiazolyl, phenyl, pyrimidinyl, or pyridyl, wherein the thiazolyl, phenyl, pyridyl, or pyrimidinyl may be optionally substituted with 1-3 R^{20};

R^{31} is independently halogen, cyano, nitro, C_1-C_6 alkyl, C_1-C_6 haloalkyl, C_1-C_6 hydroxyalkyl, C_2-C_6 alkoxyalkyl, C_2-C_6 haloalkoxyalkyl, C_2-C_6 alkenyl, C_2-C_6 haloalkenyl, C_3-C_6 alkynyl, C_3-C_6 haloalkynyl, hydroxyl, C_1-C_6 alkoxy, C_1-C_6 haloalkoxy, C_2-C_6 alkenyloxy, C_2-C_6 haloalkenyloxy, C_3-C_6 alkynyloxy, C_3-C_6 haloalkynyloxy, C_1-C_6 alkylthio, C_1-C_6 alkylsulfonyl, C_1-C_6 haloalkylsulfonyl, C_2-C_6 alkenylthio, C_2-C_6 haloalkenylthio, C_2-C_6 haloalkenylsulfonyl, C_3-C_6 alkynylthio, C_3-C_6 alkynylsulfonyl, C_3-C_6 haloalkynylsulfonyl, C_1-C_6 alkylamino, C_2-C_8 dialkylamino, C_3-C_8 dialkylaminocarbonyl, or C_3-C_6 trialkylsilyl;

R^{32} is independently:

C_1-C_6 alkyl, C_1-C_6 haloalkyl, C_1-C_6 hydroxyalkyl, C_2-C_6 alkoxyalkyl, C_2-C_6 haloalkoxyalkyl, C_2-C_6 alkenyl, C_2-C_6 haloalkenyl, C_3-C_6 alkynyl, C_3-C_6 haloalkynyl, hydroxyl, C_1-C_6 alkoxy, C_1-C_6 haloalkoxy, C_2-C_6 alkenyloxy, C_2-C_6 haloalkenyloxy, C_3-C_6 alkynyloxy, C_3-C_6 haloalkynyloxy, C_1-C_6 alkylthio, C_1-C_6

alkylsulfonyl, C_1-C_6 haloalkylsulfonyl, C_2-C_6 alkenylthio, C_2-C_6 haloalkenylthio, C_2-C_6 haloalkenylsulfonyl, C_3-C_6 alkynylthio, C_3-C_6 alkynylsulfonyl, C_3-C_6 haloalkynylsulfonyl, C_1-C_6 alkylamino, C_2-C_8 dialkylamino, C_3-C_8 dialkylaminocarbonyl, C_3-C_6 trialkylsilyl;

phenyl wherein the phenyl ring may be optionally substituted with 1-3 R^{20}; or

a 5- or 6- membered saturated or unsaturated ring containing 1-3 heteroatoms wherein each ring may be optionally substituted with 1-3 R^{11};

R^{33} is independently:

C_1-C_6 alkyl, C_1-C_6 haloalkyl, phenyl or thienyl optionally substituted with 1-3 R^{20}; or

a 5- or 6- membered saturated or unsaturated ring containing 1-3 heteroatoms wherein each ring may be optionally substituted with 1-3 R^{11};

R^{34} is:

C_1-C_6 alkyl, C_1-C_6 haloalkyl, C_2-C_6 alkoxyalkyl, C_1-C_6 alkylamino; or

a 5- or 6- membered saturated or unsaturated ring containing 1-3 heteroatoms wherein each ring may be optionally substituted with 1-3 R^{11};

R^{35} is:

C_1-C_6 alkyl, C_2-C_6 alkylcarbonyl; or

a 5- or 6- membered saturated or unsaturated ring containing 1-3 heteroatoms wherein each ring may be optionally substituted with 1-3 R^{11};

R^{36} is H, cyano, C_1-C_6 alkyl, C_1-C_6 alkoxy, benzyl, or phenyl wherein each of the benzyl or phenyl may be optionally substituted with 1-3 R^{20};

alternatively R^{32} and R^{36} may be taken together to form:

a 5- or 6- membered saturated or unsaturated ring containing 1-3 heteroatoms wherein each ring may be optionally substituted with 1-3 R^{11}; and

R^{37} is independently:

H, halogen, or phenyl optionally substituted with 1-5 R^{20};

C_1-C_6 alkyl, C_1-C_6 haloalkyl, hydroxyl, C_1-C_6 alkoxy, or C_1-C_6 haloalkoxy; or

a 5- or 6- membered saturated or unsaturated ring containing 1-3 heteroatoms wherein each ring may be optionally substituted with 1-3 R^{11}.

2. The compound of the formula I wherein R^3 is C_1-C_6 alkyl, -C(=O)R^6, -$(CHR^{22})_mR^{37}$,-$(CHR^{24})OR^{29}$, -OR^7, -C(=S)NHR^8, -$Si(R^8)_3$, -C(=O)$OCH_2C(=O)R^8$, R^4 is H, R^6 is C_1-C_6 alkyl, C_1-C_6 alkyl, C_1-C_5 haloalkyl, C_1-C_5 alkoxy, C_2-C_6 alkoxycarbonyl, phenyl, benzyl, C_1-C_4 alkoxyalkoxy, thiazolyl wherein the thiazole may be optionally substituted with 1-3 R^{20}, R^7 is H, C_1-C_6 alkyl, R^8 is C_1-C_6 alkyl, C_1-C_6 haloalkyl, or phenyl optionally substituted with 1-3 R^{30}, R^{24} is H, C_1-C_6 alkyl, R^{29} is H, C_1-C_6 alkyl, C_1-C_6 alkoxyalkyl, R^{30} is halogen, C_1-C_6 alkyl, C_1-C_6 haloalkyl, C_1-C_6 alkoxy, C_1-C_6 haloalkoxy, phenyl wherein each phenyl may be optionally substituted with 1-3 R^{20}, R^{20} is halogen, C_1-C_6 alkyl, C_1-C_6 alkoxy, C_1-C_6 haloalkoxy, R^{37} is halogen, phenyl optionally substituted with 1-5 R^{20}, C_1-C_6 alkyl, C_1-C_6 haloalkyl, hydroxyl, C_1-C_6 alkoxy, C_1-C_6 haloalkoxy, a 5- or 6- membered saturated or unsaturated ring containing 1-3 heteroatoms wherein each ring may be optionally substituted with 1-3 R^{11}, R^{21} is (-$CHR^{22})_mR^{23}$, m is 1, R^{22} is H, R^{23} is a 5- or 6- membered saturated or unsaturated ring containing 1-3 heteroatoms wherein each ring may be optionally substituted with 1-3 R^{11}. R^{11} is halogen, C_1-C_6 alkyl, C_1-C_6 alkoxy, C_1-C_6 haloalkoxy.

3. The compound of the formula I wherein R^3 is C_1-C_6 alkyl, -C(=O)R^6, -$(CHR^{22})_mR^{37}$,-$(CHR^{24})OR^{29}$, -OR^7, -C(=S)NHR^8, -$Si(R^8)_3$, -C(=O)$OCH_2C(=O)R^8$, R^4 is H, R^6 is C_1-C_6 alkyl, C_1-C_6 alkyl, C_1-C_5 haloalkyl, C_1-C_5 alkoxy, C_2-C_6 alkoxycarbonyl, phenyl, benzyl, C_1-C_4 alkoxyalkoxy, thiazolyl wherein the thiazole may be optionally substituted with 1-3 R^{20}, R^7 is H, C_1-C_6 alkyl, R^8 is C_1-C_6 alkyl, C_1-C_6 haloalkyl, or phenyl optionally substituted with 1-3 R^{30}, R^{24} is H, C_1-C_6 alkyl, R^{29} is H, C_1-C_6 alkyl,

C_1-C_6 alkoxyalkyl, R^{30} is halogen, C_1-C_6 alkyl, C_1-C_6 haloalkyl, C_1-C_6 alkoxy, C_1-C_6 haloalkoxy, phenyl wherein each phenyl may be optionally substituted with 1-3 R^{20}, R^{20} is halogen, C_1-C_6 alkyl, C_1-C_6 alkoxy, C_1-C_6 haloalkoxy, R^{37} is halogen, phenyl optionally substituted with 1-5 R^{20}, C_1-C_6 alkyl, C_1-C_6 haloalkyl, hydroxyl, C_1-C_6 alkoxy, C_1-C_6 haloalkoxy, a 5- or 6- membered saturated or unsaturated ring containing 1-3 heteroatoms wherein each ring may be optionally substituted with 1-3 R^{11}, R^{11} is halogen, C_1-C_6 alkyl, C_1-C_6 alkoxy, C_1-C_6 haloalkoxy, R^{21} is $(-CHR^{22})_mR^{23}$, m is 1, R^{22} is H, C_1-C_4 alkyl, phenyl, R^{23} is a ring-fused heteroaromatic rings selected from the group consisting of benzothiophenyl, quinolinyl, isoquinolinyl, thieno[2,3-b]pyridyl, 1-methyl-1H-thieno[2,3-c]pyrazolyl, benzofuranyl and benzoimidazolyl, 2,3-dihydro-benzofuran-2-yl, 4-methyl-4H-thieno[3,2-b]pyrrol-5-yl, 1-methyl-1H-indol-5-yl, imidazo[1,2-a]pyridin-2-yl, imidazo[2,1-b]thiazol-6-yl, benzothiazol-2-yl, benzo[b]thiophen-7-yl, 1-methyl-1H-indazol-3-yl, wherein each of the rings may be further substituted with 1-3 R^{20}.

4. The compound of the formula I wherein R^3 is C_1-C_6 alkyl, $-C(=O)R^6$, $-(CHR^{22})_mR^{37}$,$-(CHR^{24})OR^{29}$, $-OR^7$, $-C(=S)NHR^8$, $-Si(R^8)_3$, $-C(=O)OCH_2C(=O)R^8$, R^4 is H, R^6 is C_1-C_6 alkyl, C_1-C_6 alkyl, C_1-C_5 haloalkyl, C_1-C_5 alkoxy, C_2-C_6 alkoxycarbonyl, phenyl, benzyl, C_1-C_4 alkoxyalkoxy, thiazolyl wherein the thiazole may be optionally substituted with 1-3 R^{20}, R^7 is H, C_1-C_6 alkyl, R^8 is C_1-C_6 alkyl, C_1-C_6 haloalkyl, or phenyl optionally substituted with 1-3 R^{30}, R^{24} is H, C_1-C_6 alkyl, R^{29} is H, C_1-C_6 alkyl, C_1-C_6 alkoxyalkyl, C_2-C_6 alkylcarbonyl, R^{30} is halogen, C_1-C_6 alkyl, C_1-C_6 haloalkyl, C_1-C_6 alkoxy, C_1-C_6 haloalkoxy, phenyl wherein each phenyl may be optionally substituted with 1-3 R^{20}, R^{37} is halogen, phenyl optionally substituted with 1-5 R^{20}, C_1-C_6 alkyl, C_1-C_6 haloalkyl, hydroxyl, C_1-C_6 alkoxy, C_1-C_6 haloalkoxy, a 5- or 6- membered saturated or unsaturated ring containing 1-3 heteroatoms wherein each ring may be optionally substituted with 1-3 R^{11}, R^{11} is halogen, C_1-C_6 alkyl, C_1-C_6 alkoxy, C_1-C_6 haloalkoxy, R^{21} is $(-CHR^{22})_mR^{23}$, m is 1, R^{22} is H, R^{23} is naphthlyl, benzo[1,3]dioxolyl, phenyl optionally substituted with 1-5 R^{20}, R^{20} is halogen, cyano, nitro, amino, C_1-C_6 alkoxyalkoxy, C_1-C_6 alkyl, C_1-C_6 haloalkyl, C_1-C_6 hydroxyalkyl, C_2-C_6 alkoxyalkyl, C_2-C_6 haloalkoxyalkyl, C_2-C_6 alkenyl, hydroxyl, C_1-C_6 alkoxy, C_1-C_6 haloalkoxy, C_1-C_6 alkylthio, C_1-C_6 haloalkylthio, C_1-C_6 alkylsulfonyl, C_1-C_6 alkylamino, benzyloxy,

phenoxy, wherein each benzyloxy, phenoxy, may be optionally substituted with 1-3 substitutents independently selected from R^{31}, R^{31} is halogen, C_1-C_6 alkyl, C_1-C_6 alkoxy.

5. The compound of the formula I wherein R^3 is C_1-C_6 alkyl, -C(=O)R^6, -$(CHR^{22})_mR^{37}$,-$(CHR^{24})OR^{29}$, -OR^7, -C(=S)NHR^8, -$Si(R^8)_3$, -C(=O)$OCH_2C(=O)R^8$, R^4 is H, R^6 is C_1-C_6 alkyl, C_1-C_6 alkyl, C_1-C_5 haloalkyl, C_1-C_5 alkoxy, C_2-C_6 alkoxycarbonyl, phenyl, benzyl, C_1-C_4 alkoxyalkoxy, thiazolyl wherein the thiazole may be optionally substituted with 1-3 R^{20}, R^7 is H, C_1-C_6 alkyl, R^8 is C_1-C_6 alkyl, C_1-C_6 haloalkyl, or phenyl optionally substituted with 1-3 R^{30}, R^{24} is H, C_1-C_6 alkyl, R^{29} is H, C_1-C_6 alkyl, C_1-C_6 alkoxyalkyl, C_2-C_6 alkylcarbonyl, R^{30} is halogen, nitro C_1-C_6 alkyl, C_1-C_6 haloalkyl, C_1-C_6 alkoxy, C_1-C_6 haloalkoxy, phenyl wherein each phenyl may be optionally substituted with 1-3 R^{20}, R^{20} is halogen, C_1-C_6 alkyl, C_1-C_6 alkoxy, C_1-C_6 haloalkoxy, C_1-C_6 alkoxyalkoxy, C_1-C_6 haloalkyl, C_1-C_6 hydroxyalkyl, C_2-C_6 alkoxyalkyl, C_2-C_6 haloalkoxyalkyl, hydroxyl, C_1-C_6 alkylamino, C_2-C_8 dialkylamino, phenyl wherein each phenyl may be optionally substituted with 1-5 R^{31}, 5- or 6-membered heteroaromatic ring wherein each heteroaromatic ring may be optionally substituted with 1-3 R^{31}, R^{31} is halogen, C_1-C_6 alkyl, C_1-C_6 alkoxy, C_1-C_6 haloalkoxy, R^{37} is halogen, phenyl optionally substituted with 1-5 R^{20}, C_1-C_6 alkyl, C_1-C_6 haloalkyl, hydroxyl, C_1-C_6 alkoxy, C_1-C_6 haloalkoxy, a 5- or 6- membered saturated or unsaturated ring containing 1-3 heteroatoms wherein each ring may be optionally substituted with 1-3 R^{11}, R^{11} is halogen, C_1-C_6 alkyl, C_1-C_6 alkoxy, C_1-C_6 haloalkoxy, R^{21} is $(-CHR^{22})_mR^{23}$, m is 1, R^{22} is $-CH_3$, $-CH_2CH_3$, $-CH_2CH_2CH_3$, benzyl, 4-fluoro-phenyl, R^{23} is phenyl, 4-fluoro-phenyl, p-tolyl.

6. The compound of the formula I wherein R^3 is C_1-C_6 alkyl, -C(=O)R^6, -$(CHR^{22})_mR^{37}$,-$(CHR^{24})OR^{29}$, -OR^7, -C(=S)NHR^8, -$Si(R^8)_3$, -C(=O)$OCH_2C(=O)R^8$, R^4 is H, R^6 is C_1-C_6 alkyl, C_1-C_5 haloalkyl, C_1-C_5 alkoxy, C_2-C_6 alkoxycarbonyl, phenyl, benzyl, C_1-C_4 alkoxyalkoxy, thiazolyl wherein the thiazole may be optionally substituted with 1-3 R^{20}, R^7 is H, C_1-C_6 alkyl, R^8 is C_1-C_6 alkyl, C_1-C_6 haloalkyl, or phenyl optionally substituted with 1-3 R^{30}, R^{24} is H, C_1-C_6 alkyl, R^{29} is H, C_1-C_6 alkyl, C_1-C_6 alkoxyalkyl, C_2-C_6 alkylcarbonyl, R^{30} is halogen, nitro C_1-C_6 alkyl, C_1-C_6 haloalkyl, C_1-C_6 alkoxy, C_1-C_6 haloalkoxy, phenyl wherein each phenyl may be optionally substituted

with 1-3 R^{20}, R^{20} is halogen, C_1-C_6 alkyl, C_1-C_6 alkoxy, C_1-C_6 haloalkoxy, C_1-C_6 alkoxyalkoxy, C_1-C_6 hydroxyalkyl, C_2-C_6 alkoxyalkyl, C_2-C_6 haloalkoxyalkyl, hydroxyl, C_1-C_6 haloalkoxy, C_1-C_6 alkylamino, C_2-C_8 dialkylamino, phenyl wherein each phenyl may be optionally substituted with 1-5 R^{31}, 5- or 6- membered heteroaromatic ring wherein each heteroaromatic ring may be optionally substituted with 1-3 R^{31}, R^{31} is halogen, C_1-C_6 alkyl, C_1-C_6 alkoxy, C_1-C_6 haloalkoxy, R^{37} is halogen, phenyl optionally substituted with 1-5 R^{20}, C_1-C_6 alkyl, C_1-C_6 haloalkyl, hydroxyl, C_1-C_6 alkoxy, a 5- or 6-membered saturated or unsaturated ring containing 1-3 heteroatoms wherein each ring may be optionally substituted with 1-3 R^{11}, R^{11} is halogen, C_1-C_6 alkyl, C_1-C_6 alkoxy, C_1-C_6 haloalkoxy, R^{21} is $(-CHR^{22})_mR^{23}$, m is 1, R^{22} is H, C_1-C_6 alkyl, R^{23} is phenyl, p-tolyl, 4-fluoro-phenyl, 4-methoxy-phenyl, 3-methoxy-phenyl, thiophen-2-yl, thiophen-3-yl, 3-fluoro-phenyl, 3-bromo-phenyl, benzothiophen-2-yl, 2,4,6-trimethyl-phenyl, 1-ethyl-2-methoxy-phenyl, 3-benzonitrile, 3-fluoro-4-methoxy-phenyl.

7. The compound of the formula I wherein R^3 is C_1-C_6 alkyl, $-C(=O)R^6$, $-(CHR^{22})_mR^{37}$,$-(CHR^{24})OR^{29}$, $-OR^7$, $-C(=S)NHR^8$, R^4 is H, R^{21} is $-C(=O)R^{32}$, $-N=C(R^{32})(R^{36})$, $-SO_2R^{33}$, $-NR^{25}C(=O)OR^{25}$, C_2-C_6 alkoxy carbonyl; C_2-C_6 alkylaminocarbonyl; C_2-C_6 alkylcarbonyl, R^6 is C_1-C_6 alkyl, C_1-C_5 haloalkyl, C_1-C_5 alkoxy, C_2-C_6 alkoxycarbonyl, phenyl, benzyl, C_1-C_4 alkoxyalkoxy, thiazolyl wherein the thiazole may be optionally substituted with 1-3 R^{20}, R^7 is H, C_1-C_6 alkyl, R^8 is C_1-C_6 alkyl, C_1-C_6 haloalkyl, or phenyl optionally substituted with 1-3 R^{30}, R^{24} is H, C_1-C_6 alkyl, R^{29} is H, C_1-C_6 alkyl, C_1-C_6 alkoxyalkyl, C_2-C_6 alkylcarbonyl, R^{30} is halogen, nitro, C_1-C_6 alkyl, C_1-C_6 haloalkyl, C_1-C_6 alkoxy, C_1-C_6 haloalkoxy, phenyl wherein each phenyl may be optionally substituted with 1-3 R^{20}, R^{25} is H, C_1-C_6 alkyl, R^{33} is C_1-C_6 alkyl, C_1-C_6 haloalkyl, phenyl or thienyl optionally substituted with 1-3 R^{20}, R^{32} is C_1-C_6 alkyl, C_1-C_6 haloalkyl, alkoxyalkyl, C_2-C_6 haloalkoxyalkyl, R^{36} is H, cyano, C_1-C_6 alkyl, C_1-C_6 alkoxy, benzyl, or phenyl wherein each of the benzyl or phenyl may be optionally substituted with 1-3 R^{20}, R^{20} is halogen, C_1-C_6 alkoxyalkoxy, C_1-C_6 alkyl, C_1-C_6 haloalkyl, C_1-C_6 hydroxyalkyl, C_2-C_6 alkoxyalkyl, C_2-C_6 haloalkoxyalkyl, hydroxyl, C_1-C_6 alkoxy, C_1-C_6 haloalkoxy, C_1-C_6 alkylamino, C_2-C_8 dialkylamino, phenyl wherein each phenyl may be optionally substituted with 1-5 R^{31}, 5- or 6- membered heteroaromatic ring wherein each heteroaromatic ring may be optionally substituted with

1-3 R^{31}, R^{31} is halogen, C_1-C_6 alkyl, C_1-C_6 alkoxy, C_1-C_6 haloalkoxy, R^{37} is halogen, phenyl optionally substituted with 1-5 R^{20}, C_1-C_6 alkyl, C_1-C_6 haloalkyl, hydroxyl, C_1-C_6 alkoxy, C_1-C_6 haloalkoxy, a 5- or 6- membered saturated or unsaturated ring containing 1-3 heteroatoms wherein each ring may be optionally substituted with 1-3 R^{11}, R^{11} is halogen, C_1-C_6 alkyl, C_1-C_6 alkoxy, C_1-C_6 haloalkoxy.

8. The compound of the formula I wherein R^3 is C_1-C_6 alkyl, -C(=O)R^6, -C(=O)N(R^8)R^{10}, R^4 is C_1-C_6 alkyl, -C(=O)R^6, -C(=O)N(R^8)R^{10}, R^6 is C_1-C_6 alkyl, C_1-C_5 haloalkyl, C_1-C_5 alkoxy, C_2-C_6 alkoxycarbonyl, phenyl, benzyl, C_1-C_4 alkoxyalkoxy, thiazolyl wherein the thiazole may be optionally substituted with 1-3 R^{20}, R^8 is C_1-C_6 alkyl, C_1-C_6 haloalkyl, or phenyl optionally substituted with 1-3 R^{30}, R^{10} is H, C_1-C_6 alkyl, phenyl optionally substituted with 1-3 R^{20}, R^{21} is -C(=O)R^{32}, -N=C(R^{32})(R^{36}), -SO_2R^{33}, NR^{25}C(=O)OR^{25}, C_2-C_6 alkoxy carbonyl; C_2-C_6 alkylaminocarbonyl; C_2-C_6 alkylcarbonyl, R^{30} is halogen, nitro C_1-C_6 alkyl, C_1-C_6 haloalkyl, C_1-C_6 alkoxy, C_1-C_6 haloalkoxy, phenyl wherein each phenyl may be optionally substituted with 1-3 R^{20}, R^{25} is H, C_1-C_6 alkyl, R^{33} is C_1-C_6 alkyl, C_1-C_6 haloalkyl, phenyl or thienyl optionally substituted with 1-3 R^{20}, R^{32} is C_1-C_6 alkyl, C_1-C_6 haloalkyl, alkoxyalkyl, C_2-C_6 haloalkoxyalkyl, R^{36} is H, cyano, C_1-C_6 alkyl, C_1-C_6 alkoxy, benzyl, or phenyl wherein each of the benzyl or phenyl may be optionally substituted with 1-3 R^{20}, R^{20} is halogen, C_1-C_6 alkoxyalkoxy, C_1-C_6 alkyl, C_1-C_6 haloalkyl, C_1-C_6 hydroxyalkyl, C_2-C_6 alkoxyalkyl, C_2-C_6 haloalkoxyalkyl, hydroxyl, C_1-C_6 alkoxy, C_1-C_6 haloalkoxy, C_1-C_6 alkylamino, C_2-C_8 dialkylamino, phenyl wherein each phenyl may be optionally substituted with 1-5 R^{31}, 5- or 6- membered heteroaromatic ring wherein each heteroaromatic ring may be optionally substituted with 1-3 R^{31}, R^{31} is halogen, C_1-C_6 alkyl, C_1-C_6 alkoxy, C_1-C_6 haloalkoxy.

9. The compound of the formula I wherein R^3 is C_1-C_6 alkyl, -C(=O)R^6, -C(=O)N(R^8)R^{10}, R^4 is C_1-C_6 alkyl, -C(=O)R^6, -C(=O)N(R^8)R^{10}, R^6 is C_1-C_6 alkyl, C_1-C_5 haloalkyl, C_1-C_5 alkoxy, C_2-C_6 alkoxycarbonyl, phenyl, benzyl, C_1-C_4 alkoxyalkoxy, thiazolyl wherein the thiazole may be optionally substituted with 1-3 R^{20}, R^8 is C_1-C_6 alkyl, C_1-C_6 haloalkyl, or phenyl optionally substituted with 1-3 R^{30}, R^{30} is halogen, nitro, C_1-C_6 alkyl, C_1-C_6 haloalkyl, C_1-C_6 alkoxy, C_1-C_6 haloalkoxy, phenyl wherein each

phenyl may be optionally substituted with 1-3 R^{20}, R^{10} is H, C_1-C_6 alkyl, phenyl optionally substituted with 1-3 R^{20}, R^{21} is $(-CHR^{22})_mR^{23}$, m is 1, R^{22} is H, R^{23} is phenyl optionally substituted with 1-5 R^{20}.

10. The compound of the formula I wherein R^3 is C_1-C_6 alkyl, $-C(=O)R^6$, $-C(=O)N(R^8)R^{10}$, R^4 is C_1-C_6 alkyl, $-C(=O)R^6$, $-C(=O)N(R^8)R^{10}$, R^6 is C_1-C_6 alkyl, C_1-C_5 haloalkyl, C_1-C_5 alkoxy, C_2-C_6 alkoxycarbonyl, phenyl, benzyl, C_1-C_4 alkoxyalkoxy, thiazolyl wherein the thiazole may be optionally substituted with 1-3 R^{20}, R^8 is C_1-C_6 alkyl, C_1-C_6 haloalkyl, or phenyl optionally substituted with 1-3 R^{30}, R^{10} is H, C_1-C_6 alkyl, phenyl optionally substituted with 1-3 R^{20}, R^{21} is $(-CHR^{22})_mR^{23}$, m is 1, R^{22} is $-CH_3$, $-CH_2CH_3$, $-CH_2CH_2CH_3$, benzyl, 4-fluoro-phenyl, R^{23} is phenyl, 4-fluoro-phenyl, p-tolyl, R^{20} is halogen, C_1-C_6 alkyl, C_1-C_6 alkoxy.

11. The compound of the formula I wherein R^3 is C_1-C_6 alkyl, $-C(=O)R^6$, $-C(=O)N(R^8)R^{10}$, R^4 is C_1-C_6 alkyl, $-C(=O)R^6$, $-C(=O)N(R^8)R^{10}$, R^6 is C_1-C_6 alkyl, C_1-C_5 haloalkyl, C_1-C_5 alkoxy, C_2-C_6 alkoxycarbonyl, phenyl, benzyl, C_1-C_4 alkoxyalkoxy, thiazolyl wherein the thiazole may be optionally substituted with 1-3 R^{20}, R^8 is C_1-C_6 alkyl, C_1-C_6 haloalkyl, or phenyl optionally substituted with 1-3 R^{30}, R^{10} is H, C_1-C_6 alkyl, phenyl optionally substituted with 1-3 R^{20}, R^{21} is $(-CHR^{22})_mR^{23}$, m is 1, R^{22} is H, R^{23} is phenyl, p-tolyl, 4-fluoro-phenyl, 4-methoxy-phenyl, 3-methoxy-phenyl, thiophen-2-yl, thiophen-3-yl, 3-fluoro-phenyl, 3-bromo-phenyl, benzothiophen-2-yl, 2,4,6-trimethyl-phenyl, 1-ethyl-2-methoxy-phenyl, 3-benzonitrile, 3-fluoro-4-methoxy-phenyl.

12. The compound of the formula I wherein R^3 and R^4 may be taken together to form: a 5- or 6- membered saturated or unsaturated ring containing 1-3 heteroatoms wherein each ring may be optionally substituted with 1-3 R^{11}, R^{21} is $(-CHR^{22})_mR^{23}$, m is 1, R^{22} is H, R^{23} is phenyl optionally substituted with 1-5 R^{20}.

13. The compound of the formula I wherein wherein R^3 and R^4 may be taken together to form a 5- or 6- membered saturated or unsaturated ring containing 1-3 heteroatoms wherein each ring may be optionally substituted with 1-3 R^{11}, R^{11} is halogen, C_1-C_6 alkyl, R^{21} is $-C(=O)R^{32}$, $-N=C(R^{32})(R^{36})$, $-SO_2R^{33}$, $NR^{25}C(=O)OR^{25}$, C_2-C_6 alkoxy carbonyl; C_2-C_6 alkylaminocarbonyl; C_2-C_6 alkylcarbonyl, R^{25} is H, C_1-C_6 alkyl, R^{33} is C_1-C_6 alkyl, C_1-

C_6 haloalkyl, phenyl or thienyl optionally substituted with 1-3 R^{20}, R^{32} is C_1-C_6 alkyl, C_1-C_6 haloalkyl, alkoxyalkyl, C_2-C_6 haloalkoxyalkyl, C_2-C_6 alkenyl, R^{36} is H, cyano, C_1-C_6 alkyl, C_1-C_6 alkoxy, benzyl, or phenyl wherein each of the benzyl or phenyl may be optionally substituted with 1-3 R^{20}, R^{20} is halogen, C_1-C_6 alkyl, C_1-C_6 alkoxy.

14. The compound of the formula I wherein R^3 and R^4 may be taken together to form: a 5- or 6- membered saturated or unsaturated ring containing 1-3 heteroatoms wherein each ring may be optionally substituted with 1-3 R^{11}, R^{11} is halogen, C_1-C_6 alkyl, R^{21} is (-$CHR^{22})_mR^{23}$, m is 1, R^{22} is -CH_3, -CH_2CH_3, -$CH_2CH_2CH_3$, benzyl, 4-fluoro-phenyl, R^{23} is phenyl, 4-fluoro-phenyl, p-tolyl.

15. The compound of the formula I wherein wherein R^3 and R^4 may be taken together to form a 5- or 6- membered saturated or unsaturated ring containing 1-3 heteroatoms wherein each ring may be optionally substituted with 1-3 R^{11}, R^{11} is halogen, C_1-C_6 alkyl, R^{21} is (-$CHR^{22})_mR^{23}$, m is 1, R^{22} is H, R^{23} is phenyl, p-tolyl, 4-fluoro-phenyl, 4-methoxy-phenyl, 3-methoxy-phenyl, thiophen-2-yl, thiophen-3-yl, 3-fluoro-phenyl, 3-bromo-phenyl, benzothiophen-2-yl, 2,4,6-trimethyl-phenyl, 1-ethyl-2-methoxy-phenyl, 3-benzonitrile, 3-fluoro-4-methoxy-phenyl.

16. The compound of the formula I wherein R^3 and R^4 may be taken together to form, =$C(R^{12})N(R^{13})R^{14}$, R^{12} is H, C_1-C_4 alkyl, R^{13} is H, cyano, -OH, C_1-C_4 alkyl, C_1-C_6 alkoxy, phenyl, or benzyl wherein the phenyl or benzyl may be optionally substituted with 1-3 R^{20}, R^{14} is H, cyano, -OH, C_1-C_4 alkyl, C_1-C_6 alkoxy, phenyl, or benzyl wherein the phenyl or benzyl may be optionally substituted with 1-3 R^{20}, alternatively R^{13} and R^{14} may be taken together to form a 5- or 6- membered saturated or unsaturated ring containing 1-3 heteroatoms wherein each ring may be optionally substituted with 1-3 R^{11}, alternatively R^{12} and R^{13} may be taken together to form a 5- or 6- membered saturated or unsaturated ring containing 1-3 heteroatoms wherein each ring may be optionally substituted with 1-3 R^{11}, R^{11} is halogen, C_1-C_6 alkyl, R^{21} is -$C(=O)R^{32}$, -$N=C(R^{32})(R^{36})$, -SO_2R^{33}, $NR^{25}C(=O)OR^{25}$, C_1-C_6 alkyl, C_1-C_6 alkoxy, R^{25} is H, C_1-C_6 alkyl, R^{32} is C_1-C_6 alkyl, phenyl wherein the phenyl may be optionally substituted with 1-3 R^{20}. R^{33} is C_1-C_6 alkyl, phenyl or thienyl optionally substituted with 1-3 R^{20}, R^{36} is cyano, C_1-C_6 alkyl,

phenyl wherein the phenyl may be optionally substituted with 1-3 R^{20}, and R^{20} is halogen, C_1-C_6 alkyl, C_1-C_6 alkoxy.

17. The compound of the formula I wherein R^3 and R^4 may be taken together to form, $=C(R^{12})N(R^{13})R^{14}$, R^{12} is H, C_1-C_4 alkyl, R^{13} is H, cyano, -OH, C_1-C_4 alkyl, C_1-C_6 alkoxy, phenyl, or benzyl wherein the phenyl or benzyl may be optionally substituted with 1-3 R^{20}, R^{14} is H, cyano, -OH, C_1-C_4 alkyl, C_1-C_6 alkoxy, phenyl, or benzyl wherein the phenyl or benzyl may be optionally substituted with 1-3 R^{20}, alternatively R^{13} and R^{14} may be taken together to form a 5- or 6- membered saturated or unsaturated ring containing 1-3 heteroatoms wherein each ring may be optionally substituted with 1-3 R^{11}, alternatively R^{12} and R^{13} may be taken together to form a 5- or 6- membered saturated or unsaturated ring containing 1-3 heteroatoms wherein each ring may be optionally substituted with 1-3 R^{11}, R^{11} is halogen, C_1-C_6 alkyl, R^{21} is $(-CHR^{22})_mR^{23}$, m is 1, R^{22} is H, C_1-C_4 alkyl, phenyl, R^{23} is a ring-fused heteroaromatic rings selected from the group consisting of benzothiophenyl, quinolinyl, isoquinolinyl, thieno[2,3-b]pyridyl, 1-methyl-1H-thieno[2,3-c]pyrazolyl, benzofuranyl and benzoimidazolyl, 2,3-dihydro-benzofuran-2-yl, 4-methyl-4H-thieno[3,2-b]pyrrol-5-yl, 1-methyl-1H-indol-5-yl, imidazo[1,2-a]pyridin-2-yl, imidazo[2,1-b]thiazol-6-yl, benzothiazol-2-yl, benzo[b]thiophen-7-yl, 1-methyl-1H-indazol-3-yl, wherein each of the rings may be further substituted with 1-3 R^{20}, R^{20} is halogen, C_1-C_6 alkyl, C_1-C_6 alkoxy.

18. The compound of the formula I wherein R^3 and R^4 may be taken together to form, $=C(R^{12})N(R^{13})R^{14}$, R^{12} is H, C_1-C_4 alkyl, R^{13} is H, cyano, -OH, C_1-C_4 alkyl, C_1-C_6 alkoxy, phenyl, or benzyl wherein the phenyl or benzyl may be optionally substituted with 1-3 R^{20}, R^{14} is H, cyano, -OH, C_1-C_4 alkyl, C_1-C_6 alkoxy, phenyl, or benzyl wherein the phenyl or benzyl may be optionally substituted with 1-3 R^{20}, alternatively R^{13} and R^{14} may be taken together to form a 5- or 6- membered saturated or unsaturated ring containing 1-3 heteroatoms wherein each ring may be optionally substituted with 1-3 R^{11}, alternatively R^{12} and R^{13} may be taken together to form a 5- or 6- membered saturated or unsaturated ring containing 1-3 heteroatoms wherein each ring may be optionally substituted with 1-3 R^{11}, R^{11} is halogen, C_1-C_6 alkyl, R^{21} is $(-CHR^{22})_mR^{23}$, m is 1, R^{22} is H, R^{23} is a 5- or 6- membered saturated or unsaturated ring containing 1-3 heteroatoms

wherein each ring may be optionally substituted with 1-3 R^{11}, R^{20} is halogen, C_1-C_6 alkyl, C_1-C_6 alkoxy.

19. The compound of the formula I wherein R^3 and R^4 may be taken together to form, $=C(R^{12})N(R^{13})R^{14}$, R^{12} is H or C_1-C_4 alkyl, R^{13} is H, cyano, -OH, C_1-C_4 alkyl, C_1-C_6 alkoxy, phenyl, or benzyl wherein the phenyl or benzyl may be optionally substituted with 1-3 R^{20}, R^{14} is H, cyano, -OH, C_1-C_4 alkyl, C_1-C_6 alkoxy, phenyl, or benzyl wherein the phenyl or benzyl may be optionally substituted with 1-3 R^{20}, alternatively R^{13} and R^{14} may be taken together to form a 5- or 6- membered saturated or unsaturated ring containing 1-3 heteroatoms wherein each ring may be optionally substituted with 1-3 R^{11}, alternatively R^{12} and R^{13} may be taken together to form a 5- or 6- membered saturated or unsaturated ring containing 1-3 heteroatoms wherein each ring may be optionally substituted with 1-3 R^{11}, R^{11} is halogen, C_1-C_6 alkyl, R^{21} is $(-CHR^{22})_mR^{23}$, m is 1, R^{22} is H, R^{23} is a 5- or 6- membered heteroaromatic ring selected from the group consisting of furanyl, pyridinyl, pyridinyl-N-oxide, pyrimidinyl, pyridazinyl, pyrazinyl, pyrazolyl, thiazolyl, triazinyl, thiadiazolyl, oxazolyl, isoxazolyl, triazolyl, imidazolyl, thiophene-2-yl and thiophen-3-yl, 1-methyl-1H-pyrazol-3-yl, wherein each heteroaromatic ring may be optionally substituted with 1-3 R^{20}, R^{20} is halogen, C_1-C_6 alkyl, C_1-C_6 alkoxy.

20. The compound of the formula I wherein R^3 and R^4 may be taken together to form, $=C(R^{12})N(R^{13})R^{14}$, R^{12} is H or C_1-C_4 alkyl, R^{13} is H, cyano, -OH, C_1-C_4 alkyl, C_1-C_6 alkoxy, phenyl, or benzyl wherein the phenyl or benzyl may be optionally substituted with 1-3 R^{20}, R^{14} is H, cyano, -OH, C_1-C_4 alkyl, C_1-C_6 alkoxy, phenyl, or benzyl wherein the phenyl or benzyl may be optionally substituted with 1-3 R^{20}, alternatively R^{13} and R^{14} may be taken together to form a 5- or 6- membered saturated or unsaturated ring containing 1-3 heteroatoms wherein each ring may be optionally substituted with 1-3 R^{11}, alternatively R^{12} and R^{13} may be taken together to form a 5- or 6- membered saturated or unsaturated ring containing 1-3 heteroatoms wherein each ring may be optionally substituted with 1-3 R^{11}, R^{11} is halogen, C_1-C_6 alkyl, R^{21} is $(-CHR^{22})_mR^{23}$, m is 1, R^{22} is H, R^{23} is phenyl optionally substituted with 1-5 R^{20}, R^{20} is halogen, C_1-C_6 alkyl, C_1-C_6 alkoxy.

21. The compound of the formula I wherein R^3 and R^4 may be taken together to form, $=C(R^{12})N(R^{13})R^{14}$, R^{12} is H or C_1-C_4 alkyl, R^{13} is H, cyano, -OH, C_1-C_4 alkyl, C_1-C_6 alkoxy, phenyl, or benzyl wherein the phenyl or benzyl may be optionally substituted with 1-3 R^{20}, R^{14} is H, cyano, -OH, C_1-C_4 alkyl, C_1-C_6 alkoxy, phenyl, or benzyl wherein the phenyl or benzyl may be optionally substituted with 1-3 R^{20}, alternatively R^{13} and R^{14} may be taken together to form a 5- or 6- membered saturated or unsaturated ring containing 1-3 heteroatoms wherein each ring may be optionally substituted with 1-3 R^{11}, alternatively R^{12} and R^{13} may be taken together to form a 5- or 6- membered saturated or unsaturated ring containing 1-3 heteroatoms wherein each ring may be optionally substituted with 1-3 R^{11}, R^{11} is halogen, C_1-C_6 alkyl, R^{20} is halogen, C_1-C_6 alkyl, C_1-C_6 alkoxy, R^{21} is $(-CHR^{22})_mR^{23}$, m is 1, R^{22} is $-CH_3$, $-CH_2CH_3$, $-CH_2CH_2CH_3$, benzyl, 4-fluoro-phenyl, R^{23} is phenyl, 4-fluoro-phenyl, p-tolyl.

22. The compound of the formula I wherein R^3 and R^4 may be taken together to form, $=C(R^{12})N(R^{13})R^{14}$, R^{12} is H or C_1-C_4 alkyl, R^{13} is H, cyano, -OH, C_1-C_4 alkyl, C_1-C_6 alkoxy, phenyl, or benzyl wherein the phenyl or benzyl may be optionally substituted with 1-3 R^{20}, R^{14} is H, cyano, -OH, C_1-C_4 alkyl, C_1-C_6 alkoxy, phenyl, or benzyl wherein the phenyl or benzyl may be optionally substituted with 1-3 R^{20}, alternatively R^{13} and R^{14} may be taken together to form a 5- or 6- membered saturated or unsaturated ring containing 1-3 heteroatoms wherein each ring may be optionally substituted with 1-3 R^{11}, alternatively R^{12} and R^{13} may be taken together to form a 5- or 6- membered saturated or unsaturated ring containing 1-3 heteroatoms wherein each ring may be optionally substituted with 1-3 R^{11}, R^{11} is halogen, C_1-C_6 alkyl, R^{20} is halogen, C_1-C_6 alkyl, C_1-C_6 alkoxy, R^{21} is $(-CHR^{22})_mR^{23}$, m is 1, R^{22} is H, R^{23} is phenyl, p-tolyl, 4-fluoro-phenyl, 4-methoxy-phenyl, 3-methoxy-phenyl, thiophen-2-yl, thiophen-3-yl, 3-fluoro-phenyl, 3-bromo-phenyl, benzothiophen-2-yl, 2,4,6-trimethyl-phenyl, 1-ethyl-2-methoxy-phenyl, 3-benzonitrile, 3-fluoro-4-methoxy-phenyl.

23. The compound of the formula I wherein R^3 is H; R^4 is H, R^{21} is $-C(=O)R^{32}$, $-N=C(R^{32})(R^{36})$, $-SO_2R^{33}$, $NR^{25}C(=O)OR^{25}$, C_1-C_6 alkyl, C_1-C_6 alkoxy, R^{25} is H, C_1-C_6 alkyl, R^{32} is C_1-C_6 alkyl, phenyl wherein the phenyl may be optionally substituted with 1-3 R^{20}. R^{33} is C_1-C_6 alkyl, phenyl or thienyl optionally substituted with 1-3 R^{20}, R^{36} is

cyano, C_1-C_6 alkyl, phenyl wherein the phenyl may be optionally substituted with 1-3 R^{20}, and R^{20} is halogen, C_1-C_6 alkyl, C_1-C_6 alkoxy.

24. The compound of the formula I wherein R^3 is H; R^4 is H, R^{21} is $(-CHR^{22})_mR^{23}$, m is 1, R^{22} is H, C_1-C_4 alkyl, phenyl, R^{23} is a ring-fused heteroaromatic rings selected from the group consisting of benzothiophenyl, quinolinyl, isoquinolinyl, thieno[2,3-b]pyridyl, 1-methyl-1H-thieno[2,3-c]pyrazolyl, benzofuranyl and benzoimidazolyl, 2,3-dihydro-benzofuran-2-yl, 4-methyl-4H-thieno[3,2-b]pyrrol-5-yl, 1-methyl-1H-indol-5-yl, imidazo[1,2-a]pyridin-2-yl, imidazo[2,1-b]thiazol-6-yl, benzothiazol-2-yl, benzo[b]thiophen-7-yl, 1-methyl-1H-indazol-3-yl, wherein each of the rings may be further substituted with 1-3 R^{20}, R^{20} is halogen, C_1-C_6 alkyl, C_1-C_6 alkoxy.

25. The compound of the formula I wherein R^3 is H; R^4 is H, R^{21} is $(-CHR^{22})_mR^{23}$, m is 1, R^{22} is H, R^{23} is a 5- or 6- membered saturated or unsaturated ring containing 1-3 heteroatoms wherein each ring may be optionally substituted with 1-3 R^{11}.

26. The compound of the formula I wherein R^3 is H; R^4 is H, R^{21} is $(-CHR^{22})_mR^{23}$, m is 1, R^{22} is H, R^{23} is a 5- or 6- membered heteroaromatic ring selected from the group consisting of furanyl, pyridinyl, pyridinyl-N-oxide, pyrimidinyl, pyridazinyl, pyrazinyl, pyrazolyl, thiazolyl, triazinyl, thiadiazolyl, oxazolyl, isoxazolyl, triazolyl, imidazolyl, thiophene-2-yl and thiophen-3-yl, 1-methyl-1H-pyrazol-3-yl, wherein each heteroaromatic ring may be optionally substituted with 1-3 R^{20}, R^{20} is halogen, nitro, C_1-C_6 alkyl, C_1-C_6 alkoxy.

27. The compound of the formula I wherein R^3 is H; R^4 is H, R^{21} is $(-CHR^{22})_mR^{23}$, m is 1, R^{22} is C_1-C_6 alkyl, phenyl optionally substituted with 1-5 R^{20}, R^{23} is, naphthyl, phenyl optionally substituted with 1-5 R^{20}, R^{20} is halogen, nitro, C_1-C_6 alkyl, C_1-C_6 alkoxy, phenoxy, phenyl wherein each phenoxy, phenyl may be optionally substituted with 1-3 substitutents independently selected from R^{31}, R^{31} is halogen, C_1-C_6 alkyl, C_1-C_6 haloalkyl, benzyl, pyridyl.

28. The compound of the formula I wherein R^3 is H; R^4 is H, R^{21} is $(-CHR^{22})_mR^{23}$, m is 1, R^{22} is H, R^{23} is naphthlyl, benzo[1,3]dioxolyl, phenyl optionally substituted with 1-5

R^{20}, R^{20} is halogen, cyano, nitro, amino, C_1-C_6 alkoxyalkoxy, C_1-C_6 alkyl, C_1-C_6 haloalkyl, C_1-C_6 hydroxyalkyl, C_2-C_6 alkoxyalkyl, C_2-C_6 haloalkoxyalkyl, C_2-C_6 alkenyl, hydroxyl, C_1-C_6 alkoxy, C_1-C_6 haloalkoxy, C_1-C_6 alkylthio, C_1-C_6 haloalkylthio, C_1-C_6 alkylsulfonyl, C_1-C_6 alkylamino, benzyloxy, phenoxy, wherein each benzyloxy, phenoxy, may be optionally substituted with 1-3 substitutents independently selected from R^{31}, R^{31} is halogen, C_1-C_6 alkyl, C_1-C_6 alkoxy.

29. The compound of the formula I wherein R^3 is H; R^4 is H, R^{21} is $(-CHR^{22})_mR^{23}$, m is 1, R^{22} is $-CH_3$, $-CH_2CH_3$, $-CH_2CH_2CH_3$, benzyl, 4-fluoro-phenyl, R^{23} is phenyl, 4-fluoro-phenyl, p-tolyl.

30. The compound of the formula I wherein R^3 is H; R^4 is H, R^{21} is $(-CHR^{22})_mR^{23}$, m is 1, R^{22} is H, R^{23} is phenyl, p-tolyl, 4-fluoro-phenyl, 4-methoxy-phenyl, 3-methoxy-phenyl, thiophen-2-yl, thiophen-3-yl, 3-fluoro-phenyl, 3-bromo-phenyl, benzothiophen-2-yl, 2,4,6-trimethyl-phenyl, 1-ethyl-2-methoxy-phenyl, 3-benzonitrile, 3-fluoro-4-methoxy-phenyl.

31. A composition for the control of a fungal pathogen including the compound of Claim 1 and a phytologically acceptable carrier material.

32. The composition of claim 31 wherein the fungal pathogen is one Apple Scab (*Venturia inaequalis*), Speckled Leaf Blotch of Wheat (*Septoria tritici*), Leaf spot of sugarbeets (*Cercospora beticola*), Leaf Spot of peanut (*Cercospora arachidicola*), and Black Sigatoka (*Mycosphaerella fijiensis*).

33. A method for the control and prevention of fungal attack on a plant, the method including the steps of:

applying a fungicidally effective amount of at least one of the compounds of Claim 1 to at least one of the plant, an area adjacent to the plant, soil adapted to support growth of the plant, a root of the plant, foliage of the plant, and a seed adapted to produce at least one of the plant and another plant.

DERIVADOS DE PIRIMIDINA 5-FLUORO COMO FUNGICIDAS

Referencia Cruzada con Solicitudes Relacionadas

La presente solicitud reclama el beneficio de la Solicitud de Patente Provisional Norteamericana Serie No. 61/011,799 presentada el 22 de Enero de 2008, y la Solicitud de Patente Provisional Norteamericana Serie No. 61/115,297 presentada el 17 de Noviembre de 2008.

Campo de la Invención

La presente descripción se refiere al campo de las pirimidina 5-fluoro o a sus derivados, y al uso de esas compuestos como fungicidas.

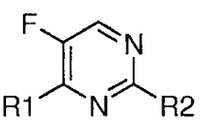
Antecedentes de la Invención

Los fungicidas son compuestos de origen natural o sintético que actúan para proteger y curar plantas contra daño originado por hongos relevantes agrícolas. Generalmente, los fungicidas simples no son útiles en todas las situaciones. En consecuencia, está en curso investigación para producir fungicidas que puedan tener mejor desempeño, sean más fáciles de utilizar y tengan menor cosa.

Breve Descripción de la Invención

La presente descripción se refiere a compuestos de pirimidina 5-fluoro y a su uso como fungicidas. Los compuestos de la presente invención pueden ofrecer protección contra ascomicetos, basidiomicetos, deuteromicetos y oomicetos.

Una modalidad de la presente descripción puede incluir compuestos de la fórmula I:



I

en donde R^1 es $-N(R^3)R^4$;

R^2 es $-OR^{21}$;

R^3 es:

H;

C_1-C_6 alquilo opcionalmente sustituido con 1 a 3 R^5;

C_2-C_6 alquenilo opcionalmente sustituido con 1 a 3 R^5;

un anillo heteroaromático de 5 o 6 miembros seleccionado del grupo que consiste en furanilo, piridinilo, piridinil-N-óxido, pirimidinilo, piridazinilo, pirazinilo, tiazolilo, triazinilo, tiadiazolilo, oxazolilo, isoxazolilo, triazolilo, siendo cada anillo heteroaromático opcionalmente sustituido con 1 a 3 R^{30};

imidazol fusionado con un anillo aromático o heteroaromático seleccionado del grupo que consiste en benceno, oxazol, isoxazol, furan, tiazol, pirimidina, piridina, pirrol, pirazina, tiofeno, siendo cada anillo aromático o heteroaromático opcionalmente sustituido con 1 a 3 R^{30};

benzo[1,3]dioxolilo;

3H-isobenzofuran-1-onilo;

ciano;

C_3-C_6 alquinilo opcionalmente sustituido con 1 a 3 R^5;

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$-C(=O)R^6$;

$-C(=O)OCH_2C(=O)R^8$;

$-C(=S)R^6$;

$-C(=S)NHR^8$;

$-C(=O)N(R^8)R^{10}$;

$-OR^7$;

$-P(O)(OR^{15})_2$;

$-S(O)_2R^8$;

$-SR^8$;

$-Si(R^8)_3$;

$-N(R^9)R^{10}$;

$-N=C(R^{15})R^{16}$;

$-(CHR^{22})_mR^{37}$;

$-(CHR^{24})OR^{29}$; o

$-C(=NR^{16})SR^{16}$;

en donde m es un entero de 1-3;

R^4 es:

H;

C_1-C_6 alquilo, opcionalmente sustituido con 1 a 3 R^5;

$-C(=O)R^6$; o

$-C(=O)N(R^8)R^{10}$;

como alternativa R^3 y R^4 se pueden tomar juntos para formar:

un anillo saturado o insaturado de 5 ó 6 miembros que contiene 1 a 3 heteroátomos en donde cada anillo puede ser

opcionalmente sustituido con 1 a 3 R^{11};

$=C(R^{12})N(R^{13})R^{14}$;

$=C(R^{13})(R^{14})$;

$=C(R^{15})OR^{15}$;

$=S(R^{34})_2$; o

$=NR^{35}$;

R^5 es independientemente halógeno, C_1-C_6 alquilo, C_1-C_4 haloalquilo, C_1-C_4 alcoxi, C_1-C_4 haloalcoxi, C_1-C_4 alquiltio, C_1-C_4 haloalquiltio, amino, C_1-C_3 alquilamino, C_2-C_6 alcoxicarbonilo, C_2-C_6 alquilcarbonilo, C_2-C_6 alquilaminocarbonilo, -OH, N-metil piperazina o C_3-C_6 trialquilsililo;

R^6 es independientemente H, C_1-C_6 alquilo, C_1-C_5 haloalquilo, C_1-C_5 alcoxi, C_1-C_5 haloalcoxi C_2-C_6 alcoxicarbonilo, C_1-C_4 alcoxialcoxi, C_2-C_6 alquilaminocarbonilo; 1-benzo[1,2,3]tiadiazol-7-ilo, tiazolilo, bencilo, fenilo, fenoxi, o benciloxi en donde el tiazolilo, bencilo, fenilo, fenoxi, o benciloxi puede ser opcionalmente sustituido con 1 a 3 R^{20}, o un anillo saturado o insaturado de 5 ó 6 miembros que contiene 1 a 3 heteroátomos en donde cada anillo puede ser opcionalmente sustituido con 1 a 3 R^{11};

R^7 es H, C_1-C_6 alquilo, C_2-C_6 alquenilo, C_1-C_5 haloalquilo, bencilo el cual puede ser opcionalmente sustituido con 1 a 5 R^{20}, $CHR^{18}C(O)OR^{19}$, o un anillo saturado o insaturado de 5 ó 6 miembros que contiene 1 a 3 heteroátomos en donde cada anillo

puede ser opcionalmente sustituido con 1 a 3 R^{11};

R^8 es independientemente C_1-C_6 alquilo, C_1-C_6 haloalquilo, amino, C_1-C_6 alquilamino, C_2-C_6 dialquilamino, fenilo opcionalmente sustituido con 1 a 3 R^{30}, o un anillo saturado o insaturado de 5 ó 6 miembros que contiene 1 a 3 heteroátomos en donde cada anillo puede ser opcionalmente sustituido con 1 a 3 R^{11};

R^9 es H, C_1-C_6 alquil C_1-C_6 haloalquilo, -C(=O)R^{17}, o fenilo opcionalmente sustituido con 1 a 3 R^{20},

R^{10} es H o C_1-C_6 alquilo, C_1-C_6 haloalquilo, o fenilo opcionalmente sustituido con 1 a 3 R^{20};

R^{11} es independientemente halógeno, C_1-C_6 alquilo, C_1-C_6 haloalquilo, C_1-C_6 alcoxi, C_1-C_6 haloalcoxi, C_1-C_6 alquiltio, C_1-C_6 haloalquiltio, amino, C_1-C_6 alquilamino, C_2-C_6 dialquilamino, C_2-C_6 alcoxicarbonilo, o C_2-C_6 alquilcarbonilo;

R^{12} es H o C_1-C_4 alquilo;

R^{13} y R^{14} son independientemente H, ciano, -OH, C_1-C_4 alquilo, C_1-C_6 alcoxi, C_2-C_6, alquilcarbonilo, fenilo, o bencilo en donde el fenilo o bencilo pueden ser opcionalmente sustituido con 1 a 3 R^{20};

como alternativa R^{13} y R^{14} se pueden tomar juntos para formar:

un anillo saturado o insaturado de 5 ó 6 miembros que contiene 1 a 3 heteroátomos en donde cada anillo puede ser opcionalmente sustituido con 1 a 3 R^{11}, o 3,4-dihidro-1H-

isoquinolin-2-ilo;

como alternativa R^{12} y R^{13} se pueden tomar juntos para formar:

un anillo saturado o insaturado de 5 ó 6 miembros que contiene 1 a 3 heteroátomos en donde cada anillo puede ser opcionalmente sustituido con 1 a 3 R^{11};

R^{15} es H o C_1-C_6 alquilo;

R^{16} es H, C_1-C_6 alquilo, o fenilo opcionalmente sustituido con 1 a 3 R^{20};

como alternativa R^{15} y R^{16} pueden tomarse juntos como -$(CH_2)_4$- o -$(CH_2)_5$-;

R^{17} es H, C_1-C_6 alquilo, C_1-C_6 haloalquilo, C_1-C_6 alcoxi, fenilo, fenoxi, o benciloxi en donde cada anillo puede ser opcionalmente sustituido con 1 a 3 R^{20};

R^{18} es H, C_1-C_6 alquilo, o C_1-C_6 haloalquilo;

R^{19} es H, C_1-C_6 alquilo, C_1-C_6 haloalquilo, o bencilo;

R^{20} es independientemente halógeno, ciano, nitro, amino, C_1-C_6 alcoxialcoxi, C_1-C_6 alquilo, C_1-C_6 haloalquilo, C_1-C_6 hidroxialquilo, C_2-C_6 alcoxialquilo, C_2-C_6 haloalcoxialquilo, C_2-C_6 alquenilo, C_2-C_6 haloalquenilo, C_3-C_6 alquinilo, C_3-C_6 haloalquinilo, hidroxilo, C_1-C_6 alcoxi, C_1-C_6 haloalcoxi, C_2-C_6 alqueniloxi, C_2-C_6 haloalqueniloxi, C_3-C_6 alquiniloxi, C_3-C_6 haloalquiniloxi, C_1-C_6 alquiltio, C_1-C_6 haloalquiltio, C_1-C_6 alquilsulfonilo, C_1-C_6 haloalquilsulfonilo, C_2-C_6 alqueniltio, C_2-C_6 haloalqueniltio, C_2-C_6 haloalquenilsulfonilo, C_3-C_6 alquiniltio,

C_3-C_6 alquinilsulfonilo, C_3-C_6 haloalquinilsulfonilo, C_1-C_6 alquilamino, C_2-C_8 dialquilamino, C_3-C_8 dialquilaminocarbonilo, C_2-C_6 alcoxicarbonilo, C_2-C_6 alquilcarbonilo, C_3-C_6 trialquilsililo, 2-[(E)-metoxiimino]-N-metil-acetamidilo, fenilo, bencilo, benciloxi, fenoxi, o un anillo heteroaromático de 5 ó 6 miembros en donde cada fenilo, bencilo, benciloxi, fenoxi, o un anillo heteroaromático de 5 ó 6 miembros puede ser opcionalmente sustituido con 1 a 3 sustituyentes seleccionados independientemente de R^{31};

R^{21} es:

H;

C_1-C_{14} alquilo;

C_1-C_6 haloalquilo;

C_2-C_4 alquenilo;

C_2-C_4 haloalquenilo;

C_3-C_4 alquinilo;

C_3-C_4 haloalquinilo; fenilo, naftilo, o tetrahidroquinolinilo cada uno opcionalmente sustituido con 1 a 3 R^{20};

$-(CHR^{22})_mR^{23}$;

$-(CHR^{24})_mC(O)OR^{25}$;

$-(CHR^{24})_mC(O)R^{26}$;

$-(CHR^{24})_mC(O)N(R^{27})R^{28}$;

$-(CHR^{24})_mOR^{29}$;

$-(CHR^{24})_mSR^{29}$

$-(CHR^{24})_mN(R^{27})R^{28}$;

$-C(=O)R^{32}$;

$-N=C(R^{32})(R^{36})$;

$-NR^{25}C(=O)OR^{25}$

$-Si(R^{8})_3$;

$-SO_2R^{33}$;

C_2-C_6 alcoxi carbonilo;

C_2-C_6 alquilaminocarbonilo;

C_2-C_6 alquilcarbonilo;

azúcares seleccionados del grupo que consiste en beta-D-glucosa-tetraacetato, ramnosa, fructosa, y pentosa; o

un anillo heteroaromático de 5 ó 6 miembros seleccionado del grupo que consiste en furanilo, piridinilo, piridinil-N-óxido, pirimidinilo, piridazinilo, pirazinilo, pirazolilo, tiazolilo, triazinilo, tiadiazolilo, oxazolilo, triazolilo o isoxazolilo en donde cada anillo heteroaromático de 5 ó 6 miembros puede ser opcionalmente sustituido con 1 a 5 R^{20};

R^{22} es independientemente:

H;

halógeno;

ciano;

nitro;

C_1-C_6 alquilo; C_1-C_6 haloalquilo; fenilo o bencilo opcionalmente sustituido con 1 a 3 R^{20};

C_1-C_6 hidroxialquilo;

C_2-C_6 alcoxilalquilo; C_3-C_6 haloalquinilo;

C_2-C_6 alquenilo;

C_2-C_6 haloalquenilo;

C_3-C_6 alquinilo;

C_1-C_6 alcoxi;

C_1-C_6 haloalcoxi;

C_1-C_6 alquiltio;

C_1-C_6 alquilamino;

C_2-C_8 dialquilamino;

C_3-C_6 cicloalquilamino;

C_4-C_6 (alquil)cicloalquilamino;

C_2-C_6 alquilcarbonilo;

C_2-C_6 alcoxicarbonilo;

C_2-C_6 alquilaminocarbonilo;

C_3-C_8 dialquilaminocarbonilo;

C_3-C_6 trialquilsililo;

anillos heteroaromáticos fusionados con anillos seleccionados del grupo que consiste en benzotiofenilo, quinolinilo, isoquinolinilo, tieno[2,3-b]piridilo, 1-metil-1H-tieno[2,3-c]pirazolilo, y benzoimidazolilo, en donde cada uno de los anillos puede ser sustituido en forma adicional con 1 a 3 R^{20}; o

un anillo heteroaromático de 5 ó 6 miembros seleccionado del grupo que consiste en furanilo, piridinilo, piridinil-N-óxido, pirimidinilo, piridazinilo, pirazinilo, tiazolilo, triazinilo, tiadiazolilo, oxazolilo, isoxazolilo, triazolilo y tienilo;

H;

halógeno;

C_1-C_6 alquilo;

C_1-C_6 haloalquilo;

C_2-C_6 dialquilamino;

fenilo opcionalmente sustituido con 1 a 5 R^{20};

anillos heteroaromáticos fusionados por anillos seleccionados del grupo que consiste en

benzotiofenilo, quinolinilo, isoquinolinilo, tieno[2,3-b]piridilo, 1-metil-1H-tieno[2,3-c]pirazolilo, benzofuranilo y benzoimidazolilo, 2,3-dihidro-benzofuran-2-ilo, 4-metil-4H-tieno[3,2-b]pirrol-5-ilo, 1-metil-1H-indol-5-ilo, imidazo[1,2-a]piridin-2-ilo, imidazo[2,1-b]tiazol-6-ilo, benzotiazol-2-ilo, benzo[b]tiofen-7-ilo, y 1-metil-1H-indazol-3-ilo, en donde cada uno de los anillos puede ser sustituido en forma adicional con 1 a 3 R^{20};

naftilo;

benzo[1,3]dioxolilo;

pirrolidinonilo;

oxetanilo;

C_1-C_6 alquiltio opcionalmente sustituido con 1 a 5 R^{20};

o un anillo saturado o insaturado de 5 ó 6 miembros que contiene 1 a 3 heteroátomos, en donde cada anillo puede ser opcionalmente sustituido con 1 a 3 R^{11}; o

un anillo heteroaromático de 5 ó 6 miembros seleccionado

del grupo que consiste en furanilo, piridinilo, piridinil-N-óxido, pirimidinilo, piridazinilo, pirazinilo, pirazolilo, tiazolilo, triazinilo, tiadiazolilo, oxazolilo, isoxazolilo, triazolilo, imidazolilo, tiofeno-2-ilo y tiofen-3-ilo en donde cada anillo heteroaromático puede ser opcionalmente sustituido con 1 a 3 R^{20};

R^{24} es H, C_1-C_6 alquilo, C_1-C_6 alcoxi, bencilo, o fenilo en donde cada uno de bencilo o fenilo puede ser opcionalmente sustituido con 1 a 3 R^{20};

R^{25} es H, C_1-C_6 alquilo, fenilo o bencilo opcionalmente sustituido con 1 a 3 R^{20};

R^{26} es:

H;

C_1-C_6 alquilo;

C_1-C_6 alcoxi; fenilo opcionalmente sustituido con 1 a 3 R^{20}; o

un anillo heteroaromático de 5 ó 6 miembros seleccionado del grupo que consiste en furanilo, piridinilo, piridinil-N-óxido, pirimidinilo, piridazinilo, pirazinilo, tiazolilo, triazinilo, tiadiazolilo, oxazolilo, triazolilo y isoxazolilo;

R^{27} y R^{28} son independientemente:

H;

C_1-C_6 alquilo;

bencilo o fenilo en donde cada uno de bencilo o fenilo pueden ser opcionalmente sustituido con 1 a 3 R^{20}; o

un anillo saturado o insaturado de 5 ó 6 miembros que contiene 1 a 3 heteroátomos en donde cada anillo puede ser opcionalmente sustituido con 1 a 3 R^{11}

R^{29} es:

H;

C_1-C_6 alquilo;

C_1-C_6 haloalquilo;

C_1-C_6 alcoxialquilo;

C_2-C_6 alquilcarbonilo;

bencilo o fenilo en donde cada uno de bencilo o fenilo pueden ser opcionalmente sustituido con 1 a 3 R^{20}; o

un anillo saturado o insaturado de 5 ó 6 miembros que contiene 1 a 3 heteroátomos en donde cada anillo puede ser opcionalmente sustituido con 1 a 3 R^{11};

R^{30} es independientemente halógeno, ciano, nitro, C_1-C_6 alquilo, C_1-C_6 haloalquilo, C_1-C_6 hidroxialquilo, C_2-C_6 alcoxialquilo, C_2-C_6 haloalcoxialquilo, C_2-C_6 alquenilo, C_2-C_6 haloalquenilo, C_3-C_6 alquinilo, C_3-C_6 haloalquinilo, hidroxilo, C_1-C_6 alcoxi, C_1-C_6 haloalcoxi, C_2-C_6 alqueniloxi, C_2-C_6 haloalqueniloxi, C_3-C_6 alquiniloxi, C_3-C_6 haloalquiniloxi, C_1-C_6 alquiltio, C_1-C_6 alquilsulfonilo, C_1-C_6 haloalquilsulfonilo, C_2-C_6 alqueniltio, C_2-C_6 haloalqueniltio, C_2-C_6 haloalquenilsulfonilo, C_3-C_6 alquiniltio, C_3-C_6 alquinilsulfonilo, C_3-C_6 haloalquinilsulfonilo, C_1-C_6 alquilamino, C_2-C_8 dialquilamino, C_3-C_8 dialquilaminocarbonilo, C_3-C_6 trialquilsililo, tiazolilo, fenilo,

pirimidinilo, o piridilo, en donde tiazolilo, fenilo, piridilo, o pirimidinilo pueden ser opcionalmente sustituido con 1 a 3 R^{20};

R^{31} es independientemente halógeno, ciano, nitro, C_1-C_6 alquilo, C_1-C_6 haloalquilo, C_1-C_6 hidroxialquilo, C_2-C_6 alcoxialquilo, C_2-C_6 haloalcoxialquilo, C_2-C_6 alquenilo, C_2-C_6 haloalquenilo, C_3-C_6 alquinilo, C_3-C_6 haloalquinilo, hidroxilo, C_1-C_6 alcoxi, C_1-C_6 haloalcoxi, C_2-C_6 alqueniloxi, C_2-C_6 haloalqueniloxi, C_3-C_6 alquiniloxi, C_3-C_6 haloalquiniloxi, C_1-C_6 alquiltio, C_1-C_6 alquilsulfonilo, C_1-C_6 haloalquilsulfonilo, C_2-C_6 alqueniltio, C_2-C_6 haloalqueniltio, C_2-C_6 haloalquenilsulfonilo, C_3-C_6 alquiniltio, C_3-C_6 alquinilsulfonilo, C_3-C_6 haloalquinilsulfonilo, C_1-C_6 alquilamino, C_2-C_8 dialquilamino, C_3-C_8 dialquilaminocarbonilo, o C_3-C_6 trialquilsililo;

R^{32} es independientemente:

C_1-C_6 alquilo, C_1-C_6 haloalquilo, C_1-C_6 hidroxialquilo, C_2-C_6 alcoxialquilo, C_2-C_6 haloalcoxialquilo, C_2-C_6 alquenilo, C_2-C_6 haloalquenilo, C_3-C_6 alquinilo, C_3-C_6 haloalquinilo, hidroxilo, C_1-C_6 alcoxi, C_1-C_6 haloalcoxi, C_2-C_6 alqueniloxi, C_2-C_6 haloalqueniloxi, C_3-C_6 alquiniloxi, C_3-C_6 haloalquiniloxi, C_1-C_6 alquiltio, C_1-C_6 alquilsulfonilo, C_1-C_6 haloalquilsulfonilo, C_2-C_6 alqueniltio, C_2-C_6 haloalqueniltio, C_2-C_6 haloalquenilsulfonilo, C_3-C_6 alquiniltio, C_3-C_6 alquinilsulfonilo, C_3-C_6 haloalquinilsulfonilo, C_1-C_6 alquilamino, C_2-C_8 dialquilamino, C_3-C_8 dialquilaminocarbonilo, C_3-C_6 trialquilsililo;

fenilo en donde el anillo de fenilo puede ser

opcionalmente sustituido con 1 a 3 R^{20}; o

un anillo saturado o insaturado de 5 ó 6 miembros que contiene 1 a 3 heteroátomos en donde cada anillo puede ser opcionalmente sustituido con 1 a 3 R^{11};

R^{33} es independientemente:

C_1-C_6 alquilo, C_1-C_6 haloalquilo, fenilo o tienilo opcionalmente sustituido con 1 a 3 R^{20}; o

un anillo saturado o insaturado de 5 ó 6 miembros que contiene 1 a 3 heteroátomos en donde cada anillo puede ser opcionalmente sustituido con 1 a 3 R^{11};

R^{34} es:

C_1-C_6 alquilo, C_1-C_6 haloalquilo, C_2-C_6 alcoxialquilo, C_1-C_6 alquilamino; o

un anillo saturado o insaturado de 5 ó 6 miembros que contiene 1 a 3 heteroátomos en donde cada anillo puede ser opcionalmente sustituido con 1 a 3 R^{11};

R^{35} es:

C_1-C_6 alquilo, C_2-C_6 alquilcarbonilo; o

un anillo saturado o insaturado de 5 ó 6 miembros que contiene 1 a 3 heteroátomos en donde cada anillo puede ser opcionalmente sustituido con 1 a 3 R^{11};

R^{36} es H, ciano, C_1-C_6 alquilo, C_1-C_6 alcoxi, bencilo, o fenilo en donde cada uno de bencilo o fenilo puede ser opcionalmente sustituido con 1 a 3 R^{20};

como alternativa R^{32} y R^{36} se pueden tomar juntos para

formar:

un anillo saturado o insaturado de 5 ó 6 miembros que contiene 1 a 3 heteroátomos en donde cada anillo puede ser opcionalmente sustituido con 1 a 3 R^{11}; y

R^{37} es independientemente:

H, halógeno, o fenilo opcionalmente sustituido con 1 a 5 R^{20};

C_1-C_6 alquilo, C_1-C_6 haloalquilo, hidroxilo, C_1-C_6 alcoxi, o C_1-C_6 haloalcoxi; o

un anillo saturado o insaturado de 5 ó 6 miembros que contiene 1 a 3 heteroátomos en donde cada anillo puede ser opcionalmente sustituido con 1 a 3 R^{11}.

Otra modalidad de la presente descripción puede incluir una composición fungicida para el control o prevención de ataque fúngico que comprende los compuestos descritos más adelante y un material transportador fitológicamente aceptable.

Aún otra modalidad de la presente descripción puede incluir un método para el control o prevención de ataque fúngico en una planta, en donde el método incluye los pasos de aplicar una cantidad fungicidamente efectiva de uno o más de los compuestos descritos más adelante al menos a uno de los hongos, la planta, un área adyacente a la planta, y la semilla adaptada para producir la planta.

El término "alquilo" se refiere a una cadena de carbono no ramificada, ramificada o cíclica, que incluye metilo, etilo,

propilo, butilo, isopropilo, isobutilo, tertiari butilo, pentilo, hexilo, ciclopropilo, ciclobutilo, ciclopentilo, ciclohexilo y similares.

El término "alquenilo" se refiere a una cadena de carbono ramificada, no ramificada o cíclica que contiene uno o más enlaces dobles incluyendo etenilo, propenilo, butenilo, isopropenilo, isobutenilo, ciclohexenilo, y similares.

El término "alquinilo" se refiere a una cadena de carbono ramificada o no ramificada que contiene uno o más enlaces triples incluyendo propinilo, butinilo y similares.

Tal como se usa a lo largo de la presente especificación, el término 'R' se refiere al grupo que consiste en C_{2-8} alquilo, C_{3-8} alquenilo o C_{3-8} alquinilo, a menos que se manifieste lo contrario.

El término "alcoxi" se refiere a un sustituyente -OR.

El término "alcoxicarbonilo" se refiere a un sustituyente -C(O)-OR.

El término "alquilcarbonilo" se refiere a un sustituyente -C(O)-R.

El término "alquilsulfonilo" se refiere a un sustituyente -SO_2-R.

El término "haloalquilsulfonilo" se refiere a una sustitución de sulfonilo en un alquilo el cual es parcialmente sustituido con átomos de halógeno.

El término "alquiltio" se refiere a un sustituyente -S-R.

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El término "alquilaminocarbonilo" se refiere a un sustituyente -C(O)-N(H)-R.

El término "dialquilaminocarbonilo" se refiere a un sustituyente -C(O)-NR_2.

El término "alquilcicloalquilamino" se refiere a un sustituyente cicloalquilamino el cual es sustituido con un grupo alquilo.

El término "trialquilsililo" se refiere a -SiR_3.

El término "ciano" se refiere a un sustituyente -C≡N.

El término "hidroxilo" se refiere a un sustituyente -OH.

El término "amino" se refiere a un sustituyente -NH_2.

El término "alquilamino" se refiere a un sustituyente -N(H)-R.

El término "dialquilamino" se refiere a un sustituyente -NR_2.

El término "alcoxialcoxi" se refiere a -$O(CH2)_nO(CH2)_n$ en donde n es un entero de 1 a 3.

El término "alcoxialquilo" se refiere a una sustitución alcoxi en un alquilo.

El término "haloalcoxialquilo" se refiere a una sustitución alcoxi en un alquilo el cual puede ser parcialmente sustituido con átomos de halógeno.

El término "hidroxialquilo" se refiere a un alquilo el cual es sustituido con un grupo hidroxilo.

El término "haloalcoxi" se refiere a un sustituyente -OR-X,

en donde X es Cl, F, Br, o I, o cualquier combinación de los mismos.

El término "haloalquilo" se refiere a un alquilo, el cual es sustituido con Cl, F, I, o Br o cualquier combinación de los mismos.

El término "haloalquenilo" se refiere a un alquenilo, el cual es sustituido con Cl, F, I, o Br o cualquier combinación de los mismos.

El término "haloalquinilo" se refiere a un alquinilo el cual es sustituido con Cl, F, I, o Br o cualquier combinación de los mismos.

El término "halógeno" o "halo" se refiere a uno o más átomos de halógeno, definidos como F, Cl, Br, y I.

El término "hidroxicarbonilo" se refiere a un sustituyente -C(O)-OH.

El término "nitro" se refiere a un sustituyente $-NO_2$.

El término "tienilo" se refiere a un anillo aromático de 5 miembros con un átomo de azufre.

A lo largo de la presente descripción, la referencia a los compuestos de la fórmula I se lee como que incluye también isómeros ópticos y sales de la Fórmula I e hidratos de las mismas. Específicamente cuando la Fórmula I contiene un grupo alquilo de cadena ramificada, quedará entendido que dichos compuestos incluyen isómeros ópticos y racematos de los mismos. Las sales de ejemplo incluyen clorhidrato, bromhidrato,

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yodohidrato y similares.

También quedará entendido para los expertos en la técnica, que se puede permitir una sustitución adicional, a menos que se observe lo contrario, siempre que las reglas de enlace químico y energía de deformación se satisfagan y el producto exhiba a una actividad fungicida.

Otra modalidad de la presente descripción es el uso de un compuesto de la Fórmula I, para protección de una planta contra ataque por un organismo fitopatogénico o el tratamiento de una planta infestada por un organismo fitopatogénico, en donde el uso comprende la aplicación de un compuesto de la Fórmula I o una composición que comprende el compuesto a la tierra, una planta, una parte de la planta, follaje y/o semillas.

Además, otras modalidades de la presente descripción se refieren a una composición útil para proteger a una planta contra ataque por un organismo fitopatogénico y/o tratamiento de una planta infestada por un organismo fitopatogénico, en donde la composición comprende un compuesto de la Fórmula I y un material transportador fitológicamente aceptable.

Descripción Detallada de la Invención

Los compuestos de la presente descripción se pueden aplicar a través de cualquiera de una variedad de técnicas conocidas, ya sea como los compuestos o como las formulaciones que comprenden los compuestos. Por ejemplo, los compuestos se pueden aplicar a las raíces, semillas o

follaje de las plantas para el control de diversos hongos, sin dañar el valor comercial de las plantas. Los materiales pueden aplicarse en la forma de cualesquiera tipos de formulación utilizados de manera general por ejemplo como soluciones, polvos, polvos humectables, concentrados fluibles o concentrados emulsificables.

Preferentemente los compuestos de la presente descripción se aplican en la forma de una formulación, que comprenden uno o más de los compuestos de la Fórmula I con un transportador fitológicamente aceptable. Las formulaciones concentradas pueden dispersarse en agua, u otros líquidos para aplicación, o las formulaciones pueden ser tipo polvo o granulares, que pueden aplicarse posteriormente sin tratamiento adicional. Las formulaciones se pueden preparar de acuerdo con procedimientos que son convencionales en las técnicas químicas agrícolas.

La presente descripción contempla todos los vehículos a través de los cuales uno o más de los compuestos se pueden formular para el suministro y uso como fungicidas. Normalmente, las formulaciones se aplican como suspensiones o emulsiones acuosas. Dichas suspensiones o emulsiones pueden ser producidas a partir de formulaciones solubles en agua, suspendibles en agua o emulsificables que son sólidas, normalmente conocidas como polvos humectables; o líquidos, normalmente conocidas como concentrados emulsificables,

suspensiones acuosas o concentrados en suspensión. Tal como se podrá apreciar fácilmente, se puede utilizar cualquier material al cual se le pueda agregar estos compuestos, siempre que produzca la utilidad deseada sin interferencia significativa con la actividad de estos compuestos como agentes antifúngicos.

Los polvos humectables, los cuales pueden compactarse para formar gránulos dispersibles en agua comprenden una mezcla profunda de uno o más de los compuestos de la Fórmula I, un transportador inerte y tensoactivos. La concentración del compuesto en el polvo humectable puede ser de aproximadamente 10 por ciento hasta aproximadamente 90 por ciento en peso con base en el peso total del polvo humectable, más preferentemente de aproximadamente 25 por ciento en peso hasta aproximadamente 75 por ciento en peso. En la preparación de formulaciones en polvo humectables, los compuestos se pueden componer con cualquier sólido finamente dividido tal como profilita, talco, yeso, tisa, tierra de Fuller, bentonita, atapulguita, almidón, caseína, gluten, arcillas de montmorilonita, tierras diatomáceas, silicatos purificados o similares. En dichas operaciones, el transportador finamente dividido y los tensoactivos normalmente se combinan con el compuesto(s) y se muelen.

Los concentrados emulsificables de los compuestos de la Fórmula I pueden comprender una concentración conveniente,

tal como de aproximadamente 10 por ciento en peso hasta aproximadamente 50 por ciento en peso del compuesto, en un líquido adecuado, con base en el peso total del concentrado. Los compuestos se pueden disolver en un transportador inerte, el cual es ya sea un solvente mezclable en agua o una mezcla de solventes orgánicos no mezclables en agua, y emulsificantes. Los concentrados se pueden diluir con agua de aceite para formar mezclas de rocío en la forma de emulsiones de aceite en agua. Los solventes orgánicos útiles incluyen aromáticos especialmente porciones naftalénicas y olefínicas de alta ebullición de petróleo tal como un nafta aromática pesada. También se pueden utilizar otros solventes orgánicos por ejemplo, solventes terpénicos, incluyendo derivados de rosina, cetonas alifáticas, tales como ciclohexanona y alcoholes complejos tales como 2-etoxietanol.

Los emulsificantes que se pueden emplear en forma conveniente en la presente invención pueden ser determinados fácilmente por los expertos en la técnica e incluyen diversos emulsificantes no iónicos, aniónicos, catiónicos y anfotéricos, o una combinación de dos o más emulsificantes. Los ejemplos de emulsificantes no iónicos útiles para preparar los concentrados emulsificables incluyen los éteres de polialquilénglicol y productos de condensación de fenoles de alquilo y arilo, alcoholes alifáticos, aminas alifáticas o ácidos grasos con óxido de etileno, óxidos de propileno tales como fenoles de alquilo

etoxilados y ésteres carboxílicos solubilizados con el poliol o polioxialquileno. Los emulsificadores catiónicos incluyen compuestos de amonio cuaternario y sales de amina grasa. Los emulsificadores aniónicos incluyen las sales solubles en aceite (por ejemplo, calcio) de ácidos sulfónicos de alquilarilo, sales solubles en aceite o poliglicol éteres sulfatados y sales adecuadas de poliglicol éter fosfatado.

Los líquidos orgánicos representativos que se pueden emplear para preparar los concentrados emulsificables de los compuestos de la presente invención son los líquidos aromáticos tales como xileno, fracciones de benceno de propilo; o fracciones de naftaleno mezcladas, aceites minerales, líquidos orgánicos aromáticos sustituidos tales como ftalato de dioctilo; kerosén; amidas de dialquilo de diversos ácidos grados, particularmente las amidas de dimetilo de glicoles grasos y derivados de glicol tales como el éter n-butílico, éter etílico o éter metílico de dietileno, y el éter metílico de metilenglicol y similares. Mezclas de dos o más líquidos orgánicos también se pueden emplear en la preparación del concentrado emulsificable. Los líquidos orgánicos incluyen xileno y fracciones de benceno de propilo, siendo el xileno el más preferido en algunos casos. Los agentes de dispersión de superficie activa normalmente se emplean en formulaciones líquidas y en una cantidad de 0.1 a 20 por ciento en peso con base en el peso combinado del agente de dispersión con uno o

más de los compuestos. Las formulaciones también pueden contener otros aditivos compatibles, por ejemplo, reguladores de crecimiento de plantas y otros compuestos biológicamente activos utilizados en agricultura.

Las suspensiones acuosas comprenden suspensiones de uno o más compuestos insolubles en agua de la fórmula I, dispersos en un vehículo acuoso en una concentración dentro del rango de aproximadamente 5 hasta aproximadamente 50 por ciento en peso, con base en el peso total de la suspensión acuosa. Las suspensiones se preparan moliendo finamente uno o más de los compuestos, y mezclando vigorosamente el material molido en un vehículo comprendido de agua y tensoactivos elegidos de los mismos tipos descritos anteriormente. Otros componentes tal como sales inorgánicas y gomas sintéticas o naturales también pueden agregarse para incrementar la densidad y viscosidad del vehículo acuoso.

Los compuestos de la fórmula I también se pueden aplicar como formulaciones granulares, las cuales son particularmente útiles para aplicaciones a la tierra. Las formulaciones granulares generalmente contienen de aproximadamente 0.5 hasta aproximadamente 10 por ciento en peso, con base en el peso total de la formulación granular del compuesto(s), dispersado en un transportador inerte que consiste completamente o en gran parte de material inerte dividido en forma gruesa tal como atapulgita, bentonita, diatomita, arcilla o

una sustancia no costosa similar. Dichas formulaciones normalmente se preparan disolviendo los compuestos en un solvente adecuado y aplicándolo a un transportador granular el cual ha sido preformado al tamaño de partícula adecuado, dentro del rango de desde aproximadamente 0.5 hasta aproximadamente 3 mm. Un solvente adecuado es un solvente en el cual el compuesto es sustancial o completamente soluble. Dichas formulaciones también se pueden preparar elaborando una pasta o masa del transportador y el compuesto y solvente, y triturando y secándolo para obtener la partícula granular deseada.

Los polvos que contienen los compuestos de la fórmula I se pueden preparar mezclando profundamente uno o más de los compuestos en forma pulverizada con un transportador agrícola pulverizado adecuado, tal como por ejemplo arcilla de caolina, roca volcánica molida y similares. Los polvos pueden contener en forma adecuada de aproximadamente 1 hasta aproximadamente 10 por ciento en peso de los compuestos, con base en el peso total del polvo.

Las formulaciones pueden contener adicionalmente tensoactivos adyuvantes para aumentar la deposición, humectación y penetración de los compuestos en la cosecha y organismo objetivo. Estos tensoactivos adyuvantes pueden ser empleados opcionalmente como un componente de la formulación o como una mezcla en tanque. La cantidad de

tensoactivo adyuvante normalmente variará de 0.01 a 1.0 por ciento en volumen con base en un volumen en rocío de agua, preferentemente 0.05 a 0.5 por ciento en volumen. El tensoactivo adyuvante adecuado incluye pero no se limita a fenoles de nonilo etoxilados, alcoholes sintéticos o naturales etoxilados, sales de ésteres o ácidos sulfo succínicos, organosiliconas etoxiladas, aminas grasas etoxiladas, y combinaciones de tensoactivos con aceites minerales o vegetales. Las formulaciones también pueden incluir emulsiones de aceite en agua tal como las descritas en la solicitud de Patente Norteamericana Serie No. 11/495,228, cuya descripción está incorporada de manera expresa en la presente invención como referencia.

Las formulaciones pueden incluir opcionalmente combinaciones que contienen otros compuestos pesticidas. Dichos compuestos pesticidas adicionales pueden ser fungicidas, insecticidas, herbicidas, nematocidas, miticidas, artropodicidas, bactericidas o combinaciones de los mismos que sean compatibles con los compuestos de la presente invención en el medio seleccionado para aplicación, y no antagónicos con la actividad de los compuestos de la presente invención. Por consiguiente, en dichas modalidades, el otro compuesto pesticida se emplea como un tóxico suplementario para el mismo o un diferente uso pesticida. Los compuestos de la fórmula I, y el compuesto pesticida en la combinación

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generalmente pueden estar presentes en una proporción en peso de 1:100 a 100:1.

Los compuestos de la presente descripción también se pueden combinar con otros fungicidas para formar mezclas fungicidas y mezclas sinérgicas de las mismas. Los compuestos fungicidas de la presente descripción con frecuencia se aplican junto con uno o más de otros fungicidas para controlar una más amplia variedad de enfermedades indeseables. Cuando se utilizan junto con otros fungicidas, los compuestos reivindicados en la presente invención se pueden formular con otros fungicidas, mezclarse en tanque con otros fungicidas o aplicarse en secuencias con otros fungicidas. Dichos otros fungicidas pueden incluir 2-(tiocianatometiltio)-benzotiazol, 2-fenilfenol, sulfato de 8-hidroxiquinolina, antimicina, Ampelomices, quisqualis, azaconazol, azoxistrobin, Bacillus subtilis, benalaxilo, benomilo, bentiavalicarb-isopropilo, sal de bencilaminobenceno-sulfonato (BABS), bicarbonatos, bifenilo, bismertiazol, bitertanol, blasticidin-S, bórax mezcla de Bordeaux, boscalido, bromuconazol, bupirimato, polisulfuro de calcio, captafol, captan, carbendazim, carboxin, carpropamid, carvona, cloroneb, clorotalonil, clozolinato, Coniotyrium minitans, hidróxido de cobre, octanoato de cobre, oxicloruro de cobre, sulfato de cobre, sulfato de cobre (tribásico), óxido cuproso, ciazofamid, ciflufenamid, cimoxanilo, ciproconazol, ciprodinil, dazomet, debacarb, etilenobis de diamonio-

(ditiocarbamato), diclofluanida, diclorofen, diclocimet, diclomezina, dicloran, dietofencarb, difenoconazol, ión de difenzoquat, diflumetorim, dimetomorf, dimoxistrobin, diniconazol, diniconazol-M, dinobuton, dinocap, difenilamina, ditianon, dodemorf, acetato de dodemorf, dodina, base libre de dodina, edifenfos, enestrobin, epoxiconazol, etaboxam, etoxiquin, etridiazol, famoxadona, fenamidona, fenarimol, fenbuconazol, fenfuram, fenhexamid, fenoxanil, fenpiclonil, fenpropidin, fenpropimorf, fentin, acetato de fentin, hidróxido de fentin, ferbam, ferimzona, fluazinam, fludioxonil, flumorf, fluopicolida, fluoroimida, fluoxastrobin, fluquinconazol, flusilazol, flusulfamida, flutolanil, flutriafol, folpet, formaldehído, fosetilo, fosetil-aluminio, fuberidazol, furalaxilo, furametpir, guazatina, acetatos de guazatina, GY-81, hexaclorobenceno, hexaconazol, himexazol, imazalil, sulfato de imazalil, imibenconazol, iminoctadina, triacetato de iminoctadina, tris(albesilato) de iminoctadina, ipconazol, iprobenfos, iprodiona, iprovalicarb, isoprotiolano, kasugamicina, hidrato de clorhidrato de kasugamicina, kresoxim-metilo, mancobre, mancozeb, mandipropamid, maneb, mepanipirim, mepronil, cloruro mercúrico, óxido mercúrico, cloruro mercuroso, metalaxil, mefenoxam, metalaxil-M, metam, metam-amonio, metam-potasio, metam-sodio, metconazol, metasulfocarb, yoduro de metilo, isotiocianato de metilo, metiram, metominostrobin, metrafenona, mildiomicina,

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miclobutanilo, nabam, nitrotal-isopropilo, nuarimol, octilinona, ofurace, ácido oleico (ácidos grasos), orisastrobin, oxadixilo, oxina-cobre, fumarato de oxpoconazol, oxicarboxin, pefurazoato, penconazol, pencicuron, pentaclorofenol, laurato de pentaclorofenilo, pentiopirad, acetato de fenilmercurio, ácido fosfónico, ftálida, picoxistrobin, polioxina B, polioxinas, polioxorim, bicarbonato de potasio, sulfato de hidroxiquinolina de potasio, probenazol, procloraz, procimidona, propamocarb, clorhidrato de propamocarb, propiconazol, propineb, proquinazid, protioconazol, piraclostrobin, pirazofos, piributicarb, pirifenox, pirimetanil, piroquilon, quinoclamina, quinoxifen, quintoceno, extracto de Reynoutria sachalinensis, siltiofam, simeconazol, 2-fenilfenóxido de sodio, bicarbonato de sodio, pentaclorofenóxido de sodio, espiroxamina, azufre, SYP-Z071, SYP-048, aceites de alquitrán, tebuconazol, tecnaceno, tetraconazol, tiabendazol, tifluzamida, tiofanato-metilo, tiram, tiadinilo, tolclofos-metilo, tolilfluanid, triadimefon, triadimenol, triazóxido, triciclazol, tridemorf, trifloxistrobin, triflumizol, triforina, triticonazol, validamicin, vinclozolin, zineb, ziram, zoxamida, Candida oleofila, Fusarium oxisporum, Gliocladium spp., Phlebiopsis gigantean, Streptomyces griseoviridis, Trichoderma spp., (RS)-N-(3,5-diclorofenil)-2-(metoximetil)-succinimida, 1,2-dicloropropano, hidrato de 1,3-dicloro-1,1,3,3-tetrafluoroacetona, 1-cloro-2,4-dinitronaftaleno, 1-cloro-2-nitropropano, 2-(2-heptadecil-2-imidazolin-1-il)etanol, 1,1,4,4-

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tetraóxido de 2,3-dihidro-5-fenil-1,4-diti-ina, acetato de 2-metoxietilmercurio, cloruro de 2-metoxietilmercurio, silicato de 2-metoxietilmercurio, 3-(4-clorofenil)-5-metilrodanina, tiocianatoma de 4-(2-nitroprop-1-enil)fenilo: ampropilfos, anilazina, azitiram, polisulfuro de bario, Bayer 32394, benodanil, benquinox, bentaluron, benzamacril; benzamacril-isobutilo, benzamorf, binapacrilo, sulfato de bis(metilmercurio), óxido de bis(tributilestaño), butiobato, sulfato de cromato de zinc de cobre de calcio de cadmio, carbamorf, CECA, clobentiazona, cloraniformetan, clorfenazol, clorquinox, climbazol, bis(3-fenilsalicilato) de cobre, cromato de zinc de cobre, cufraneb, sulfato de hidrazinio cúprico, cuprobam, ciclafuramid, cipendazol, ciprofuram, decafentin, diclona, diclozolina, diclobutrazol, dimetirimol, dinocton, dinosulfon, dinoterbon, dipiritiona, ditalimfos, dodicin, drazoxolon, EBP, ESBP, etaconazol, etem, etirim, fenaminosulf, fenapanil, fenitropan, fluotrimazol, furcarbanil, furconazol, furconazol-cis, furmeciclox, furofanato, gliodina, griseofulvin, halacrinato, Hercules 3944, hexiltiofos, ICIA0858, isopamfos, isovalediona, mebenil, mecarbinzid, metazoxolon, metfuroxam, diciandiamida de metilmercurio, metsulfovax, milneb, anhídrido mucoclórico, miclozolin, N-3,5-diclorofenil-succinimida, N-3-nitrofenilitaconimida, natamicina, N-etilmercurio-4-toluenosulfonanilida, bis(dimetilditiocarbamato) de níquel, OCH, dimetilditio-carbamato de fenilmercurio, nitrato de fenilmercurio,

fosdifen, protiocarb; clorhidrato de protiocarb, piracarbolid, piridinitril, piroxiclor, piroxifur, quinacetol; sulfato de quinacetol, quinazamid, quinconazol, rabenzazol, salicilanilida, SSF-109, sultropen, tecoram, tiadifluor, ticiofen, tioclorfenfim, tiofanato, tioquinox, tioximid, triamifos, triarimol, triazbutil, triclamida, urbacid, XRD-563, y zarilamid, IK-1140, NC-224, y cualquier combinación de los mismos.

Adicionalmente los compuestos de la presente invención se pueden combinar con otros pesticidas incluyendo insecticidas, nematocidas, miticidas, artropodicidas, bactericidas o combinaciones de los mismos que sean compatibles con los compuestos de la presente invención en el medio seleccionado para aplicación y no antagónicos con actividad de los compuestos de la presente invención para formar mezclas pesticidas y mezclas sinérgicas de las mismas. Los compuestos fungicidas de la presente descripción se pueden aplicar junto con uno o más de otros pesticidas para controlar una mayor variedad de pestes indeseables. Cuando se utilizan junto con otros pesticidas, los compuestos reivindicados en la presente invención pueden ser formulados con otro pesticida(s), mezclados en tanque con otro pesticida(s) o aplicados en secuencias con el otro pesticida(s). Los insecticidas típicos incluyen pero no se limitan a insecticidas antibióticos tales como alosamidina y turingiensina; insecticidas de lactona macrocíclica tales como spinosad; insecticidas de

avermectin tales como abamectina, doramectina, emamectina, eprinomectina, ivermectina y selamectina; insecticidas de milbemicina tales como oxima de lepimectina, milbemectina, milbemicina y moxidoctina; insecticidas arsénicas tales como arsenato de calcio, arsenato de cobre, arsenato de plomo, arsenita de potasio y arsenita de sodio; insecticidas botánicos tales como anabasina, azadiractina, d-limoneno, nicotina, piretrinas, cinerinas, cinerina I, cinerina II, jasmolina I, jasmolina II, piretrina I, piretrina II, cassia, rotenona, riania y sabadilla; insecticidas de carbamato tales como bendiocarb y carbarilo; insecticidas de metilcarbamato de benzofuranilo tales como benfuracarb, carbofuran, carbosulfan, decarbofuran y furatiocarb; insecticidas de dimetilcarbamato tales como dimitan, dimetilan, hiquincarb y pirimicarb; insecticidas de carbamato de oxima tales como alanicarb, aldicarb, aldoxicarb, butocarboxim, butoxicarboxim, metomil, nitrilacarb, oxamil, tazimcarb, tiocarboxima, tiodicarb y tiofanox; insecticidas de metilcarbamato de fenilo tales como alixicarb, aminocarb, bufencarb, butacarb, carbanolato, cloetocarb, dicresilo, dioxacarb, EMPC, etiofencarb, fenetacarb, fenobucarb, isoprocarb, metiocarb, metolcarb, mexacarbato, promacilo, promecarb, propoxur, trimetacarb, XMC y xililcarb; insecticidas de dinitrofenol tales como dinex, dinoprop, dinosam y DNOC; insecticidas de fluoro tales como hexafluorosilicato de bario, criolita, fluoruro de sodio, hexafluorosilicato de sodio y

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sulfluramid; insecticidas de formamidina tales como amitraz, clordimeform, formetanato y formparanato; insecticidas fumigantes tales como acrilonitrilo, disulfuro de carbono, tetracloruro de carbono, cloroformo, cloropicrina, para-diclorobenceno, 1,2-dicloropropano, formato de etilo, dibromuro de etileno, dicloruro de etileno, óxido de etileno, cianuro de hidrógeno, yodometano, bromuro de metilo, metilcloroformo, cloruro de metileno, naftaleno, fosfina, fluoruro de sulfurilo y tetracloroetano; insecticidas inorgánicos tales como bórax, polisulfuro de calcio, oleato de cobre, cloruro mercuroso, tiocianato de potasio y tiocianato de sodio; inhibidores de síntesis de quitina tales como bistrifluron, buprofezin, clorfluazuron, ciromazina, diflubenzuron, flucicloxuron, flufenoxuron, hexaflumuron, lufenuron, novaluron, noviflumuron, penfluron, teflubenzuron y triflumuron; miméticos de hormona juvenil tal como epofenonano, fenoxicarb, hidropreno, quinopreno, metopreno, piriproxifen y tripreno; hormonas juveniles tales como hormona juvenil I, hormona juvenil II y hormona juvenil III; agonistas de hormona de descamado tal como cromafenozida, halofenozida, metoxifenozida y tebufenozida; hormonas de descamado tal como α-ecdisona y ecdisterona; inhibidores de descamado tal como diofenolan; precocenos tales como precoceno I, precoceno II y precoceno III; reguladores de crecimiento de insectos no clasificados tales como diciclanil; insecticidas análogos de nereistoxin tal como

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bensultap, cartap, tiociclam y tiosultap; insecticidas de nicotinoides tales como flonicamid; insecticidas de nitroguanidina tales como clotianidin, dinotefuran, imidacloprid y tiametoxam; insecticidas de nitrometileno tales como nitenpiram y nitiazina; insecticidas de piridilmetil-amina tales como acetamiprid, imidacloprid, nitenpiram y tiacloprid; insecticidas de órganocloro tales como bromo-DDT, camfeclor, DDT, pp'-DDT, etil-DDD, HCH, gamma-HCH, lindano, metoxiclor, pentaclorofenol y TDE; insecticidas de ciclodieno, tales como aldrin, bromociclen, clorbiciclen, clordano, clordecona, dieldrin, dilor, endosulfan, endrin, HEOD, heptaclor, HHDN, isobenzan, isodrin, kelevan y mirex; insecticidas de órganofosfato tales como bromfenvinfos, clorfenvinfos, crotoxifos, diclorvos, dicrotofos, dimetilvinfos, fospirato, heptenofos, metocrotofos, mevinfos, monocrotofos, naled, naftalofos, fosfamidon, propafos, TEPP y tetraclorvinfos; insecticidas de órganotiofosfato tales como dioxabenzofos, fosmetilan y fentoato; insecticidas de órganotiofosfato alifáticos tales como acetion, amiton, cadusafos, cloretoxifos, clormefos, demefion, demefion-O, demefion-S, demeton, demeton-O, demeton-S, demeton-metilo, demeton-O-metilo, demeton-S-metilo, demeton-S-metilsulfon, disulfoton, etion, etoprofos, IPSP, isotioato, malation, metacrifos, óxidometon-metilo, óxidoprofos, oxidisulfoton, forato, sulfotep, terbufos y tiometon; órganotiofosfato de amida alifática tales como amidicition,

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ciantoato, dimetoato, etoato-metilo, formotion, mecarbam, ometoato, protoato, sofamida y vamidotion; insecticidas de órganotiofosfato de oxima tales como clorfoxim, foxim y foxim-metilo; insecticidas de órganotiofosfato heterocíclico tales como azametifos, coumafos, coumitoato, dioxation, endotion, menazon, morfotion, fosalona, piraclofos, piridafention y quinotion; insecticidas de órganotiofosfato de benzotiopiran tales como diticrofos y ticrofos; insecticidas de órganotiofosfato de benzotriazina tales como azinfos-etilo y azinfos-metil; insecticidas de órganotiofosfato de isoindole tales como dialifos y fosmet; insecticidas de órganotiofosfato de isoxazole tales como isoxation y zolaprofos; insecticidas de órganotiofosfato de pirazolopirimidina tales como clorprazofos y pirazofos; insecticidas de órganotiofosfato de piridina tales como clorpirifos y clorpirifos-metilo; insecticidas de órganotiofosfato de pirimidina tales como butatiofos, diazinon, etrimfos, lirimfos, pirimifos-etilo, pirimifos-metil, primidofos, pirimitato y tebupirimfos; insecticidas de órganotiofosfato de quinoxalina tales como quinalfos y quinalfos-metilo; insecticidas de órganotiofosfato de tiadiazol tales como atidation, litidation, metidation y protidation; insecticidas de órganotiofosfato de triazole tales como isazofos y triazofos; insecticidas de órganotiofosfato de fenilo tales como azotoato, bromofos, bromofos-etilo, carbofenotion, clortiofos, cianofos, citioato, dicapton, diclofention, etafos, famfur, fenclorfos, fenitrotion

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fensulfotion, fention, fention-etil, heterofos, jodfenfos, mesulfenfos, paration, paration-metilo, fenkapton, fosniclor, profenofos, protiofos, sulprofos, temefos, triclormetafos-3 y trifenofos; insecticidas de fosfonato tales como butonato y triclorfon; insecticidas de fosfonotioato tales como mecarfon; insecticidas de etilfosfonotioato de fenilo tales como fonofos y tricloronat; insecticidas de fenilfosfonotioato de fenilo tales como cianofenfos, EPN y leptofos; insecticidas de fosforamidato tales como crufomato, fenamifos, fostietan, mefosfolan, fosfolan y pirimetafos; insecticidas de fosforamidotioato tales como acefato, isocarbofos, isofenfos, metamidofos y propetamfos; insecticidas de fosforodiamida tales como dimefox, mazidox, mipafox y schradan; insecticidas de oxadiazina tales como indoxacarb; insecticidas de ftalimida tales como dialifos, fosmet y tetrametrin; insecticidas de pirazole tales como acetoprol, etiprol, fipronil, pirafluprol, piriprol, tebufenpirad, tolfenpirad y vaniliprol; insecticidas de éster piretroide tales como acrinatrina, aletrina, bioaletrina, bartrina, bifentrina, bioetanometrina, cicletrina, cicloprotrina, ciflutrina, beta-ciflutrina, cihalotrina, gamma-cihalotrina, lambda-cihalotrian, cipermetrina, alfa-cipermetrina, beta-cipermetrina, teta-cipermetrina, zeta-cipermetrina, cifenotrina, deltametrina, dimeflutrina, dimetrina, empentrina, fenflutrina, fenpiritrina, fenpropatrina, fenvalerato, esfenvalerato, flucitrinato, fluvalinato, tau-fluvalinato, furetrina, imiprotrina, metoflutrina,

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permetrina, biopermetrina, transpermetrina, fenotrina, praletrina, proflutrina, piresmetrina, resmetrina, bioresmetrina, cismetrina, teflutrina, teralletrina, tetrametrina, tralometrin y transflutrin; insecticidas de éter piretroide tales como etofenprox, flufenprox, halfenprox, protrifenbuto y silafluofen; insecticidas de pirimidinamina tales como flufenerim y pirimidifen; insecticidas de pirrol tales como clorfenapir; insecticidas de ácido tetrónico tales como espiromesifen; insecticidas de tiourea tales como diafentiuron; insecticidas de urea tales como flucofuron y sulcofuron; e insecticidas no clasificados tales como closantel, crotamiton, EXD, fenazaflor, fenoxacrim, flubendiamida, hidrametilnon, isoprotiolano, malonoben, metaflumizona, metoxadiazona, nifluridídae, piridaben, piridalilo, rafoxanida, triarateno y triazamato, y cualquier combinación de los mismos.

Adicionalmente, los compuestos de la presente invención se pueden combinar con herbicidas que son compatibles con los compuestos de la presente invención en el medio seleccionado para aplicación, y no antagónico con la actividad de los compuestos de la presente invención para formar mezclas pesticidas y mezclas sinérgicas de las mismas. Los compuestos fungicidas de la presente descripción se pueden aplicar junto con uno o más herbicidas para controlar una amplia variedad de plantas indeseables. Cuando se utilizan junto con herbicidas, los compuestos reivindicados en la presente invención se

pueden formular con el herbicida(s), mezclados en tanque con herbicida(s) o aplicados en secuencias con el herbicida(s). los herbicidas típicos incluyen pero no se limitan a *herbicidas de amida* tales como alidoclor, beflubutamid, benzadox, benzipram, bromobutida, cafenstrol, CDEA, clortiamid, ciprazol, dimetenamid, dimetenamid-P, difenamid, epronaz, etnipromid, fentrazamida, flupoxam, fomesafen, halosafen, isocarbamid, isoxaben, napropamida, naptalam, petoxamid, propizamida, quinonamid y tebutam; *herbicidas de anilida* tales como cloranocrilo, cisanilida, clomeprop, cipromid, diflufenican, etobenzanid, fenasulam, flufenacet, flufenican, mefenacet, mefluidida, metamifop, monálida, naproanilida, pentanoclor, picolinafen y propanilo; *herbicidas de arilalanina* tales como benzoilprop, flamprop y flamprop-M; *herbicidas de cloroacetanilida* tales como acetoclor, alaclor, butaclor, butenaclor, delaclor, dietatil, dimetaclor, metazaclor, metolaclor, S-metolaclor, pretilaclor, propaclor, propisoclor, prinaclor, terbuclor, tenilclor y xilaclor; *herbicidas de sulfonanilida* tales como benzoflúor, perfluidona, pirimisulfan y profluazol; *herbicidas de sulfonamida* tales como asulam, carbasulam, fenasulam y orizalin; *herbicidas antibióticos* tales como bilanafos; *herbicidas de ácido benzoico* tales como cloramben, dicamba, 2,3,6-TBA y tricamba; *herbicidas de ácido pirimidiniloxibenzoico* tales como bispiribac y piriminobac; *herbicidas de ácido pirimidiniltiobenzoico* tales como piritiobac;

herbicidas de ácido ftálico tales como clortal; *herbicidas de ácido picolínico* tales como aminopiralid, clopiralid y picloram; *herbicidas de ácido quinolinacarboxílico* tales como quinclorac y quinmerac; *herbicidas arsénicos* tales como ácido cacodílico, CMA, DSMA, hexaflurato, MAA, MAMA, MSMA, arsenita de potasio y arsenita de sodio; *herbicidas de benzoilciclohexanodiona* tales como mesotriona, sulcotriona, tefuriltriona y tembotriona; *herbicidas de alquilsulfonato* de benzofuranilo tales como benfuresato y etofumesato; *herbicidas de carbamato* tales como asulam, clorprocarb de carboxazol, diclormato, fenasulam, karbutilato y terbucarb; *herbicidas de carbanilato* tales como barban, BCPC, carbasulam, carbetamida, CEPC, clorbufam, clorprofam, CPPC, desmedifam, fenisofam, fenmedifam, fenmedifam-etilo, profam y Swep; *herbicidas de oxima de ciclohexeno* tales como aloxidim, butroxidim, cletodim, cloproxidim, cicloxidim, profoxidim, setoxidim, tepraloxidim y tralkoxidim; *herbicidas de ciclopropilisoxazol* tales como isoxaclortol y isoxaflutol; *herbicidas de dicarboximida* tales como benzfendizona, cinidon-etilo, flumezin, flumiclorac, flumioxazin y flumipropin; *herbicidas de dinitroanilina* tales como benfluralin, butralin, dinitramina, etalfluralin, flucloralin, isopropalin, metalpropalin, nitralin, orizalin, pendimetalin, prodiamina, profluralin y trifluralin; *herbicidas de dinitrofenol* tales como dinofenato, dinoprop, dinosam, dinoseb, dinoterb, DNOC, etinofen y medinoterb; *herbicidas de éter difenílico* tales

como etoxifen; *herbicidas de éter nitrofenílico* tales como acifluorfen, aclonifen, bifenox, clometoxifen, clornitrofen, etnipromid, fluorodifen, fluoroglicofen, fluoronitrofen, fomesafen, furiloxifen, halosafen, lactofen, nitrofen, nitrofluorfen y oxifluorfen; *herbicidas de ditiocarbamato* tales como dazomet y metam; *herbicidas alifáticos halogenados* tales como alorac, cloropon, dalapon, flupropanato, hexacloroacetona, yodometano, bromuro de metilo, ácido monocloroacético, SMA y TCA; *herbicidas de imidazolinona* tales como imazametabenz, imazamox, imazapic, imazapir, imazaquin y imazetapir; *herbicidas inorgánicos* tales como sulfamato de amonio, bórax, clorato de calcio, sulfato de cobre, sulfato ferroso, azida de potasio, cianato de potasio, azida de sodio, clorato de sodio y ácido sulfúrico; *herbicidas de nitrilo* tales como bromobonil, bromoxinil, cloroxinil, diclobenil, yodobonil, yoxinil y piraclonil; *herbicidas de órganofosforo* tales como amiprofos-metil, anilofos, bensulida, bilanafos, butamifos, 2,4-DEP, DMPA, EBEP, fosamina, glufosinatp, glifosato y piperofos; *herbicidas de fenoxi* tales como bromofenoxim, clomeprop, 2,4-DEB, 2,4-DEP, difenopenten, disul, erbon, etnipromid, fenteracol y trifopsima; *herbicidas fenoxiacéticos* tales como 4-CPA, 2,4-D, 3,4-DA, MCPA, MCPA-tioetil y 2,4,5-T; *herbicidas fenoxibutíricos* tales como 4-CPB, 2,4-DB, 3,4-DB, MCPB y 2,4,5-TB; *herbicidas fenoxipropiónicos* tales como cloprop, 4-CPP, diclorprop, diclorprop-P, 3,4-DP, fenoprop,

mecoprop y mecoprop-P; *herbicidas ariloxifenoxipropiónicos* tales como clorazifop, clodinafop, clofop, cihalofop, diclofop, fenoxaprop, fenoxaprop-P, fentiaprop, fluazifop, fluazifop-P, haloxifop, haloxifop-P, isoxapirifop, metamifop, propaquizafop, quizalofop, quizalofop-P y trifop; *herbicidas de fenilenodiamina* tales como dinitramina y prodiamina; herbicidas de pirazolilo tales como benzofenap, pirazolinato, pirasulfotol, pirazoxifeno, piroxasulfona y apramezona; *herbicidas de pirazolilfenilo* tales como fluazolato y piraflufen; herbicidas piridazina tales como credazina, piridafol y piridato; *herbicidas de piridazinona* tales como brompirazon, cloridazon, dimidazon, flufenpir, metflurazon, norflurazon, oxapirazon y pidanon; *herbicidas de piridina* tales como aminopiralid, cliodinato, clopiralid, ditiopir, fluroxipir, haloxidina, picloram, picolinafen, piriclor, tiazopir y triclopir; *herbicidas de pirimidinodiamina* tales como iprimidam y tioclorim; herbicidas de amonio cuaternario tales como ciperquat, dietamquat, difenzoquat, diquat, morfamquat y paraquat; herbicidas de tiocarbamato tales como butilato, cicloato, di-alato, EPTC, esprocarb, etiolato, isopolinato, metiobencarb, molinato, orbencarb, pebulato, prosulfocarb, piributicarb, sulfalato, tiobencarb, tiocarbazil, tri-alato y vernolato; *herbicidas de tiocarbonato* tales como dimexano, EXD y proxan; *herbicidas de tiourea* tales como metiuron; *herbicidas de triazina* tales como dipropetrin, triaziflam y trihidroxitriazina; *herbicidas de clorotriazina* tales como

atrazina, clorazina, cianazina, ciprazina, eglinazina, ipazina, mesoprazina, prociazina, proglinazina, propazina, sebutilazina, simazina, terbutilazina y trietazina; *herbicidas de metoxitriazina* tales como atraton, metometon, prometon, secbumeton, simeton y terbumeton; *herbicidas de metiltiotriazina* tales como ametrin, aziprotrina, cianatrin, desmetrin, dimetametrin, metoprotrina, prometrin, simetrin y terbutrin; herbicidas de triazinona tales como ametridiona, amibuzin, hexazinona, isometiozin, metamitron y metribuzin; *herbicidas de triazol* tales como amitrol, cafenstrol, epronaz y flupoxam; *herbicidas de triazolona* tales como amicarbazona, bencarbazona, carfentrazona, flucarbazona, propoxicarbazona, sulfentrazona y tiencarbazona-metilo; *herbicidas de triazolopirimidina* tales como cloransulam, diclosulam, florasulam, flumetsulam, measulam, penoxsulam y piroxsulam; *herbicidas de uracilo* tales como butafenacilo, bromacilo, flupropacilo, isocilo, lenacilo y terbacilo; 3-feniluracilos; herbicidas de urea tales como benztiazuron, cumiluron, cicluron, dicloralurea, diflufenzopir, isonoruron, isouron, metabenztiazuron, monisouron y noruron; *herbicidas de fenilurea* tales como anisuron, buturon, clorbromuron, cloreturon, cloroaluron, cloroxuron, daimuron, difenoxuron, dimefuron, diuron, fenuron, fluometuron, fluotiuron, isoproturon, linuron, metiuron, metildimron, meabenzuron, meabromuron, meaxuron, monolinuron, monuron, neburon, parafluron, fenobenzuron, siduron, tetrafluron y tidiazuron; *herbicidas de*

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pirimidinilsulfonilurea tales como amidosulfuron, azimsulfuron, bensulfuron, clorimuron, ciclosulfamuron, etoxisulfuron, flazasulfuron, fluceasulfuron, flupirsulfuron, foramsulfuron, halosulfuron, imazosulfuron, mesosulfuron, nicosulfuron, ortosulfamuron, oxasulfuron, primisulfuron, pirazosulfuron, rimsulfuron, sulfometuron, sulfosulfuron y trifloxisulfuron; *herbicidas de triazinilsulfonilurea* tales como clorsulfuron, cinosulfuron, etametsulfuron, yodosulfuron, metsulfuron, prosulfuron, tifensulfuron, triasulfuron, tribenuron, triflusulfuron y triasulfuron; *herbicidas de tiadiazolilurea* tales como butiuron, etidimuron, tebutiuron, tiazafluron y tidiazuron; y *herbicidas no clasificados* tales como acrolein, alcohol alílico, azafenidin, benazolin, bentazona, benzobiciclon, butidazol, cianamida de calcio, cambendiclor, clorfenac, clorfenprop, clorflurazol, clorflurenol, cinmetilin, clomazona, CPMF, cresol, orto-diclorobenceno, dimepiperato, endotal, fluoromidina, fluridona, flurocloridona, flurtamona, flutiacet, indanofan, metazol, isotiocianato de metilo, nipiraclofen, OCH, oxadiargil, oxadiazon, oxaziclomefona, pentaclorofenol, penaxazona, acetato de fenilmercurio, pinoxaden, prosulfalin, piribenzoxim, piriftalid, quinoclamina, rodetanil, sulglicapin, tidiazimin, tridifano, trimeturon, tripropindan y tritac.

Otra modalidad de la presente descripción es el método para el control o prevención de un ataque fúngico. Este método comprende aplicar a la tierra, planta, raíces, follaje, semillas o

locus del hongo, o a un locus en el cual se evitará la infestación (por ejemplo aplicando a plantas de cereal o uva) una cantidad efectiva fungicidá de uno o más de los compuestos de la Fórmula I, Los compuestos son adecuados para el tratamiento de diversas plantas en niveles fungicidas, exhibiendo al mismo tiempo baja toxicidad. Los compuestos pueden ser útiles tanto en una forma protectora y/o como erradicante. Se ha descubierto que los compuestos tienen efecto fungicida significativo particularmente para uso agrícola. Muchos de los compuestos son particularmente efectivos para utilizarse con cosechas agrícolas y plantas hortícolas.

Quedará entendido para los expertos en la técnica que la eficacia del compuesto para el hongo anterior, establece la utilidad general de los compuestos como fungicidas.

Los compuestos tienen amplios rangos de actividad contra patógenos fungicidas. Los patógenos de ejemplo pueden incluir pero no se limitan a, mancha de hoja de trigo (*Separia tritici*, también conocido como *Mycosphaerella graminicola*), costra de manzana (*Venturia inaequalis*), y Manchas de hoja de Cercospora de remolachas sugar (*Cercospora beticola*), cacahuates (*Cercospora arachidicola* y *Cercosporidium personatum*) y otras cosechas, y sigatoka negra de los plátanos (*Mycosphaerella fujiensis*). La cantidad exacta del material activo que será aplicado depende no únicamente del material activo específico que esté siendo aplicado, sino también de la

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acción particular deseada, la especie fúngica que será controlada y la etapa de crecimiento de la misma, así como la parte de la planta u otro producto que está en contacto con el compuesto. Por lo tanto, todos los compuestos y las formulaciones que contienen los mismos, pueden no ser igualmente efectivos en concentraciones similares o contra la misma especie fúngica.

Los compuestos son efectivos para utilizarse con plantas en una cantidad que inhibe la enfermedad y fitológicamente aceptable. El término "cantidad que inhibe la enfermedad y fitológicamente aceptable" se refiere a una cantidad de un compuesto que extermina o inhibe la enfermedad de la planta para la cual se desea el control, pero no es significativamente tóxica para la planta. Esta cantidad generalmente será de aproximadamente 0.1 hasta aproximadamente 1000 ppm (partes por millón), con 1 a 500 ppm siendo el preferido. La concentración exacta del compuesto requerida, varía con la enfermedad fúngica que será controlada, el tipo de formulación empleado, el método de aplicación, la especie de planta en particular, condiciones climáticas y similares. Un rango de aplicación adecuado generalmente está dentro de aproximadamente 0.10 hasta aproximadamente 4 libras/acre (aproximadamente 0.01 a 0.45 gramos por metro cuadrado, g/m^2).

Cualquier rango o valor deseado aquí proporcionado,

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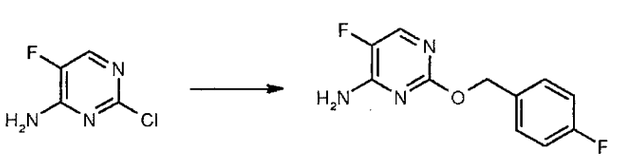
puede ser extinguido o alterado sin perder los efectos considerados, tal como lo apreciarán los expertos en la técnica, con una comprensión de las enseñanzas aquí manifestadas.

Los compuestos de la Fórmula I pueden elaborarse utilizando procedimientos químicos bien conocidos. Los intermediarios no mencionados específicamente en la presente descripción, están ya sea comercialmente disponibles, pueden ser elaborados a través de rutas descritas en la literatura química o pueden ser fácilmente sintetizados a partir de materiales de partida comerciales utilizando procedimientos estándar.

Los siguientes ejemplos se presentan para ilustrar los diversos aspectos de los compuestos de la presente descripción, y no deberán construirse como limitaciones a las reivindicaciones.

Ejemplos:

Preparación de 5-Fluoro-2-(4-fruorobenciloxi)pirimidin-4-amina (1):



1

A una solución de alcohol 4-fluorobencílico (2.56 g, 20.3 mmol) en 1,4-dioxano (20 mL) se le agregó 60% NaH (0.813 g, 20.3 mmol) en diversas porciones durante un período de 10 minutos. A la solución agitada en forma magnética se le agregó

210.

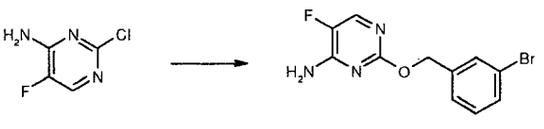
2-cloro-5-fluoropirimidin-4-amina (2.00 g, 13.6 mmol) y la mezcla se agitó a temperatura ambiente hasta que se dismunuya la evolución de gas. La mezcla de reacción se calentó posteriormente en un reactor de microondas CEM Discover a una temperatura de 120°C durante 90 minutos. La mezcla de reacción empleada se dividió entre acetato de etilo y agua, la fase orgánica se concentró y el producto se purificó mediante cromatografía de columna (gradiente de hexano/acetato de etilo) para producir 5-fluoro-2-(4-fluorobenciloxi)pirimidin-4-amina (1.66 g, 52% rendimiento) en la forma de un sólido color blanco: pf 129-131°C; ^{1}H RMN (300MHz, $CDCl_3$) δ 7.91 (d, J= 2.6 Hz, 1H), 7.42 (m, 2H), 7.03 (m, 2H), 5.27 (s, 2H), 5.05 (br s, 2H); MS (ESI) m/z 238 $(M+H)^+$.

*La 4-Amino-2-cloro-5-fluoropirimidina se puede comprar comercialmente o puede prepararse a través de métodos conocidos en la literatura.

1. Hayashi, T.; Kawakami, T. Patente Japonesa 2005126389

2. Durr, GJ. *J. Med. Chem.* 1965, 8(2), 253.

2-(3-Bromobenciloxi)-5-fruoropirimidin-4-ilamina (2):

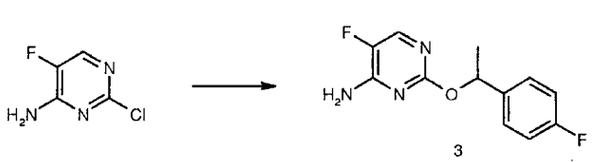


2

A una mezcla agitada en forma magnética de KO^{t}Bu (1.0 M en ^{t}BuOH, 1.36 ml, 1.36 mmol) se le agregó (3-

bromofenil)metanol (0.25 g, 1.36 mmol). A la solución resultante se le agregó 2-cloro-5-fluoropirimidina-4-ilamina (0.10 g, 0.68 mmol) y la mezcla se tapó con sello y se agitó a una temperatura de 90°C durante 4 horas. La mezcla de reacción se enfrió a temperatura ambiente, se diluyó con agua, y el precipitado resultante se recolectó mediante filtración. El sólido se lavó con agua, se lavó con ciclohexano, se secó en el horno de vacío. Se cargó una solución CH_2Cl_2 del compuesto en una columna Biotage SCX y se eluyó con CH_2Cl_2 seguido de 2.0 M NH_3 en MeOH. El solvente se evaporó bajo presión reducida para proporcionar el compuesto del título (0.100 g, 49%) en la forma de un sólido color crema: pf 143-145°C; ^{1}H RMN (400MHz, DMSO-d_6) δ 7.90 (d, J = 2.5 Hz, 1H), 7.61 (s, 1H), 7.43 (d, J = 8.0 Hz, 1H), 7.36 (d, J = 7.7 Hz, 1H), 7.22 (t, J = 7.7 Hz, 1H), 5.28 (s, 2H), 5.20 (br s, 2H); GCMS (EI) m/z 297, 299 $(M)^+$.

Preparación de 5-Fluoro-2-[1-(4-fluorofenil)etoxi]pirimidin-4-ilamina (3):



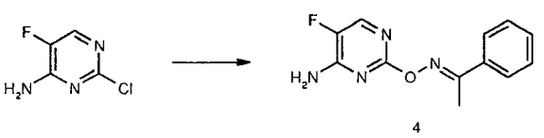
3

A una mezcla agitada en forma magnética de 4-amino-2-cloro-5-fluoropirimidina (11.10 g, 75.2 mmol) en 1-(4-Fluorofenil)etanol (11.70 g, 82.8 mmol) se le agregó una solución 1.0 M de KO^{t}Bu en ^{t}BuOH (82.8 mL, 82.8 mmol) en una

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porción, y la mezcla color marrón resultante se calentó a temperatura de reflujo y se agitó durante 24 horas. El solvente se eliminó *in vacuo* y el aceite color rojo-naranja resultante se purificó mediante cromatografía instantánea (SiO_2, 0→10% $MeOH/CH_2Cl_2$) para proporcionar 5.5 g del aceite color rojo-naranja. El aceite se suspendió en hexanos (100 mL) y se agitó durante 16 horas. Se agregó agua (100 mL) a la mezcla no cambiada, y se agitó en forma vigorosa el sistema bifásico durante 1 hora. El sólido color crema resultante se recolectó mediante filtración al vacío, se lavó con agua templada (55°C, 2 x 100 mL), y se secó bajo vacío a una temperatura de 55°C durante 16 horas para proporcionar 5-fluoro-2-[1-(4-fluorofenil)etoxi]pirimidin-4-ilamina (3.30 g, 17.2% rendimiento) en la forma de un sólido color blanco: pf 96-98°C; ^1H RMN (300MHz, $CDCl_3$) δ 7.84 (d, J = 2.6 Hz, 1H), 7.42-7.38 (m, 2H), 7.03-6.97 (m, 2H), 5.99 (q, J= 6.6 Hz, 1H), 5.09 (br s, 2H), 1.61 (d, J= 6.6 Hz, 3H); MS (ESI) *m/z* 252 $(M+H)^+$, m/z 250 $(M-H)^-$.

Preparación de 1-Fenil-etanona-O-(4-amino-5-fluoropirimidin-2-il)oxima (4):



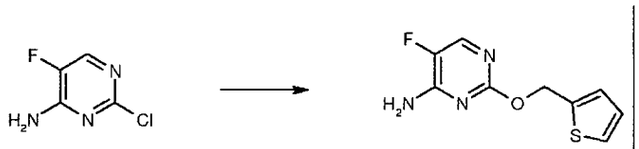
4

A una mezcla agitada en forma magnética de 4-amino-2-cloro-5-fluoropirimidina (0.10 g, 0.68 mmol) y oxima acetofenona (0.092 g, 0.68 mmol) en DMF seco (3 mL) en un

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frasco de microondas Biotage Initiator de 5 mL se le agregó NaH (0.027 g de una suspensión al 60%, 0.68 mmol) bajo una atmósfera de N_2. Después de que terminó la evolución de gas, la mezcla resultante se selló con una tapa de septo de microondas Biotage Initiator y se calentó a una temperatura de 100°C en un microondas Biotage Initiator durante 60 minutos. Los contenidos se vertieron en un frasco con agua (5 mL) y CH_2Cl_2 (5 mL), y se neutralizó con unas cuantas gotas de 2N HCl. Las fases se separaron y el extracto orgánico se secó sobre $MgSO_4$, se filtró y evaporó bajo una corriente de nitrógeno. Los contenidos crudos se purificaron sobre sílice (gradiente de EtOAc/hexanos) y la evaporación de las fracciones del producto produjo 0.057 g (34%) de 1-Fenil-etanona-O-(4-amino-5-fluoro-pirimidin-2-il)oxima en la forma de un sólido color crema: pf 163-165°C; ^{1}H RMN (300MHz, $CDCl_3$) δ 8.04 (d, J= 2.6 Hz, 1H), 7.75 (m, 2H), 7.42 (m, 3H), 5.25 (bs, 2H), 2.51 (s, 3H); HPLC-MS (ESI) m/z 247 $(M+H)^+$.

Preparación de 5-Fluoro-2-(tiofen-2-ilmetoxi)-pirimidin-4-ilamina (5):



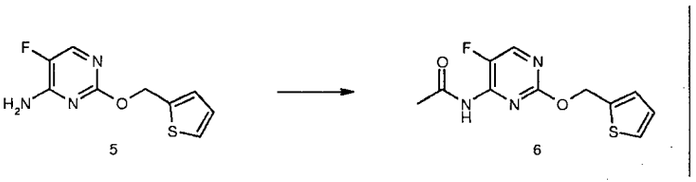
5

A una mezcla de 2-cloro-5-fluoropirimidin-4-ilamina (2.00 g, 13.5 mmol) y tiofen-2-ilmetanol (1.92 g, 16.9 mmol) con una barra de agitación magnética en un envase de reacción de

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microondas Biotage Initiator de 20 mL se le agregó KO^{t}Bu (17.0 mL de 1M en ^{t}BuOH, 17.0 mmol). La mezcla resultante se selló con una tapa de septo de microondas Biotage Initiator se calentó en un microondas Biotage Initiator a una temperatura de 100°C durante 30 minutos. El ciclo de calentamiento se repitió (2x) para un tiempo de reacción total de 90 minutos. Los contenidos se vertieron en hielo-agua y el pH se ajustó a neutral con 2N HCl. El sólido resultante se filtró y lavó con agua (2x) y posteriormente 20% éter/hexanos (100 mL). El sólido restante se secó durante la noche a una temperatura de 50°C bajo vacío para proporcionar 4.17 g (68%) de 5-fluoro-2-(tiofen-2-ilmetoxi)pirimidin-4-ilamina en la forma de un polvo color amarillo pálido: pf 92-94°C; ^{1}H RMN (300MHz, $CDCl_3$) δ 7.92 (d, J = 2.7 Hz, 1H), 7.29 (m, 1H), 7.13 (d, J= 3.6 Hz, 1H), 6.97 (m, 1H), 5.46 (s, 2H), 5.17 (br s, 2H); MS (ESI) m/z 226 $(M+H)^+$

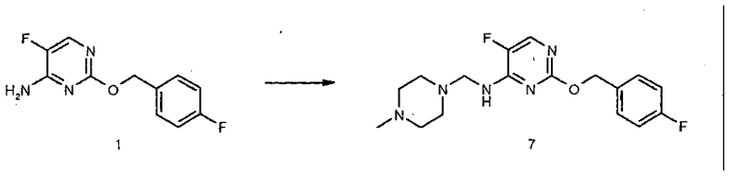
Preparación de N-[5-Fluoro-2-(tiofen-2-ilmetoxi)pirimidin-4-il]acetamida (6):



En un frasco de tapa de tornillo de 2 medidas, se trató una solución de 5-fluoro-2-(tiofen-2-ilmetoxi)-pirimidin-4-ilamina (0.10 g, 0.4 mmol) en CH_2Cl_2 con cloruro de acetilo (0.032 g,

0.4 mmol,) y PS-NMM (0.42 g, 0.8 mmol), un equivalente enlazado por resina de morfolina de *N*-metil (NMM). La mezcla se agitó a una temperatura de RT durante 12 horas. La mezcla de reacción se filtró y el solvente se evaporó para producir 0.084 g (75%) del compuesto del título en la forma de un sólido color blanco: pf 134-136°C; ^{1}H RMN (300MHz, $CDCl_3$) δ 8.24 (d, J= 2.6 Hz, 1H), 7.86 (bs, 1H), 7.31 (m, 1H), 7.23 (m, 1H), 7.00 (m, 1H), 5.54 (s, 2H), 2.58 (s, 3H); MS (ESI) m/z 268 $(M+H)^+$.

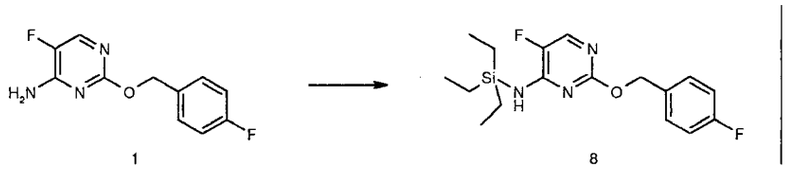
Preparación de [5-Fluoro-2-(4-fruorobenciloxi)pirimidin-4-il]-(4-metilpiperazin-1-ilmetil)amina (7):



A una mezcla agitada en forma magnética de paraformaldehído (0.24 g, 8 mmol) en CH_2Cl_2 (20 mL) se le agregó *N*-metilpiperazina (0.80 g, 8.0 mmol). La suspensión se agitó durante la noche a temperatura ambiente en un agitador orbital, y posteriormente se agregó 5-fluoro-2-(4-fluorobenciloxi)pirimidin-4-ilamina (0.47 g, 2.0 mmol). La mezcla resultante se agitó durante el fin de semana a temperatura ambiente. El solvente se evaporó y el residuo crudo se lavó dos veces con 50% éter/éter de petróleo y se secó bajo una corriente de N_2 para proporcionar 0.21 g (30%) de [5-fluoro-2-(4-fluorobenciloxi)pirimidin-4-il](4-metilpiperazin-

1-ilmetil)amina en la forma de un sólido color beige: pf 125-126°C; ^{1}H RMN (300MHz, $CDCl_3$) δ 7.83 (d, J= 2.3 Hz, 1H), 7.43 (m, 2H), 7.03 (t, J= 8.5 Hz, 2H), 5.40 (bs, 1H), 5.27 (s, 2H), 4.41 (d, J= 6.8 Hz, 2H), 2.63 (bs, 4H), 2.47 (bs, 4H), 2.30 (s, 3H); HPLC-MS (ESI) m/z 350 $(M+H)^+$.

Preparación de [5-Fluoro-2-(4-fluorobenciloxi)pirimidin-4-il]trietilsilanilamina (8):



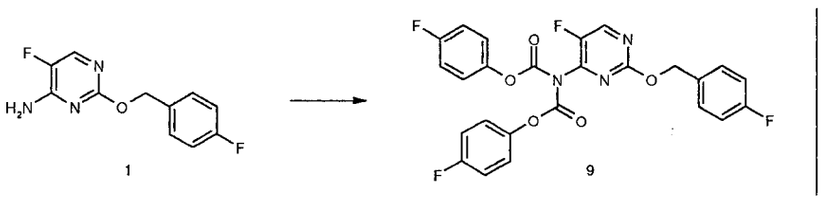
1 8

A una mezcla agitada en forma magnética de 5-fluoro-2-(4-fluorobenciloxi)pirimidin-4-ilamina (0.25 g, 1.05 mmol) en THF seco (5 mL) a una temperatura de 0°C se le agregó NaH (0.042 g de 60% en peso de suspensión en aceite mineral, 1.05 mmol). Cuando terminó el burbujeó, se agregó en forma de gotas (puro) mediante una jeringa cloruro de trietilsililo (0.158 g, 1.05 mmol). Después de agitar durante la noche a temperatura ambiente, la mezcla de reacción se vertió en éter y se lavó con una mezcla de bicarbonato de sodio saturado acuoso y una solución de salmuera. La capa orgánica se separó, se secó sobre Na_2SO_4, se filtró y evaporó para proporcionar un sólido color blanco. Este material crudo se purificó sobre gel de sílice mediante cromatografía de columna (gradiente de EtOAc/hexanos) para proporcionar 0.121 g (33%) de [5-fluoro-2-(4-fluorobenciloxi)pirimidin-4-il]-trietilsilanilamina en la forma

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de un aceite color amarillo claro: ^{1}H RMN (300MHz, $CDCl_3$) δ 7.89 (d, J= 2.5 Hz, 1H), 7.39 (m, 2H), 7.03 (t, J= 8.6 Hz, 2H), 5.27 (s, 2H), 4.53 (s, 1H), 0.99 (m, 9H), 0.83 (m, 6H); HPLC-MS (ESI) m/z 352 $(M+H)^+$.

Preparación de Ester 4-fluorofenílico de ácido [5-fluoro-2-(4-fluorobenciloxi)pirimidin-4-il]bis-carbámico (9):



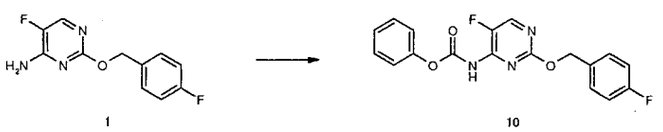
1 9

A una mezcla enfriada con hielo y agitada en forma magnética de 5-fluoro-2-(4-fluorobenciloxi)pirimidin-4-ilamina (0.25 g, 1.05 mmol) en THF seco (5 mL) se le agregó NaH (0.042 g de 60% en aceite de suspensión en aceite mineral, 1.05 mmol). Después de que terminó el burbujeo, se agregó en forma de gotas cloroformato de 4-fluorofenilo (0.184 g, 1.05 mmol) en la forma de una solución en THF seco. Después de agitar durante una hora, la reacción se dividió entre EtOAc y una solución de salmuera. El extracto orgánico se secó sobre Na_2SO_4, se filtró y evaporó. El material crudo se purificó sobre gel de sílice utilizando un gradiente de EtO Ac/Hex y posteriormente MeOH/EtOAc para proporcionar 0.054 g (14%) de éster 4-fluorofenílico de ácido [5-fluoro-2-(4-fluorobenciloxi)pirimidin-4-il]bis-carbámico en la forma de un sólido color blanco: pf 103-105°C; ^{1}H RMN (300MHz, $CDCl_3$) δ

218

8.58 (d, J= 2.2 Hz, 1H), 7.43 (m, 2H), 7.08 (m, 10H), 5.40 (s, 2H); HPLC-MS (ESI) m/z 514 $(M+H)^+$.

Preparación de Ester fenílico de ácido [5-fluoro-2-(4-fluorobenciloxi)pirimidin-4-il]carbámico (10):



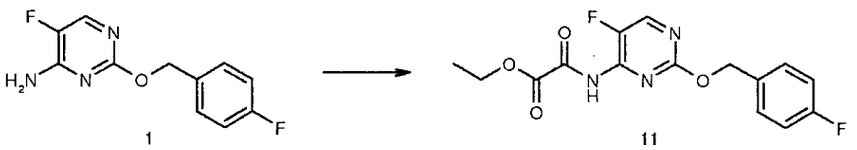
1 10

A una mezcla agitada de 5-fluoro-2-(4-fluorobenciloxi)pirimidin-4-ilamina (0.20 g, 0.84 mmol) en THF seco (3 mL) a temperaturas de baño de hielo se le agregó NaH (0.034 g de 60% en peso de suspensión en aceite mineral, 0.84 mmol). Cuando terminó el burbujeo, la mezcla resultante se transfirió (en forma de gotas) mediante una cánula a una mezcla agitada, enfriada con hielo de carbonato de difenilo (1.8 g, 8.4 mmol) en THF seco (5 mL). La mezcla se agitó durante la noche, se vertió en EtOAc, y se lavó con una solución de NH_4Cl acuoso saturado seguido de una solución de salmuera. La capa EtOAc se separó, se secó sobre Na_2SO_4, se filtró y evaporó. El material crudo se purificó sobre gel de sílice utilizando un gradiente de EtOAc y hexanos para proporcionar 0.063 g (21%) de éster fenílico de ácido [5-fluoro-2-(4-fluorobenciloxi)pirimidin-4-il]carbámico en la forma de un sólido color blanco: pf 129-131°C; ^1H RMN (300MHz, $CDCl_3$) δ 8.28 (d, J= 2.3 Hz, 1H), 7.43 (m, 5H), 7.30-7.20 (m, 2H), 7.02 (t, J = 8.6

219

Hz, 2H), 5.38 (s, 2H); HPLC-MS (ESI) m/z 358 $(M+H)^+$.

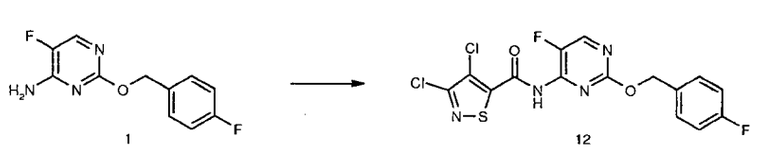
Preparación de Ester etílico de ácido N-[5-fluoro-2-(4-fluorobenciloxi)pirimidin-4-il]oxalámico (11):



1 11

A una mezcla de 5-fluoro-2-(4-fluorobenciloxi)pirimidin-4-ilamina (0.235 g, 0.99 mmol), morfolina de *N*-metilo en poliestireno (0.538 g, 1.24 mmol), y CH_2Cl_2 (5 mL), se le agregó éster etílico de ácido cloro-oxo-acético (0.135 g, 0.99 mmol) y la mezcla resultante se agitó en un agitador orbital durante 16 horas. Los contenidos de reacción se filtraron en un cartucho de SPE ácido y se eluyeron con CH_2Cl_2. El filtrado CH_2Cl_2 se evaporó para proporcionar 0.165 g (50%) de éster etílico de ácido N-[5-fluoro-2-(4-fluorobenciloxi)pirimidin-4-il]oxalámico en la forma de un aceite claro: ^{1}H RMN (300MHz, $CDCl_3$) δ 9.21 (bs, 1H), 8.38 (d, J = 2.3 Hz, 1H), 7.48 (m, 2H), 7.03 (t, J = 8.5 Hz, 2H), 5.40 (s, 2H), 4.48 (q, J= 7.1 Hz, 2H), 1.45 (t, J = 7.1 Hz, 3H); HPLC-MS (ESI) m/z 338 $(M+H)^+$.

Preparación de [5-Fluoro-2-(4-fluorobencil-oxi)pirimidin-4-il]amida de ácido 3,4-dicloroisotiazol-5-carboxílico (12):



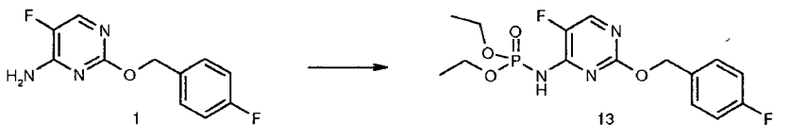
1 12

220

A una suspensión de ácido 3,4-dicloroisotiazol-5-carboxílico(0.15 g, 0.76 mmol) en cloruro de oxalilo (2 mL) se le agregó una cantidad catalítica de dimetilformamida (2 gotas) y la mezcla se calentó a una temperatura de 80°C y se agitó durante 2 horas. El cloruro de oxalilo en exceso se eliminó en un evaporador giratorio. Entre tanto, se disolvió 5-fluoro-2-(4-fluorobenciloxi)-pirimidin-4-ilamina (0.17 g, 0.68 mmol) en THF (1 mL), se trató con LiHMDS (1M en THF, 0.76 mL, 0.76 mmol) y se agitó durante 10 minutos. El cloruro de 3,4-diclorotiazol-5-carbonilo preparado recientemente*, disuelto en THF (1 mL), se agregó y la reacción se tapó con sello y se agitó durante 12 horas. La reacción se diluyó con agua y el compuesto objetivo se extractó con CH_2Cl_2 (3 x 5mL). Los extractos combinados se secaron sobre $MgSO_4$ y posteriormente se evaporaron bajo presión reducida. La mezcla se eluyó con CH_2Cl_2 a través de una columna de extracción de fase sólida de intercambio aniónico y posteriormente se purificaron en forma adicional mediante cromatografía de fase inversa para proporcionar [5-fluoro-2-(4-fluorobenciloxi)pirimidin-4-il]amida de ácido 3,4-dicloroisotiazol-5-carboxílico (0.035 g, 12%) en la forma de un sólido color marrón: pf 87-90°C; ^{1}H RMN (400 MHz, DMSO-d_6) δ 11.78 (s, 1H), 8.67 (s, 1H), 7.51-7.48 (m, 2H), 7.24-7.19 (m, 2H), 5.25 (s, 2H); MS (ESI) m/z 417 $(M+H)^+$, 415 $(M-H)^-$.

*Nagata, T.; Kogure, A.; Yonekura, N.; Hanai, R.; Kaneko, L; Nakano, Y. JP 2007211002 A

Preparación de Ester dietílico de ácido [5-fluoro-2-(4-fluorobenciloxi)pirimidin-4-il]fosforamídico (13):

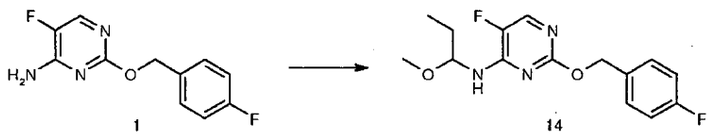


A una solución agitada en forma magnética de 5-fluoro-2-(4-fluorobenciloxi)pirimidin-4-ilamina (0.10 g, 0.42 mmol) en THF seco (5 mL) bajo una atmósfera de nitrógeno, se le agregó NaH (0.017 g de una suspensión en 60% en peso, 0.42 mmol) y la mezcla se agitó hasta que terminó el burbujeo. Se agregó en forma de gotas clorofosfato de dietilo (0.073 g, 0.42 mmol), y la mezcla se agitó a temperatura ambiente durante 1 hora. La mezcla de reacción se evaporó hasta secarse y el residuo se disolvió en EtOAc y se lavó con una solución de NH_4Cl acuosa saturada. La capa orgánica se separó, se secó sobre Na_2SO_4, se filtró y evaporó. El material crudo se purificó sobre sílice (gradiente acetona/CH_2Cl_2) para proporcionar 0.017 g (11%) de éster dietílico de ácido [5-fluoro-2-(4-fluorobenciloxi)pirimidin-4-il]fosforamídico en la forma de un sólido color blanco: pf 109-111°C; ^1H RMN (300MHz, $CDCl_3$) δ 8.10 (t, J= 1.8 Hz, 1H), 7.43 (m, 2H), 7.03 (t, J = 8.5 Hz, 2H), 6.18 (br s, 1H), 5.35 (s, 2H), 4.25 (m, 4H), 1.38 (t, J= 7.1 Hz, 6H); HPLC-MS (ESI) m/z 374 $(M+H)^+$.

Preparación de [5-Fluoro-2-(4-fluorobenciloxi)pirimidin-4-il](1-

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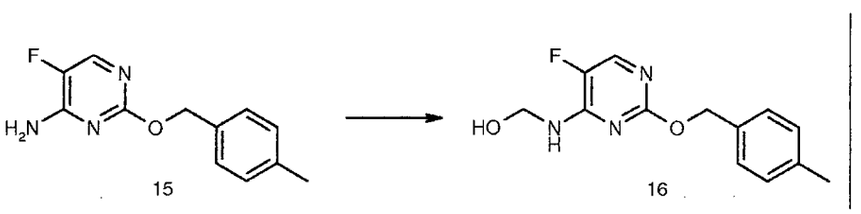
metoxipropil)amina (14):



1 14

A una solución de 5-fluoro-2-(4-fluorobenciloxi)pirimidin-4-ilamina (0.10 g, 0.42 mmol) en propionaldehído (2 mL) se le agregó una cantidad catalítica de ácido canforsulfónico. La mezcla se agitó en un agitador orbital a temperatura ambiente durante 4 horas y posteriormente se evaporó hasta secarse. Se agregó metanol (2 mL), y la solución resultante se templó a una temperatura de 60°C durante 1 hora. Después de la evaporación, el producto crudo se purificó mediante cromatografía de fase inversa para producir el compuesto del título (0.030 g, 24% rendimiento) en la forma de un aceite incoloro, claro: ^{1}H RMN (300MHz, $CDCl_3$) δ 7.91 (d, J = 2.5 Hz, 1H), 7.47-7.41 (m, 2H), 7.09-7.01 (m, 2H), 5.41 (dt, J= 9.9 y 6.0 Hz, 1H), 5.30 (s, 2H), 5.2 (bd, J ~10 Hz, 1H), 3.12 (s, 3H), 1.88-1.60 (m, 2H), 0.98 (t, J = 7.1 Hz, 3H). HPLC-MS 308 (ES^-), 310 (ES^+).

Preparación de [5-Fluoro-2-(4-metilbenciloxi)pirimidin-4-ilamino]metanol (16):

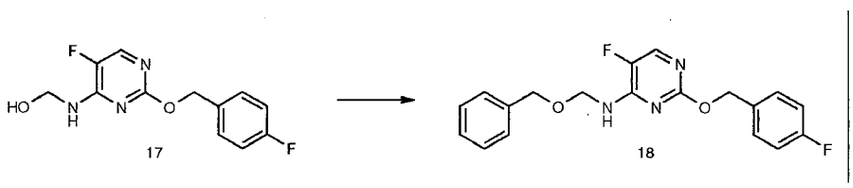


15 16

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A una solución de 5-fluoro-2-(4-metilbenciloxi)pirimidin-4-ilamina (0.10 g, 0.43 mmol) en dioxano (2 mL) se le agregó paraformaldehído (0.060 g, 2 mmol) y la mezcla se agitó en un agitador orbital a una temperatura de 90°C durante 16 horas, se enfrió y evaporó hasta secarse. La purificación mediante cromatografía de fase inversa produjo 0.070 g (63%) del compuesto del título en la forma de un sólido color blanco: pf 97-98°C; ^{1}H RMN ($CDCl_3$) δ 7.94 (d, J = 2.5Hz, 1H), 7.36 (d, J= 7.9Hz, 2H), 7.19 (d, J= 7.9Hz, 2H), 5.97 (bs, 1H), 5.33 (s, 2H), 5.04- 4.99 (m, 2H), 3.39 (t, J= 8.0Hz, 1H), 2.37 (s, 3H); MS (ESI) m/z 264 $(M+H)^+$

Preparación de Benciloximetil[5-fluoro-2-(4-fluorobenciloxi)pirimidin-4-il]amina (18):

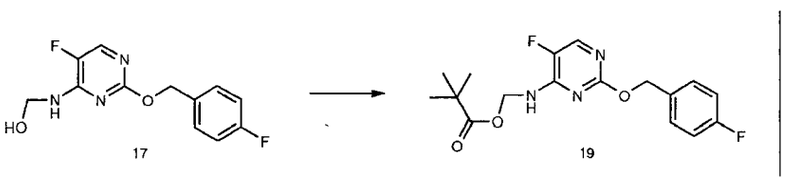


A una mezcla de [5-fluoro-2-(4-fluorobenciloxi)pirimidin-4-ilamino]metanol (0.10 g, 3.7 mmol) en alcohol bencílico (1 mL) se le agregó una cantidad catalítica de ácido p-tolueno sulfónico. Después de 30 minutos, la reacción se enfrió a temperatura ambiente y se dividió entre acetato de etilo y bicarbonato de sodio saturado. Las fases se separaron y la fase orgánica se secó sobre Na_2SO_4 anhidro, se filtró y evaporó para obtener el producto crudo. La purificación mediante cromatografía de fase inversa produjo 0.094 g (70%) del

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compuesto del título en la forma de un sólido color blanco: pf 64-66°C; ^{1}H RMN ($CDCl_3$) δ 7.93 (d, J= 2.7Hz, 1H), 7.47-7.40 (m, 2H), 7.37-7.29 (m, 5H), 7.08-7.00 (m, 2H), 5.81-5.70 (bm, 1H), 5.29 (s, 2H), 5.12 (d, J = 6.9Hz, 2H), 4.63 (s, 2H); MS (ESI) m/z 358 $(M+H)^+$.

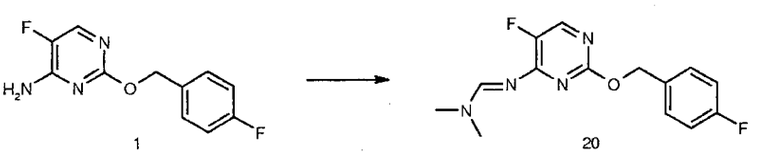
Preparación de Ester [5-fluoro-2-(4-fluorobenciloxi)pirimidin-4-ilamino]metílico de ácido 2,2-dimetilpropiónico (19):



17 19

A una mezcla de [5-fluoro-2-(4-fluorobenciloxi)pirimidin-4-ilamino]metanol (0.10 g, 0.37 mmol) en piridina (2 mL) se le agregó cloruro de trimetilacetilo (0.048 g, 0.40 mmol), y la mezcla se agitó en un agitador orbital a una temperatura de 60°C durante 4 horas. La mezcla de reacción se enfrió, se evaporó hasta secarse y se dividió entre EtOAc y agua. La capa orgánica se secó sobre Na_2SO_4, se filtró y evaporó para producir el compuesto del título (0.078 g, 60% rendimiento) en la forma de un sólido color blanco: pf 134-135°C; ^{1}H RMN (300MHz, $CDCl_3$) δ 7.97 (d, J= 2.5 Hz, 1H), 7.49-7.44 (m, 2H), 7.11-7.03 (m, 2H), 6.17 (bt, J ≈ 7 Hz, 1H), 6.17 (d, J = 7.4 Hz, 2H), 5.33 (s, 2H), 1.20 (s, 9H); HPLC-MS m/z 352 $(M+H)^+$.

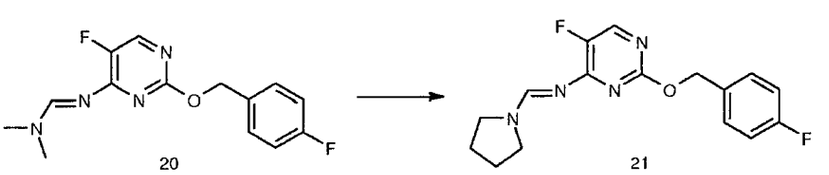
Preparación de *N'*-[5-Fluoro-2-(4-fluorobenciloxi)pirimidin-4-il]-*N,N*-dimetil-formamidina (20):



1 20

A una solución agitada en forma magnética de 5-fluoro-2-(4-fluorobenciloxi)pirimidin-4-ilamina (1.00 g, 4.2 mmol) en *N,N*-dimetilformamida (DMF, 20 mL) se le agregó acetal de dimetilo de *N,N*-dimetilformamida (0.55 g, 4.6 mmol) y se continuó con la agitación 16 horas a temperatura ambiente. La solución se vertió en 100 mL de agua de hielo, mediante lo cual se produjo un precipitado color blanco. La mezcla se enfrió a una temperatura de 0°C durante 1 hora y posteriormente se filtró para producir el compuesto del título (1.10 g, 89%) en la forma de un sólido color blanco: pf 113-115°C; ^{1}H RMN ($CDCl_3$) δ 8.65 (s, 1H), 8.04 (d, J= 2.6 Hz, 1H), 7.46-7.40 (m, 2H), 7.07-6.98 (m, 2H), 5.30 (s, 2H), 3.17 (s, 3H), 3.16 (s, 3H); MS (ESI) m/z 292 $(M+H)^+$. Anal. Calcd para $C_{14}H_{14}F_2N_4O$: C, 57.53; H, 4.83; N, 19.17. Encontrado: C, 57.67; H, 4.84; N, 19.09.

Preparación de [5-Fluoro-2-(4-fluorobenciloxi)pirimidin-4-il]-[1-pirrolidin-1-il-metilideno]amina (21):

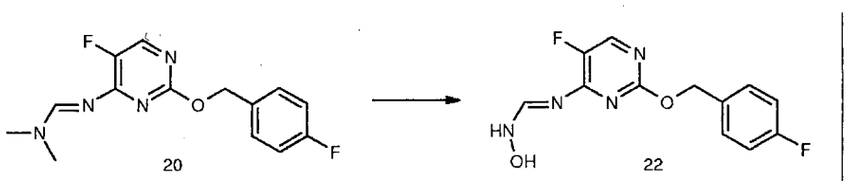


20 21

A una solución de N'-[5-fluoro-2-(4-

fluorobenciloxi)pirimidin-4-il]-N,N-dimetilformamidina (0.10 g, 0.36 mmol) en tolueno (2 mL) se le agregó pirrolidina (0.051 g, 0.72 mmol) y una cantidad catalítica de ácido canforsulfónico. El frasco ventilado se colocó en un agitador orbital, se agitó a una temperatura de 90°C durante 16 horas, se enfrió y se evaporó hasta secarse. La purificación mediante cromatografía de fase inversa produjo el compuesto del título (0.060 g, 53% rendimiento) en la forma de un sólido color blanco: pf 102-103°C; ^{1}H RMN (300MHz, $CDCl_3$) δ 8.87 (s, 1H), 8.06 (d, J= 2.7 Hz, 1H), 7.49-7.42 (m, 2H), 7.09-7.01 (m, 2H), 5.32 (s, 2H), 3.73-3.62 (m, 4H), 2.07-1.96 (m, 4H); HPLC-MS (ESI) m/z 319 $(M+H)^+$.

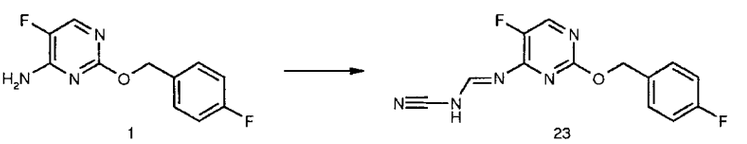
Preparación de N-[5-Fluoro-2-(4-fluorobenciloxi)pirimidin-4-il]-N'-hidroxi-formamidina (22):



A una solución de N'-[5-fluoro-2-(4-fluorobenciloxi)pirimidin-4-il]-N,N-dimetil-formamidina (0.10 g, 0.34 mmol) en EtOH (2 mL) se le agregó clorhidrato de hidroxilamina (0.047 g, 0.68 mmol) y la mezcla se agitó en un agitador orbital durante 1.5 horas a una temperatura de 50°C. La mezcla de reacción se enfrió y se evaporó hasta secarse. Se agregó agua para producir una pasta la cual se filtró para aislar

el compuesto del título (0.090 g, 94% rendimiento) en la forma de un sólido color blanco: pf 169-171°C; ^{1}H RMN (300MHz, $CDCl_3$) δ 8.15 (d, J = 2.2 Hz, 1H), 8.02 (bs, 2H), 7.49-7.43 (m, 2H), 7.11-7.02 (m, 3H), 5.35 (s, 2H); HPLC-MS (ESI) m/z 281 $(M+H)^+$, 279 $(M-H)^-$.

Preparación de N-[5-Fluoro-2-(4-fluorobenciloxi)pirimidin-4-il]-N'-cianoformamidina (23):

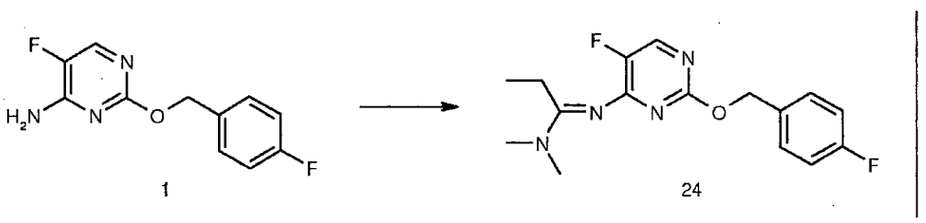


Se agitó cianamida (8.00 g, 190.0 mmol) a reflujo en trietilortoformato (60 mL) durante 2 horas. La reacción se enfrió a temperatura ambiente y se destiló para proporcionar etil-N-cianoimidato (12.5 g, pb = 110-112°C/45 mm Hg).*A este imidato (1 mL) se le agregó 5-fluoro-2-(4-fluorobenciloxi)pirimidin-4-ilamina (0.05 g, 0.2 mmol) y la mezcla se calentó a una temperatura de 90°C durante 4 horas, se enfrió, se diluyó con cloroformo, se filtró y se evaporó. El producto crudo se purificó mediante cromatografía de fase inversa para producir 0.053 g (17%) del compuesto del título en la forma de un sólido color crema: pf 148-149°C; ^{1}H RMN (300MHz, $CDCl_3$) δ 9.45 y 9.33 (bd, bs, J ≈ 10 Hz, 1H), 8.33 y 8.25 (2d, J ≈ 2Hz, 1H), 7.46-7.38 (m, 2H), 7.11-7.01 (m, 2H), 5.35 y 5.33 (2s, 2H); HPLC-MS (ESI) m/z 290 $(M+H)^+$, 288 $(M-H)^-$.

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* Bridsen, Peter K., y Wang, Xiaodong, *Synthesis*, **1995**, 855-8.

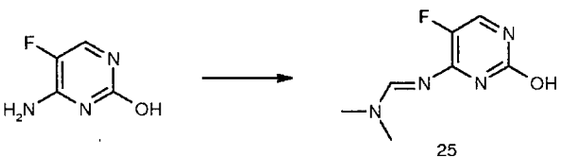
Preparación de N'-[5-Fluoro-2-(4-fluorobenciloxi)pirimidin-4-il]-N,N-dimetil-propionamidina (24):



A una solución de *N,N*-Dimetilpropionamida (0.202 g, 2.0 mmol) en $CHCl_3$ (2 mL) se le agregó oxicloruro fosforoso ($POCl_3$; 0.066 g, 0.43 mmol) y la mezcla se agitó en un agitador orbital a temperatura ambiente durante 1 hora. Se agregaron trietilamina (0.22 g, 2.2 mmol) y 5-fluoro-2-(4-fluorobenciloxi)pirimidin-4-ilamina (0.10 g, 0.40 mmol) y la mezcla se agitó a una temperatura de 50°C durante 3 horas, se enfrió a temperatura ambiente, se dividió entre cloroformo y agua, las fases se separaron y los orgánicos se evaporaron bajo presión reducida. La purificación mediante cromatografía de fase inversa produjo el compuesto del título (0.042 g, 31% rendimiento) en la forma de un aceite color amarillo: ^{1}H RMN (300MHz, $CDCl_3$) δ 8.87 (s, 1H), 8.04 (d, J= 2.5 Hz, 1H), 7.46-7.40 (m, 2H), 7.07-6.99 (m, 2H), 5.30 (s, 2H), 3.13 (s, 6H), 2.55 (q, J = 7.7 Hz, 2H), 1.15 (t, J = 7.7 Hz, 3H); HPLC-MS (ESI) m/z 321 $(M+H)^+$.

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Preparación de N'-(5-Fluoro-2-hidroxi-pirimidin-4-il)-N,N-dimetil-formamidina (25):

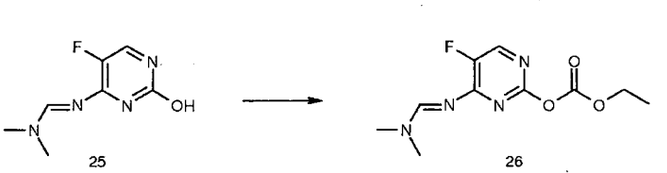


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A una solución agitada en forma magnética de 4-amino-5-fluoro-pirimidin-2-ol* (4.00 g, 31.0 mmol) en DMF (100 mL) se le agregó acetal de dimetil *N,N*-dimetilformamida (4.00 g, 34.0 mmol). La mezcla se agitó a temperatura ambiente durante 72 horas, se diluyó con éter dietílico (200 mL), y se filtró. El producto sólido se lavó con heptano para producir el compuesto del título (5.23 g, 92% rendimiento) en la forma de un sólido color blanco: pf 240-243°C; ^{1}H RMN (300MHz, DMSO-d_6) δ 10.7 (bs, 1H), 8.59 (s, 1H), 7.7 (d, J= 5.6 Hz, 1H), 3.18 (s, 3H), 3.06 (s, 3H); HPLC-MS (ESI) m/z 185 $(M+H)^+$, 183 $(M-H)^-$.

*4-amino-5-fluoro-pirimidin-2-ol se puede comprar comercialmente.

Preparación de Ester etílico de éster 4-(dimetilamino-metilenoamino)-5-fluoropirimidin-2-ílico de ácido carbónico (26):

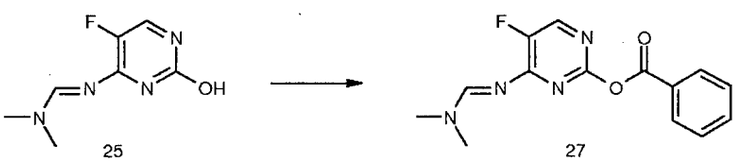


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A una solución de N'-(5-fluoro-2-hidroxi-pirimidin-4-il)-N,N-dimetilformamidina (0.10, 0.54 mmol) en CH_2Cl_2 (2 mL) se

le agregó trietilamina (0.20 g, 2.0 mmol) y cloroformato de etilo (0.065 g, 0.60 mmol), y la mezcla se agitó en un agitador orbital a temperatura ambiente durante la noche. La reacción se diluyó con CH_2Cl_2 y la solución se lavó con agua, se secó sobre $MgSO_4$, se filtró y evaporó. El producto crudo se purificó mediante cromatografía de columna de gel de sílice (gradiente de EtOAc/éter de petróleo) para producir 0.031 g (22%) del compuesto del título en la forma de un sólido color blanco: pf 124-126°C; ^1H RMN (300MHz, $CDCl_3$) δ 8.67 (s, 1H), 8.19 (d, J = 2.2 Hz, 1H), 4.35 (q, J = 7.14 Hz, 2H), 3.21 (s, 6H), 1.40 (t, J= 7.14 Hz, 3H); HPLC-MS (ESI) m/z 258 $(M+H)^+$.

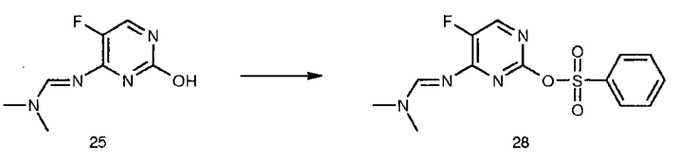
Preparación de Ester 4-(dimetilamino-metilenoamino)-5-fluoropirimidin-2-ílico de ácido benzoico (27):



A una suspensión de N'-(5-fluoro-2-hidroxipirimidin-4-il)-N,N-dimetilformamidina (0.10 g, 0.54 mmol) en piridina (2 mL) se le agregó cloruro de benzoilo (0.084 g, 0.60 mmol), y la mezcla se agitó en un agitador orbital durante 16 horas a temperatura ambiente. La mezcla de reacción se dividió entre EtOAc y $NaHCO_3$ acuoso saturado, y la fase orgánica se secó sobre $MgSO_4$ sólido, se filtró y evaporó para proporcionar el compuesto del título (0.147 g 94%) en la forma de un sólido

color blanco: pf 136-138°C; ^{1}H RMN (300MHz, $CDCl_3$) δ 8.69 (s, 1H), 8.27 (d, J = 2.4Hz, 2H), 8.25-8.20 (m, 2H), 7.69-7.63 (m, 1H), 7.56-7.49 (m, 2H), 3.23 (s, 3H), 3.20 (s, 3H); HPLC-MS(ESI) m/z 289 $(M+H)^+$.

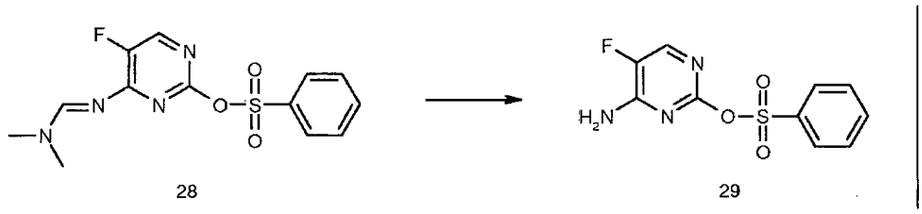
Preparación de Ester 4-(dimetilamino-metilenoamino)-5-fluoro-pirimidin-2-ílico de ácido bencenosulfónico (28):



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A una suspensión de N'-(5-fluoro-2-hidroxipirimidin-4-il)-N,N-dimetilformamidina (0.10 g, 0.54 mmol) en piridina (2 mL) se le agregó cloruro de sulfonil benceno (0.106 g, 0.60 mmol) y la mezcla se agitó en un agitador orbital durante 16 horas a temperatura ambiente. La mezcla de reacción se dividió entre EtOAc y $NaHCO_3$ acuoso saturado, y la fase orgánica se secó sobre $MgSO_4$ sólido, se filtró y concentró bajo presión reducida. La purificación mediante cromatografía de fase inversa (gradiente H_2O/MeCN) produjo el compuesto del título (0.089 g, 46% rendimiento) en la forma de un sólido color blanco: pf 124-125°C; ^{1}H RMN (300MHz, $CDCl_3$) δ 8.54 (s, 1H), 8.12-8.07 (m, 3H), 7.73-7.66 (m, 1H), 7.62-7.56 (m, 2H), 3.21 (s, 6H); HPLC-MS (ESI) m/z 325 $(M+H)^+$.

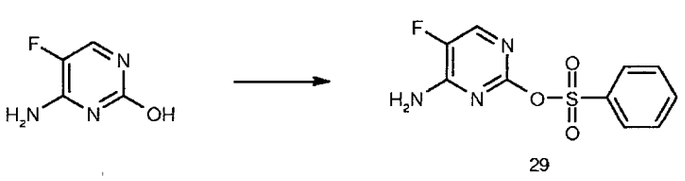
Preparación de Ester 4-amino-5-fluoropirimidin-2-ílico de ácido bencenosulfónico (29):



28 29

A una solución de HCl en dioxano (3 mL de 10%) se le agregó éster 4-(dimetilamino-metilenoamino)-5-fluoropirimidin-2-ílico de ácido bencenosulfónico (0.090, 0.3 mmol) y la mezcla se agitó en un agitador orbital a temperatura ambiente durante 1.5 horas. El solvente se eliminó mediante evaporación y el residuo se disolvió en una solución 1:1 de dioxano y agua (2.5 mL) y se trató con $NaHCO_3$ acuoso saturado (0.5 mL). Después de 16 horas, la mezcla de reacción se dividió entre EtOAc y agua y la fase orgánica se secó sobre Na_2SO_4, se filtró y el solvente se evaporó para producir el compuesto del título (0.059 g, 79% rendimiento) en la forma de un sólido color blanco: pf 139-141°C; ^1H RMN (300MHz, DMSO-d_6) δ 8.05-8.00 (m, 3H), 7.90-7.75 (m, 3H), 7.70-7.63 (m, 2H); HPLC-MS (ESI) m/z 268 (M-H)-, 270 $(M+H)^+$.

Preparación de Ester 4-amino-5-fluoropirimidin-2-ílico de ácido bencenosulfónico (29):



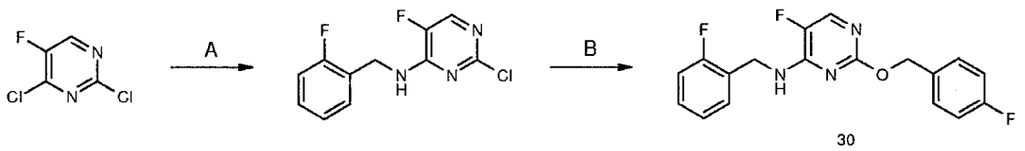
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A una suspensión de 5-fluorocitosina (0.177 g, 1.4 mmol) en piridina (5 mL) se le agregó y cloruro de sulfonil benceno

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(0.284 g, 1.6 mmol) y la mezcla se agitó a temperatura ambiente durante 2 horas. La mezcla de reacción se evaporó hasta secarse y el material crudo se purificó mediante cromatografía de fase inversa para producir el compuesto del título (0.106 g, 29% rendimiento) en la forma de un sólido color blanco: pf 145-146°C; ^{1}H RMN (300MHz, DMSO-d_6) δ 8.05-8.00 (m, 3H), 7.9-7.75 (m, 3H), 7.70-7.63 (m, 2H); HPLC-MS (ESI) m/z 270 $(M+H)^+$, 268 $(M-H)^-$.

Preparación de (2-Fluorobenzil)-[5-fluoro-2-(4-fluorobenciloxi)pirimidin-4-illamina (30):



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A) Una solución agitada en forma magnética de 2,4-dicloro-5-fluoropirimidina* (0.105 g, 0.63 mmol) en 5 mL de THF seco se trató con 2-fluorobencilamina (0.085 g, 0.68 mmol) y trietilamina en exceso, y la mezcla resultante se calentó a una temperatura de 80°C durante 5 horas. La mezcla de reacción se dividió entre CH_2Cl_2 y HCl diluido, y la fase orgánica se lavó con salmuera, se secó sobre Na_2SO_4, y se filtró. El solvente se eliminó bajo presión reducida para producir 0.157 g (97%) del compuesto del título en la forma de un sólido color amarillo: pf 117-118°C; ^{1}H RMN (300MHz, $CDCl_3$) δ 7.90 (d, J= 2.6, 1H), 7.47-7.27 (m, 2H), 7.21-7.01 (m, 2H), 5.54 (s, 1H), 4.76 (d, J = 5.9, 2H); MS (ESI) m/z 256 $(M+H)^+$

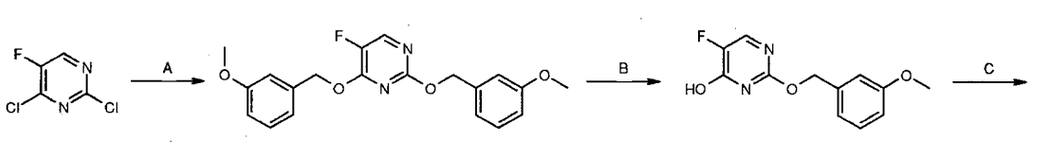
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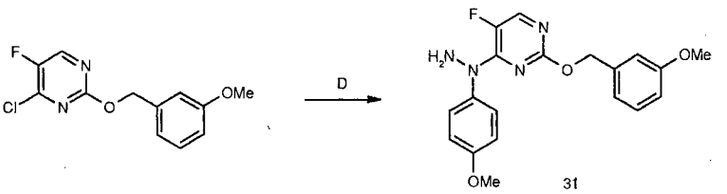
*2,4-Dicloro-5-fluoropirimidina se puede comprar comercialmente.

B) Una solución de (2-cloro-5-fluoropirimidin-4-il)-(2-fluorobencil)amina* (0.103 g, 0.40 mmol) en 5 mL de THF seco se trató con alcohol 4-fluorobencílico (0.062 g, 0.49 mmol) y una solución 1.0 M de KO^{t}Bu en ^{t}BuOH (0.4 mL, 0.4 mmol). La mezcla se calentó a una temperatura de 80°C en un frasco sellado durante 18 horas, se dividió entre CH_2Cl_2 y agua, y la fase orgánica se lavó con salmuera, se secó sobre Na_2SO_4, y se filtró. El solvente se eliminó bajo presión reducida y el residuo se purificó mediante cromatografía de columna instantánea (SiO_2, 10→20% EtOAc/éter de petróleo) para producir el compuesto del título (0.157 g, 42%) en la forma de un sólido color blanco: pf 83-84°C; ^{1}H RMN (300MHz, $CDCl_3$) δ 7.83 (d, J = 2.8, 1H), 7.45-7.27 (m, 5H), 7.15-6.96 (m, 5H), 5.37 (br s, 1H), 5.29 (s, 3H), 4.74 (d, J = 5.9, 3H); MS (ESI) m/z 346 $(M+H)^+$

*Singh, R.; Argade, A.; Payan, D.G.; Clough, J.; Keim, H.; Silvain, C; Li, H.; Bhamidipati, S., WO 2004014382 A1 20040219

Preparación de 5-fluoro-2-(3-metoxibenciloxi)-4-(1-(4-metoxifenil)-hidrazinil)pirimidina (31):





31

A) Una solución 1.0 M de KO^{t}Bu en KO^{t}Bu (66 mL, 66 mmol) se agregó a una mezcla de 2,4-dicloro-5-fluoropirimidina (5.04 g, 30.1 mmol) y alcohol 3-metoxibencílico (7.8 mL, 62.8 mmol) en un frasco de fondo redondo de 250 mL. Se observó un exotermo significativo y la mezcla resultante se agitó a temperatura ambiente durante 2 horas. La reacción se diluyó con EtOAc (100 mL) y se lavó con salmuera (50 mL x 2). La capa orgánica se secó sobre $MgSO_4$, se filtró, y concentró bajo presión reducida. La cristalización a partir de EtOH caliente proporcionó un material el cual se recolectó en un embudo de desecho y se enjuagó con EtOH enfriado con hielo para proporcionar al compuesto el titulo (7.94 g, 71%) en la forma de un sólido color blanco: pf 81-83°C; ^{1}H RMN (300 MHz, $CDCl_3$) δ 8.10 (d, J = 2.3 Hz, 1H), 7.29 (m, 2H), 7.02 (m, 4H), 6.87 (dt, J = 2.2, 7.8 Hz, 2H), 5.44 (s, 2H), 5.35 (s, 2H), 3.82 (s, 3H), 3.82 (s, 3H); MS (ESI) *m/z* 371 $(M+H)^+$.

B) Se agregó una solución de 2.0 N KOH en agua (85 mL, 170 mmol) a una mezcla de 5-fluoro-2,4-bis(3-metoxibenciloxi)pirimidina (7.9 g, 21.3 mmol) y EtOH (21 mL) en un frasco de fondo redondo de 500 mL. Se adhirió un condensador de reflujo, y la reacción se calentó a una

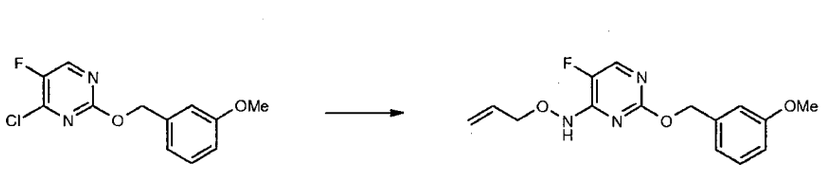
temperatura de 95°C durante 16 horas. Después de enfriarse a temperatura ambiente, la mezcla de reacción se lavó con Et_2O (2 x 50 mL), y posteriormente se acidificó con 1 N HCl a pH 3. El material sólido resultante se recolectó en un embudo de desecho. La extracción subsecuente con EtOAC en exceso, y la concentración bajo presión reducida proporcionó el compuesto el titulo (3.63 g, 68%) en la forma de un sólido color blanco: pf 136-139; ^{1}H RMN (300 MHz, DMSO-d_6) δ 12.97 (br s, 1H), 7.87 (d, J = 3.7 Hz, 1H), 7.30 (t, J = 7.9 Hz, 1H), 7.00 (m, 2H), 6.91 (dd, J = 1.8, 8.0 Hz, 1H), 5.29 (s, 2H), 3.74 (s, 3H); MS (ESI) *m/z* 251 $(M+H)^+$.

C) Se cargó un frasco Schlenk de 100 mL secado en horno con 5-fluoro-2-(3-metoxibenciloxi)pirimidin-4-ol (3.63 g, 14.5 mmol) y N,N-dimetilanilina (3.7 mL, 29.2 mL). Se agregó oxicloruro fosforoso ($POCl_3$, 40 mL, 429 mmol), y la solución resultante se calentó a una temperatura de 95°C bajo nitrógeno. Después de 2 horas, la reacción se enfrió a temperatura ambiente y se concentró a un volumen constante bajo presión reducida a una temperatura de 50°C. El residuo restante se diluyó con Et_2O (50 mL) y se lavó con 1 N HCl (2 x 50 mL). La concentración a presión reducida proporcionó un sólido el cual se lavó con agua y se recolectó mediante filtración al vacío. El compuesto del título (4.09 g, 105%) se aisló como un sólido color blanco: pf 96-100°C; ^{1}H RMN (300 MHz, DMSO-d_6) δ 8.81 (d, J = 0.8 Hz, 1H), 7.30 (t, J = 8.1 Hz, 1H), 7.02 (m, 2H), 6.91

(dd, J = 2.3, 8.3 Hz, 1H), 5.34 (s, 2H), 3.75 (s, 3H); MS (ESI) *m/z* 269 $(M+H)^+$.

D) A una mezcla de 4-cloro-2-(3-metoxibencil)-5-fluoropirimidina (0.153 g, 0.568 mmol) y clorhidrato de 4-metoxifenil-hidrazina (0.324 g, 1.85 mmol) en etanol (5 mL) se le agregó trietilamina (0.272, 2.69 mmol) y la mezcla se calentó a una temperatura de 50°C durante 16 horas. La reacción se enfrió a temperatura ambiente y diluyó con Et_2O (50 mL). La solución Et_2O se lavó con agua (2 x 50 mL), se secó sobre $MgSO_4$, se filtró, y concentró. El residuo se trituró con Et_2O para obtener 5-fluoro-2-(3-metoxi-benciloxi)-4-(1-(4-metoxifenil)hidrazinilo)pirimidina (0.113 g, 54% rendimiento) en la forma de un sólido color blanco: pf 121-123.5°C; ^1H RMN (300 MHz, DMSO-d_6) δ 8.02 (d, J = 5.4 Hz, 1H), 7.28 (t, J =8.1 Hz, 1H), 7.22 (d, J = 8.5 Hz, 2H), 6.99-6.93 (m, 2H), 6.93-6.85 (m, 3H), 5.25 (s, 2H), 3.75 (s, 3H), 3.74 (s, 3H); MS (ESI) *m/z* 371 $(M+H)^+$, 354 $(M-NH_2)^-$.

<u>Preparación de O-alil-N-(5-fluoro-2-(3-metoxibenciloxi)pirimidin-4-il)hidroxil-amina (32):</u>



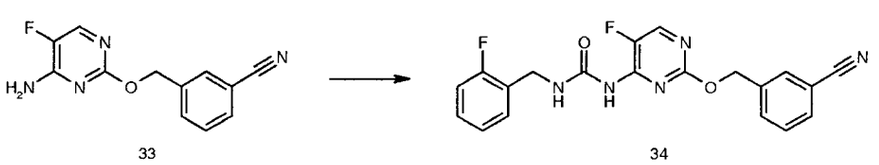
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A una mezcla de 4-cloro-2-(3-metoxibencil)-5-fluoropirimidina (0.151 g, 0.558 mmol) y clorhidrato de

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hidroxilamina de *O*-alilo (0.201 g, 1.83 mmol) en 5:1 MeOH:CH_3CN (5 mL), se le agregó trietilamina (0.273 g, 2.70 mmol) y la mezcla se calentó a una temperatura de 50°C durante 18 horas. La reacción se enfrió a temperatura ambiente y se diluyó con Et_2O (50 mL). La solución orgánica se lavó con agua (2 x 50 mL), se secó sobre $MgSO_4$, se filtró, y concentró. La purificación mediante cromatografía instantánea (SiO_2, 17% --->50% EtOAc/hexano) produjo O-alil-N-(5-fluoro-2-(3-metoxibenciloxi)-pirimidin-4-il)hidroxilamina (0.113 g, 66% rendimiento) en la forma de un aceite incoloro: ^1H RMN (300 MHz, DMSO-d_6) δ 10.94 (singleto ancho, 1H), 7.94 (singleto ancho, 1H), 7.28 (t, J = 8.0 Hz, 1H), 6.95-7.03 (m, 2H), 6.88 (dd, J = 2.5, 7.9 Hz, 1H), 5.97 (tdd, J = 5.8, 10.6, 17.0 Hz, 1H), 5.32 (dd, J = 1.5, 17.4 Hz, 1H), 5.24 (s, 2H), 5.22 (dd, J = 1.2, 10.6 Hz, 1H), 4.39 (d, J = 6.0 Hz, 2H), 3.74 (s, 3H); MS (ESI) *m/z* 306 $(M+H)^+$, 304 $(M-H)^-$.

Preparación de 1-[2-(3-Cianobenciloxi)-5-fluoropirimidin-4-il]-3-(2-fluorobencil)urea (34):



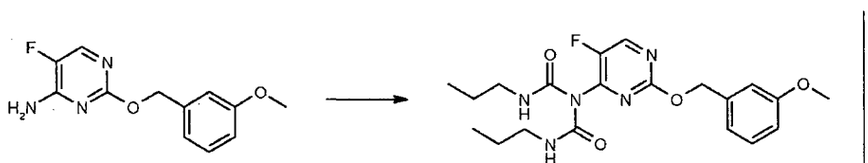
33 34

A una mezcla agitada en forma magnética de 3-(4-amino-5-fluoropirimidin-2-iloximetil)-benzonitrilo (0.075 g, 0.31 mmol) y 2-fluorobencilisocianato (0.59 mL, 0.46 mmol) en DMF seco (1.5 mL) se le agregó LiHMDS (1.0 M en THF, 0.31 ml, 0.30

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mmol). El frasco se tapó y la mezcla se agitó a una temperatura ambiente durante 8 horas. Se agregó NH_4Cl acuoso saturado (3 ml) y la mezcla se agitó durante 4 horas. La mezcla heterogénea se filtró, y el sólido se lavó con agua caliente, se lavó con E_2O, y posteriormente se secó bajo vacío para producir el compuesto el titulo (0.075 g, 62%) en la forma de un sólido color blanco: pf 177-178°C; ^1H RMN (400MHz, DMSO-d_6) δ 10.04 (s, 1H), 8.90 (t, J = 5.7 Hz, 1H), 8.37 (d, J = 2.8 Hz, 1H), 7.85 (br s, 1H), 7.80 (d, J = 7.5 Hz, 1H), 7.74 (d, J = 8.0 Hz, 1H), 7.60 (t, J = 7.8 Hz, 1H), 7.42-7.38 (m, 1H), 7.35-7.29 (m, 1H), 7.20-7.14 (m, 2H), 5.33 (s, 2H), 4.49 (d, J = 5.8 Hz, 2H); HPLC-MS (ESI) *m/z* 396.3 $(M+H)^+$, 394.3 $(M-H)^-$.

Preparación de 1-[5-Fluoro-2-(3-metoxibenciloxi)pirimidin-4-il](3'-propilcarbamoil)-3-propil-urea (36)



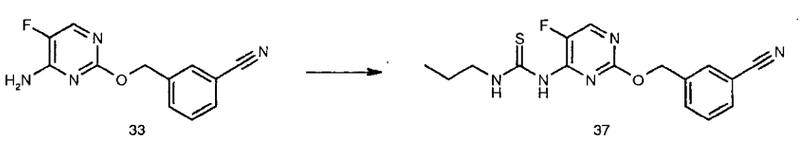
35 36

A una mezcla agitada en forma magnética de 5-fluoro-2-(3-metoxibenciloxi)pirimidin-4-ilamina (0.075 g, 0.30 mmol) y propilisocianato (0.057 mL, 0.60 mmol) en DMF seco (1.5 mL) se le agregó LiHMDS (1.0 M en THF, 0.60 ml, 0.60 mmol). El frasco se tapó y la reacción se agitó a una temperatura ambiente durante 8 horas. El solvente se evaporó bajo presión reducida y el material crudo se purificó mediante cromatografía

140

de fase inversa para proporcionar el compuesto el titulo (0.043 g, 10%) en la forma de un sólido color marrón: pf 75-78°C; ^{1}H RMN (400MHz, DMSO-d_6) δ 12.34 (s, 1H), 8.49 (s, 1H), 7.89 (s, 1H), 7.29 (t, J = 7.8 Hz, 1H), 7.05-7.01 (m, 2H), 6.90 (dd, J = 6.9Hz, J = 2.5 Hz, 1H), 5.30 (s, 2H), 3.75 (s, 3H), 3.74-3.68 (m, 2H), 3.15-3.10 (m, 2H), 1.58-1.44 (m, 4H), 0.89-0.85 (m, 6H); HPLC-MS (ESI) *m/z* 420.4 $(M+H)^+$, 418.4 $(M-H)^-$.

Preparación de 1-[2-(3-Cianobenciloxi)-5-fluoropirimidin-4-il]-3-propiltiourea (37)



33 37

A una mezcla agitada en forma magnética de 3-(4-amino-5-fluoropirimidin-2-iloximetil)-benzonitrilo (0.075 g, 0.31 mmol) y propilisotiocianato (0.047 mL, 0.46 mmol) en DMF seco (1.5 mL) se le agregó LiHMDS (1.0 M en THF, 0.31 ml, 0.31 mmol). El frasco se tapó y la reacción se agitó durante 8 horas. Se agregó NH_4Cl acuoso saturado (3 ml) al frasco y la mezcla se agitó durante 4 horas. La mezcla heterogénea se filtró y el sólido se lavó con agua caliente, se lavó con hexanos, y se secó bajo vacío para producir el compuesto del título (0.055 g, 52%) en la forma de un sólido color amarillo pálido: pf 163-165°C; ^{1}H RMN (400MHz, DMSO-d_6) δ 10.77 (s, 1H), 10.38 (s, 1H), 8.47 (d, J = 2.7 Hz, 1H), 7.90 (s, 1H), 7.82 (d, J = 7.5 Hz, 1H), 7.78 (d, J = 8.1 Hz, 1H), 7.62 (t, J = 1.1 Hz, 1 H), 5.42 (s,

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2H), 3.55 (dd, J = 12.4, 6.8 Hz, 2H), 1.65-1.59 (m, 2H), 0.95 (t, J = 7.5 Hz, 3H): HPLC-MS (ESI) *m/z* 346.3 $(M+H)^+$, 344.2 $(M-H)^-$

Preparación de N-[5-Fluoro-2-(4-metilbenciloxi)pirimidin-4-il]metanosulfonamida (38)



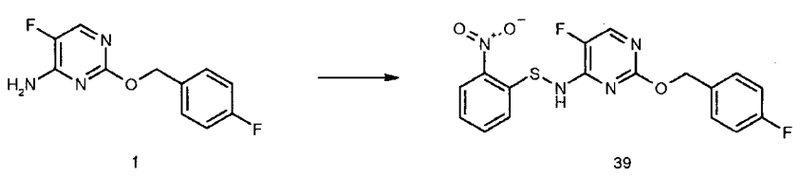
15 38

A una solución de 5-fluoro-2-(4-metilbenciloxi)pirimidin-4-ilamina (0.100 g, 0.43 mmol) en THF anhidro (4 mL) se le agregó LiHMDS (1.07 mL de 1.0M, 1.07 mmol) en forma de gotas a temperatura ambiente, y la solución color naranja resultante se agitó durante 20 minutos. Se agregó cloruro de metanosulfonilo (0.108 g, 0.94 en una porción y la solución color naranja claro, turbia se agitó durante 60 minutos. La reacción se extinguió con salmuera (5 mL) y se separó la fase THF. La fase acuosa se extractó con w/EtOAc (5 mL), y los orgánicos se combinaron, se secaron sobre Na_2SO_4, se filtraron, y concentraron hasta obtener un residuo gomoso color naranja. El residuo se purificó mediante cromatografía instantánea (SiO_2, 0→100% EtOac/hexanos) para proporcionar 0.034 g (26%) del compuesto el título en la forma de un sólido color blanco: pf 145-148°C; ^1H RMN (400MHz, $CDCl_3$) δ 8.19 (s, 1H), 7.33 (d, J = 7.9 Hz, 2H), 7.17 (d, J = 7.9 Hz, 2H), 5.35 (s, 2H), 3.37 (s, 3H), 2.35 (s, 3H); HPLC-MS (ESI) *m/z* 312 $(M+H)^+$,

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310 $(M-H)^-$.

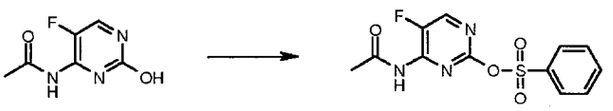
Preparación de N-[5-Fluoro-2-(4-fluorobenciloxi)-pirimidin-4-il]-S-(2-nitrofenil)-tiohidroxilamina (39):



1 39

A una solución de 5-fluoro-2-(4-fluorobenciloxi)pirimidin-4-ilamina (0.05 g, 0.2 mmol) y una solución 1.0 M de KO^tBu en ^tBuOH (1.0 mL, 1.0 mmol) se le agregó cloruro de nitrobenceno-sulfenilo (0.044 g, 0.23 mmol) en una porción, y la solución color café resultante se agitó durante 60 minutos. La reacción se diluyó con agua (2 mL) y se neutralizó a un pH 7 con 1N HCl. La fase acuosa se extractó con EtOAc (5 mL), y los orgánicos se combinaron, se secaron sobre Na_2SO_4, se filtraron y concentraron. El material crudo se purificó mediante cromatografía de fase inversa para producir el compuesto del título (0.020 g, 26%) en la forma de un sólido color amarillo: pf 184°C; ^1H RMN (300MHz, $CDCl_3$) δ 8.36 (d, J = 7.25 Hz, 1H), 8.09 (d, J = 2.3 Hz, 1H), 7.59 (m, 1H), 7.36 (m 2H), 7.29 (m, 2H), 6.88 (m, 2H), 6.23 (bs, 1H), 5.19 (s, 2H); HPLC-MS (ESI) *m/z* 391$(M+H)^+$, 389 $(M-H)^-$.

Preparación de éster de 4-acetilamino-5-fruoro-pirimidin-2-ílico de ácido bencenosulfónico (40):



40

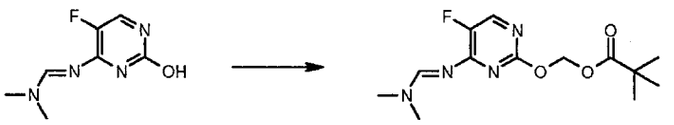
Se suspendió N-(5-fluoro-2-hidroxipirimidin-4-il)-acetamida (200 mg, 1.17 mmol) en piridina (5 mL) y se agitó a temperatura ambiente. A la suspensión agitada se le agregó cloruro de bencenosulfonilo (226 mg, 1.29 mmol) y la agitación continuo durante 16 horas. El solvente se evaporó bajo una corriente de nitrógeno y el residuo se suspendió en diclorometano (2 a 3 mL), se colocó directamente en una columna de gel de sílice y eluyó con acetato de etilo en éter de petróleo (0-50% gradiente) para aislar 180 mg, 0.58 mmol (49%) del compuesto del título en la forma de un sólido color blanco: pf 142-143°C; ^{1}H RMN (DMSO-d_6) δ 10.96 (s, 1H), 8.67 (d, J = 2.6 Hz, 1H), 8.12-8.06 (m, 2H), 7.86-7.79 (m, 1H), 7.73-7.65 (m, 2H), 2.98 (s, 3H); HPLC-MS (ESI) *m/z* 312 $(M+H)^+$, 310 $(M-H)^-$.

* La N-(5-fluoro-2-hidroxipirimidin-4-il)-acetamida se puede preparar a través de métodos conocidos en la literatura.

1. Duschinsky, R., Fells, E., Hoffer, M. Patente Norteamericana Número 3,309,359

Preparación de éster de 4-(dimetilamino-metilenoamino)-5-fluoro-pirimidin-2-iloximetílico de ácido 2,2-dimetilpropiónico (41):

244



25 41

Se agitaron N'-(5-fluoro-2-hidroxipirimidin-4-il)-N,N-dimetilformamidina (100 mg, 0.54 mmol), carbonato de cesio (196 mg, 0.60 mmol), y pivalato de clorometilo (90 mg, 0.6 mmol) juntos en DMF (3 mL) a temperatura ambiente durante 16 horas. La mezcla de reacción se dividió entre acetato de etilo y agua, se secó sobre sulfato de magnesio, se filtró y evaporó para producir un aceite incoloro el cual se trató con éter dietílico (3 a 4 mL) para producir un sólido. El sólido se eliminó y la solución de éter se colocó en una columna de gel de sílice y se eluyó con acetato de etilo en éter de petróleo (gradiente 0-50%) para aislar 14 mg, 0.05 mmol (9%) del compuesto del título en la forma de un sólido color blanco: pf 86-88°C; ^{1}H RMN ($CDCl_3$) δ 8.73 (s, 1H), 8.06 (d, J = 2.6 Hz, 1H), 6.04 (s, 2H), 3.20 (s, 3H), 3.18 (s, 3H), 1.16 (s, 9H); HPLC-MS (ESI) *m/z* 299 $(M+H)^+$.

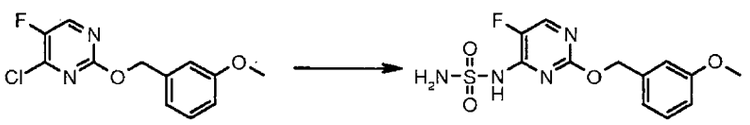
<u>Preparación de N'-(5-fluoro-2-metoximetoxipirimidin-4-il)-N,N-dimetil-formamidina (42):</u>



25 42

Se agitaron N'-(5-fluoro-2-hidroxipirimidin-4-il)-N,N-dimetilformamidina (100 mg, 0.54 mmol), carbonato de cesio (196 mg, 0.60 mmol), y éter bromometil metílico (75 mg, 0.6 mmol) en DMF (3 mL) a temperatura ambiente durante 4 horas. La mezcla de reacción se dividió entre acetato de etilo y agua, se secó sobre sulfato de magnesio, se filtró y evaporó para proporcionar un aceite incoloro el cual se colocó directamente en una columna de gel de sílice y se eluyó con acetato de etilo en éter de petróleo (gradiente 0-80%) para aislar 23 mg, 0.1 mmol (19%) del compuesto del título en la forma de un aceite incoloro: ^{1}H RMN ($CDCl_3$) δ 8.66 (s, 1H), 8.05 (d, J = 2.6Hz, 1H), 5.46 (s, 2H), 3.53 (s, 3H), 3.17 (s, 3H), 3.16 (s, 3H); HPLC-MS (ESI) *m/z* 229 $(M+H)^+$.

Preparación de [5-Fluoro-2-(3-metoxibenciloxi)pirimidin-4-il]sulfamida (43):



43

A una solución agitada en forma magnética de 4-cloro-5-fluoro-2-(3-metoxibenciloxi)pirimidina* (1.3 g, 4.84 mmol) en DMF seco (5 mL) se le agregó una suspensión mezclada previamente de 60% NaH (0.45 g, 10.65 mmol) y sulfamida (0.93 g, 9.68 mmol) en DMF seco (5 mL). La suspensión color crema resultante se agitó a temperatura ambiente durante 72

146.

horas. La suspensión color naranja se calentó posteriormente a una temperatura de 50°C durante 48 horas y se enfrió a temperatura ambiente. La mezcla de reacción se dividió entre acetato de etilo y una solución de salmuera. El extracto orgánico se secó sobre Na_2SO_4, se filtró, y evaporó. El material crudo se purificó mediante cromatografía de columna sobre sílice de fase normal utilizando un gradiente de EtOAc/Hex y fase inversa utilizando un gradiente de H_2O/ACN para producir [5-Fluoro-2-(3-metoxibenciloxi)pirimidin-4-il]sulfamida (115 mg, 7.2% rendimiento) en la forma de un sólido color blanco: pf 126-130°C; ^{1}H RMN (300MHz, CD_3OD) δ 8.01 (d, J = 3.63 Hz, 1H), 7.25 (m, 1H), 7.01 (m, 2H), 6.88 (m, 1H), 5.37 (s, 2H), 3.78 (s, 3H); MS (ESI) *m/z* 326.9 $(M-H)^-$.

* El intermediario de 4-cloro-5-fluoro-2-(3-metoxibenciloxi) se preparó tal como se describe en la síntesis de 31.

Preparación de 5-fluoro-4-hidrazinil-2-(3-metoxibenciloxi)pirimidina (44):



44

Se cargó un frasco Erlenmeyer de 125 mL con 4-cloro-5-fluoro-2-(3-metoxibencil-oxi)pirimidina (1.50 g, 5.58 mmol) y EtOH (50 mL). Se agregó monohidrato hidrazina (900 µL, 18.5 mmol), y la mezcla resultante se dejó agitar a temperatura

147

ambiente. Después de 22 horas, la reacción se transfirió a un frasco Erlenmeyer 500 mL y se diluyó con agua (200 mL), mediante lo cual el sólido color blanco comenzó a precipitarse de la solución. Después de agitar durante 7 horas, se recolectó el producto sólido en un embudo de desecho y se enjuagó con agua en exceso. Después de secarse en el frit, se obtuvo el compuesto del título (1.23 g, 83%) en la forma de un sólido color blanco: pf 103-106°C; ^{1}H RMN (300 MHz, DMSO-d_6) δ 8.92 (bs, 1H), 7.86 (d, J = 3.6 Hz, 1H), 7.27 (t, J = 8.1 Hz, 1H), 6.94-7.01 (m, 2H), 6.87 (dd, J = 2.4, 7.9 Hz, 1H), 5.24 (s, 2H), 4.46 (bs, 2H), 3.74 (s, 3H); MS (ESI) *m/z* 265.2 $(M+H)^+$, 263.2 $(M-H)^-$.

Preparación de (E)-5-Fluoro-2-(3-metoxibenciloxi)-4-(2-(tiofen-2-ilmetileno)-hidrazini)pirimidina (45):



44 45

Se cargó un frasco de 20 mL con 5-fluoro-4-hidrazinil-2-(3-metoxibenciloxi)-pirimidina (74.7 mg, 0.283 mmol), EtOH (2 mL), tiofeno-2-carbaldehído (26 µL, 0.284 mmol) y 1 M HCl en Et_2O (14 µL, 0.014 mmol) y se calentó a una temperatura de 50°C en agitador. Después 90 minutos, la reacción se enfrió a temperatura ambiente se concentró en alto vacío para proporcionar el compuesto el titulo (77.8 mg, 77%) en la forma de un sólido color amarillo: pf 136-139°C; ^{1}H RMN (300 MHz,

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DMSO-d_6) δ 11.46 (bs, 1H), 8.50 (bs, 1H), 8.16 (d, J = 3.6 Hz, 1H), 7.64 (d, J = 5.0 Hz, 1H), 7.41 (d, J = 3.4 Hz, 1H), 7.28 (t, J = 8.0 Hz, 1H), 7.12 (dd, J = 3.8, 4.8 Hz, 1H), 7.04 (m, 2H), 6.88 (dd, J = 2.4, 8.3 Hz, 1H), 5.27 (s, 2H), 3.73 (s, 3H); MS (ESI) *m/z* 359.2 $(M+H)^+$, 357.2 $(M-H)^-$.

Preparación de 2-(benciloxi)-4-[(dimetil-λ^4-sulfanilideno)aminol-5-fluoropirimidina (47):



46 47

Se cargó un frasco Schlenk de secado con horno de 10 mL con 2-(benciloxi)-5-fluoropirimidin-4-amina (101 mg, 0.462 mmol), CH_2Cl_2 (2 mL), y dimetilsulfuro (75.0 µL, 1.02 mmol) y se enfrió a una temperatura de 0°C en un baño de hielo. Se agregó N-clorosuccinimida (122 mg, 0.914 mmol) y la mezcla resultante se dejó agitar a una temperatura de 0°C durante 45 minutos, y posteriormente a temperatura ambiente durante 30 minutos. Se agregó una solución de NaOMe en MeOH (25%, 360 µL, 1.35 mmol). Después de 20 minutos, la reacción se extinguió con agua (3 mL) y se dejó agitar durante 1 hora. La mezcla de reacción cruda se diluyó posteriormente con CH_2Cl_2 y se lavó con agua (50 mL x2), se secó sobre Na_2SO_4 anhidro, se filtró, y concentró mediante evaporación giratoria y posteriormente en alto vacío para proporcionar el compuesto el

149

titulo (120 mg, 93%) en la forma de un sólido color crema: pf 125-129°C; ^{1}H RMN (300 MHz, DMSO-d_6): δ 7.70 (d, J = 3.9 Hz, 1H), 7.25-7.44 (m, 5H), 5.21 (s, 2H), 2.75 (s, 6H); MS (ESI) *m/z* 281.1 $(M+H)^+$.

*Yamamoto, Y.; Yamamoto, H. J. *Am. Chem. Soc.* 2004, 126, 4128-4129.

Preparación de 1-[5-fluoro-2-(4-metilbenciloxi)-pirimidin-4-il]-2,3-dipropil-isotiourea (49)

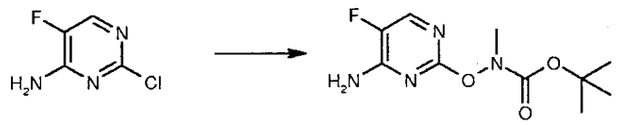


48 49

A una solución agitada en forma magnética de 1-[5-Fluoro-2-(4-metil-benciloxi)-pirimidin-4-il]-3-propil-tiourea (0.50 g, 1.40 mmol) en CH_3CN (4 mL), se le agregó carbonato de potasio (0.20 g, 1.40 mmol) a temperatura ambiente y la mezcla se agitó durante 20 minutos. Se agregó N-Propilbromuro (0.19 g, 1.40 mmol) a temperatura ambiente y la mezcla resultante se agitó durante 15 horas. La mezcla de reacción se diluyó con H_2O y se extractó con CH_2Cl_2 (3 x 20 mL). Las capas orgánicas combinadas se secaron sobre Na_2SO_4, se filtraron, y el solvente se evaporó. La mezcla cruda se purificó sobre sílice (EtOAc/hexanos gradiente) y la evaporación de las fracciones del producto proporcionó 0.335 g (63 %) de 1-[5-Fluoro-2-(4-metil-benciloxi)-pirimidin-4-il]-2,3-dipropil-isotiourea en la forma

de un líquido viscoso color amarillo pálido: ^{1}H RMN (300MHz, $CDCl_3$) δ 10.56 (bs, 1H), 8.09 (d, J = 2.6 Hz, 1H), 7.31 (m, 2H), 7.24 (m, 2H), 5.28 (s, 2H), 3.28 (dd, J = 13.6, 6.5 Hz, 4H), 2.36 (s, 3H), 1.62 (m, 4H), 1.0 (t, J = 7.4 Hz, 4H); HPLC-MS (ESI) *m/z* 377(M+H)$^+$.

Preparación de *N*-metil-*N*-hidroxicarbamato *de O*-(4-amino-5-fluoropirimidin-2-il)-*t*-butilo (50)



50

En un frasco de tapa de tornillo de 2 medidas, se trató una solución de 4-amino-2-cloro-5-fluoropirimidina (0.1 g, 0.68 mmol) y N-metil-N-hidroxicarbamato de *t*-butilo * (0.11 g, 0.75 mmol) con una solución 1.0 M de KO^{t}Bu en ^{t}BuOH (1.0 mL, 1.0 mmol) en una porción y la solución color amarillo resultante se calentó a una temperatura de 100°C y se agitó durante 24 horas. La mezcla de reacción se enfrió, se extractó con EtOAC (3 x 5 mL), y el solvente se evaporó. La mezcla cruda se purificó mediante cromatografía de fase inversa para producir 0.10 g (56.9%) del compuesto del título en la forma de un sólido color amarillo: pf 123-125°C; ^{1}H RMN (300MHz, $CDCl_3$) δ 7.96 (d, J = 2.3 Hz, 1H), 5.26 (bs, 2H), 3.32 (s, 3H), 1.43 (s, 9H); MS (ESI) *m/z* 259 (M+H)$^+$.

*El N-metil-N-hidroxicarbamato de *t*-butilo se puede

mantuvieron en una habitación de rocío oscura durante 48 horas y posteriormente se colocaron bajo un capuchón de plástico en un invernadero a una temperatura de 26°C.

3. Evaluación de Actividad Fungicida: Mancha de hoja de cacahuate (*Mycosphaerella arachidis*; código Bayer MYCOAR; anamorfa: *Cercospora arachidicola*):

Se crecieron en una mezcla tierra-menos Metro sembradíos de cacahuates (variedad Star). Las esporas se recolectaron de la superficie de la hoja infectada humectada lavando las hojas completas en agua, y posteriormente se filtraron a través de dos capas de estopilla. Los sembradíos jóvenes se inocularon con la suspensión de esporas. Las plantas se mantuvieron en una habitación de rocío oscura durante 48 horas, y posteriormente se colocaron en un capuchòn de plástico en un invernadero a una temperatura de 26°C.

4. Evaluación de Actividad Fungicida: Costra de Manzana (*Venturia inaequalis*; código Bayer VENTIN):

Se crecieron en una mezcla Metro en un invernadero de sembradíos de manzana (McInash o Golden Delicious). Se recolectaron las esporas fúngicas de tejido de hoja infectada. Se inocularon plantas con la suspensión de esporas. Las plantas se colocaron en una habitación de rocío durante 24 horas con humedad relativa del 100% y posteriormente se transfirieron a un invernadero a una temperatura de 18°C para

que se desarrollara la enfermedad.

5. Evaluación de Actividad Fungicida: Enfermedad de Sigatoka Negra de los Plátanos (*Mycosphaerella fijiensis*; código BAYER MYCOFI):

Se probó la eficacia contra *Mycosphaerella fijiensis* utilizando hojas recientemente emergidas de plantas de plátano crecidas en campo. Se rociaron 20 ml de una formulación diluida del compuesto 1 de la concentración requerida sobre cada hoja de prueba sobre un área delineada de 20 x 20 cm. Las hojas se dejaron infectar subsecuentemente mediante inoculo natural, y se evaluaron visualmente con respecto al porcentaje de control de enfermedad ~ 40 a 45 días después.

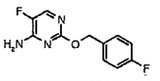
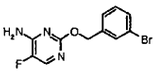
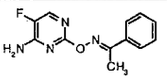
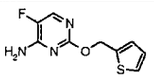
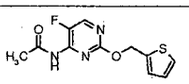
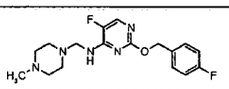
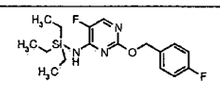
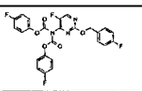
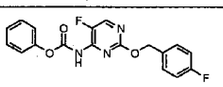
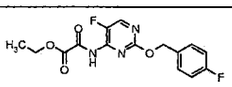
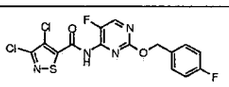
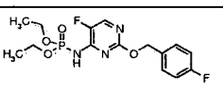
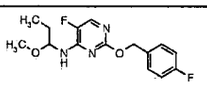
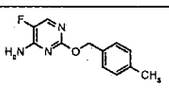
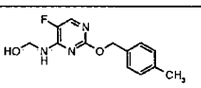
La siguiente tabla presenta la actividad de compuestos típicos de la presente descripción cuando se evalúan en estos experimentos. La efectividad de los compuestos de prueba para controlar la enfermedad se determinó evaluando la severidad de la enfermedad en plantas tratadas, posteriormente convirtiendo la severidad al porcentaje de control con base en el nivel de enfermedad en las plantas inoculadas, no tratadas.

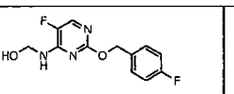
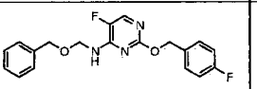
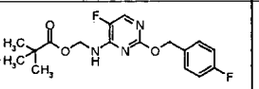
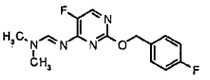
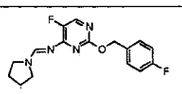
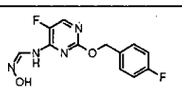
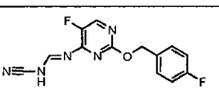
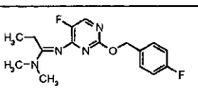
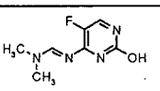
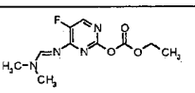
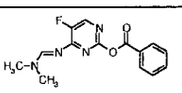
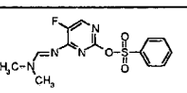
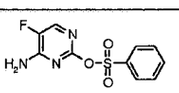
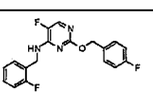
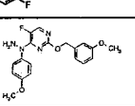
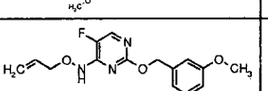
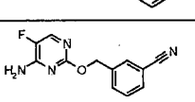
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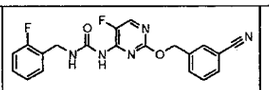
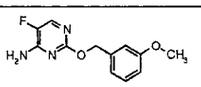
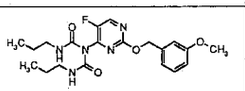
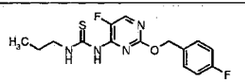
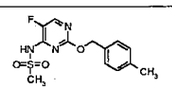
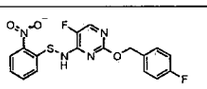
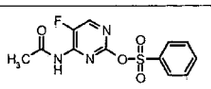
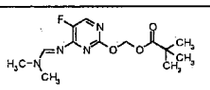
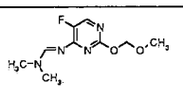
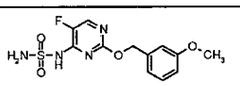
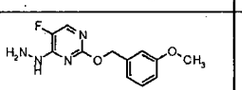
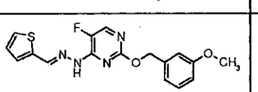
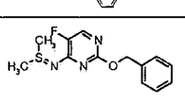
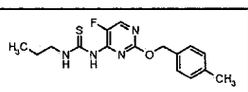
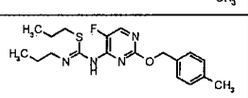
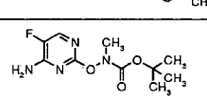
% de Control de Enfermedad	Clasificación
76 a 100	A
51 a 75	B
26 a 50	C
0 a 25	D
No Aprobado	E

TABLA I: Actividad 3 DC y 1 DP de Compuestos en SEPTTR en 25 y 100 ppm.

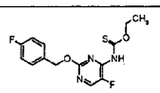
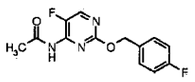
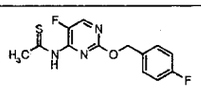
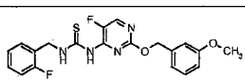
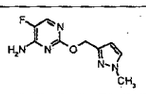
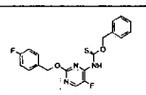
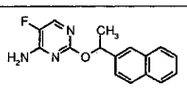
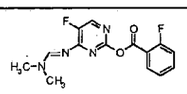
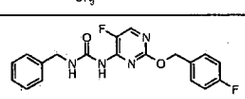
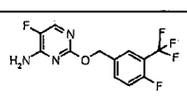
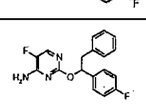
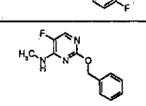
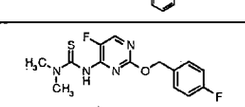
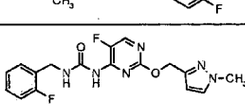
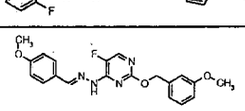
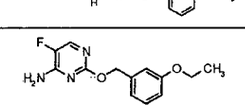
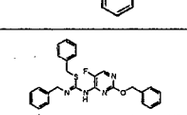
256

Cmpd	Estructura	SEPTTR 3DC 25 ppm	SEPTTR 3DC 100 ppm	SETTTR 1DP 25 ppm	SEPTTR 1DP 100 ppm	Phys. App.	MP	Mass Spec. (ES+)	Mass Spec. (ES-)	GCMS
1		A	A	A	A	Sólido blanco	130-132	238	236	
2		A	A	A	A	Polvo color crema	143-145			297, 298
3		A	A	A	A	Producto de aceite			250	
4		A	A	A	A	Polvo color crema	163-165	247		
5		A	A	A	A	Sólido blanco	90-92	226		
6		A	A	A	A	Sólido blanco	134-136	268	266	
7		A	A	A	A	Sólido beige	125-126	350	348	
8		A	A	A	A	Aceite amarillo claro		352	350	
9		A	A	A	A	Sólido blanco	103-105	514		
10		A	A	A	A	Sólido blanco	129-131	358	356	
11		A	A	A	A	Aceite claro		338	336	
12		A	A	A	A	Sólido marrón	87-90	417	415	
13		D	D	C	B	Sólido blanco	109-111	374	372	
14		A	A	A	A	Aceite incoloro claro		310	308	
15		A	A	A	A	Sólido blanco	135-137	234	232	
16		A	A	A	A	Sólido blanco	97-98	264	262	

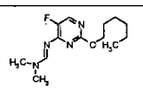
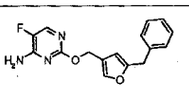
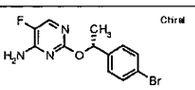
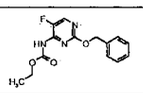
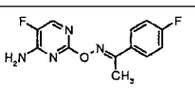
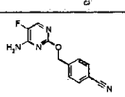
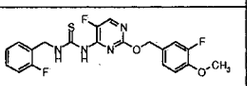
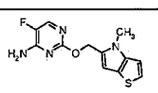
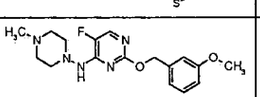
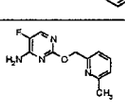
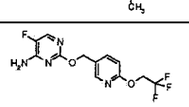
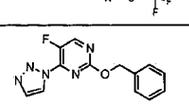
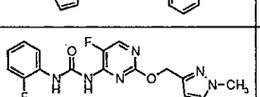
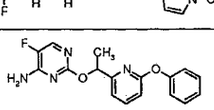
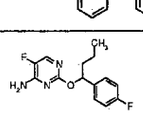
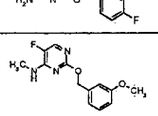
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18		B	B	B	A	Sólido blanco	64-66	358	356	
19		B	A	A	A	Sólido blanco	134-135	352		
20		A	A	A	A	Polvo blanco	115-116	293		
21		A	A	A	A	Sólido crema	102-103	319		
22		A	A	A	A	Sólido blanco	169-171	281	279	
23		A	A	A	A	Sólido crema	148-149	290	288	
24		A	A	A	A	Aceite amarillo claro		321		
25		A	A	A	A	Sólido marrón	240-243		183	
26		A	A	A	A	Sólido blanco	124-126	258		
27		A	A	A	A	Sólido cristalino blanco	136-138	289		
28		A	A	A	A	Sólido blanco	124-125	325		
29		B	A	A	A	Sólido blanco	139-140	270	268	
30		B	A	B	A	Sólido blanco	88-90	346	344	
31		D	D	D	D	Sólido crema	121-123.5	371	354	
32		D	A	C	A	Aceite incoloro		306	304	
33		A	A	A	A	Sólido blanco esponjoso	184-186	243	245	

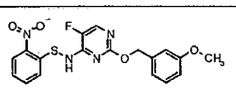
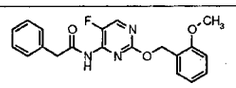
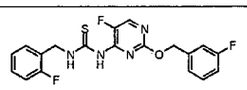
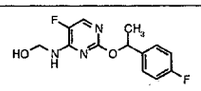
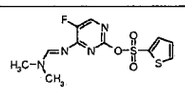
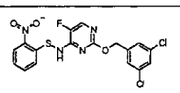
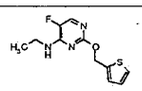
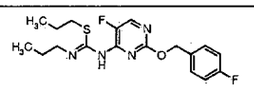
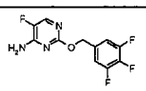
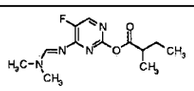
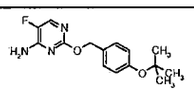
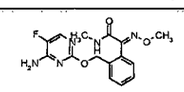
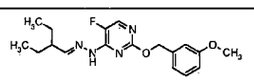
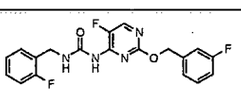
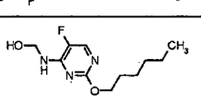
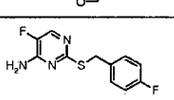
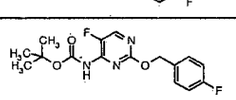
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35		A	A	A	A	Sólido amarillo	104-106	250	248	
36		B	A	C	A	Sólido marrón	75-78	420	418	
37		A	A	A	A	Agujas blancas	150-151	339	337	
38		D	C	C	C	Sólido blanco	145-148	312	310	
39		D	D	A	A	Sólido amarillo	184	391	389	
40		E	E	E	E	Sólido blanco	142-143	312	310	
41		E	E	E	E	Sólido blanco	86-88	299		
42		E	E	E	E	Aceite incoloro		229		
43		B	B	C	B	Sólido vidrioso amarillo	126-130		327	
44		B	A	D	A	Sólido color crema	102-105	265	263	
45		C	C	B	A	Sólido amarillo	136-139	359	357	
46		A	A	A	A	Sólido blanco-amarillo		220	218	
47		E	E	E	E	Sólido color crema	125-129	281		
48		A	A	A	A	Sólido color crema	149-150	335	333	
49		E	E	E	E	Liquido viscoso palido amarillo		377		
50		C	A	C	A	Sólido amarillo pálido	123-125	259		

259

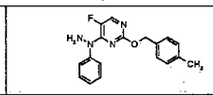
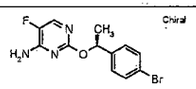
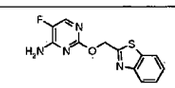
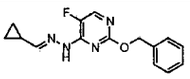
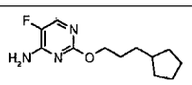
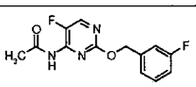
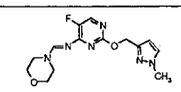
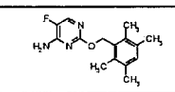
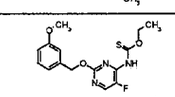
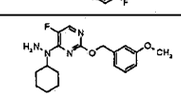
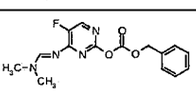
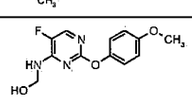
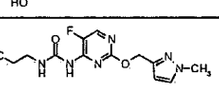
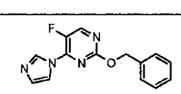
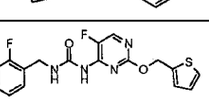
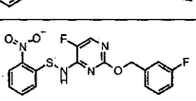
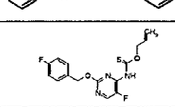
51		E	E	E	E	Sólido amarillo pálido		326		
52		B	B	A	A	Sólido blanco	160-162	280	278	
53		A	A	A	A	Vidrio amarillo			294	
54		D	D	B	A	Sólido blanco	118-121	417	415	
55		A	A	A	A	Sólido blanco	124	224		
56		E	E	E	E	Sólido amarillo pálido		388		
57		A	A	A	A	Sólido blanco	122-124		282	
58		A	A	A	A	Sólido blanco	170 (dec)	307		
59		D	C	D	D	Sólido blanco	190-191	371	369	
60		A	A	A	A	Sólido blanco	143	306	304	
61		D	D	A	A	Sólido blanco	111-113		326	
62		E	E	E	E	Sólido color crema		234		
63		E	E	E	E	Aceite amarillo		325		
64		D	C	D	D	Sólido blanco	170-172	375	373	
65		C	B	C	B	Sólido café/naranja	60-64	383	381	
66		A	A	A	A	Sólido amarillo	76-79	264	262	
67		E	E	E	E	Sólido amarillo pálido		459		

260

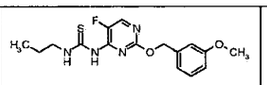
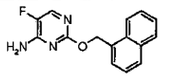
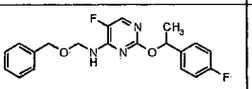
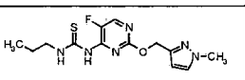
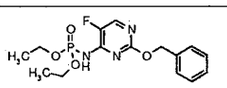
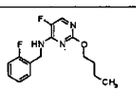
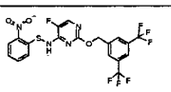
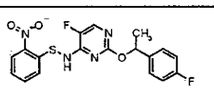
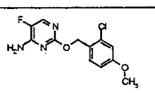
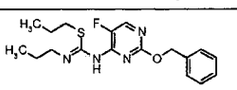
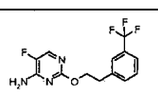
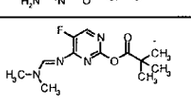
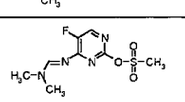
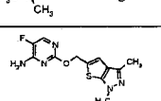
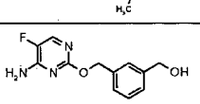
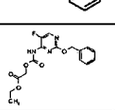
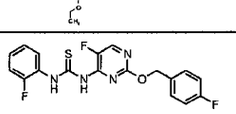
68		D	B	D	B	SÓLIDO BLANCO	128-130	269		
69		B	A	A	A	Cera beige		300	298	
70		C	A	C	A	Sólido blanco	94-97		312	
71		A	A	A	A			292	290	
72		A	A	A	A	Sólido beige	155-156	265	263	
73		E	E	E	E	Líquido gomoso		310		
74		D	D	B	A	Sólido blanco		245	243	
75		A	A	C	A	Sólido color crema	164-166	435	433	
76		C	C	C	C	Semi-Sólido negro			277	
77		C	A	D	A	Sólido color crema		348	346	
78		A	A	A	A	Sólido blanco	125-127	234		
79		A	A	A	A	Sólido blanco	134-135	319		
80		D	B	D	D	Sólido blanco	63-69*	272		
81		D	D	D	D	Sólido color crema	187-189	361	359	
82		D	C	C	C	Aceite amarillo			325	
83		A	A	A	A	Aceite claro			278	
84		E	E	E	E	Líquido gomoso			262	

85		A	A	C	A	Sólido amarillo	151-156	403	401	
86		D	D	C	B	Sólido blanco		368	36(	
87		D	A	B	A	Solido amarillo	154-155	379	37	
88		C	A	C	A	Aceite incoloro claro		282	28	
89		A	A	A	A	Sólido marrón	129-130	331		
90		C	B	A	A	Sólido amarillo	180-187	441	4	
91		E	E	E	E	Líquido gomoso		276 [M+Na]		
92		E	E	E	E	Sólido color crema		381		
93		A	A	A	A	Sólido blanco	122	274	72	
94		A	A	A	A	Sólido color crema	63-65	291		
95		A	A	A	A	Sólido amarillo	118-120	292		
96		D	D	D	D	Aceite marrón		334		
97		D	D	D	B	Sólido blanco	104-107	348	45	
98		D	D	D	D	Sólido blanco	168-169	389	87	
99		D	B	D	A	SÓLIDO BLANCO	74-77	244		
100		D	D	D	D	Sólido blanco	163-164	254	252	
101		D	D	B	B	Sólido blanco	127-129	338		

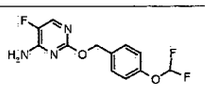
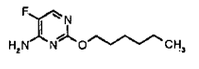
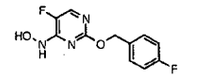
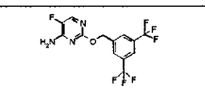
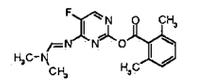
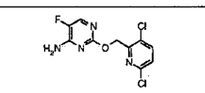
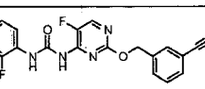
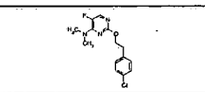
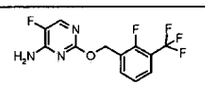
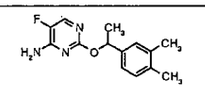
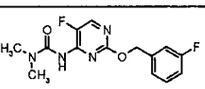
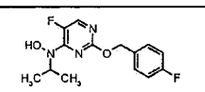
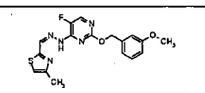
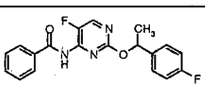
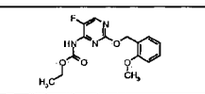
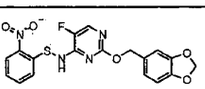
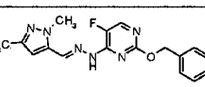
162

102		D	C	D	D	Sólido marrón oscuro	118-122	325		
103		B	A	A	A	Sólido amarillo	90-92		312	
104		A	A	A	A	Sólido amarillo	179-181	277	275	
105		D	D	D	D	Sólido marrón	143-148	288	285	
106		D	C	D	D	Aceite amarillo claro		240	238	
107		A	A	A	A	Sólido blanco		280	278	
108		A	A	A	A	Sólido blanco	123-124	321		
109		E	E	E	E	Sólido blanco	188-192	276	274	
110		E	E	E	E	Sólido amarillo pálido		338		
111		D	D	D	D	Sólido amarillo pálido		347		
112		A	A	A	A	Sólido blanco	128-131	340.8 (Na+)		
113		D	C	B	A	SÓLIDO GOMOSO AMARILLO PÁLIDO		266		
114		D	D	D	D	Sólido blanco	133-135	389	307	
115		A	A	A	A	Cera amarilla-blanca		271		
116		D	D	D	D	Sólido blanco	162-164	377	375	
117		C	C	C	B	Sólido amarillo	173-178	391	389	
118		E	E	E	E	Sólido color crema		338		

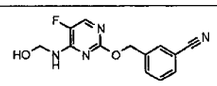
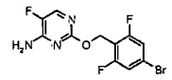
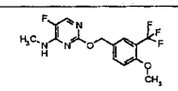
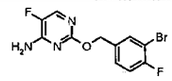
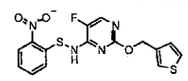
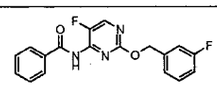
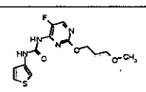
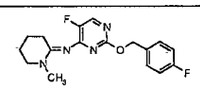
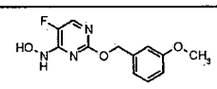
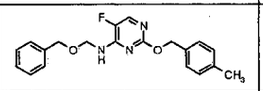
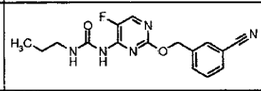
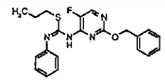
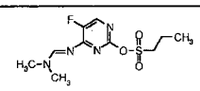
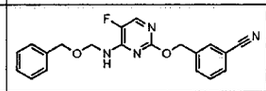
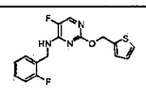
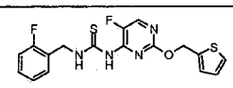
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119		B	A	C	A	Polvo blanco	122-123	351	349	
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121		B	A	B	A	Aceite amarillo pálido claro		372	370	
122		C	A	D	B	Sólido blanco	107-108	325	323	
123		C	A	D	C	Aceite amarillo claro		356	354	
124		E	E	E	E	Liquido amarillo pálido		294		
125		D	D	C	C	Sólido amarillo	175-180	509	507	
126		A	A	A	A	Aceite marrón		405	403	
127		A	A	A	A	Sólido blanco	126	284	282	
128		E	E	E	E	Sólido color crema		363		
129		A	A	C	A	Sólido blanco	119-120	302	300	
130		A	A	A	A	Sólido blanco	139-141	269		
131		C	A	C	B	Cristales blancos	133-134	263		
132		A	A	A	A	Sólido beige	171-173	316 (M+Na)		
133		B	A	B	A	Sólido blanco	120-123		248	
134		B	A	D	B			350	348	
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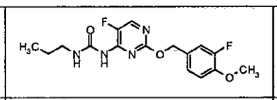
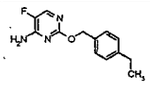
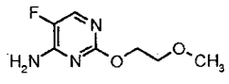
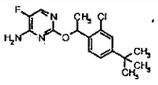
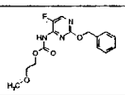
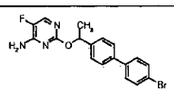
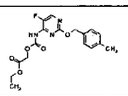
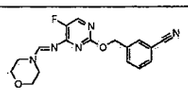
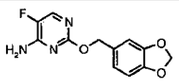
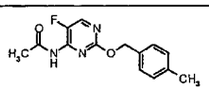
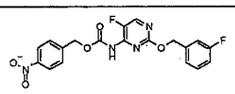
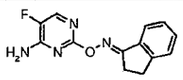
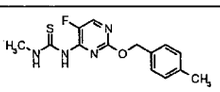
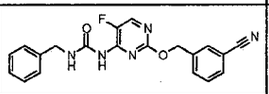
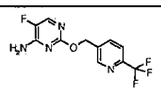
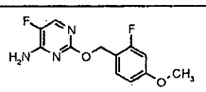
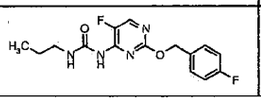
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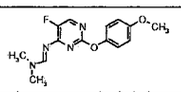
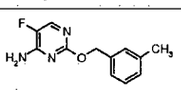
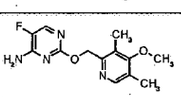
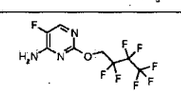
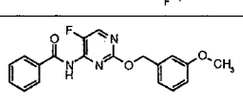
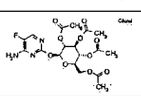
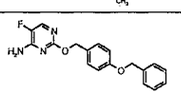
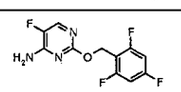
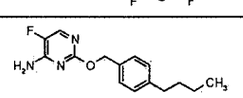
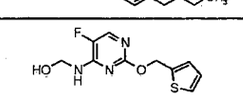
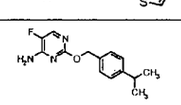
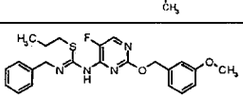
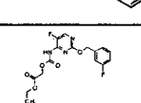
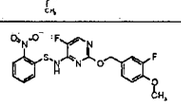
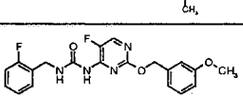
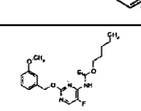
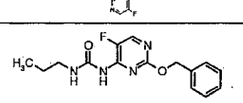
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137		C	A	C	A	Sólido blanco	55-57	214	212	
138		A	A	A	A	Sólido color crema	111-116	254	252	
139		D	C	C	B	Sólido blanco	106	356	354	
140		A	A	A	A	Sólido blanco	120-125	317		
141		B	C	D	A	Sólido beige	175-176	290		
142		D	D	D	D	Sólido color crema	204-205	382	380	
143		E	E	E	E	Sólido color crema		296		
144		A	A	A	A	Sólido blanco	101	306	304	
145		A	A	A	A	Aceite claro			260	
146		A	A	A	A	Sólido blanco		295		
147		C	C	D	B	Solido color crema	146.8-149.4	297	294	
148		D	D	B	B	Sólido amarillo	125-129	374	372	
149		D	B	C	A	Aceite amarillo		356	354	
150		C	A	D	A			322	320	
151		C	C	D	D	Aceite amarillo		417	415	
152		C	A	C	A	Sólido blanco	157-161	341	339	

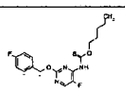
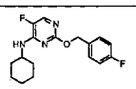
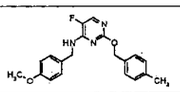
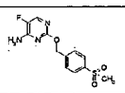
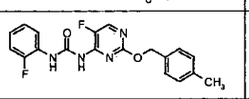
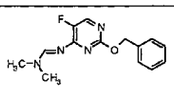
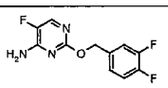
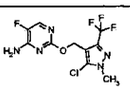
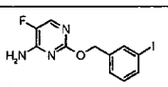
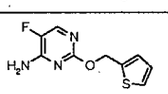
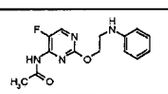
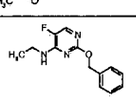
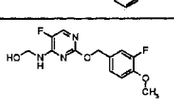
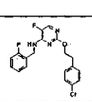
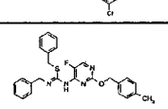
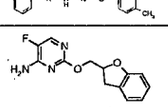
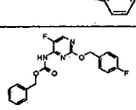
163

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156		A	A	A	A	Sólido blanco	128-130	318	316	
157		C	C	C	A	Sólido amarillo	170-175	379	377	
158		A	A	A	A	Sólido blanco	91-93	342	340	
159		C	D	D	D	SÓLIDO COLOR CREMA		327		
160		A	A	A	A	Aceite amarillo claro		333		
161		C	A	A	A	Sólido blanco	121.5-125	267	264	
162		D	D	C	A	Sólido blanco	71-73	354	352	
163		D	D	D	D	Sólido color crema	161-162	330	328	
164		D	B	C	B	Sólido claro		360		
165		E	E	E	E	Sólido color crema		397		
166		A	A	A	A	Semi-Sólido marron		291		
167		D	D	D	C	Sólido blanco pegajoso		365	363	
168		D	C	D	C			334		
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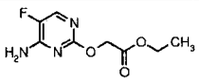
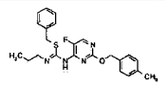
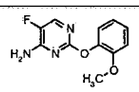
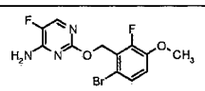
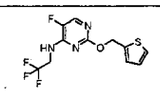
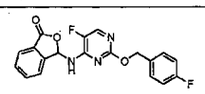
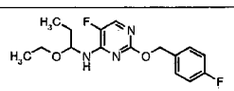
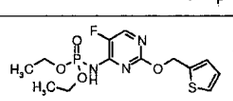
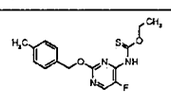
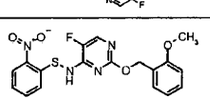
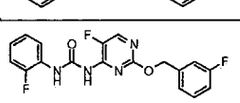
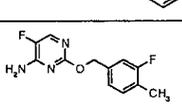
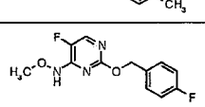
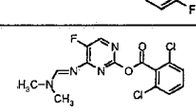
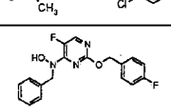
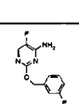
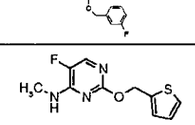
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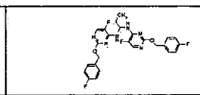
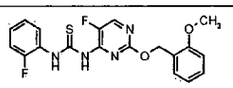
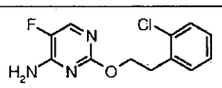
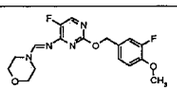
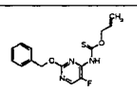
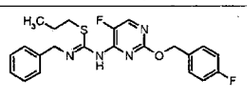
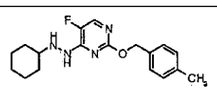
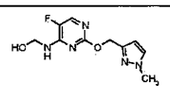
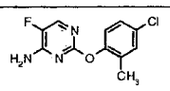
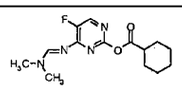
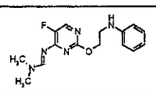
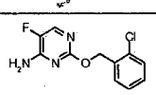
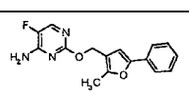
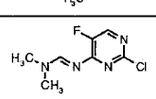
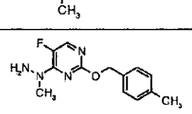
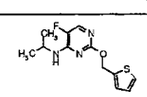
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171		A	A	A	A	Sólido color crema	85-87	248	246	
172		D	D	D	D	Sólido blanco	77-78	188	186	
173		D	B	B	A	Sólido blanco	141-147		322	
174		A	A	A	A			322	320	
175		D	D	A	A	Sólido amarillo	177-179		388	
176		A	A	B	A				362	
177		A	A	A	A	Sólido blanco	119-120	342		
178		A	A	A	A	Sólido blanco	153	264	262	
179		A	A	A	A	Sólido blanco	155-156	276	274	
180		D	D	D	B	Sólido blanco	163-165	417	415	
181		A	A	A	A	Sólido blanco	203-205	259	257	
182		A	A	A	A	Sólido blanco	160-161	307	305	
183		D	D	D	D	Sólido blanco	175-177	378	376	
184		B	A	A	A	Sólido blanco	147-148	289		
185		A	A	A	A	Vidrio amarillo pálido		268		
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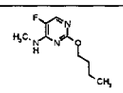
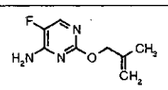
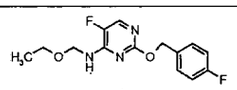
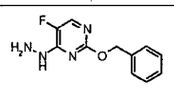
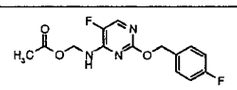
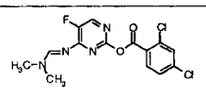
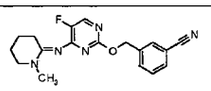
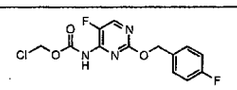
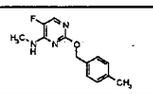
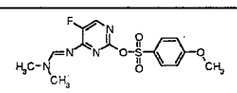
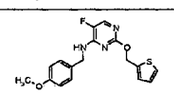
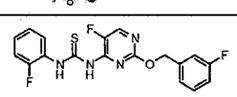
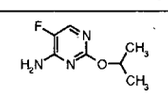
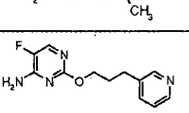
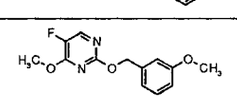
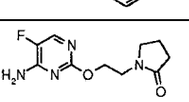
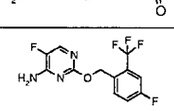
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190		D	D	D	D	Sólido blanco	74-75	312	310	
191		A	A	A	A	Aceite amarillo viscoso		354	352	
192		B	A	A	A	Espuma sólida blanca				
193		A	A	A	A	Sólido amarillo	120	326	324	
194		A	A	A	A	Sólido blanco	154	274	272	
195		C	A	B	A	Sólido amarillo alumbrado	51-53	387	385	
196		A	A	A	A	Sólido blanco	93-95	256	254	
197		B	A	B	A	Sólido blanco	65-66	262	260	
198		E	E	E	E			441		
199		B	A	D	A	Sólido amarillo pálido		368	366	
200		D	D	D	C	Sólido amarillo	181-186	421	419	
201		D	D	D	D	Sólido blanco	161-162	401	399	
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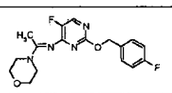
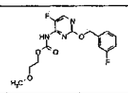
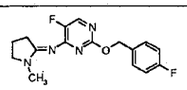
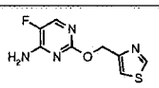
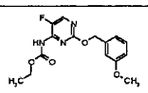
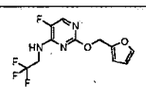
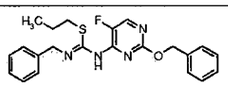
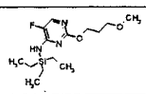
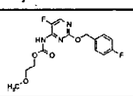
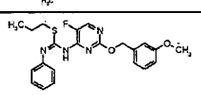
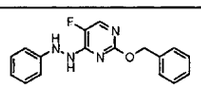
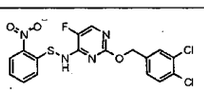
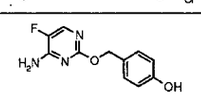
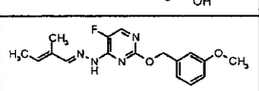
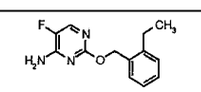
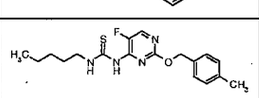
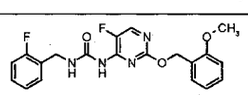
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207		D	D	D	C	Sólido marrón	142-147	298	296	
208		D	C	D	D	Sólido blanco	196-198	371	369	
209		A	A	A	A	Sólido blanco	84-85	275		
210		A	A	A	A	Sólido blanco	121-123	256	254	
211		A	A	A	A	Sólido color crema	173-174	326	324	
212		A	A	A	A	Sólido color crema	122-124	346	344	
213		A	A	A	A	Sólido blanco	90-92	226		
214		D	D	D	D	SÓLIDO BLANCO	110-113	291		
215		E	E	E	E	Sólido color crema		248		
216		B	A	A	A	Sólido blanco	108-112	298	296	
217		E	E	E	E	Líquido amarillo pálido		376		
218		E	E	E	E	Sólido amarillo pálido		473		
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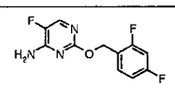
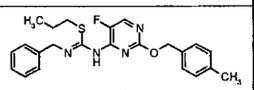
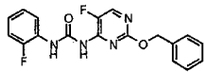
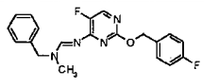
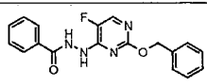
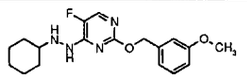
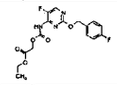
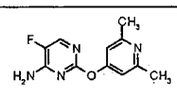
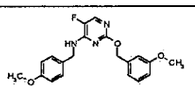
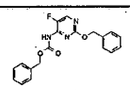
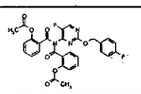
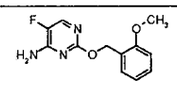
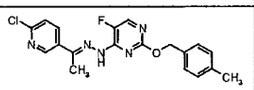
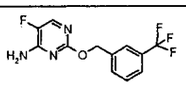
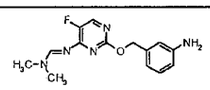
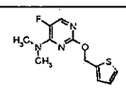
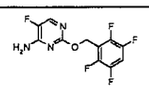
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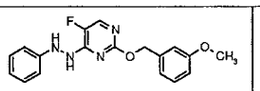
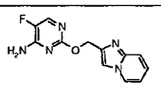
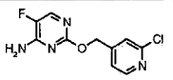
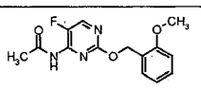
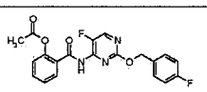
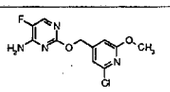
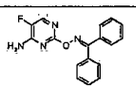
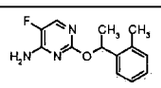
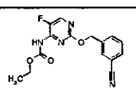
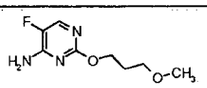
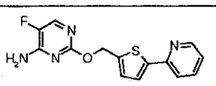
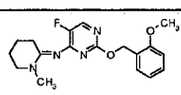
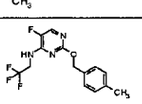
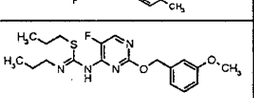
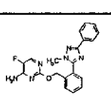
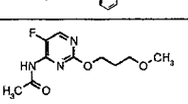
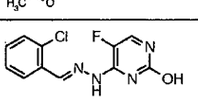
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222		E	E	E	E	Líquido viscoso amarillo pálido			423	
223		A	A	A	A	Sólido blanco	132-134	236	234	
224		D	C	C	A	Sólido blanco	184	347	345	
225		E	E	E	E				306	
226		A	A	A	A	Sólido vidrioso blanco	68-77	370		
227		A	A	A	A	Sólido blanco	56-57	324	322	
228		B	A	C	A	Sólido amarillo claro		362	360	
229		E	E	E	E	Sólido color crema		322		
230		D	D	A	A	Sólido blanco	159-163	403	401	
231		D	D	D	D	Sólido blanco	193-194	375	373	
232		A	A	A	A	Sólido blanco	105-107	252	250	
233		A	A	B	A	Sólido blanco	114-115			
234		A	A	A	A	Sólido amarillo pálido		357		
235		B	A	A	A	Aceite amarillo viscoso		345	342	
236		A	A	A	A	Sólido blanco	111-113	238		
237		D	D	D	D	Sólido blanco	62-65	240	238	

238		D	C	D	B	Aceite incoloro claro		515	513	
239		B	A	A	A	Sólido blanco	165-166	403	401	
240		D	D	D	C	Sólido blanco	86-87	268	266	
241		A	B	A	A	Sólido blanco	164-165	365		
242		E	E	E	E	Sólido amarillo pálido		320		
243		E	E	E	E	Sólido amarillo pálido		429		
244		D	A	D	A	Sólido amarillo pálido	138-142	332	329	
245		B	A	B	A	Sólido blanco	145-148	254	252	
246		E	E	D	D	Sólido blanco	157-158	254	252	
247		A	A	A	A	Sólido color crema	94-95	295		
248		A	A	D	A	SÓLIDO COLOR CREMA	102-104	304		
249		C	B	C	A	Sólido color crema	92-93	358	356	
250		E	E	E	E	Sólido blanco	117-118	254	252	
251		A	A	A	A	Sólido beige	120-122	300		
252		D	D	C	C	Sólido blanco	132-135			
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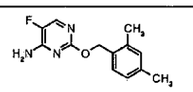
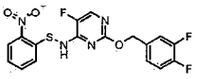
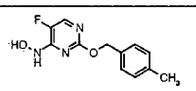
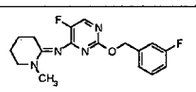
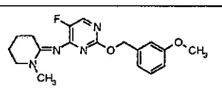
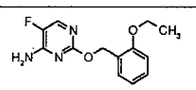
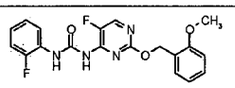
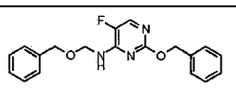
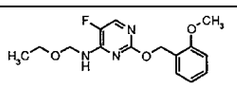
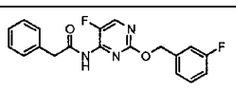
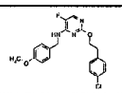
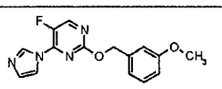
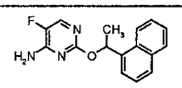
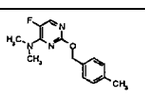
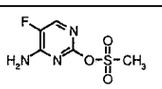
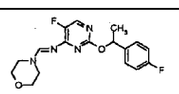
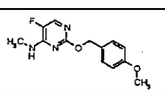
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258		C	B	B	A	Sólido color crema	80-82	236	233	
259		A	A	B	A	Sólido blanco	103-105	310		
260		A	A	A	A	Sólido blanco	95 (dec)	357		
261		B	A	B	A	aceite ambar pálido		340		
262		A	A	B	A	Sólido blanco	101-105			
263		E	E	E	E	Sólido color crema		270 M+Na		
264		A	A	B	A	Sólido blanco	131-133	356		
265		E	E	E	E	Sólido amarillo			344	
266		D	A	A	A	Sólido blanco	137-138	391	389	
267		D	D	D	D	Sólido blanco	104-105	172	170	
268		C	B	D	C	Sólido blanco	126-127		247	
269		D	D	D	D	Sólido verde pálido	91-94	265	237	
270		D	D	D	D	Sólido blanco	111-112		239	
271		B	A	A	A	Sólido blanco	119	306	304	

272		A	A	A	A	Aceite amarillo claro		349		
273		A	A	B	A			340	338	
274		A	A	A	A	Sólido color crema	84-86	319		
275		A	A	A	A	Sólido beige	136	227		
276		A	A	A	A			322	320	
277		E	E	E	E				290	
278		E	E	E	E	Sólido color crema		411		
279		A	A	B	A	SÓLIDO GOMOSO AMARILLO		316		
280		A	A	A	A			340	338	
281		E	E	E	E	Sólido amarillo pálido		427		
282		C	B	D	C	Solido marrón oscuro	158-163	312	309	
283		D	C	B	A	Sólido amarillo	166-176	441	439	
284		D	D	D	D	Sólido blanco	196-198	236	234	
285		C	C	B	A	Sólido marrón pálido	118-120	331	329	
286		B	A	A	A	Sólido color crema	121-123	248	246	
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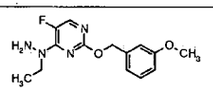
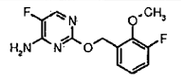
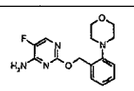
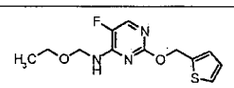
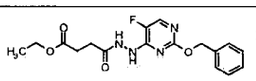
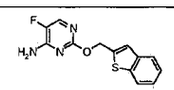
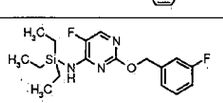
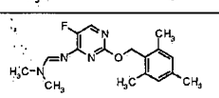
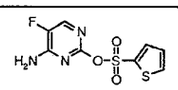
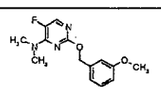
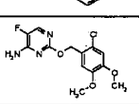
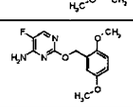
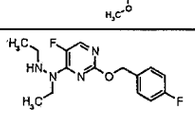
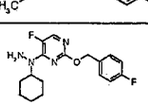
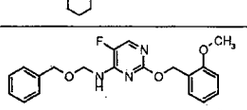
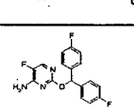
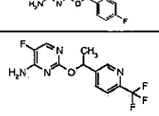
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290		E	E	E	E	Sólido amarillo pálido		425		
291		D	C	D	D	Sólido color crema	198-200	357	355	
292		A	A	A	A	Aceite incoloro		369		
293		D	D	D	D	Sólido blanco	200-202	340	337	
294		D	A	D	A	Aceite amarillo		347		
295		A	A	A	A				366	
296		D	C	D	D	Sólido blanco		235	233	
297		E	E	E	E	Liquido amarillo pálido			368	
298		A	A	A	A			354	352	
299		E	E	E	E	Sólido color crema	83-88	562		
300		A	A	A	A	Sólido blanco	106-107	250	248	
301		B	A	C	C	Sólido marrón	136-139	386	384	
302		A	A	A	A	Sólido gris	105-107		286	
303		B	A	C	A	aceite denso		290		
304		E	E	E	E	Liquido amarillo		276 [M+Na]		
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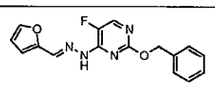
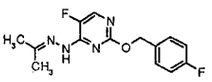
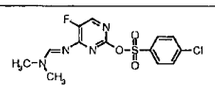
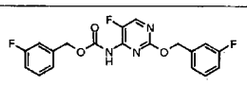
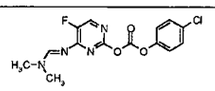
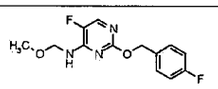
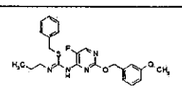
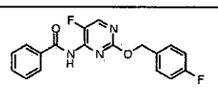
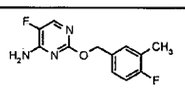
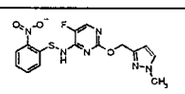
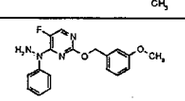
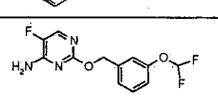
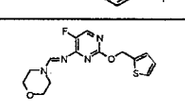
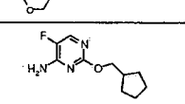
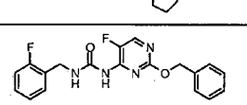
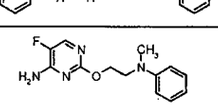
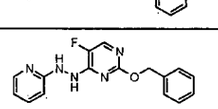
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308		A	A	A	A	Sólido amarillo	182-183	256		
309		A	A	A	A	Sólido blanco		292	290	
310		E	E	E	E	Aceite incoloro		400	398	
311		A	A	A	A	Sólido blanco	160-161	286		
312		A	A	A	A	Sólido blanco	196-200	309	307	
313		A	A	A	A	Sólido blanco	99-102		246	
314		A	A	A	A			317	315	
315		A	A	B	A	Sólido blanco	64-65	202	200	
316		A	A	A	A	Sólido amarillo	138-140	303		
317		C	A	B	A	aceite ambar pálido		345		
318		E	E	E	E				314	
319		E	E	E	E	Líquido viscoso amarillo pálido		393		
320		C	C	D	D	Sólido amarillo	209		377	
321		A	A	A	A	SÓLIDO AMARILLO PÁLIDO	112-114	244		
322		D	D	D	D	Sólido amarillo	235-237	267	265	

245

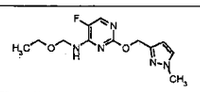
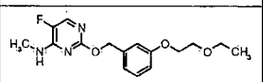
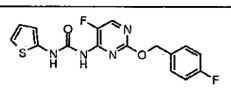
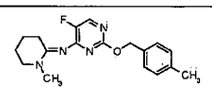
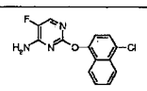
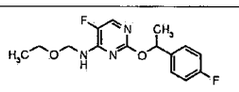
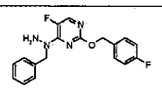
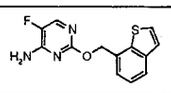
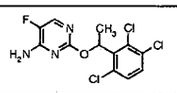
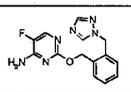
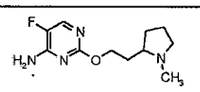
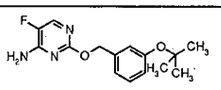
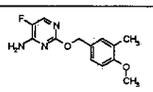
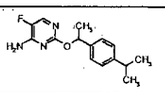
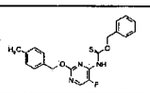
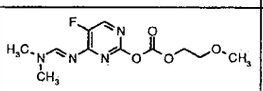
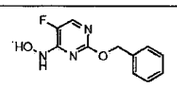
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326		B	A	A	A	aceite ambar pálido		333		
327		B	A	B	A	aceite ambar pálido		345		
328		A	A	A	A	Sólido color crema	116-117	264	262	
329		D	D	D	D	Sólido blanco	187-188	387	385	
330		D	C	D	A	Sólido blanco	75-77	340	338	
331		A	A	A	A	Aceite incoloro claro		308	306	
332		B	C	A	A	Sólido amarillo-blanco	121-123	356	354	
333		E	E	E	E	Liquido gomoso		388		
334		A	A	A	A	Sólido blanco	79-80	301		
335		A	A	A	A	Aceite naranja			282	
336		E	E	E	E	Liquido gomoso		262		
337		C	C	C	A	Sólido blanco	191-192	208	206	
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278.

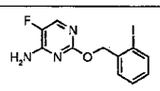
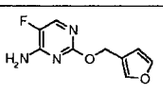
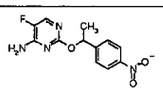
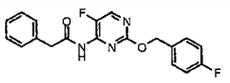
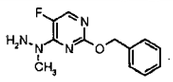
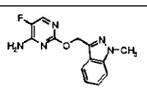
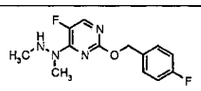
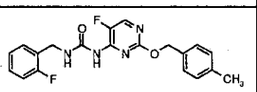
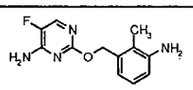
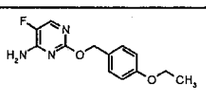
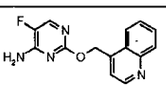
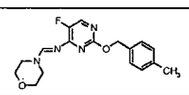
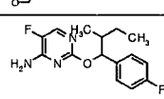
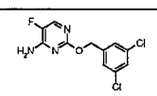
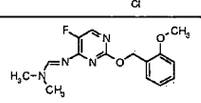
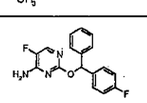
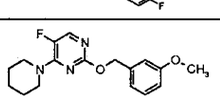
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342		A	A	A	A	Cristales color crema	147-149	305	303	
343		A	A	C	A	Sólido blanco	55-57	284	282	
344		D	C	C	C	Sólido color crema	117-121	363	361	
345		A	A	A	A	Sólido blanco	154-156	276		
346		A	A	A	A	Aceite claro		352	350	
347		A	A	A	A	Sólido blanco	134-135	317		
348		A	A	A	A	Sólido blanco	128-130			
349		E	E	E	E	Líquido amarillo pálido		278		
350		A	A	A	A	Sólido blanco	117	314	312	
351		A	A	A	A	Sólido blanco	151	280	278	
352		D	D	D	D	Líquido incoloro		310	307	
353		D	D	D	D	Sólido blanco	68-72	335	333	
354		C	A	B	A	Aceite amarillo pálido claro		370	368	
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356		C	B	C	B	Aceite naranja			301	

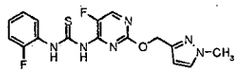
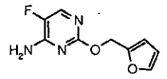
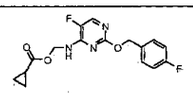
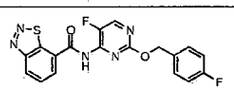
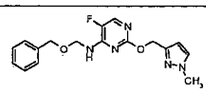
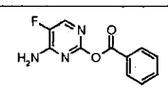
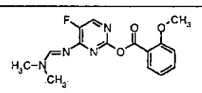
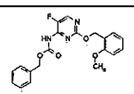
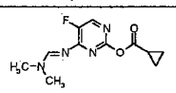
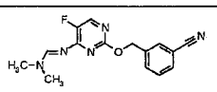
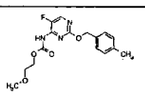
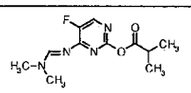
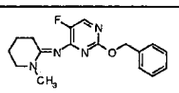
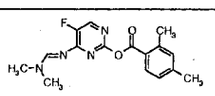
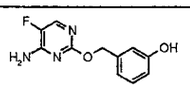
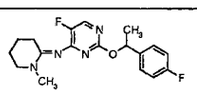
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358		C	A	D	B	Sólido marrón	120-123	293	291	
359		A	C	A	A	Sólido blanco	172-174	360		
360		A	A	A	A	Sólido blanco	105-106	390	388	
361		A	A	B	A	Sólido blanco	150-153	339		
362		B	A	B	A	Sólido blanco	115-116	282	280	
363		E	E	E	E	Líquido viscoso amarillo pálido		441		
364		A	A	A	A	Sólido blanco	114-115	342	340	
365		A	A	A	A	Vidrio amarillo denso		252		
366		B	A	A	A	Aceite amarillo	186-192	377	375	
367		D	D	D	D	Sólido color crema	94-97.5	341		
368		A	A	A	A	Sólido marrón	95-96	286	284	
369		A	A	A	A	Sólido blanco	138-139	323		
370		D	D	D	D	Sólido blanco	93-94	212	210	
371		D	D	D	D	Sólido blanco	168-169	371	369	
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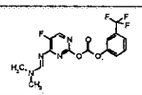
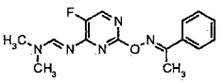
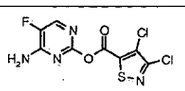
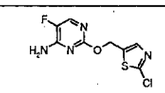
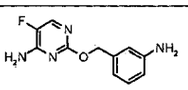
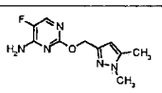
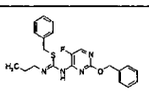
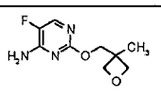
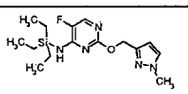
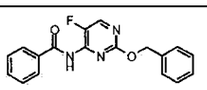
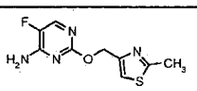
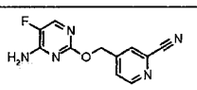
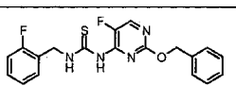
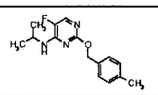
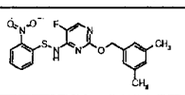
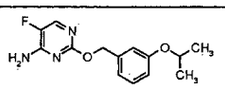
218

374		A	A	B	A	Aceite amarillo pálido claro		282	280	
375		C	A	D	D	Aceite amarillo		322	320	
376		D	C	D	D	polvo lavander	214-216	363	361	
377		B	A	A	A	aceite ambar pálido		329		
378		A	A	B	A	Sólido blanco	177-179	290	288	
379		B	A	A	A	Sólido blanco	84-85	310	308	
380		D	A	A	A	Sólido color crema	73-76	343		
381		A	A	A	A	Sólido amarillo claro	173-175	276	274	
382		D	A	A	A	Sólido blanco	149-152		336	
383		C	D	D	D	Sólido amarillo	118-120	--	299	
384		C	A	B	A	Aceite oscuro		241	239	
385		A	A	A	A	sólido marfil	104-105	292	290	
386		A	A	A	A	Sólido blanco	124	264	262	
387		A	A	A	A	Aceite naranja			274	
388		E	E	E	E	Sólido amarillo pálido		384		
389		A	A	A	A	Sólido amarillo claro	88.5-89.5	308.8 (Na+)		
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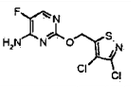
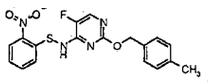
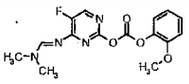
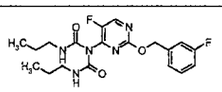
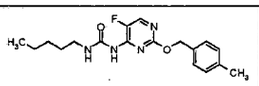
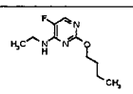
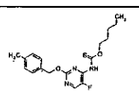
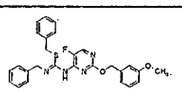
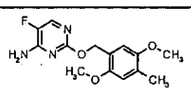
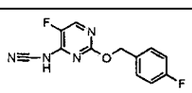
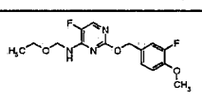
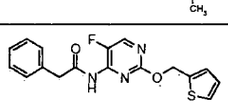
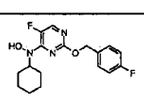
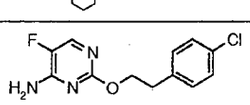
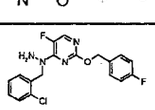
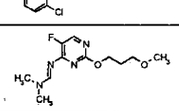
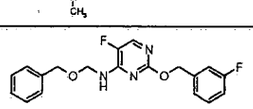
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391		C	A	B	A	Sólido color crema	132-135	346	344	
392		A	A	A	A	Sólido color crema		210		
393		C	A	C	A	Aceite claro			277	
394		A	A	A	A	Sólido blanco	177-179	356	354	
395		C	B	D	D	aceite amarillo		249	247	
396		A	A	A	A	Aceite amarillo	181-183	274	272	
397		D	D	D	D	Aceite incoloro		282	279	
398		D	C	D	D	Sólido blanco	176-178	385	383	
399		A	A	B	A	Sólido blanco	174	249	247	
400		A	A	A	A	Sólido color crema	154-156	264	262	
401		A	A	A	A	Sólido color crema		271		
402		A	A	A	A	Sólido blanco	121-122	331		
403		C	A	A	A	Sólido blanco	93-94		292	
404		A	A	A	A	Sólido blanco		289	287	
405		A	A	A	A	Aceite amarillo pálido claro		305		
406		A	A	A	A	Sólido blanco	134-138		312	
407		D	D	D	D	Aceite amarillo		318		

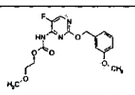
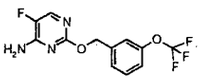
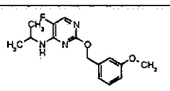
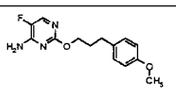
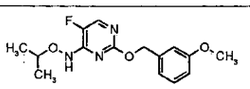
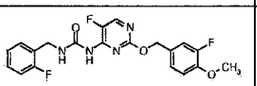
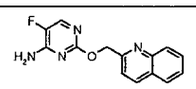
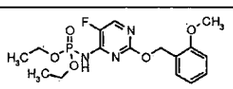
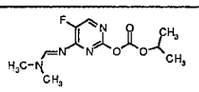
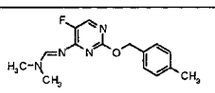
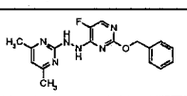
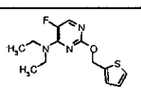
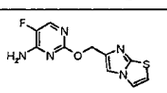
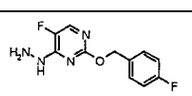
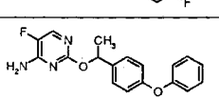
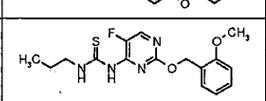
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411		C	C	A	A	Sólido blanco	138-142	400	398	
412		D	B	D	A	Aceite incoloro		344	342	
413		A	A	A	A	Sólido blanco	245-247	234	232	
414		E	E	E	E	Sólido blanco	125 (dec)	319		
415		A	A	B	A			384	382	
416		D	C	D	D			358		
417		A	A	A	A	Sólido blanco	105-115 (dec)	253		
418		A	A	A	A	Aceite café		300		
419		A	A	A	A			336	334	
420		A	A	A	A	Sólido blanco	93-94	255		
421		A	A	A	A	aceite ambar pálido		315		
422		A	A	A	A	Sólido blanco	111-112	317		
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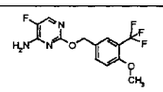
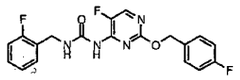
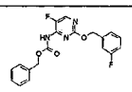
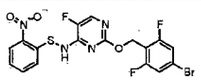
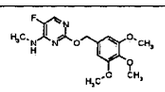
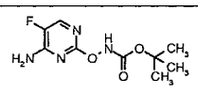
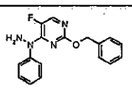
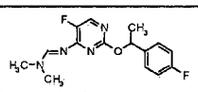
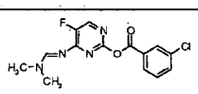
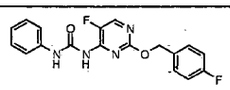
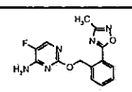
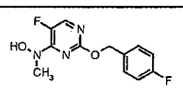
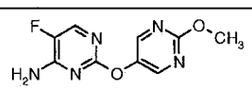
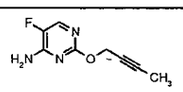
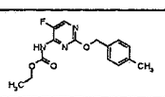
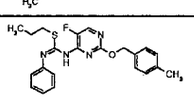
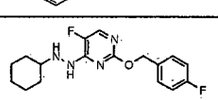
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428		C	A	B	B	Sólido amarillo claro		309	307	
429		A	A	A	A	Sólido beige	168-169	261	259	
430		A	A	A	A	Sólido oscuro café	128-130	235	233	
431		A	A	A	A	Aceite amarillo claro		238		
432		E	E	E	E	Sólido amarillo pálido		411		
433		D	D	D	D	Sólido amarillo		214	212	
434		A	A	A	A	Aceite claro		338		
435		B	A	A	A	Sólido blanco	115-117	324	322	
436		A	A	A	A	Sólido blanco	115	241		
437		D	B	D	B	Sólido café	182-183	246	244	
438		D	D	B	A	Sólido blanco	162-164	387	385	
439		E	E	E	E	Sólido amarillo		298 [M+Na]		
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441		A	A	A	A	Aceite amarillo claro	61-62	278	276	

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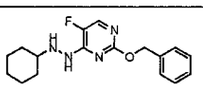
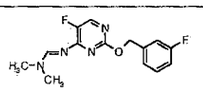
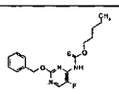
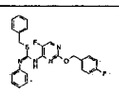
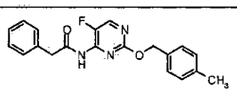
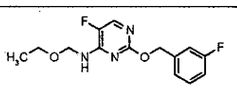
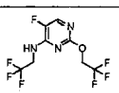
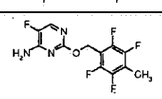
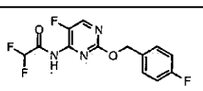
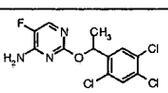
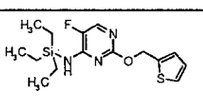
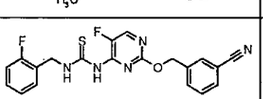
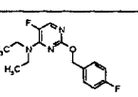
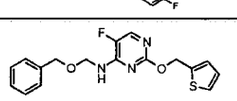
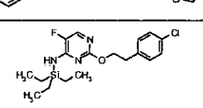
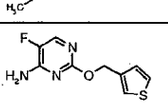
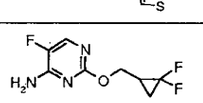
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443		C	C	A	A	Sólido amarillo	173-177	387	385	
444		A	A	A	A	Sólido blanco	121-123	336		
445		A	A	B	A	Aceite café		408	406	
446		E	E	E	E	Sólido blanco	152-153	347	345	
447		E	E	E	E	Líquido amarillo pálido		214		
448		E	E	E	E	Sólido amarillo pálido		364		
449		E	E	E	E	Sólido color crema		489		
450		A	B	A	A	Sólido blanco	155	294	292	
451		D	D	D	D	Sólido blanco	158-160	263	261	
452		A	A	A	A	Sólido blanco	108-109	326	324	
453		B	A	A	A	Vidrio amarillo claro		344	342	
454		C	D	D	A	Sólido color crema	153.5-157.9	337	334	
455		C	A	B	A	Sólido blanco	101-103	268	266	
456		D	A	B	A	Aceite amarillo		377		
457		B	A	B	A	SÓLIDO AMARILLO CLARO	53-55	257		
458		D	D	D	B	Sólido pegajoso claro		358	356	

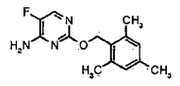
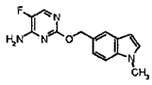
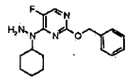
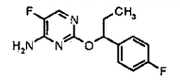
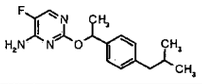
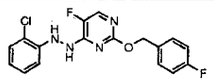
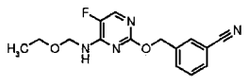
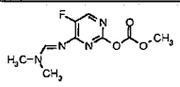
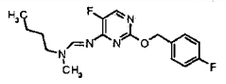
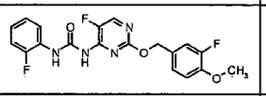
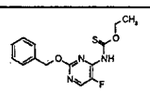
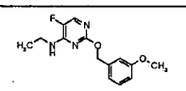
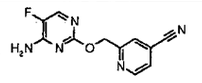
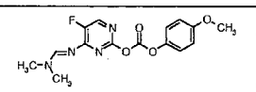
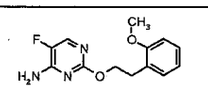
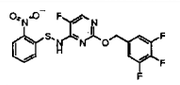
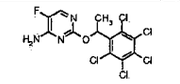
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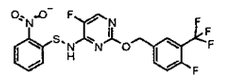
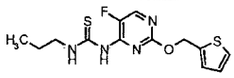
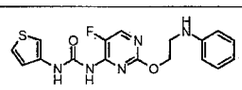
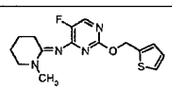
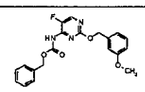
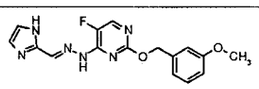
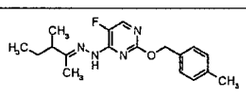
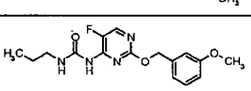
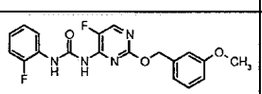
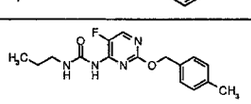
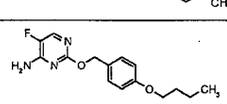
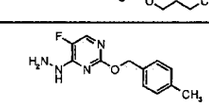
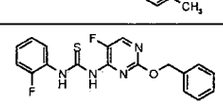
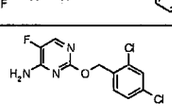
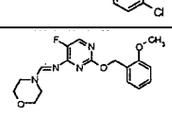
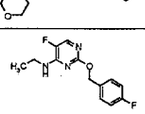
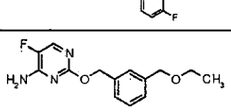
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460		A	A	A	A	Sólido blanco		304	302	
461		E	E	E	E	Líquido Gomoso			290	
462		B	C	B	A	Sólido blanco	143-144	278	276	
463		C	B	D	B	aceite incoloro		308	306	
464		D	C	D	D	sólido blancusco	171-174	419	417	
465		A	A	A	A	Sólido cobrizo	155-157	271	269	
466		D	D	D	D	vidrio amarillo claro		386	384	
467		A	A	A	A	Sólido blanco	120-121	292.8 (Na+)		
468		A	A	A	A	polvo blanco	143-145	254		
469		A	A	A	A	Sólido blanco	98-99	288		
470		C	C	D	D	Sólido rosa pálido	154.4-157.2	342	340	
471		E	E	E	E	Líquido Gomoso		282		
472		A	A	A	A	Sólido amarillo	58-61	266	264	
473		C	A	C	A	sólido blanco esponjoso	123-124	253	251	
474		A	A	A	A	aceite claro			324	
475		B	A	B	A	Sólido blanco	170-171	349	351	

476		A	A	A	A	Sólido blanco	123-125	318	316	
477		D	B	D	D	Sólido blanco	189-191	389	387	
478		A	A	B	A			372	370	
479		B	B	A	A	Sólido amarillo	143-150	488		
480		D	D	D	D	Sólido amarillo pálido	150-154	324	322	
481		A	A	A	A	Sólido blanco	169-174 (dec)			
482		D	C	D	D	Sólido color crema	111-115	312	309	
483		A	A	A	A	Aceite amarillo claro		307		
484		A	A	A	A	Aceite amarillo		323		
485		D	D	D	D	Sólido blanco	206-207	357	355	
486		C	C	D	D	Sólido ivory	188-189	302	300	
487		D	A	D	A	Sólido blanco	153.8-157.1	268	266	
488		D	D	D	D	Sólido blanco	191-192	238	236	
489		A	A	D	B	Sólido blanco	122-123	182	180	
490		A	A	A	A			306	304	
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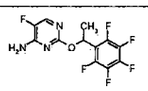
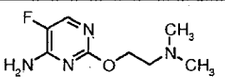
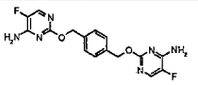
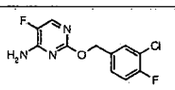
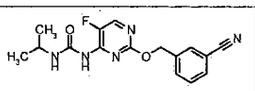
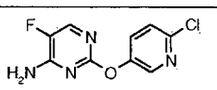
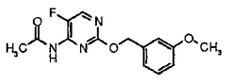
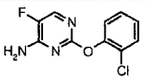
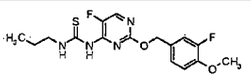
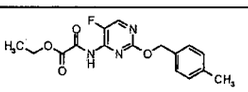
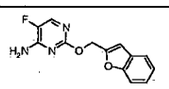
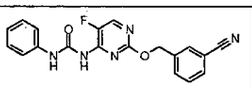
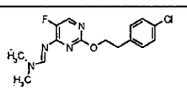
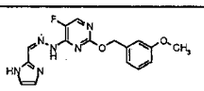
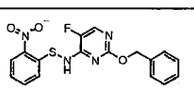
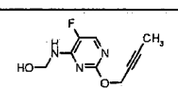
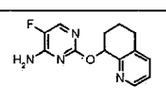
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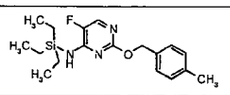
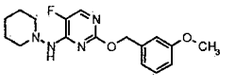
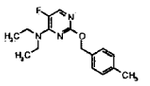
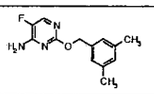
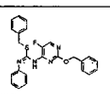
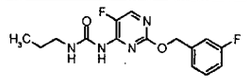
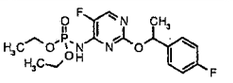
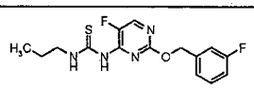
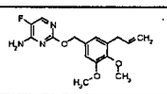
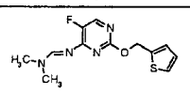
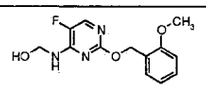
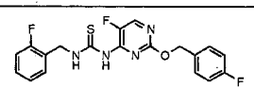
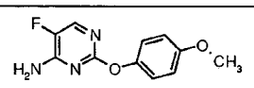
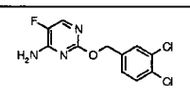
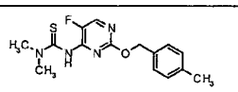
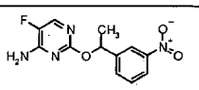
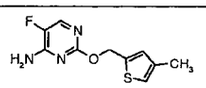
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494		A	A	A	A	Sólido color crema	77-78	293		
495		E	E	E	E	Sólido amarillo		350		
496		E	E	E	E	Sólido amarillo pálido		463		
497		D	C	B	A	Sólido blanco	123-124	352	350	
498		A	A	A	A	Sólido blanco	56-58	296	294	
499		E	E	E	E				292	
500		A	A	A	A	Sólido blanco	147-150	306	304	
501		A	A	A	A	Semi Sólido viscoso		316	314	
502		D	D	D	D	Sólido blanco	130-134		336	
503		A	A	A	A	Aceite claro		341	339	
504		D	D	D	B	Sólido color crema	165-166	412	410	
505		E	E	E	E	Liquido café		294		
506		D	D	C	A	Sólido blanco	95-97	346	344	
507		D	A	B	A	SÓLIDO GOMOSO AMARILLO		382		
508		A	A	A	A	Sólido marrón		226		
509		C	D	D	D	Sólido marrón	72-77	220	218	

510		B	A	B	A	Sólido blanco	150	262	260	
511		C	C	B	B	Aceite amariilo			271	
512		D	D	D	B	Sólido color crema	63-67	318		
513		A	A	A	A	Sólido pegajoso			264	
514		A	A	A	A	Aceite amariilo			288	
515		D	D	D	A	Sólido cafe	123-129	363	361	
516		A	A	A	A	Aceite amarillo pálido claro		303	301	
517		A	A	A	A	Sólido blanco	125-127	243		
518		A	A	A	A	Aceite marrón		335		
519		D	D	D	D	Sólido blanco	208-211	405	403	
520		E	E	E	E	Sólido amarillo pálido		308		
521		E	E	E	E	Sólido amarillo pálido		278		
522		D	D	D	C	Sólido Oxidado	188-189	246	244	
523		A	A	A	A	Sólido blanco	127-130	356.8 (Na+)		
524		D	B	D	B	Sólido blanco	100-101	264	262	
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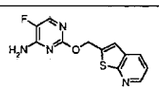
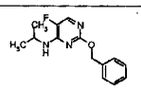
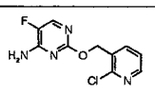
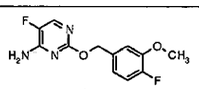
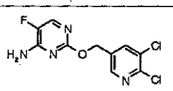
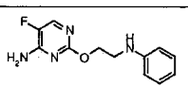
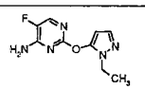
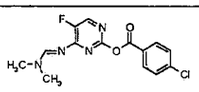
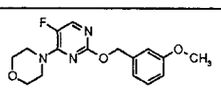
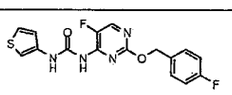
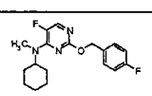
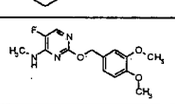
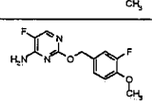
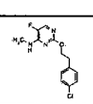
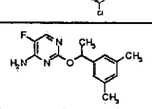
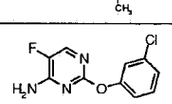
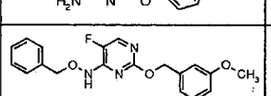
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528		D	B	A	A	Sólido marrón	121-124	327	325	
529		D	D	D	D	SÓLIDO COLOR CREMA	188-190			
530		B	A	A	A	aceite ambar pálido		321		
531		A	A	A	A	Sólido color crema		384	382	
532		D	C	C	B		157-162	343	341	
533		D	C	B	B	Sólido blanco	120-123	331	329	
534		D	C	D	C	Sólido blanco	147-148	335	333	
535		D	D	D	D	Sólido blanco	182-183	387	385	
536		C	C	D	D	Solido blanco	171-172	319	317	
537		A	A	A	A	Sólido color crema	112-113	292	290	
538		B	A	C	B	Sólido beige pálido	103-107	250	247	
539		D	D	A	A	Sólido blanco	142-144	373	371	
540		A	A	A	A	Sólido blanco	185	289	287	
541		A	A	A	A	Sólido blanco	99-100	347		
542		E	E	E	E	Sólido color crema		266		
543		A	A	A	A	Aceite incoloro			276	

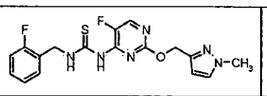
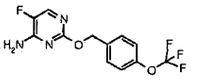
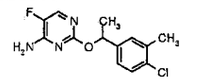
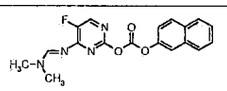
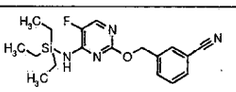
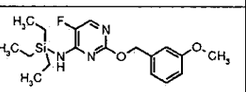
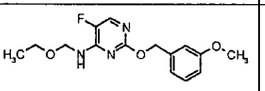
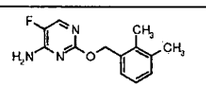
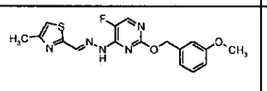
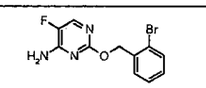
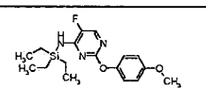
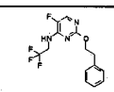
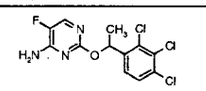
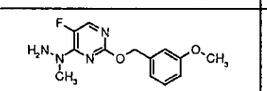
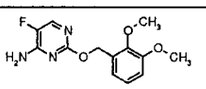
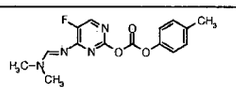
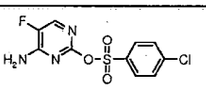
188

544		D	D	D	C	Sólido blanco	134-140		322	
545		D	B	D	C	Sólido blanco	134-135		199	
546		B	D	B	B	Sólido blanco	235-238		360	
547		A	A	A	A	Sólido blanco	130-132	273	271	
548		D	D	D	D	Sólido blanco	196-198	330	328	
549		D	D	C	D	Sólido blanco	187-188	241	239	
550		A	A	A	A	Sólido blanco	105-106	292	290	
551		E	E	D	C	Sólido blanco	155-156	240	238	
552		D	A	D	A	Sólido color crema	148-149	369	367	
553		A	A	A	A	Aceite claro		334	332	
554		C	A	C	A	Sólido blanco	123-124	260	258	
555		D	D	D	D	Sólido blanco	203-205 (dec)	364	362	
556		D	A	C	A	SÓLIDO BLANCO	100-103	323		
557		D	D	C	D	Sólido blanco	208-210	343	341	
558		C	C	A	A	Sólido amarillo	167-171	373	371	
559		A	A	B	A	SÓLIDO AMARILLO PÁLIDO		212		
560		D	A	D	C	Sólido amarillo		261	259	

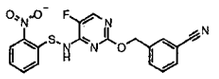
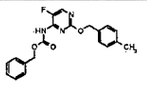
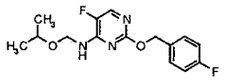
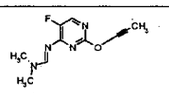
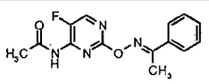
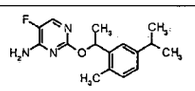
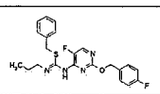
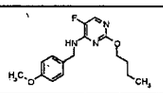
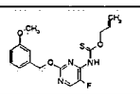
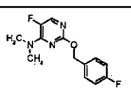
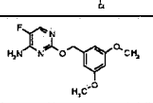
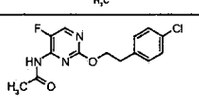
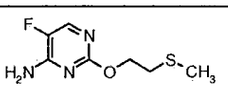
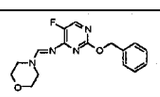
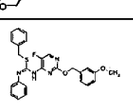
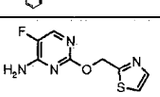
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563		E	E	E	E	Líquido incoloro		290		
564		C	A	B	A	Sólido blanco	109	248	246	
565		E	E	E	E	Sólido blanco		445		
566		D	D	D	D	Sólido blanco	158-159	323	321	
567		C	A	C	B	Aceite amarillo claro		388	386	
568		A	A	B	A	Sólido color crema	125-126	339	337	
569		B	A	A	A	Sólido blanco	90	320	318	
570		A	A	A	A	Sólido color crema	101-102	281		
571		A	A	A	A	Sólido blanco	132-133	280	278	
572		C	B	C	A	Sólido color crema	178-179	405	403	
573		D	D	C	B	Sólido blanco	201-202	236	234	
574		A	A	A	A	Sólido blanco	131	289	287	
575		E	E	E	E	Aceite amarillo		321		
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290

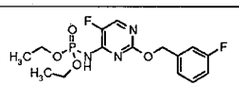
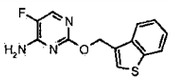
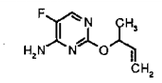
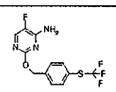
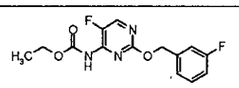
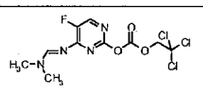
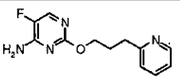
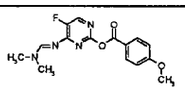
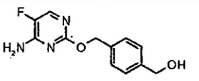
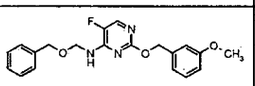
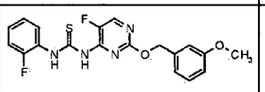
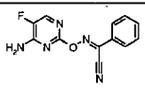
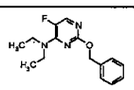
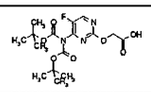
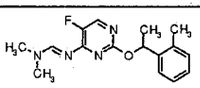
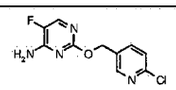
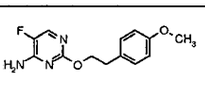
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579		E	E	E	E	Sólido amarillo pálido		262		
580		D	B	B	A	Sólido blanco	185-187	256		
581		A	A	A	A	Sólido blanco	120	268	266	
582		A	A	A	A	Sólido color crema	162	290	288	
583		A	A	D	A	Sólido blanco	108-109	249	247	
584		D	A	D	A	Sólido color crema	153-154	224	222	
585		A	A	A	A	Sólido blanco	125 (dec)	323		
586		D	D	D	D	Aceite incoloro		320		
587		C	A	D	D	Sólido blanco	200-201	363	361	
588		D	D	D	D	Sólido blanco	86.3-89.8	335		
589		D	D	D	D	Sólido blanco	>200	294	292	
590		A	A	A	A	Sólido blanco	154	268	266	
591		E	E	E	E	Sólido color crema		282		
592		A	A	A	A	Aceite amarillo			260	
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594		D	C	D	B	Sólido blanco	104.4-107	356	354	

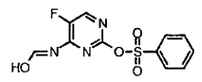
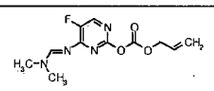
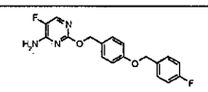
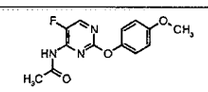
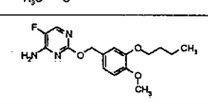
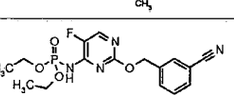
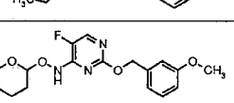
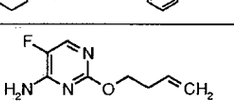
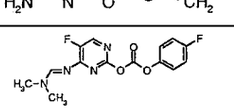
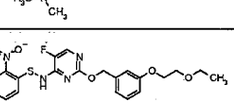
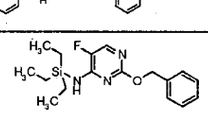
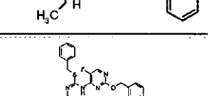
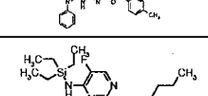
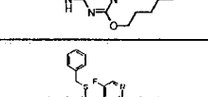
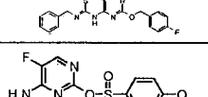
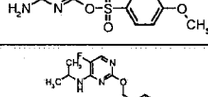
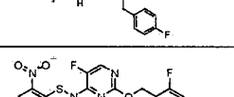
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597		A	A	A	A	Aceite claro			280	
598		A	A	B	A	Sólido blanco	156-160	356		
599		A	A	B	A	Aceite claro		359	357	
600		A	A	A	A	Aceite claro		365		
601		A	A	A	A	Sólido blanco	53-54	308	306	
602		D	D	D	B	Sólido blanco	144		247	
603		D	D	C	A	Sólido color crema	173-176	374	372	
604		A	A	A	A	Sólido color crema	106-108	#####	#####	
605		D	A	B	A	SÓLIDO BLANCO	64-67	350		
606		E	E	E	E			316		
607		D	C	D	D	Sólido blanco	112-113		334	
608		B	A	B	A	Aceite rojo oscuro		279	262	
609		A	A	A	A	Sólido blanco	112	280	278	
610		C	B	A	A	Sólido blanco	157-159	319		
611		A	A	A	A	Sólido blanco	143-145			

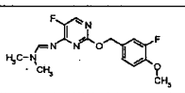
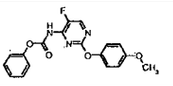
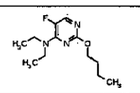
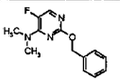
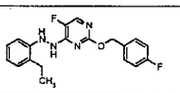
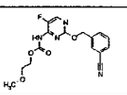
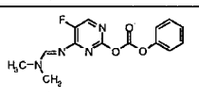
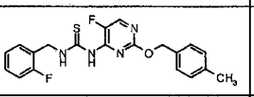
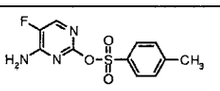
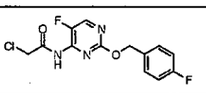
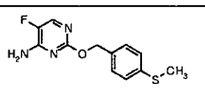
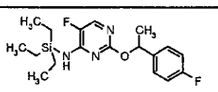
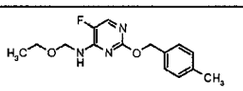
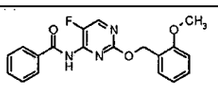
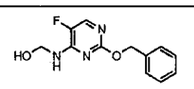
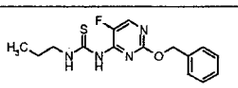
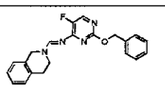
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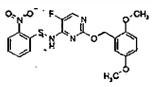
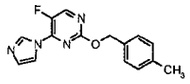
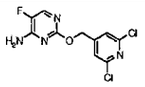
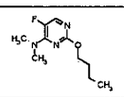
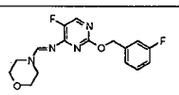
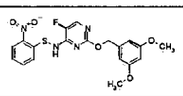
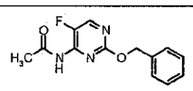
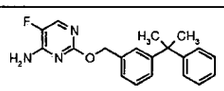
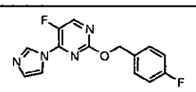
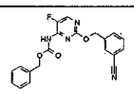
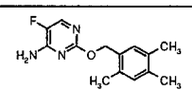
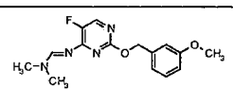
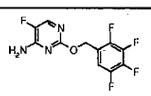
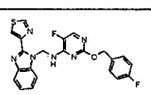
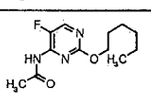
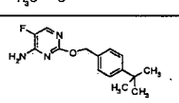
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615		A	A	C	A	SÓLIDO AMARILLO	58-60	237		
616		A	A	A	A	Sólido blanco	149-151		287	
617		A	A	A	A	Aceite claro			288	
618		E	E	E	E	Sólido color crema		429		
619		E	E	E	E	Líquido gomoso		306		
620		E	E	E	E	Sólido amarillo pálido		350		
621		E	E	E	E	Sólido color crema		266		
622		E	E	E	E	Líquido amarillo pálido		296		
623		B	A	A	A	Sólido blanco	112	280	278	
624		B	A	B	A	SÓLIDO CAFÉ CLARO	128-130	310		
625		D	C	D	C	Sólido blanco	114-115		202	
626		A	A	A	A	Sólido blanco	130-131	317		
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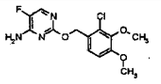
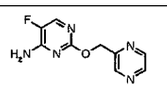
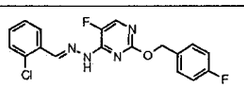
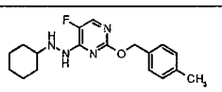
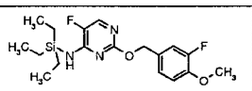
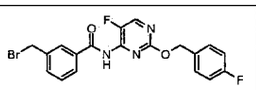
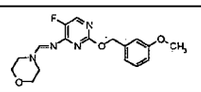
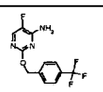
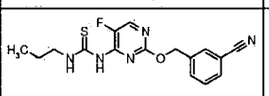
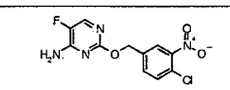
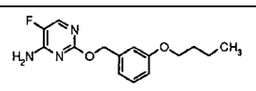
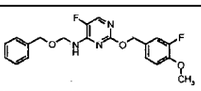
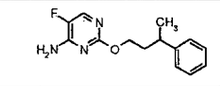
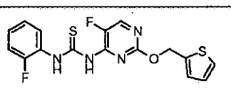
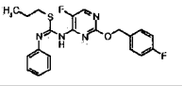
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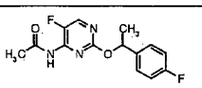
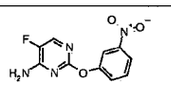
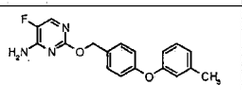
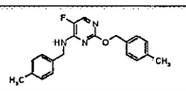
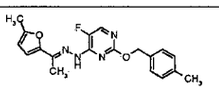
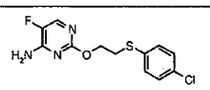
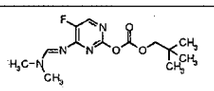
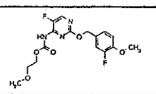
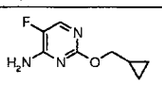
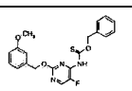
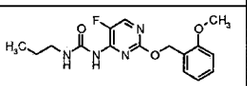
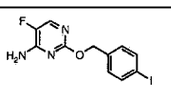
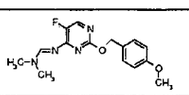
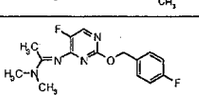
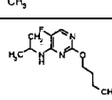
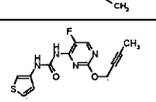
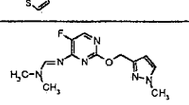
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632		A	A	A	A	Sólido blanco	128-131	320	318	
633		A	A	A	A	Sólido blanco			308	
634		A	A	A	A	Sólido blanco	130-133	359		
635		D	A	C	A	Sólido blanco	117-118		247	
636		A	A	A	A	Sólido blanco	132-135	319		
637		A	A	A	A	Sólido blanco	158-160		249	
638		D	B	B	A	Sólido blanco	77-78	370	368	
639		B	A	A	A	Sólido blanco	136-137	403	401	
640		A	A	A	A	Sólido amarillo blanco	218-220	258		
641		E	E	E	E	Líquido amarillo pálido		276		
642		E	E	E	E	espuma blanca	61-65	410 (M+Na)		
643		A	A	A	A	Sólido blanco pastel				
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645		D	B	C	A	Sólido blanco	117-118	264	262	

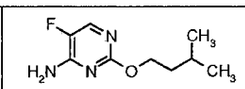
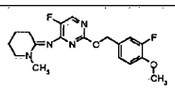
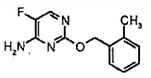
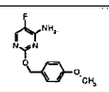
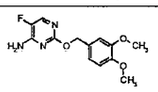
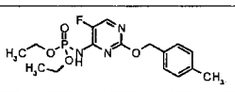
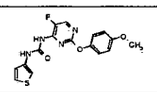
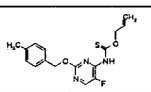
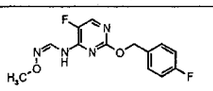
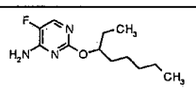
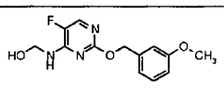
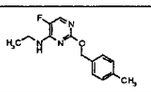
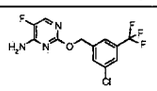
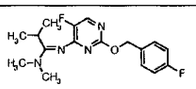
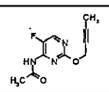
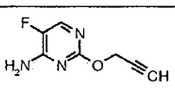
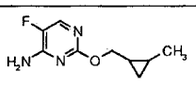
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647		A	A	A	A	Sólido blanco	89-90	290.8 (Na+)		
648		A	A	A	A	Sólido blanco	132	344	342	
649		D	A	D	C	SÓLIDO AMARILLO CLARO	130-132	278		
650		A	A	A	A	Sólido amarillo	108	322	320	
651		D	B	D	D	Sólido blanco		381	379	
652		D	A	D	B	Aceite amarillo		350	348	
653		C	A	D	D	Sólido blanco	58-59	184		
654		A	A	A	A	Sólido blanco	118-121	324		
655		B	C	B	A	Sólido amarillo	144-146	461	459	
656		A	A	A	A	Aceite claro		334		
657		E	E	E	E	Sólido color crema		459		
658		D	B	D	B	SÓLIDO GOMOSO AMARILLO				
659		E	E	E	E	Sólido amarillo pálido		477		
660		C	D	A	A	Sólido blanco	160-161			
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662		C	C	D	A	Sólido amarillo	176	409	407	

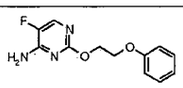
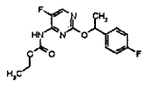
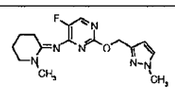
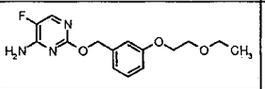
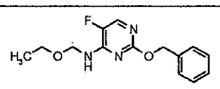
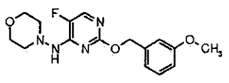
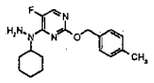
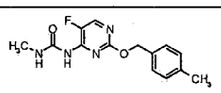
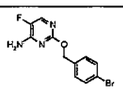
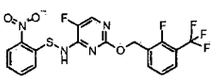
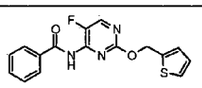
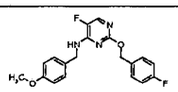
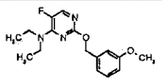
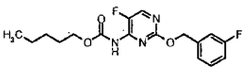
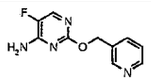
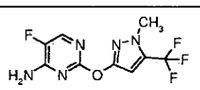
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664		D	D	D	C	SÓLIDO COLOR CREMA	125-127	356		
665		E	E	E	E	Líquido incoloro		242		
666		E	E	E	E	Sólido amarillo		248		
667		D	A	D	A	Sólido café	108-111	357	355	
668		A	A	C	A			347	345	
669		A	A	A	A	Sólido blanco	135-136	305		
670		B	A	B	A	Sólido color crema	188-190	401	399	
671		A	A	A	A	Sólido blanco	153-154			
672		A	A	A	A	Sólido color crema	127-129	314		
673		A	A	A	A	Sólido color crema	94-95	266	264	
674		A	A	A	A	Aceite claro		366	364	
675		A	A	A	A	sólido de cera oxidado claro		292	290	
676		D	D	C	A	Aceite amarillo		354	352	
677		A	A	A	A	Sólido blanco	113-114	250	248	
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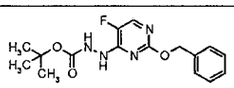
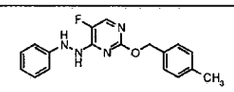
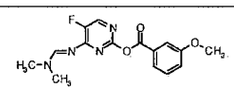
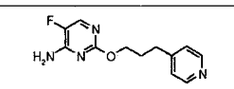
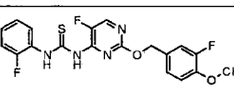
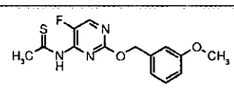
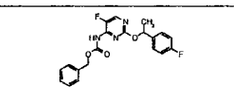
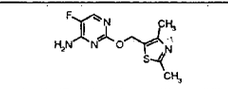
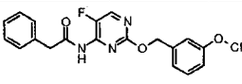
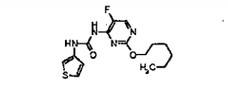
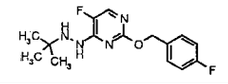
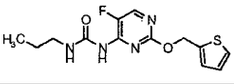
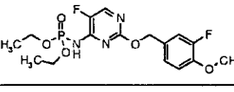
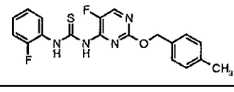
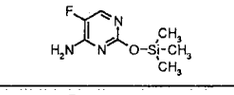
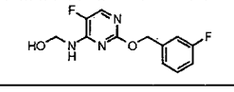
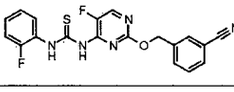
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681		A	A	A	A	Sólido blanco	86-88	285		
682		A	A	A	A	Sólido color crema	153-155	290		
683		E	E	E	E	Líquido incoloro		236 [M+Na]		
684		A	A	A	A	Sólido blanco	94-95	335		
685		D	D	D	A	Sólido amarillo	175-183	433	431	
686		E	E	E	E	Sólido blanco	160-161	262	260	
687		D	D	C	A	Sólido amarillo	122	338	336	
688		A	A	A	A	Sólido blanco	93-95	289		
689		A	A	A	A	Sólido blanco	126-128	254	252	
690		A	A	B	A			379	377	
691		B	A	B	A	Sólido amarillo	162	262	260	
692		A	A	A	A	Aceite amarillo claro		305		
693		A	A	A	A	Sólido blanco	127-130	292	290	
694		D	C	D	C	Sólido blanco	181-183	451	449	
695		D	C	D	A	SOLIDO BLANCO	100-102	256		
696		B	A	A	A	Sólido color crema	98-102	276	274	

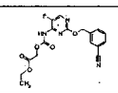
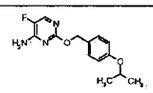
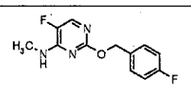
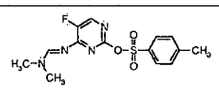
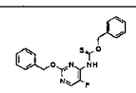
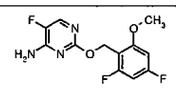
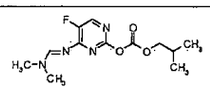
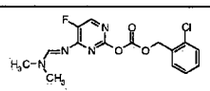
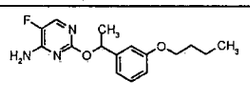
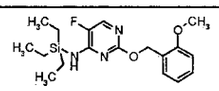
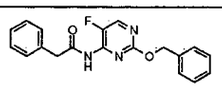
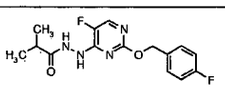
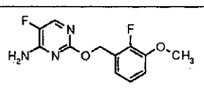
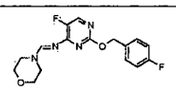
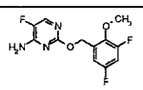
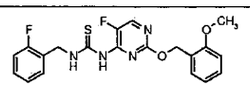
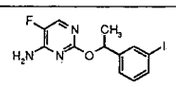
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699		B	A	A	A	sólido oxidado		222		
700		C	A	D	B	Sólido marrón	185-187	375	373	
701		D	A	D	A	Sólido amarillo pálido	138-142	332	329	
702		A	A	A	A	Aceite claro		382	380	
703		D	D	D	C	Sólido blanco	116-117	435	433	
704		A	A	A	A	Sólido blanco	76-77	347		
705		B	A	A	A	Sólido blanco	117-119	288	286	
706		D	B	D	A	sólido amarillo claro	163-165	346	344	
707		A	A	A	A	Sólido naranja	156	299	297	
708		A	A	A	A	Sólido blanco	89-90	292	290	
709		D	B	D	A	Aceite incoloro claro		388	386	
710		B	A	B	A	Aceite incoloro		262	260	
711		C	A	B	A	Sólido blanco	138-139	379	377	
712		E	E	E	E	Sólido color crema		415		
713		B	A	A	A	Sólido café	95-98	238		

714		A	A	A	A	Aceite amarillo		294	292	
715		D	D	C	B	Sólido blanco	198-201	251	249	
716		A	A	A	A	Aceite amarillo	93	326	324	
717		A	A	B	A			338		
718		C	C	C	A	Sólido amarillo palido	135-139	356	353	
719		E	E	D	B	Sólido blanco	103-104	300	298	
720		A	A	A	A	Sólido blanco	115	299		
721		E	E	E	E			370	368	
722		D	C	D	D	sólido amarillo claro	58-59	184	182	
723		E	E	E	E	Sólido amarillo pálido		400		
724		D	D	D	C	Sólido blanco	170-171	335	333	
725		A	A	A	A	Sólido amarillo	146-147	346	344	
726		A	A	A	A	Sólido blanco	109-110	305		
727		A	A	A	A	Aceite amarillo claro		307	305	
728		E	E	E	E	Líquido café		228		
729		B	B	B	C	sólido amarillo claro	178-180	307		
730		A	A	A	A	Aceite amarillo claro		279		

731		D	D	D	D	Sólido blanco	84-85	200	198	
732		B	A	A	A	aceite ambar pálido		362		
733		A	A	A	A	Sólido blanco	96-98	234	232	
734		A	A	A	A	Sólido blanco	110-111	250	248	
735		A	A	A	A	Sólido blanco			278	
736		D	D	D	D	Sólido blanco		370	368	
737		D	D	D	D	SÓLIDO AMARILLO		361		
738		E	E	E	E	Sólido amarillo pálido		334		
739		A	A	A	A	Sólido blanco	87-88	295	293	
740		E	E	D	D	Aceite amarillo		242	240	
741		A	A	C	A	Aceite incoloro claro		280	278	
742		E	E	E	E	Sólido amarillo pálido		284 [M+Na]		
743		A	A	A	A	Sólido amarillo	93		320	
744		A	A	A	A	Aceite marrón		335		
745		A	A	A	A	SÓLIDO BLANCO	158-160	224		
746		D	A	D	D	Sólido naranja	106-108	168	166	
747		B	A	D	A	Aceite marrón		--	196	

748		E	E	D	D	Sólido blanco	112-113	250	248	
749		A	A	A	A			324	322	
750		C	B	C	A			328		
751		C	A	B	A	aceite ambar pálido		319		
752		A	A	A	A	Aceite incoloro		308	306	
753		A	A	A	A	Aceite amarillo pálido claro		278	276	
754		D	C	D	D	Aceite incoloro		336	333	
755		D	D	C	C	Aceite amarillo pálido		331		
756		C	D	D	D	Sólido blanco	199-200	348	346	
757		A	A	A	A	agujas amarillo claro	127-129	299	297	
758		C	B	C	A	Sólido amarillo	163-170	459	457	
759		C	A	B	A	Aceite amarillo		330	328	
760		E	E	E	E	Sólido color crema			356	
761		E	E	E	E	Líquido café		306		
762		A	A	A	A	Sólido blanco	61-62	352	350	
763		A	A	A	A	Sólido color crema		221		
764		D	D	D	D	Sólido blanco	145-146	278	276	

765		D	D	D	C	Sólido blanco	44-49	335	333	
766		D	C	D	B	Sólido café oscuro	132-140	325	323	
767		A	A	A	A	Sólido blanco	110 (dec)	319		
768		D	C	D	C	Sólido blanco	183-184	249	247	
769		D	A	B	A	Sólido color crema	150-152	421	419	
770		A	A	A	A				306	
771		A	A	A	A			386	384	
772		A	A	A	A	Aceite amarillo	180-181	255		
773		D	D	C	A	Sólido blanco	132-134	368	366	
774		D	D	D	D	SÓLIDO AMARILLO CLARO		339		
775		D	D	D	D	Sólido blanco	77-80	310	307	
776		D	D	D	D	Sólido blanco	157-158	311	309	
777		D	C	D	D	Sólido blanco		404	402	
778		A	A	A	A	Sólido blanco	146-147	387	385	
779		A	A	A	A	Sólido blanco	118-120			201
780		A	A	A	A	Sólido blanco	107-108	268	266	
781		D	C	D	B	Sólido amarillo claro	160-162	398	396	

782		C	A	D	C			375	373	
783		A	A	A	A	Sólido color crema	51-54	278	276	
784		D	D	D	D	Sólido blanco	112-115		250	
785		A	A	A	A	Sólido blanco	163-164	340		
786		E	E	E	E	SemiSólido amarillo pálido		370		
787		A	A	A	A	Sólido blanco	171	286	284	
788		A	A	A	A	Sólido blanco	59-60	285		
789		A	A	A	A	Sólido blanco	134-141	353		
790		A	A	A	A	Aceite claro			304	
791		E	E	E	E	Aceite claro		365		
792		C	B	A	A	Sólido blanco	123-125	338	336	
793		D	D	D	D	Sólido color crema	158-166	323	321	
794		A	A	A	A	Sólido blanco	108		266	
795		A	A	A	A	Sólido blanco	124-125	335		
796		A	A	A	A	Sólido blanco	149	286	284	
797		C	A	B	A	Sólido color crema	167-168	417	415	
798		A	A	A	A	Aceite claro			358	

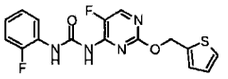
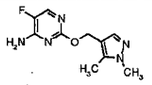
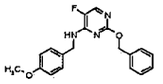
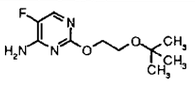
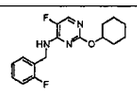
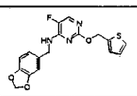
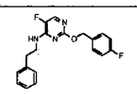
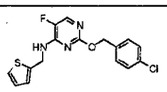
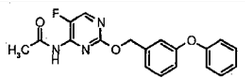
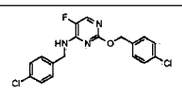
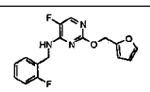
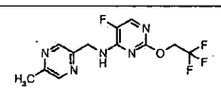
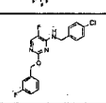
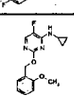
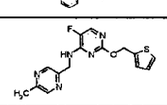
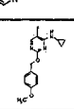
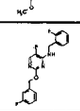
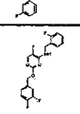
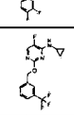
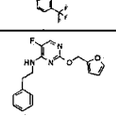
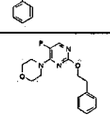
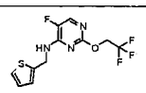
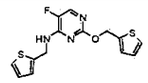
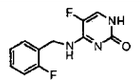
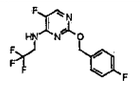
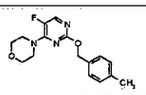
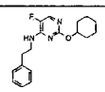
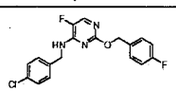
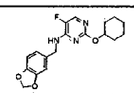
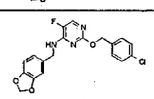
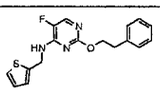
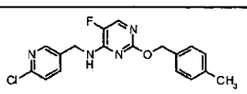
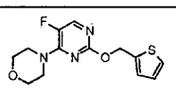
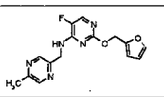
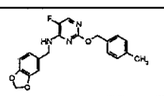
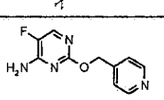
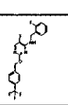
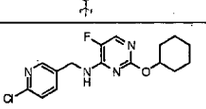
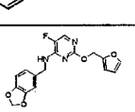
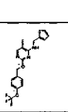
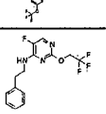
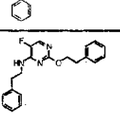
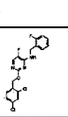
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800		A	A	A	A	Sólido marrón		238		
801		E	E	E	E	Sólido color crema			338	
802		D	D	D	D	Sólido blanco	98-99	230	228	

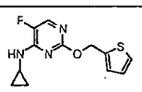
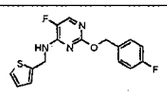
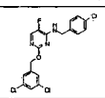
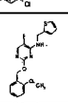
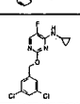
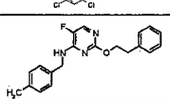
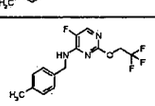
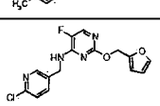
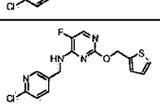
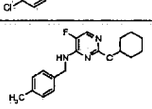
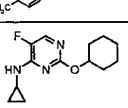
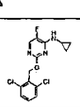
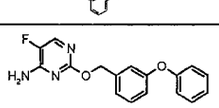
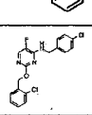
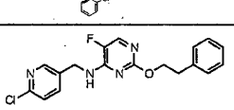
TABLA II: Compuestos de Actividad 1 DP en SEPTTR en 50 y 200 ppm

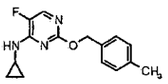
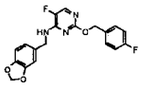
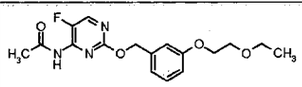
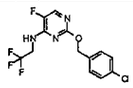
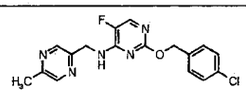
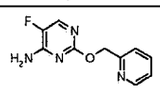
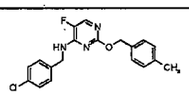
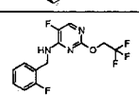
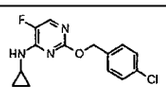
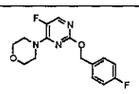
Cmpd	Estructura	SEPTTR 1DP 50 ppm	SEPTTR 1DP 200 ppm	Phys. App.	MP	Mass Spec. (ES+)	Mass Spec. (ES-)	GCMS
803		D	B			320		
804		C	B			360		
805		B	A			342		
806		E	A			384		
807		E	A			294		
808		A	A			350		
809		B	A			346		
810		E	A			350		
811		A	A			412		
812		E	A			290		

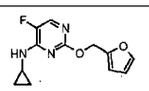
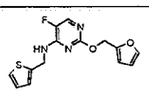
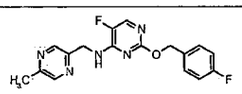
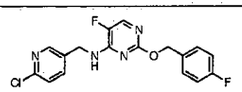
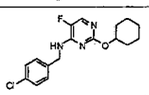
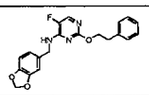
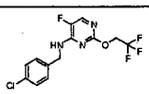
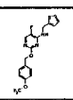
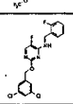
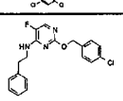
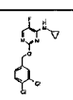
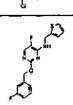
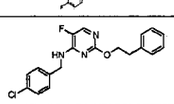
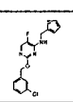
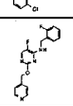
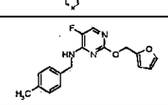
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817		C	A			318		
818		D	D			317		
819		E	A	Sólido color crema		328		
820		C	A			362		
821		E	A			290		
822		C	A			332		
823		E	A			290		
824		A	A			346		
825		B	A			364		
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827		D	C			314		
828		D	D			304		
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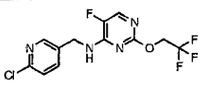
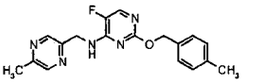
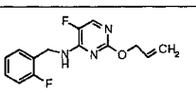
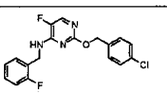
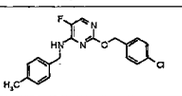
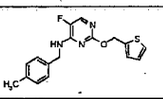
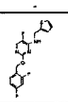
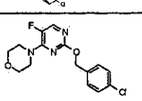
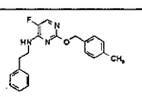
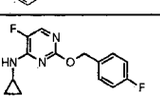
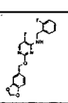
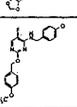
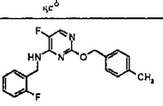
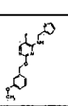
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832		D	D	Sólido blanco	167-169	238	236	
833		C	B				318	
834		E	A			296		
835		E	A			384		
836		B	A			304		
837		D	D			316		
838		E	A			384		
839		E	A			360		
840		B	A			362		
841		B	A			346		
842		A	A			388		
843		C	A			330		
844		A	A				357	
845		A	A			296		
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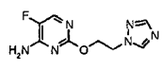
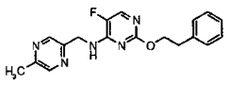
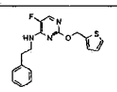
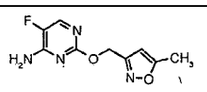
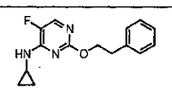
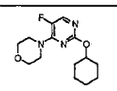
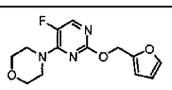
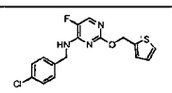
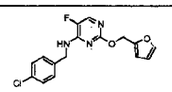
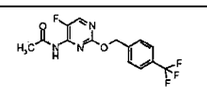
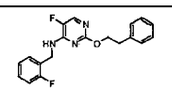
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848		D	D	Sólido blanco		212	210	
849		A	A			316		
850		D	B	Sólido blanco-amarillo	189-191	144		
851		A	A			380		
852		B	A			278		
853		D	D	Sólido blanco	112-114	158		
854		B	A			368		
855		E	A			344		
856		E	A	Sólido color crema		221		
857		B	A			397		
858		D	C				335	
859		A	A			344		
860		E	A			400		
861		D	C			316		
862		B	A			338		
863		A	A			398		

864		D	C	Sólido blanco	115-117	212	210	
865		C	A			358		
866		D	A			266		
867		A	A			334		
868		B	A			414		
869		E	A			346		
870		E	A			330		
871		D	C			338		
872		C	B			316		
873		D	D			335		
874		D	D			351		
875		D	A			316		
876		D	D			249		
877		E	A			330		
878		A	A	Sólido gomoso amarillo		312	310	
879		A	A			380		
880		D	C			359		

881		A	A			274		
882		A	A			372		
883		A	A			398		
884		A	A	Aceite naranja		350	348	
885		C	B				334	
886		A	A			360		
887		E	A			294		
888		D	B			329		
889		A	A			397		
890		E	A			352		
891		A	A	Sólido amarillo		221		
892		B	A			358		
893		A	A			362		
894		D	D			320		
895		A	A			294		
896		E	A			384		
897		D	B			308		

898		D	D			250		
899		C	A			306		
900		B	A			344		
901		B	A			363		
902		E	A			317		
903		D	A			336		
904		D	C			368		
905		C	B			336		
906		E	A			346		
907		B	A			398		
908		A	A			358		
909		E	A			328		
910		E	A			334		
911		D	D			358		
912		E	A			350		
913		A	A			329		
914		D	A			314		

915		D	D			337		
916		A	A			340		
917		D	B	Sólido amarillo-blanco	54-56	278	276	
918		A	A			362		
919		E	A			304		
920		A	A			359		
921		A	A			364		
922		B	C			330		
923		E	A			352		
924		A	A			362		
925		D	D			324		
926		A	A			338		
927		B	A			278		
928		A	A			372		
929		B	A			374		
930		D	A			342		
931		E	A			346		

932		A	A	Sólido blanco	49-51	170	168	
933		C	B	Sólido blanco	145-149		223	
934		D	C			340		
935		C	A			345		
936		A	A	Sólido blanco	88-91	234	232	
937		A	A			330		
938		E	A			296		
939		D	A	Sólido color crema		225		
940		D	D			274		
941		D	D			282		
942		A	A			414		
943		B	A			398		
944		D	D			280		
945		A	A			350		
946		B	A			334		
947		A	A	Sólido blanco	144-146	330	328	
948		D	D			342		

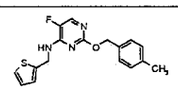
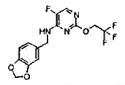
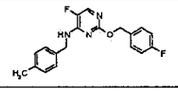
949		B	A			330		
950		D	D			346		
951		B	A			342		
952		E	C			261		
953		C	A			412		

TABLA III. Compuestos de la Actividad 1 DP en CERCBE, VENTIN, y MYCOFI

Cmpd #	CERCBE 1DP 25 ppm	CERCBE 1DP 75 ppm	VENTIN 1DP 25 ppm	VENTIN 1DP 75 ppm	MYCOFI 1DP 50 ppm	MYCOFI 1DP 200 ppm
1	C	C	A	A	C	B
3	E	E	D	A	E	E
4	A	A	A	A	E	E
5	E	E	A	A	E	E
7	B	B	A	A	E	E
9	D	D	A	C	E	E
10	D	D	B	A	E	E
11	D	D	A	A	E	E
14	D	D	A	A	E	E
15	E	E	A	A	E	E
20	E	E	B	A	E	E
23	D	B	B	A	E	E
24	D	D	C	A	E	E
35	E	E	A	A	E	E
36	B	B	B	A	E	E
46	E	E	A	A	E	E

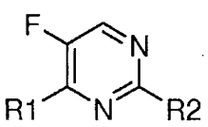
61	D	D	A	B	E	E
68	B	B	B	A	E	E
69	D	C	B	A	E	E
79	D	C	C	C	E	E
121	D	D	A	C	E	E
122	C	C	A	A	E	E
125	C	C	C	A	E	E
135	C	C	B	B	E	E
137	D	D	D	A	E	E
141	D	D	D	C	E	E
155	D	D	C	D	E	E
158	D	D	B	B	E	E
163	D	D	D	C	E	E
164	D	D	C	B	E	E
169	D	D	B	C	E	E
180	D	C	C	D	E	E
187	D	C	B	C	E	E
189	C	D	B	B	E	E
190	C	C	C	C	E	E
207	D	D	D	C	E	E
209	E	E	B	A	E	E
211	D	D	C	B	E	E
214	B	B	A	A	E	E
221	C	B	D	C	E	E
226	D	C	C	A	E	E
233	D	D	D	B	E	E
236	E	E	A	A	E	E
248	D	D	D	B	E	E
251	D	B	A	A	E	E
260	A	B	A	A	E	E
270	C	C	D	C	E	E

271	C	C	C	B	E	E
288	D	C	B	C	E	E
311	C	C	C	C	E	E
313	E	E	C	B	E	E
316	B	A	A	A	E	E
335	C	A	A	A	E	E
337	B	A	B	A	E	E
341	D	D	C	D	E	E
342	C	A	A	A	E	E
343	A	A	A	B	E	E
345	E	E	B	A	E	E
347	E	E	A	C	E	E
352	D	D	D	C	E	E
353	C	C	C	A	E	E
356	B	D	B	C	E	E
370	C	C	C	C	E	E
373	D	D	D	C	E	E
384	D	D	B	D	E	E
410	C	C	C	B	E	E
411	C	C	B	B	E	E
418	D	D	B	B	E	E
436	B	C	A	A	E	E
452	D	D	D	C	E	E
469	E	E	B	A	E	E
481	C	A	A	A	E	E
483	D	D	C	A	E	E
488	D	D	C	C	E	E
510	E	E	A	A	E	E
524	D	D	B	B	E	E
538	D	D	C	B	E	E
554	D	B	A	A	E	E

560	D	C	D	A	E	E
569	C	A	A	A	E	E
570	D	C	C	A	E	E
582	B	B	A	A	E	E
584	C	C	C	C	E	E
593	C	B	A	A	E	E
626	D	D	C	A	E	E
630	D	D	B	A	E	E
631	D	D	D	C	E	E
635	C	C	C	A	E	E
649	D	D	C	C	E	E
651	C	C	D	D	E	E
687	D	D	C	B	E	E
694	C	C	C	B	E	E
707	B	C	B	B	E	E
710	D	D	C	C	E	E
719	D	C	B	B	E	E
720	D	B	B	A	E	E
730	C	C	B	B	E	E
732	C	A	C	A	E	E
734	E	E	A	A	E	E
739	D	D	C	B	E	E
741	D	D	B	A	E	E
764	C	D	C	C	E	E
800	A	A	A	A	E	E
804	D	D	D	D	E	E
845	D	D	C	C	E	E
849	C	C	A	B	E	E
858	C	C	C	D	E	E
860	D	C	C	B	E	E
894	D	D	D	C	E	E

REIVINDICACIONES

1. Un compuesto de la fórmula I



I

en donde R^1 es $-N(R^3)R^4$;

R^2 es $-OR^{21}$;

R^3 es:

H;

C_1-C_6 alquilo opcionalmente sustituido con 1 a 3 R^5;

C_2-C_6 alquenilo opcionalmente sustituido con 1 a 3 R^5;

un anillo heteroaromático de 5 o 6 miembros seleccionado del grupo que consiste en furanilo, piridinilo, piridinil-N-óxido, pirimidinilo, piridazinilo, pirazinilo, tiazolilo, triazinilo, tiadiazolilo, oxazolilo, isoxazolilo, triazolilo, siendo cada anillo heteroaromático opcionalmente sustituido con 1 a 3 R^{30};

imidazol fusionado con un anillo aromático o heteroaromático seleccionado del grupo que consiste en benceno, oxazol, isoxazol, furan, tiazol, pirimidina, piridina, pirrol, pirazina, tiofeno, siendo cada anillo aromático o heteroaromático opcionalmente sustituido con 1 a 3 R^{30};

benzo[1,3]dioxolilo;

3H-isobenzofuran-1-onilo;

ciano;

C3-C6 alquinilo opcionalmente sustituido con 1 a 3 R5;

-C(=O)R6;

-C(=O)OCH2C(=O)R8;

-C(=S)R6;

-C(=S)NHR8;

-C(=O)N(R8)R10;

-OR7;

-P(O)(OR15)2;

$-S(O)_2R^8$;

$-SR^8$;

$-Si(R^8)_3$;

$-N(R^9)R^{10}$;

$-N=C(R^{15})R^{16}$;

$-(CHR^{22})_mR^{37}$;

$-(CHR^{24})OR^{29}$; o

$-C(=NR^{16})SR^{16}$;

en donde m es un entero de 1-3;

R^4 es:

H;

C_1-C_6 alquilo, opcionalmente sustituido con 1 a 3 R^5;

$-C(=O)R^6$; o

$-C(=O)N(R^8)R^{10}$;

como alternativa R^3 y R^4 se pueden tomar juntos para formar:

un anillo saturado o insaturado de 5 ó 6 miembros que contiene 1 a 3 heteroátomos en donde cada anillo puede ser opcionalmente sustituido con 1 a 3 R^{11};

$=C(R^{12})N(R^{13})R^{14}$;

$=C(R^{13})(R^{14})$;

$=C(R^{15})OR^{15}$;

$=S(R^{34})_2$; o

$=NR^{35}$;

R^5 es independientemente halógeno, C_1-C_6 alquilo, C_1-C_4 haloalquilo, C_1-C_4 alcoxi, C_1-C_4 haloalcoxi, C_1-C_4 alquiltio, C_1-C_4 haloalquiltio, amino, C_1-C_3 alquilamino, C_2-C_6 alcoxicarbonilo, C_2-C_6 alquilcarbonilo, C_2-C_6 alquilaminocarbonilo, -OH, N-metil piperazina o C_3-C_6 trialquilsililo;

R^6 es independientemente H, C_1-C_6 alquilo, C_1-C_5 haloalquilo, C_1-C_5 alcoxi, C_1-C_5 haloalcoxi, C_2-C_6 alcoxicarbonilo, C_1-C_4 alcoxialcoxi, C_2-C_6 alquilaminocarbonilo; 1-benzo[1,2,3]tiadiazol-7-ilo, tiazolilo, bencilo, fenilo, fenoxi, o benciloxi en donde el tiazolilo, bencilo, fenilo, fenoxi, o benciloxi puede ser opcionalmente sustituido con 1 a 3 R^{20}, o un anillo saturado o insaturado de 5 ó 6 miembros que contiene 1 a 3 heteroátomos, en donde cada anillo puede ser opcionalmente sustituido con 1 a 3 R^{11};

R^7 es H, C_1-C_6 alquilo, C_2-C_6 alquenilo, C_1-C_5 haloalquilo, bencilo, el cual puede ser opcionalmente sustituido con 1 a 5 R^{20}, $CHR^{18}C(O)OR^{19}$, o un anillo saturado o insaturado de 5 ó 6 miembros que contiene 1 a 3 heteroátomos, en donde cada anillo puede ser opcionalmente sustituido con 1 a 3 R^{11};

R^8 es independientemente C_1-C_6 alquilo, C_1-C_6 haloalquilo, amino, C_1-C_6 alquilamino, C_2-C_6 dialquilamino, fenilo opcionalmente sustituido con 1 a 3 R^{30}, o un anillo saturado o insaturado de 5 ó 6 miembros que contiene 1 a 3 heteroátomos en donde cada anillo puede ser opcionalmente sustituido con 1 a 3 R^{11};

R^9 es H, C_1-C_6 alquil C_1-C_6 haloalquilo, -C(=O)R^{17}, o fenilo opcionalmente sustituido con 1 a 3 R^{20},

R^{10} es H o C_1-C_6 alquilo, C_1-C_6 haloalquilo, o fenilo opcionalmente sustituido con 1 a 3 R^{20};

R^{11} es independientemente halógeno, C_1-C_6 alquilo, C_1-C_6 haloalquilo, C_1-C_6 alcoxi, C_1-C_6 haloalcoxi, C_1-C_6 alquiltio, C_1-C_6 haloalquiltio, amino, C_1-C_6 alquilamino, C_2-C_6 dialquilamino, C_2-C_6 alcoxicarbonilo, o C_2-C_6 alquilcarbonilo;

R^{12} es H o C_1-C_4 alquilo;

R^{13} y R^{14} son independientemente H, ciano, -OH, C_1-C_4 alquilo, C_1-C_6 alcoxi, C_2-C_6, alquilcarbonilo, fenilo, o bencilo en donde el fenilo o bencilo pueden ser opcionalmente sustituido con 1 a 3 R^{20};

como alternativa R^{13} y R^{14} se pueden tomar juntos para formar:

un anillo saturado o insaturado de 5 ó 6 miembros que contiene 1 a 3 heteroátomos, en donde cada anillo puede ser opcionalmente sustituido con 1 a 3 R^{11}, o 3,4-dihidro-1H-isoquinolin-2-ilo;

como alternativa R^{12} y R^{13} se pueden tomar juntos para formar:

un anillo saturado o insaturado de 5 ó 6 miembros que contiene 1 a 3 heteroátomos en donde cada anillo puede ser opcionalmente sustituido con 1 a 3 R^{11};

R^{15} es H o C_1-C_6 alquilo;

R^{16} es H, C_1-C_6 alquilo, o fenilo opcionalmente sustituido con 1 a 3 R^{20};

como alternativa R^{15} y R^{16} pueden tomarse juntos como -$(CH_2)_4$- o -$(CH_2)_5$-;

R^{17} es H, C_1-C_6 alquilo, C_1-C_6 haloalquilo, C_1-C_6 alcoxi, fenilo, fenoxi, o benciloxi en donde cada anillo puede ser opcionalmente sustituido con 1 a 3 R^{20};

R^{18} es H, C_1-C_6 alquilo, o C_1-C_6 haloalquilo;

R^{19} es H, C_1-C_6 alquilo, C_1-C_6 haloalquilo, o bencilo;

R^{20} es independientemente halógeno, ciano, nitro, amino, C_1-C_6 alcoxialcoxi, C_1-C_6 alquilo, C_1-C_6 haloalquilo, C_1-C_6 hidroxialquilo, C_2-C_6 alcoxialquilo, C_2-C_6 haloalcoxialquilo, C_2-C_6 alquenilo, C_2-C_6 haloalquenilo, C_3-C_6 alquinilo, C_3-C_6 haloalquinilo, hidroxilo, C_1-C_6 alcoxi, C_1-C_6 haloalcoxi, C_2-C_6 alqueniloxi, C_2-C_6 haloalqueniloxi, C_3-C_6 alquiniloxi, C_3-C_6 haloalquiniloxi, C_1-C_6 alquiltio, C_1-C_6 haloalquiltio, C_1-C_6 alquilsulfonilo, C_1-C_6 haloalquilsulfonilo, C_2-C_6 alqueniltio, C_2-C_6 haloalqueniltio, C_2-C_6 haloalquenilsulfonilo, C_3-C_6 alquiniltio, C_3-C_6 alquinilsulfonilo, C_3-C_6 haloalquinilsulfonilo, C_1-C_6 alquilamino, C_2-C_8 dialquilamino, C_3-C_8

dialquilaminocarbonilo, C_2-C_6 alcoxicarbonilo, C_2-C_6 alquilcarbonilo, C_3-C_6 trialquilsililo, 2-[(E)-metoxiimino]-N-metil-acetamidilo, fenilo, bencilo, benciloxi, fenoxi, o un anillo heteroaromático de 5 ó 6 miembros en donde cada fenilo, bencilo, benciloxi, fenoxi, o un anillo heteroaromático de 5 ó 6 miembros puede ser opcionalmente sustituido con 1 a 3 sustituyentes seleccionados independientemente de R^{31};

R^{21} es:

H;

C_1-C_{14} alquilo;

C_1-C_6 haloalquilo;

C_2-C_4 alquenilo;

C_2-C_4 haloalquenilo;

C_3-C_4 alquinilo;

C_3-C_4 haloalquinilo;

fenilo, naftilo, o tetrahidroquinolinilo cada uno opcionalmente sustituido con 1 a 3 R^{20};

$-(CHR^{22})_mR^{23}$;

$-(CHR^{24})_mC(O)OR^{25}$;

$-(CHR^{24})_mC(O)R^{26}$;

$-(CHR^{24})_mC(O)N(R^{27})R^{28}$;

$-(CHR^{24})_mOR^{29}$;

$-(CHR^{24})_mSR^{29}$

$-(CHR^{24})_mN(R^{27})R^{28}$;

$-C(=O)R^{32}$;

$-N=C(R^{32})(R^{36})$;

$-NR^{25}C(=O)OR^{25}$

$-Si(R^8)_3$;

$-SO_2R^{33}$;

C_2-C_6 alcoxi carbonilo;

C_2-C_6 alquilaminocarbonilo;

C_2-C_6 alquilcarbonilo;

azúcares seleccionados del grupo que consiste en beta-D-glucosa-tetraacetato, ramnosa, fructosa, y pentosa; o

un anillo heteroaromático de 5 ó 6 miembros seleccionado del grupo que consiste en furanilo, piridinilo, piridinil-N-óxido, pirimidinilo, piridazinilo, pirazinilo, pirazolilo, tiazolilo, triazinilo, tiadiazolilo, oxazolilo, triazolilo o isoxazolilo en donde cada anillo heteroaromático de 5 ó 6 miembros puede ser opcionalmente sustituido con 1 a 5 R^{20};

R^{22} es independientemente:

H;

halógeno;

ciano;

nitro;

C_1-C_6 alquilo;

C_1-C_6 haloalquilo;

fenilo o bencilo opcionalmente sustituido con 1 a 3 R^{20};

C_1-C_6 hidroxialquilo;

C_2-C_6 alcoxilalquilo;

C_3-C_6 haloalquinilo;

C_2-C_6 alquenilo;

C_2-C_6 haloalquenilo;

C_3-C_6 alquinilo;

C_1-C_6 alcoxi;

C_1-C_6 haloalcoxi;

C_1-C_6 alquiltio;

C_1-C_6 alquilamino;

C_2-C_8 dialquilamino;

C_3-C_6 cicloalquilamino;

C_4-C_6 (alquil)cicloalquilamino;

C_2-C_6 alquilcarbonilo;

C_2-C_6 alcoxicarbonilo;

C_2-C_6 alquilaminocarbonilo;

C_3-C_8 dialquilaminocarbonilo;

C_3-C_6 trialquilsililo;

anillos heteroaromáticos fusionados con anillos seleccionados del grupo que consiste en benzotiofenilo, quinolinilo, isoquinolinilo, tieno[2,3-b]piridilo, 1-metil-1H-tieno[2,3-c]pirazolilo, y benzoimidazolilo, en donde cada uno de los anillos puede ser sustituido en forma adicional con 1 a 3 R^{20}; o

un anillo heteroaromático de 5 ó 6 miembros seleccionado del grupo que consiste en furanilo, piridinilo, piridinil-N-óxido, pirimidinilo, piridazinilo, pirazinilo, tiazolilo, triazinilo, tiadiazolilo, oxazolilo, isoxazolilo, triazolilo y tienilo;

R^{23} es:

H;

halógeno;

C_1-C_6 alquilo;

C_1-C_6 haloalquilo;

C_2-C_6 dialquilamino;

fenilo opcionalmente sustituido con 1 a 5 R^{20};

anillos heteroaromáticos fusionados por anillos seleccionados del grupo que consiste en benzotiofenilo, quinolinilo, isoquinolinilo, tieno[2,3-b]piridilo, 1-metil-1H-tieno[2,3-c]pirazolilo, benzofuranilo y benzoimidazolilo, 2,3-dihidro-benzofuran-2-ilo, 4-metil-4H-tieno[3,2-b]pirrol-5-ilo, 1-metil-1H-indol-5-ilo, imidazo[1,2-a]piridin-2-ilo, imidazo[2,1-b]tiazol-6-ilo, benzotiazol-2-ilo, benzo[b]tiofen-7-ilo, y 1-metil-1H-indazol-3-ilo, en donde cada uno de los anillos puede ser sustituido en forma adicional con 1 a 3 R^{20};

naftilo;

benzo[1,3]dioxolilo;

pirrolidinonilo;

oxetanilo;

C_1-C_6 alquiltio opcionalmente sustituido con 1 a 5 R^{20};

un anillo saturado o insaturado de 5 ó 6 miembros que contiene 1 a 3 heteroátomos, en donde cada anillo puede ser opcionalmente sustituido con 1 a 3 R^{11}; o

un anillo heteroaromático de 5 ó 6 miembros seleccionado del grupo que consiste en furanilo, piridinilo, piridinil-N-óxido, pirimidinilo, piridazinilo, pirazinilo, pirazolilo, tiazolilo, triazinilo, tiadiazolilo, oxazolilo, isoxazolilo, triazolilo, imidazolilo, tiofeno-2-ilo y tiofen-3-ilo, en donde cada anillo heteroaromático puede ser opcionalmente sustituido con 1 a 3 R^{20};

R^{24} es H, C_1-C_6 alquilo, C_1-C_6 alcoxi, bencilo, o fenilo en donde cada uno de bencilo o fenilo puede ser opcionalmente sustituido con 1 a 3 R^{20};

R^{25} es H, C_1-C_6 alquilo, fenilo o bencilo opcionalmente sustituido con 1 a 3 R^{20};

R^{26} es:

H;

C_1-C_6 alquilo;

C_1-C_6 alcoxi;

fenilo opcionalmente sustituido con 1 a 3 R^{20}; o

un anillo heteroaromático de 5 ó 6 miembros seleccionado del grupo que consiste en furanilo, piridinilo, piridinil-N-óxido, pirimidinilo, piridazinilo, pirazinilo, tiazolilo, triazinilo, tiadiazolilo, oxazolilo, triazolilo e isoxazolilo;

R^{27} y R^{28} son independientemente:

H;

C_1-C_6 alquilo;

bencilo o fenilo en donde cada uno de bencilo o fenilo pueden ser opcionalmente sustituido con 1 a 3 R^{20}; o

un anillo saturado o insaturado de 5 ó 6 miembros que contiene 1 a 3 heteroátomos, en donde cada anillo puede ser opcionalmente sustituido con 1 a 3 R^{11}

R^{29} es:

H;

C_1-C_6 alquilo;

C_1-C_6 haloalquilo;

C_1-C_6 alcoxialquilo;

C_2-C_6 alquilcarbonilo;

bencilo o fenilo en donde cada uno de bencilo o fenilo pueden ser opcionalmente sustituido con 1 a 3 R^{20}; o

un anillo saturado o insaturado de 5 ó 6 miembros que contiene 1 a 3 heteroátomos en donde cada anillo puede ser opcionalmente sustituido con 1 a 3 R^{11};

R^{30} es independientemente halógeno, ciano, nitro, C_1-C_6 alquilo, C_1-C_6 haloalquilo, C_1-C_6 hidroxialquilo, C_2-C_6 alcoxialquilo, C_2-C_6 haloalcoxialquilo, C_2-C_6 alquenilo, C_2-C_6 haloalquenilo, C_3-C_6 alquinilo, C_3-C_6 haloalquinilo, hidroxilo, C_1-C_6 alcoxi, C_1-C_6 haloalcoxi, C_2-C_6 alqueniloxi, C_2-C_6 haloalqueniloxi, C_3-C_6 alquiniloxi, C_3-C_6 haloalquiniloxi, C_1-C_6 alquiltio, C_1-C_6 alquilsulfonilo, C_1-C_6 haloalquilsulfonilo, C_2-C_6 alqueniltio, C_2-C_6 haloalqueniltio, C_2-C_6 haloalquenilsulfonilo, C_3-C_6 alquiniltio, C_3-C_6 alquinilsulfonilo, C_3-C_6 haloalquinilsulfonilo, C_1-C_6 alquilamino, C_2-C_8 dialquilamino, C_3-C_8 dialquilaminocarbonilo, C_3-C_6 trialquilsililo, tiazolilo, fenilo, pirimidinilo, o piridilo, en donde el tiazolilo, fenilo, piridilo, o pirimidinilo pueden ser opcionalmente sustituido con 1 a 3 R^{20};

R^{31} es independientemente halógeno, ciano, nitro, C_1-C_6 alquilo, C_1-C_6 haloalquilo, C_1-C_6 hidroxialquilo, C_2-C_6 alcoxialquilo, C_2-C_6 haloalcoxialquilo, C_2-C_6 alquenilo, C_2-C_6 haloalquenilo, C_3-C_6 alquinilo, C_3-C_6 haloalquinilo, hidroxilo,

C_1-C_6 alcoxi, C_1-C_6 haloalcoxi, C_2-C_6 alqueniloxi, C_2-C_6 haloalqueniloxi, C_3-C_6 alquiniloxi, C_3-C_6 haloalquiniloxi, C_1-C_6 alquiltio, C_1-C_6 alquilsulfonilo, C_1-C_6 haloalquilsulfonilo, C_2-C_6 alqueniltio, C_2-C_6 haloalqueniltio, C_2-C_6 haloalquenilsulfonilo, C_3-C_6 alquiniltio, C_3-C_6 alquinilsulfonilo, C_3-C_6 haloalquinilsulfonilo, C_1-C_6 alquilamino, C_2-C_8 dialquilamino, C_3-C_8 dialquilaminocarbonilo, o C_3-C_6 trialquilsililo;

R^{32} es independientemente:

C_1-C_6 alquilo, C_1-C_6 haloalquilo, C_1-C_6 hidroxialquilo, C_2-C_6 alcoxialquilo, C_2-C_6 haloalcoxialquilo, C_2-C_6 alquenilo, C_2-C_6 haloalquenilo, C_3-C_6 alquinilo, C_3-C_6 haloalquinilo, hidroxilo, C_1-C_6 alcoxi, C_1-C_6 haloalcoxi, C_2-C_6 alqueniloxi, C_2-C_6 haloalqueniloxi, C_3-C_6 alquiniloxi, C_3-C_6 haloalquiniloxi, C_1-C_6 alquiltio, C_1-C_6 alquilsulfonilo, C_1-C_6 haloalquilsulfonilo, C_2-C_6 alqueniltio, C_2-C_6 haloalqueniltio, C_2-C_6 haloalquenilsulfonilo, C_3-C_6 alquiniltio, C_3-C_6 alquinilsulfonilo, C_3-C_6 haloalquinilsulfonilo, C_1-C_6 alquilamino, C_2-C_8 dialquilamino, C_3-C_8 dialquilaminocarbonilo, C_3-C_6 trialquilsililo;

fenilo, en donde el anillo de fenilo puede ser opcionalmente sustituido con 1 a 3 R^{20}; o

un anillo saturado o insaturado de 5 ó 6 miembros que contiene 1 a 3 heteroátomos en donde cada anillo puede ser opcionalmente sustituido con 1 a 3 R^{11};

R^{33} es independientemente:

C_1-C_6 alquilo, C_1-C_6 haloalquilo, fenilo o tienilo opcionalmente sustituido con 1 a 3 R^{20}; o

un anillo saturado o insaturado de 5 ó 6 miembros que contiene 1 a 3 heteroátomos en donde cada anillo puede ser opcionalmente sustituido con 1 a 3 R^{11};

R^{34} es:

C_1-C_6 alquilo, C_1-C_6 haloalquilo, C_2-C_6 alcoxialquilo, C_1-C_6 alquilamino; o

un anillo saturado o insaturado de 5 ó 6 miembros que contiene 1 a 3 heteroátomos en donde cada anillo puede ser opcionalmente sustituido con 1 a 3 R^{11};

R^{35} es:

C_1-C_6 alquilo, C_2-C_6 alquilcarbonilo; o

un anillo saturado o insaturado de 5 ó 6 miembros que contiene 1 a 3 heteroátomos en donde cada anillo puede ser opcionalmente sustituido con 1 a 3 R^{11};

R^{36} es H, ciano, C_1-C_6 alquilo, C_1-C_6 alcoxi, bencilo, o fenilo en donde cada uno de bencilo o fenilo puede ser opcionalmente sustituido con 1 a 3 R^{20};

como alternativa R^{32} y R^{36} se pueden tomar juntos para formar:

un anillo saturado o insaturado de 5 ó 6 miembros que contiene 1 a 3 heteroátomos, en donde cada anillo puede ser opcionalmente sustituido con 1 a 3 R^{11}; y

R^{37} es independientemente:

H, halógeno, o fenilo opcionalmente sustituido con 1 a 5 R^{20};

C_1-C_6 alquilo, C_1-C_6 haloalquilo, hidroxilo, C_1-C_6 alcoxi, o C_1-C_6 haloalcoxi; o

un anillo saturado o insaturado de 5 ó 6 miembros que contiene 1 a 3 heteroátomos en donde cada anillo puede ser opcionalmente sustituido con 1 a 3 R^{11}.

2. El compuesto de la fórmula I en donde R^3 es C_1-C_6 alquilo, $-C(=O)R^6$, $-(CHR^{22})_mR^{37}$,$-(CHR^{24})OR^{29}$, $-OR^7$, $-C(=S)NHR^8$, $-Si(R^8)_3$, $-C(=O)OCH_2C(=O)R^8$, R^4 es H, R^6 es C_1-C_6 alquilo, C_1-C_6 alquilo, C_1-C_5 haloalquilo, C_1-C_5 alcoxi, C_2-C_6 alcoxicarbonilo, fenilo, bencilo, C_1-C_4 alcoxialcoxi, tiazolilo, en donde el tiazol se puede sustituir opcionalmente con 1 a 3 R^{20}, R^7 es H, C_1-C_6 alquilo, R^8 es C_1-C_6 alquilo, C_1-C_6 haloalquilo, o fenilo opcionalmente sustituido con 1 a 3 R^{30}, R^{24} es H, C_1-C_6 alquilo, R^{29} es H, C_1-C_6 alquilo, C_1-C_6 alcoxialquilo, R^{30} es halógeno, C_1-C_6 alquilo, C_1-C_6 haloalquilo, C_1-C_6 alcoxi, C_1-C_6 haloalcoxi, fenilo en donde cada fenilo puede ser sustituido opcionalmente con 1 a 3 R^{20}, R^{20} es halógeno, C_1-C_6 alquilo, C_1-C_6 alcoxi, C_1-C_6 haloalcoxi, R^{37} es halógeno, fenilo opcionalmente sustituido con 1 a 5 R^{20}, C_1-C_6 alquilo, C_1-C_6 haloalquilo, hidroxilo, C_1-C_6 alcoxi, C_1-C_6 haloalcoxi, un anillo saturado o insaturado de 5 ó 6 miembros que contienen 1 a 3 heteroátomos, en donde cada anillo puede ser sustituido opcionalmente con 1 a 3 R^{11}, R^{21} es $(-CHR^{22})_mR^{23}$, m es 1, R^{22} es H, R^{23} es un

anillo saturado o insaturado de 5 ó 6 miembros que contienen 1 a 3 heteroátomos en donde cada anillo puede ser opcionalmente sustituido con 1 a 3 R^{11}. R^{11} es halógeno, C_1-C_6 alquilo, C_1-C_6 alcoxi, C_1-C_6 haloalcoxi.

3. El compuesto de la fórmula I en donde R^3 es C_1-C_6 alquilo, $-C(=O)R^6$, $-(CHR^{22})_mR^{37}$, $-(CHR^{24})OR^{29}$, $-OR^7$, $-C(=S)NHR^8$, $-Si(R^8)_3$, $-C(=O)OCH_2C(=O)R^8$, R^4 es H, R^6 es C_1-C_6 alquilo, C_1-C_6 alquilo, C_1-C_5 haloalquilo, C_1-C_5 alcoxi, C_2-C_6 alcoxicarbonilo, fenilo, bencilo, C_1-C_4 alcoxialcoxi, tiazolilo en donde el tiazol puede ser opcionalmente sustituido con 1 a 3 R^{20}, R^7 es H, C_1-C_6 alquilo, R^8 es C_1-C_6 alquilo, C_1-C_6 haloalquilo, o fenilo opcionalmente sustituido con 1 a 3 R^{30}, R^{24} es H, C_1-C_6 alquilo, R^{29} es H, C_1-C_6 alquilo, C_1-C_6 alcoxialquilo, R^{30} es halógeno, C_1-C_6 alquilo, C_1-C_6 haloalquilo, C_1-C_6 alcoxi, C_1-C_6 haloalcoxi, fenilo en donde cada fenilo puede ser opcionalmente sustituido con 1 a 3 R^{20}, R^{20} es halógeno, C_1-C_6 alquilo, C_1-C_6 alcoxi, C_1-C_6 haloalcoxi, R^{37} es halógeno, fenilo opcionalmente sustituido con 1 a 5 R^{20}, C_1-C_6 alquilo, C_1-C_6 haloalquilo, hidroxilo, C_1-C_6 alcoxi, C_1-C_6 haloalcoxi, un anillo saturado o insaturado de 5 ó 6 miembros que contienen 1 a 3 heteroátomos, en donde cada anillo puede ser opcionalmente sustituido con 1 a 3 R^{11}, R^{11} es halógeno, C_1-C_6 alquilo, C_1-C_6 alcoxi, C_1-C_6 haloalcoxi, R^{21} es $(-CHR^{22})_mR^{23}$, m es 1, R^{22} es H, C_1-C_4 alquilo, fenilo, R^{23} son anillos heteroaromáticos fusionados con anillo seleccionados del grupo que consiste en benzotiofenilo, quinolinilo, isoquinolinilo, tieno[2,3-b]piridilo,

1-metil-1H-tieno[2,3-c]pirazolilo, benzofuranilo y benzoimidazolilo, 2,3-dihidro-benzofuran-2-ilo, 4-metil-4H-tieno[3,2-b]pirrol-5-ilo, 1-metil-1H-indol-5-ilo, imidazo[1,2-a]piridin-2-ilo, imidazo[2,1-b]tiazol-6-ilo, benzotiazol-2-ilo, benzo[b]tiofen-7-ilo, 1-metil-1H-indazol-3-ilo, en donde cada uno de los anillos puede ser sustituido con 1 a 3 R^{20}.

4. El compuesto de la fórmula I en donde R^3 es C_1-C_6 alquilo, $-C(=O)R^6$, $-(CHR^{22})_mR^{37}$, $-(CHR^{24})OR^{29}$, $-OR^7$, $-C(=S)NHR^8$, $-Si(R^8)_3$, $-C(=O)OCH_2C(=O)R^8$, R^4 es H, R^6 es C_1-C_6 alquilo, C_1-C_6 alquilo, C_1-C_5 haloalquilo, C_1-C_5 alcoxi, C_2-C_6 alcoxicarbonilo, fenilo, bencilo, C_1-C_4 alcoxialcoxi, tiazolilo en donde el tiazol puede ser opcionalmente sustituido con 1 a 3 R^{20}, R^7 es H, C_1-C_6 alquilo, R^8 es C_1-C_6 alquilo, C_1-C_6 haloalquilo, o fenilo opcionalmente sustituido con 1 a 3 R^{30}, R^{24} es H, C_1-C_6 alquilo, R^{29} es H, C_1-C_6 alquilo, C_1-C_6 alcoxialquilo, C_2-C_6 alquilcarbonilo, R^{30} es halógeno, C_1-C_6 alquilo, C_1-C_6 haloalquilo, C_1-C_6 alcoxi, C_1-C_6 haloalcoxi, fenilo en donde cada fenilo puede ser opcionalmente sustituido con 1 a 3 R^{20}, R^{37} es halógeno, fenilo opcionalmente sustituido con 1 a 5 R^{20}, C_1-C_6 alquilo, C_1-C_6 haloalquilo, hidroxilo, C_1-C_6 alcoxi, C_1-C_6 haloalcoxi, un anillo saturado o insaturado de 5 ó 6 miembros que contienen 1 a 3 heteroátomos en donde cada anillo puede ser opcionalmente sustituido con 1 a 3 R^{11}, R^{11} es halógeno, C_1-C_6 alquilo, C_1-C_6 alcoxi, C_1-C_6 haloalcoxi, R^{21} es $(-CHR^{22})_mR^{23}$, m es 1, R^{22} es H, R^{23} es naftlilo, benzo[1,3]dioxolilo, fenilo opcionalmente sustituido con 1 a 5

R^{20}, R^{20} es halógeno, ciano, nitro, amino, C_1-C_6 alcoxialcoxi, C_1-C_6 alquilo, C_1-C_6 haloalquilo, C_1-C_6 hidroxialquilo, C_2-C_6 alcoxialquilo, C_2-C_6 haloalcoxialquilo, C_2-C_6 alquenilo, hidroxilo, C_1-C_6 alcoxi, C_1-C_6 haloalcoxi, C_1-C_6 alquiltio, C_1-C_6 haloalquiltio, C_1-C_6 alquilsulfonilo, C_1-C_6 alquilamino, benciloxi, fenoxi, en donde cada benciloxi, fenoxi, puede ser opcionalmente sustituido con 1 a 3 sustituyentes seleccionados independientemente de R^{31}, R^{31} es halógeno, C_1-C_6 alquilo, C_1-C_6 alcoxi.

5. El compuesto de la fórmula I en donde R^3 es C_1-C_6 alquilo, $-C(=O)R^6$, $-(CHR^{22})_mR^{37}$, $-(CHR^{24})OR^{29}$, $-OR^7$, $-C(=S)NHR^8$, $-Si(R^8)_3$, $-C(=O)OCH_2C(=O)R^8$, R^4 es H, R^6 es C_1-C_6 alquilo, C_1-C_6 alquilo, C_1-C_5 haloalquilo, C_1-C_5 alcoxi, C_2-C_6 alcoxicarbonilo, fenilo, bencilo, C_1-C_4 alcoxialcoxi, tiazolilo en donde el tiazol puede ser opcionalmente sustituido con 1 a 3 R^{20}, R^7 es H, C_1-C_6 alquilo, R^8 es C_1-C_6 alquilo, C_1-C_6 haloalquilo, o fenilo opcionalmente sustituido con 1 a 3 R^{30}, R^{24} es H, C_1-C_6 alquilo, R^{29} es H, C_1-C_6 alquilo, C_1-C_6 alcoxialquilo, C_2-C_6 alquilcarbonilo, R^{30} es halógeno, nitro C_1-C_6 alquilo, C_1-C_6 haloalquilo, C_1-C_6 alcoxi, C_1-C_6 haloalcoxi, fenilo en donde cada fenilo puede ser opcionalmente sustituido con 1 a 3 R^{20}, R^{20} es halógeno, C_1-C_6 alquilo, C_1-C_6 alcoxi, C_1-C_6 haloalcoxi, C_1-C_6 alcoxialcoxi, C_1-C_6 haloalquilo, C_1-C_6 hidroxialquilo, C_2-C_6 alcoxialquilo, C_2-C_6 haloalcoxialquilo, hidroxilo, C_1-C_6 alquilamino, C_2-C_8 dialquilamino, fenilo en donde cada fenilo puede ser opcionalmente sustituido con 1 a 5 R^{31}, un anillo

heteroaromático de 5 ó 6 miembros en donde cada anillo heteroaromático puede ser opcionalmente sustituido con 1 a 3 R^{31}, R^{31} es halógeno, C_1-C_6 alquilo, C_1-C_6 alcoxi, C_1-C_6 haloalcoxi, R^{37} es halógeno, fenilo opcionalmente sustituido con 1 a 5 R^{20}, C_1-C_6 alquilo, C_1-C_6 haloalquilo, hidroxilo, C_1-C_6 alcoxi, C_1-C_6 haloalcoxi, un anillo saturado o insaturado de 5 ó 6 miembros que contienen 1 a 3 heteroátomos en donde cada anillo puede ser opcionalmente sustituido con 1 a 3 R^{11}, R^{11} es halógeno, C_1-C_6 alquilo, C_1-C_6 alcoxi, C_1-C_6 haloalcoxi, R^{21} es $(-CHR^{22})_mR^{23}$, m es 1, R^{22} es $-CH_3$, $-CH_2CH_3$, $-CH_2CH_2CH_3$, bencilo, 4-fluoro-fenilo, R^{23} es fenilo, 4-fluoro-fenilo, p-tolilo.

6. El compuesto de la fórmula I en donde R^3 es C_1-C_6 alquilo, $-C(=O)R^6$, $-(CHR^{22})_mR^{37}$, $-(CHR^{24})OR^{29}$, $-OR^7$, $-C(=S)NHR^8$, $-Si(R^8)_3$, $-C(=O)OCH_2C(=O)R^8$, R^4 es H, R^6 es C_1-C_6 alquilo, C_1-C_5 haloalquilo, C_1-C_5 alcoxi, C_2-C_6 alcoxicarbonilo, fenilo, bencilo, C_1-C_4 alcoxialcoxi, tiazolilo en donde el tiazol puede ser opcionalmente sustituido con 1 a 3 R^{20}, R^7 es H, C_1-C_6 alquilo, R^8 es C_1-C_6 alquilo, C_1-C_6 haloalquilo, o fenilo opcionalmente sustituido con 1 a 3 R^{30}, R^{24} es H, C_1-C_6 alquilo, R^{29} es H, C_1-C_6 alquilo, C_1-C_6 alcoxialquilo, C_2-C_6 alquilcarbonilo, R^{30} es halógeno, nitro C_1-C_6 alquilo, C_1-C_6 haloalquilo, C_1-C_6 alcoxi, C_1-C_6 haloalcoxi, fenilo en donde cada fenilo puede ser opcionalmente sustituido con 1 a 3 R^{20}, R^{20} es halógeno, C_1-C_6 alquilo, C_1-C_6 alcoxi, C_1-C_6 haloalcoxi, C_1-C_6 alcoxialcoxi, C_1-C_6 hidroxialquilo, C_2-C_6 alcoxialquilo, C_2-C_6 haloalcoxialquilo,

hidroxilo, C_1-C_6 haloalcoxi, C_1-C_6 alquilamino, C_2-C_8 dialquilamino, fenilo en donde cada fenilo puede ser opcionalmente sustituido con 1 a 5 R^{31}, un anillo heteroaromático de 5 ó 6 miembros en donde cada anillo heteroaromático puede ser opcionalmente sustituido con 1 a 3 R^{31}, R^{31} es halógeno, C_1-C_6 alquilo, C_1-C_6 alcoxi, C_1-C_6 haloalcoxi, R^{37} es halógeno, fenilo opcionalmente sustituido con 1 a 5 R^{20}, C_1-C_6 alquilo, C_1-C_6 haloalquilo, hidroxilo, C_1-C_6 alcoxi, un anillo saturado o insaturado de 5 ó 6 miembros que contienen 1 a 3 heteroátomos en donde cada anillo puede ser opcionalmente sustituido con 1 a 3 R^{11}, R^{11} es halógeno, C_1-C_6 alquilo, C_1-C_6 alcoxi, C_1-C_6 haloalcoxi, R^{21} es $(-CHR^{22})_mR^{23}$, m es 1, R^{22} es H, C_1-C_6 alquilo, R^{23} es fenilo, p-tolilo, 4-fluoro-fenilo, 4-metoxi-fenilo, 3-metoxi-fenilo, tiofen-2-ilo, tiofen-3-ilo, 3-fluoro-fenilo, 3-bromo-fenilo, benzotiofen-2-ilo, 2,4,6-trimetil-fenilo,1-etil-2-metoxi-fenilo, 3-benzonitrilo, 3-fluoro-4-metoxi-fenilo.

7. El compuesto de la fórmula I en donde R^3 es C_1-C_6 alquilo, $-C(=O)R^6$, $-(CHR^{22})_mR^{37}$, $-(CHR^{24})OR^{29}$, $-OR^7$, $-C(=S)NHR^8$, R^4 es H, R^{21} es $-C(=O)R^{32}$, $-N=C(R^{32}(R^{36})$, $-SO_2R^{33}$, $-NR^{25}C(=O)OR^{25}$, C_2-C_6 alcoxi carbonilo; C_2-C_6 alquilaminocarbonilo; C_2-C_6 alquilcarbonilo, R^6 es C_1-C_6 alquilo, C_1-C_5 haloalquilo, C_1-C_5 alcoxi, C_2-C_6 alcoxicarbonilo, fenilo, bencilo, C_1-C_4 alcoxialcoxi, tiazolilo en donde el tiazol puede ser opcionalmente sustituido con 1 a 3 R^{20}, R^7 es H, C_1-C_6 alquilo, R^8 es C_1-C_6 alquilo, C_1-C_6 haloalquilo, o fenilo opcionalmente sustituido con 1 a 3 R^{30}, R^{24} es H, C_1-C_6

alquilo, R^{29} es H, C_1-C_6 alquilo, C_1-C_6 alcoxialquilo, C_2-C_6 alquilcarbonilo, R^{30} es halógeno, nitro, C_1-C_6 alquilo, C_1-C_6 haloalquilo, C_1-C_6 alcoxi, C_1-C_6 haloalcoxi, fenilo en donde cada fenilo puede ser opcionalmente sustituido con 1 a 3 R^{20}, R^{25} es H, C_1-C_6 alquilo, R^{33} es C_1-C_6 alquilo, C_1-C_6 haloalquilo, fenilo o tienilo opcionalmente sustituido con 1 a 3 R^{20}, R^{32} es C_1-C_6 alquilo, C_1-C_6 haloalquilo, alcoxialquilo, C_2-C_6 haloalcoxialquilo, R^{36} es H, ciano, C_1-C_6 alquilo, C_1-C_6 alcoxi, bencilo, o fenilo en donde cada uno del bencilo o fenilo puede ser opcionalmente sustituido con 1 a 3 R^{20}, R^{20} es halógeno, C_1-C_6 alcoxialcoxi, C_1-C_6 alquilo, C_1-C_6 haloalquilo, C_1-C_6 hidroxialquilo, C_2-C_6 alcoxialquilo, C_2-C_6 haloalcoxialquilo, hidroxilo, C_1-C_6 alcoxi, C_1-C_6 haloalcoxi, C_1-C_6 alquilamino, C_2-C_8 dialquilamino, fenilo en donde cada fenilo puede ser opcionalmente sustituido con 1 a 5 R^{31}, anillo heteroaromático de 5 ó 6 miembros en donde cada anillo heteroaromático puede ser opcionalmente sustituido con 1-3 R^{31}, R^{31} es halógeno, C_1-C_6 alquilo, C_1-C_6 alcoxi, C_1-C_6 haloalcoxi, R^{37} es halógeno, fenilo opcionalmente sustituido con 1 a 5 R^{20}, C_1-C_6 alquilo, C_1-C_6 haloalquilo, hidroxilo, C_1-C_6 alcoxi, C_1-C_6 haloalcoxi, un anillo saturado o insaturado de 5 ó 6 miembros que contienen 1 a 3 heteroátomos en donde cada anillo puede ser opcionalmente sustituido con 1 a 3 R^{11}, R^{11} es halógeno, C_1-C_6 alquilo, C_1-C_6 alcoxi, C_1-C_6 haloalcoxi.

8. El compuesto de la fórmula I en donde R^3 es C_1-C_6 alquilo, $-C(=O)R^6$, $-C(=O)N(R^8)R^{10}$, R^4 es C_1-C_6 alquilo, -

$C(=O)R^6$, $-C(=O)N(R^8)R^{10}$, R^6 es C_1-C_6 alquilo, C_1-C_5 haloalquilo, C_2-C_5 alcoxi, C_2-C_6 alcoxicarbonilo, fenilo, bencilo, C_1-C_4 alcoxialcoxi, tiazolilo en donde el tiazol puede ser opcionalmente sustituido con 1 a 3 R^{20}, R^8 es C_1-C_6 alquilo, C_1-C_6 haloalquilo, o fenilo opcionalmente sustituido con 1 a 3 R^{30}, R^{10} es H, C_1-C_6 alquilo, fenilo opcionalmente sustituido con 1 a 3 R^{20}, R^{21} es $-C(=O)R^{32}$, $-N=C(R^{32})(R^{36})$, $-SO_2R^{33}$, $NR^{25}C(=O)OR^{25}$, C_2-C_6 alcoxi carbonilo; C_2-C_6 alquilaminocarbonilo; C_2-C_6 alquilcarbonilo, R^{30} es halógeno, nitro C_1-C_6 alquilo, C_1-C_6 haloalquilo, C_1-C_6 alcoxi, C_1-C_6 haloalcoxi, fenilo en donde cada fenilo puede ser opcionalmente sustituido con 1 a 3 R^{20}, R^{25} es H, C_1-C_6 alquilo, R^{33} es C_1-C_6 alquilo, C_1-C_6 haloalquilo, fenilo o tienilo opcionalmente sustituido con 1 a 3 R^{20}, R^{32} es C_1-C_6 alquilo, C_1-C_6 haloalquilo, alcoxialquilo, C_2-C_6 haloalcoxialquilo, R^{36} es H, ciano, C_1-C_6 alquilo, C_1-C_6 alcoxi, bencilo, o fenilo en donde cada uno del bencilo o fenilo puede ser opcionalmente sustituido con 1 a 3 R^{20}, R^{20} es halógeno, C_1-C_6 alcoxialcoxi, C_1-C_6 alquilo, C_1-C_6 haloalquilo, C_1-C_6 hidroxialquilo, C_2-C_6 alcoxialquilo, C_2-C_6 haloalcoxialquilo, hidroxilo, C_1-C_6 alcoxi, C_1-C_6 haloalcoxi, C_1-C_6 alquilamino, C_2-C_8 dialquilamino, fenilo en donde cada fenilo puede ser opcionalmente sustituido con 1 a 5 R^{31}, un anillo heteroaromático de 5 ó 6 miembros en donde cada anillo heteroaromático puede ser opcionalmente sustituido con 1 a 3 R^{31}, R^{31} es halógeno, C_1-C_6 alquilo, C_1-C_6 alcoxi, C_1-C_6 haloalcoxi.

9. El compuesto de la fórmula I en donde R^3 es C_1-C_6 alquilo, -C(=O)R^6, - C(=O)N(R^8)R^{10}, R^4 es C_1-C_6 alquilo, -C(=O)R^6, -C(=O)N(R^8)R^{10}, R^6 es C_1-C_6 alquilo, C_1-C_5 haloalquilo, C_1-C_5 alcoxi, C_2-C_6 alcoxicarbonilo, fenilo, bencilo, C_1-C_4 alcoxialcoxi, tiazolilo en donde el tiazol puede ser opcionalmente sustituido con 1 a 3 R^{20}, R^8 es C_1-C_6 alquilo, C_1-C_6 haloalquilo, o fenilo opcionalmente sustituido con 1 a 3 R^{30}, R^{30} es halógeno, nitro, C_1-C_6 alquilo, C_1-C_6 haloalquilo, C_1-C_6 alcoxi, C_1-C_6 haloalcoxi, fenilo en donde cada fenilo puede ser opcionalmente sustituido con 1 a 3 R^{20}, R^{10} es H, C_1-C_6 alquilo, fenilo opcionalmente sustituido con 1 a 3 R^{20}, R^{21} es (-CHR^{22})$_m$$R^{23}$, m es 1, R^{22} es H, R^{23} es fenilo opcionalmente sustituido con 1 a 5 R^{20}.

10. El compuesto de la fórmula I en donde R^3 es C_1-C_6 alquilo, -C(=O)R^6, -C(=O)N(R^8)R^{10}, R^4 es C_1-C_6 alquilo, -C(=O)R^6, -C(=O)N(R^8)R^{10}, R^6 es C_1-C_6 alquilo, C_1-C_5 haloalquilo, C_1-C_5 alcoxi, C_2-C_6 alcoxicarbonilo, fenilo, bencilo, C_1-C_4 alcoxialcoxi, tiazolilo en donde el tiazol puede ser opcionalmente sustituido con 1 a 3 R^{20}, R^8 es C_1-C_6 alquilo, C_1-C_6 haloalquilo, o fenilo opcionalmente sustituido con 1 a 3 R^{30}, R^{10} es H, C_1-C_6 alquilo, fenilo opcionalmente sustituido con 1 a 3 R^{20}, R^{21} es (-CHR^{22})$_m$$R^{23}$, m es 1, R^{22} es -CH_3, -CH_2CH_3, -$CH_2CH_2CH_3$, bencilo, 4-fluoro-fenilo, R^{23} es fenilo, 4-fluoro-fenilo, p-tolilo, R^{20} es halógeno, C_1-C_6 alquilo, C_1-C_6 alcoxi.

11. El compuesto de la fórmula I en donde R^3 es C_1-C_6 alquilo, -C(=O)R^6, -C(=O)N(R^8)R^{10}, R^4 es C_1-C_6 alquilo, -

$C(=O)R^6$, $-C(=O)N(R^8)R^{10}$, R^6 es C_1-C_6 alquilo, C_1-C_5 haloalquilo, C_1-C_5 alcoxi, C_2-C_6 alcoxicarbonilo, fenilo, bencilo, C_1-C_4 alcoxialcoxi, tiazolilo en donde el tiazol puede ser opcionalmente sustituido con 1 a 3 R^{20}, R^8 es C_1-C_6 alquilo, C_1-C_6 haloalquilo, o fenilo opcionalmente sustituido con 1 a 3 R^{30}, R^{10} es H, C_1-C_6 alquilo, fenilo opcionalmente sustituido con 1 a 3 R^{20}, R^{21} es $(-CHR^{22})_mR^{23}$, m es 1, R^{22} es H, R^{23} es fenilo, p-tolilo, 4-fluoro-fenilo, 4-metoxi-fenilo, 3-metoxi-fenilo, tiofen-2-ilo, tiofen-3-ilo, 3-fluoro-fenilo, 3-bromo-fenilo, benzotiofen-2-ilo, 2,4,6-trimetil-fenilo,1-etil-2-metoxi-fenilo, 3-benzonitrilo, 3-fluoro-4-metoxi-fenilo.

12. El compuesto de la fórmula I en donde R^3 y R^4 se pueden tomar juntos para formar: un anillo saturado o insaturado de 5 ó 6 miembros que contienen 1 a 3 heteroátomos en donde cada anillo puede ser opcionalmente sustituido con 1 a 3 R^{11}, R^{21} es $(-CHR^{22})_mR^{23}$, m es 1, R^{22} es H, R^{23} es fenilo opcionalmente sustituido con 1 a 5 R^{20}.

13. El compuesto de la fórmula I en donde R^3 y R^4 pueden tomarse juntos para formar un anillo saturado o insaturado de 5 ó 6 miembros que contienen 1 a 3 heteroátomos en donde cada anillo puede ser opcionalmente sustituido con 1 a 3 R^{11}, R^{11} es halógeno, C_1-C_6 alquilo, R^{21} es $-C(=O)R^{32}$, $-N=C(R^{32}(R^{36})$, $-SO_2R^{33}$, $NR^{25}C(=O)OR^{25}$, C_2-C_6 alcoxi carbonilo; C_2-C_6 alquilaminocarbonilo; C_2-C_6 alquilcarbonilo, R^{25} es H, C_1-C_6 alquilo, R^{33} es C_1-C_6 alquilo, C_1-C_6 haloalquilo, fenilo o tienilo opcionalmente sustituido con 1 a 3 R^{20}, R^{32} es C_1-C_6 alquilo, C_1- C_6 haloalquilo, alcoxialquilo,

C_2-C_6 haloalcoxialquilo, C_2-C_6 alquenilo, R^{36} es H, ciano, C_1-C_6 alquilo, C_1-C_6 alcoxi, bencilo, o fenilo en donde cada uno del bencilo o fenilo puede ser opcionalmente sustituido con 1 a 3 R^{20}, R^{20} es halógeno, C_1-C_6 alquilo, C_1-C_6 alcoxi.

14. El compuesto de la fórmula I en donde R^3 y R^4 se pueden tomar juntos para formar: un anillo saturado o insaturado de 5 ó 6 miembros que contienen 1 a 3 heteroátomos en donde cada anillo puede ser opcionalmente sustituido con 1 a 3 R^{11}, R^{11} es halógeno, C_1-C_6 alquilo, R^{21} es $(-CHR^{22})_mR^{23}$, m es 1, R^{22} es $-CH_3$, $-CH_2CH_3$, $-CH_2CH_2CH_3$, bencilo, 4-fluoro-fenilo, R^{23} es fenilo, 4-fluoro-fenilo, p-tolilo.

15. El compuesto de la fórmula I en donde R^3 y R^4 pueden tomarse juntos para formar un anillo saturado o insaturado de 5 ó 6 miembros que contienen 1 a 3 heteroátomos en donde cada anillo puede ser opcionalmente sustituido con 1 a 3 R^{11}, R^{11} es halógeno, C_1-C_6 alquilo, R^{21} es $(-CHR^{22})_mR^{23}$, m es 1, R^{22} es H, R^{23} es fenilo, p-tolilo, 4-fluoro-fenilo, 4-metoxi-fenilo, 3-metoxi-fenilo, tiofen-2-ilo, tiofen-3-ilo, 3-fluoro-fenilo, 3-bromo-fenilo, benzotiofen-2-ilo, 2,4,6-trimetil-fenilo,1-etil-2-metoxi-fenilo, 3-benzonitrilo, 3-fluoro-4-metoxi-fenilo.

16. El compuesto de la fórmula I en donde R^3 y R^4 se pueden tomar juntos para formar, $=C(R^{12})N(R^{13})R^{14}$, R^{12} es H, C_1-C_4 alquilo, R^{13} es H, ciano, -OH, C_1-C_4 alquilo, C_1-C_6 alcoxi, fenilo, o bencilo en donde el fenilo o bencilo se pueden sustituir opcionalmente con 1 a 3 R^{20}, R^{14} es H,

ciano, -OH, C_1-C_4 alquilo, C_1-C_6 alcoxi, fenilo, o bencilo en donde el fenilo o bencilo se pueden sustituir opcionalmente con 1 a 3 R^{20}, como alternativa R^{13} y R^{14} se pueden tomar juntos para formar un anillo saturado o insaturado de 5 ó 6 miembros que contienen 1 a 3 heteroátomos en donde cada anillo puede ser sustituido opcionalmente con 1 a 3 R^{11}, como alternativa R^{12} y R^{13} se pueden tomar juntos para formar un anillo saturado o insaturado de 5 ó 6 miembros que contienen 1 a 3 heteroátomos en donde cada anillo puede ser opcionalmente sustituido con 1 a 3 R^{11}, R^{11} es halógeno, C_1-C_6 alquilo, R^{21} es $-C(=O)R^{32}$, $-N=C(R^{32})(R^{36})$, $-SO_2R^{33}$, $NR^{25}C(=O)OR^{25}$, C_1-C_6 alquilo, C_1-C_6 alcoxi, R^{25} es H, C_1-C_6 alquilo, R^{32} es C_1-C_6 alquilo, fenilo en donde el fenilo puede ser opcionalmente sustituido con 1 a 3 R^{20}. R^{33} es C_1-C_6 alquilo, fenilo o tienilo opcionalmente sustituido con 1 a 3 R^{20}, R^{36} es ciano, C_1-C_6 alquilo, fenilo en donde el fenilo puede ser opcionalmente sustituido con 1 a 3 R^{20}, y R^{20} es halógeno, C_1-C_6 alquilo, C_1-C_6 alcoxi.

17. El compuesto de la fórmula I en donde R^3 y R^4 se pueden tomar juntos para formar, $=C(R^{12})N(R^{13})R^{14}$, R^{12} es H, C_1-C_4 alquilo, R^{13} es H, ciano, -OH, C_1-C_4 alquilo, C_1-C_6 alcoxi, fenilo, o bencilo en donde el fenilo o bencilo se pueden sustituir opcionalmente con 1 a 3 R^{20}, R^{14} es H, ciano, -OH, C_1-C_4 alquilo, C_1-C_6 alcoxi, fenilo, o bencilo en donde el fenilo o bencilo se pueden sustituir opcionalmente con 1 a 3 R^{20}, como alternativa R^{13} y R^{14} se pueden tomar juntos para formar un anillo saturado o insaturado de 5 ó 6

miembros que contienen 1 a 3 heteroátomos en donde cada anillo puede ser opcionalmente sustituido con 1 a 3 R^{11}, como alternativa R^{12} y R^{13} se pueden tomar juntos para formar un anillo saturado o insaturado de 5 ó 6 miembros que contienen 1 a 3 heteroátomos en donde cada anillo puede ser opcionalmente sustituido con 1 a 3 R^{11}, R^{11} es halógeno, C_1-C_6 alquilo, R^{21} es $(-CHR^{22})_mR^{23}$, m es 1, R^{22} es H, C_1-C_4 alquilo, fenilo, R^{23} son anillos heteroaromáticos fusionados con anillos seleccionados del grupo que consiste en benzotiofenilo, quinolinilo, isoquinolinilo, tieno[2,3-b]piridilo, 1-metil-1H-tieno[2,3-c]pirazolilo, benzofuranilo y benzoimidazolilo, 2,3-dihidro-benzofuran-2-ilo, 4-metil-4H-tieno[3,2-b]pirrol-5-ilo, 1-metil-1H-indol-5-ilo, imidazo[1,2-a]piridin-2-ilo, imidazo[2,1-b]tiazol-6-ilo, benzotiazol-2-ilo, benzo[b]tiofen-7-ilo, 1-metil-1H-indazol-3-ilo, en donde cada uno de los anillos puede ser sustituido en forma adicional con 1 a 3 R^{20}, R^{20} es halógeno, C_1-C_6 alquilo, C_1-C_6 alcoxi.

18. El compuesto de la fórmula I en donde R^3 y R^4 pueden tomarse juntos para formar, $=C(R^{12})N(R^{13})R^{14}$, R^{12} es H, C_1-C_4 alquilo, R^{13} es H, ciano, -OH, C_1-C_4 alquilo, C_1-C_6 alcoxi, fenilo, o bencilo en donde el fenilo o bencilo puede ser opcionalmente sustituido con 1 a 3 R^{20}, R^{14} es H, ciano, -OH, C_1-C_4 alquilo, C_1-C_6 alcoxi, fenilo, o bencilo en donde el fenilo o bencilo se pueden sustituir opcionalmente con 1 a 3 R^{20}, como alternativa R^{13} y R^{14} se pueden tomar juntos para formar un anillo saturado o insaturado de 5 ó 6 miembros que contienen 1 a 3 heteroátomos en donde cada anillo puede ser

opcionalmente sustituido con 1 a 3 R^{11}, como alternativa R^{12} y R^{13} se pueden tomar juntos para formar un anillo saturado o insaturado de 5 ó 6 miembros que contiene 1 a 3 heteroátomos en donde cada anillo puede ser opcionalmente sustituido con 1 a 3 R^{11}, R^{11} es halógeno, C_1-C_6 alquilo, R^{21} es $(-CHR^{22})_mR^{23}$, m es 1, R^{22} es H, R^{23} es un anillo saturado o insaturado de 5 ó 6 miembros que contienen 1 a 3 heteroátomos en donde cada anillo puede ser opcionalmente sustituido con 1 a 3 R^{11}, R^{20} es halógeno, C_1-C_6 alquilo, C_1-C_6 alcoxi.

19. El compuesto de la fórmula I en donde R^3 y R^4 se pueden tomar juntos para formar, $=C(R^{12})N(R^{13})R^{14}$, R^{12} es H o C_1-C_4 alquilo, R^{13} es H, ciano, -OH, C_1-C_4 alquilo, C_1-C_6 alcoxi, fenilo, o bencilo en donde el fenilo o bencilo se pueden sustituir opcionalmente con 1 a 3 R^{20}, R^{14} es H, ciano, -OH, C_1-C_4 alquilo, C_1-C_6 alcoxi, fenilo, o bencilo en donde el fenilo o bencilo se pueden sustituir opcionalmente con 1 a 3 R^{20}, como alternativa R^{13} y R^{14} se pueden tomar juntos para formar un anillo saturado o insaturado de 5 ó 6 miembros que contienen 1 a 3 heteroátomos en donde cada anillo puede ser opcionalmente sustituido con 1 a 3 R^{11}, como alternativa R^{12} y R^{13} se pueden tomar juntos para formar un anillo saturado o insaturado de 5 ó 6 miembros que contienen 1 a 3 heteroátomos en donde cada anillo puede ser sustituido opcionalmente con 1 a 3 R^{11}, R^{11} es halógeno, C_1-C_6 alquilo, R^{21} es $(-CHR^{22})_mR^{23}$, m es 1, R^{22} es H, R^{23} es un anillo heteroaromático de 5 ó 6 miembros seleccionado del grupo

que consiste en furanilo, piridinilo, piridinil-N-óxido, pirimidinilo, piridazinilo, pirazinilo, pirazolilo, tiazolilo, triazinilo, tiadiazolilo, oxazolilo, isoxazolilo, triazolilo, imidazolilo, tiofeno-2-ilo y tiofen-3-ilo, 1-metil-1H-pirazol-3-ilo, en donde cada anillo heteroaromático puede ser opcionalmente sustituido con 1 a 3 R^{20}, R^{20} es halógeno, C_1-C_6 alquilo, C_1-C_6 alcoxi.

20. El compuesto de la fórmula I en donde R^3 y R^4 se pueden tomar juntos para formar, $=C(R^{12})N(R^{13})R^{14}$, R^{12} es H o C_1-C_4 alquilo, R^{13} es H, ciano, -OH, C_1-C_4 alquilo, C_1-C_6 alcoxi, fenilo, o bencilo en donde el fenilo o bencilo se pueden sustituir opcionalmente con 1 a 3 R^{20}, R^{14} es H, ciano, -OH, C_1-C_4 alquilo, C_1-C_6 alcoxi, fenilo, o bencilo en donde el fenilo o bencilo se pueden sustituir opcionalmente con 1 a 3 R^{20}, como alternativa R^{13} y R^{14} se pueden tomar juntos para formar un anillo saturado o insaturado de 5 ó 6 miembros que contienen 1 a 3 heteroátomos en donde cada anillo puede ser opcionalmente sustituido con 1 a 3 R^{11}, como alternativa R^{12} y R^{13} se pueden tomar juntos para formar un anillo saturado o insaturado de 5 ó 6 miembros que contienen 1 a 3 heteroátomos en donde cada anillo puede ser opcionalmente sustituido con 1 a 3 R^{11}, R^{11} es halógeno, C_1-C_6 alquilo, R^{21} es $(-CHR^{22})_mR^{23}$, m es 1, R^{22} es H, R^{23} es fenilo opcionalmente sustituido con 1 a 5 R^{20}, R^{20} es halógeno, C_1-C_6 alquilo, C_1-C_6 alcoxi.

21. El compuesto de la fórmula I en donde R^3 y R^4 se pueden tomar juntos para formar, $=C(R^{12})N(R^{13})R^{14}$, R^{12} es H

o C_1-C_4 alquilo, R^{13} es H, ciano, -OH, C_1-C_4 alquilo, C_1-C_6 alcoxi, fenilo, o bencilo en donde el fenilo o bencilo se pueden sustituir opcionalmente con 1 a 3 R^{20}, R^{14} es H, ciano, -OH, C_1-C_4 alquilo, C_1-C_6 alcoxi, fenilo, o bencilo en donde el fenilo o bencilo se pueden sustituir opcionalmente con 1 a 3 R^{20}, como alternativa R^{13} y R^{14} pueden tomarse juntos para formar un anillo saturado o insaturado de 5 ó 6 miembros que contienen 1 a 3 heteroátomos en donde cada anillo puede ser opcionalmente sustituido con 1 a 3 R^{11}, como alternativa R^{12} y R^{13} se pueden tomar juntos para formar un anillo saturado o insaturado de 5 ó 6 miembros que contienen 1 a 3 heteroátomos en donde cada anillo puede ser opcionalmente sustituido con 1 a 3 R^{11}, R^{11} es halógeno, C_1-C_6 alquilo, R^{20} es halógeno, C_1-C_6 alquilo, C_1-C_6 alcoxi, R^{21} es $(-CHR^{22})_mR^{23}$, m es 1, R^{22} es $-CH_3$, $-CH_2CH_3$, $-CH_2CH_2CH_3$, bencilo, 4-fluoro-fenilo, R^{23} es fenilo, 4-fluoro-fenilo, p-tolilo.

22. El compuesto de la fórmula I en donde R^3 y R^4 se pueden tomar juntos para formar, $=C(R^{12})N(R^{13})R^{14}$, R^{12} es H o C_1-C_4 alquilo, R^{13} es H, ciano, -OH, C_1-C_4 alquilo, C_1-C_6 alcoxi, fenilo, o bencilo en donde el fenilo o bencilo se pueden sustituir opcionalmente con 1 a 3 R^{20}, R^{14} es H, ciano, -OH, C_1-C_4 alquilo, C_1-C_6 alcoxi, fenilo, o bencilo en donde el fenilo o bencilo se pueden sustituir opcionalmente con 1 a 3 R^{20}, como alternativa R^{13} y R^{14} se pueden tomar juntos para formar un anillo saturado o insaturado de 5 ó 6 miembros que contienen 1 a 3 heteroátomos en donde cada anillo puede ser opcionalmente sustituido con 1 a 3 R^{11}, como

alternativa R^{12} y R^{13} se pueden tomar juntos para formar un anillo saturado o insaturado de 5 ó 6 miembros en donde el anillo contiene 1 a 3 heteroátomos en donde cada anillo puede ser opcionalmente sustituido con 1 a 3 R^{11}, R^{11} es halógeno, C_1-C_6 alquilo, R^{20} es halógeno, C_1-C_6 alquilo, C_1-C_6 alcoxi, R^{21} es $(-CHR^{22})_mR^{23}$, m es 1, R^{22} es H, R^{23} es fenilo, p-tolilo, 4-fluoro-fenilo, 4-metoxi-fenilo, 3-metoxi-fenilo, tiofen-2-ilo, tiofen-3-ilo, 3-fluoro-fenilo, 3-bromo-fenilo, benzotiofen-2-ilo, 2,4,6-trimetil-fenilo,1-etil-2-metoxi-fenilo, 3-benzonitrilo, 3-fluoro-4-metoxi-fenilo.

23. El compuesto de la fórmula I en donde R^3 es H; R^4 es H, R^{21} es $-C(=O)R^{32}$, $-N=C(R^{32}(R^{36})$, $-SO_2R^{33}$, $NR^{25}C(=O)OR^{25}$, C_1-C_6 alquilo, C_1-C_6 alcoxi, R^{25} es H, C_1-C_6 alquilo, R^{32} es C_1-C_6 alquilo, fenilo en donde el fenilo puede ser opcionalmente sustituido con 1 a 3 R^{20}. R^{33} es C_1-C_6 alquilo, fenilo o tienilo opcionalmente sustituido con 1 a 3 R^{20}, R^{36} es ciano, C_1-C_6 alquilo, fenilo en donde el fenilo puede ser opcionalmente sustituido con 1 a 3 R^{20}, y R^{20} es halógeno, C_1-C_6 alquilo, C_1-C_6 alcoxi.

24. El compuesto de la fórmula I en donde R^3 es H; R^4 es H, R^{21} es $(-CHR^{22})_mR^{23}$, m es 1, R^{22} es H, C_1-C_4 alquilo, fenilo, R^{23} son anillos heteroaromáticos fusionados con anillos seleccionados del grupo que consiste en benzotiofenilo, quinolinilo, isoquinolinilo, tieno[2,3-b]piridilo, 1-metil-1H-tieno[2,3-c]pirazolilo, benzofuranilo y benzoimidazolilo, 2,3-dihidro-benzofuran-2-ilo, 4-metil-4H-tieno[3,2-b]pirrol-5-ilo, 1-metil-1H-indol-5-ilo, imidazo[1,2-a]piridin-2-ilo, imidazo[2,1-

b]tiazol-6-ilo, benzotiazol-2-ilo, benzo[b]tiofen-7-ilo, 1-metil-1H-indazol-3-ilo, en donde cada uno de los anillos puede ser sustituido en forma adicional con 1 a 3 R^{20}, R^{20} es halógeno, C_1-C_6 alquilo, C_1-C_6 alcoxi.

25. El compuesto de la fórmula I en donde R^3 es H; R^4 es H, R^{21} es $(-CHR^{22})_mR^{23}$, m es 1, R^{22} es H, R^{23} es un anillo saturado o insaturado de 5 ó 6 miembros que contiene 1 a 3 heteroátomos en donde cada anillo puede ser opcionalmente sustituido con 1 a 3 R^{11}.

26. El compuesto de la fórmula I en donde R^3 es H; R^4 es H, R^{21} es $(-CHR^{22})_mR^{23}$, m es 1, R^{22} es H, R^{23} es un anillo heteroaromático de 5 ó 6 miembros seleccionado del grupo que consiste en furanilo, piridinilo, piridinil-N-óxido, pirimidinilo, piridazinilo, pirazinilo, pirazolilo, tiazolilo, triazinilo, tiadiazolilo, oxazolilo, isoxazolilo, triazolilo, imidazolilo, tiofeno-2-ilo y tiofen-3-ilo, 1-metil-1H-pirazol-3-ilo, en donde cada anillo heteroaromático puede ser opcionalmente sustituido con 1 a 3 R^{20}, R^{20} es halógeno, nitro, C_1- C_6 alquilo, C_1-C_6 alcoxi.

27. El compuesto de la fórmula I en donde R^3 es H; R^4 es H, R^{21} es $(-CHR^{22})_mR^{23}$, m es 1, R^{22} es C_1-C_6 alquilo, fenilo opcionalmente sustituido con 1 a 5 R^{20}, R^{23} es naftilo, fenilo opcionalmente sustituido con 1 a 5 R^{20}, R^{20} es halógeno, nitro, C_1-C_6 alquilo, C_1-C_6 alcoxi, fenoxi, fenilo en donde cada fenoxi, fenilo puede ser opcionalmente sustituido con 1 a 3 sustituyentes seleccionados independientemente de R^{31}, R^{31}

es halógeno, C_1-C_6 alquilo, C_1-C_6 haloalquilo, bencilo, piridilo.

28. El compuesto de la fórmula I en donde R^3 es H; R^4 es H, R^{21} es $(-CHR^{22})_mR^{23}$, m es 1, R^{22} es H, R^{23} es naftilo, benzo[1,3]dioxolilo, fenilo opcionalmente sustituido con 1 a 5 R^{20}, R^{20} es halógeno, ciano, nitro, amino, C_1-C_6 alcoxialcoxi, C_1-C_6 alquilo, C_1-C_6 haloalquilo, C_1-C_6 hidroxialquilo, C_2-C_6 alcoxialquilo, C_2-C_6 haloalcoxialquilo, C_2-C_6 alquenilo, hidroxilo, C_1-C_6 alcoxi, C_1-C_6 haloalcoxi, C_1-C_6 alquiltio, C_1-C_6 haloalquiltio, C_1-C_6 alquilsulfonilo, C_1-C_6 alquilamino, benciloxi, fenoxi, en donde cada benciloxi, fenoxi, puede ser opcionalmente sustituido con 1 a 3 sustituyentes seleccionados independientemente de R^{31}, R^{31} es halógeno, C_1-C_6 alquilo, C_1-C_6 alcoxi.

29. El compuesto de la fórmula I en donde R^3 es H; R^4 es H, R^{21} es $(-CHR^{22})_mR^{23}$, m es 1, R^{22} es $-CH_3$, $-CH_2CH_3$, $-CH_2CH_2CH_3$, bencilo, 4-fluoro-fenilo, R^{23} es fenilo, 4- fluoro-fenilo, p-tolilo.

30. El compuesto de la fórmula I en donde R^3 es H; R^4 es H, R^{21} es $(-CHR^{22})_mR^{23}$, m es 1, R^{22} es H, R^{23} es fenilo, p-tolilo, 4-fluoro-fenilo, 4-metoxi-fenilo, 3-metoxi- fenilo, tiofen-2-ilo, tiofen-3-ilo, 3-fluoro-fenilo, 3-bromo-fenilo, benzotiofen-2-ilo, 2,4,6-trimetil-fenilo,1-etil-2-metoxi-fenilo, 3-benzonitrilo, 3-fluoro-4-metoxi-fenilo.

31. Una composición para el control de un patógeno fúngico que incluye el compuesto de la reivindicación 1 y un material transportador fitológicamente aceptable.

32. La composición de la reivindicación 31, caracterizada porque el patógeno fúngico es Costra de Manzana (*Venturia inaequalis*), Mancha Moteada de Hoja de Trigo (*Separia tritici*), Mancha de hoja de remolachas (*Cercospora beticola*), Mancha de hoja de cacahuate (*Cercospora arachidicola*) y Sigatoka Negra (*Mycosphaerella fijiensis*).

33. Un método para el control y prevención de ataque fúngico en una planta, en donde el método incluye los pasos de:

aplicar una cantidad fungicidamente efectiva de al menos uno de los compuestos de la reivindicación 1 al menos a una planta, un área adyacente a la planta, tierra adaptada para soportar el crecimiento de la planta, la raíz de una planta, el follaje de la planta y una semilla adaptada para producir al menos ya sea la planta u otra planta.

933	C	C	D	C	E	E
941	D	D	B	C	E	E
952	D	D	D	D	E	E

5

INTERNATIONAL SEARCH REPORT

International application No
PCT/US2009/031683

A. CLASSIFICATION OF SUBJECT MATTER
INV. C07D239/47 C07D401/12 C07D403/12 C07D405/12 C07D409/12
C07D413/12 C07D417/12 A01N43/54 A01N43/78 A01P3/00

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 A01P

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.
X	EP 0 139 613 A (CIBA GEIGY AG [CH]) 2 May 1985 (1985-05-02) page 12, paragraphs 3,4; claims 1,4,7,9,11,12; table 5; compounds 5.6, 5.51	1,23-33
X	EP 0 332 579 A (CIBA GEIGY AG [CH]) 13 September 1989 (1989-09-13) abstract; claims 3,10,11,21-24; table 1; compounds 1.77, 1.89, 1.97	1,23-33
X	US 3 868 373 A (HOFFER MAX) 25 February 1975 (1975-02-25) claims 1,2; example 2	1,23-33
X	GB 1 461 184 A (HOFFMANN LA ROCHE) 13 January 1977 (1977-01-13) claim 1	1,23-30

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[X] 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
14 April 2009	30/09/2009
Name and mailing address of the ISA/ European Patent Office, P.B. 5818 Patentlaan 2 NL – 2280 HV Rijswijk Tel. (+31–70) 340–2040, Fax: (+31–70) 340–3016	Authorized officer Stroeter, Thomas

Form PCT/ISA/210 (second sheet) (April 2005)

INTERNATIONAL SEARCH REPORT

International application No
PCT/US2009/031683

C(Continuation). DOCUMENTS CONSIDERED TO BE RELEVANT

Category*	Citation of document, with indication, where appropriate, of the relevant passages	Relevant to claim No.
X	JAWORSKI, ANDRZEJ ET AL: "Infrared spectra and tautomerism of 5-fluorocytosine, 5-bromocytosine and 5-iodocytosine. Matrix isolation and theoretical ab initio studies" JOURNAL OF MOLECULAR STRUCTURE , 223, 63-92 CODEN: JMOSB4; ISSN: 0022-2860, 1990, XP002523451 compound 5FC	1,23-30
X	BIRESSI M GABRIELLA ET AL: "Some 5-fluorosulfanilamidopyrimidines" GAZZETTA CHIMICA ITALIANA, SOCIETA CHIMICA ITALIANA, ROME, IT, vol. 93, no. 10, 1 January 1963 (1963-01-01), pages 1268-1278, XP009115256 ISSN: 0016-5603 compound XIV	1,23-30

INTERNATIONAL SEARCH REPORT

International application No.
PCT/US2009/031683

Box No. II Observations where certain claims were found unsearchable (Continuation of item 2 of first sheet)

This international search report has not been established in respect of certain claims under Article 17(2)(a) for the following reasons:

1. ☐ Claims Nos.:
because they relate to subject matter not required to be searched by this Authority, namely:

2. ☐ Claims Nos.:
because they relate to parts of the international application that do not comply with the prescribed requirements to such an extent that no meaningful international search can be carried out, specifically:

3. ☐ Claims Nos.:
because they are dependent claims and are not drafted in accordance with the second and third sentences of Rule 6.4(a).

Box No. III Observations where unity of invention is lacking (Continuation of item 3 of first sheet)

This International Searching Authority found multiple inventions in this international application, as follows:

see additional sheet

1. ☐ As all required additional search fees were timely paid by the applicant, this international search report covers allsearchable claims.

2. ☐ As all searchable claims could be searched without effort justifying an additional fees, this Authority did not invite payment of additional fees.

3. ☐ As only some of the required additional search fees were timely paid by the applicant, this international search reportcovers only those claims for which fees were paid, specifically claims Nos.:

4. ☒ No required additional search fees were timely paid by the applicant. Consequently, this international search report is restricted to the invention first mentioned in the claims; it is covered by claims Nos.:

see additional sheet

Remark on Protest

☐ The additional search fees were accompanied by the applicant's protest and, where applicable, the payment of a protest fee.

☐ The additional search fees were accompanied by the applicant's protest but the applicable protest fee was not paid within the time limit specified in the invitation.

☐ No protest accompanied the payment of additional search fees.

FURTHER INFORMATION CONTINUED FROM PCT/ISA/ 210

This International Searching Authority found multiple (groups of) inventions in this international application, as follows:

1. claims: 23-30 (each complete); 1, 31-33 (each partial)

compounds (and subject-matter referring to these compounds) of formula (I), wherein R1 = NH2 (R3 = R4 = H)

---

2. claims: 1-11, 31-33 (each partial)

compounds (and subject-matter referring to these compounds) of formula (I), wherein R1 = NR3R4 and (a) either R3 = alkyl, alkenyl, alkynyl, (CHR22)mR37, (CHR24)mOR29 and R4 = H or (b) R3 = H and R4 = alkyl

---

3. claims: 1, 31-33 (each partial)

compounds (and subject-matter referring to these compounds) of formula (I), wherein R1 = NR3R4 and R3 = heteroaromatic ring as given in claim 1 or fused imidazole or benzodioxolyl or isobenzofuranonyl and R4 = H

---

4. claims: 1, 31-33 (each partial)

compounds (and subject-matter referring to these compounds) of formula (I), wherein R1 = NR3R4 and R3 = CN and R4 = H

---

5. claims: 1-11, 31-33 (each partial)

compounds (and subject-matter referring to these compounds) of formula (I), wherein R1 = NR3R4 and (a) either R3 = C(=O)R6, C(=O)OCH2C(=O)R8, C(=O)NR8R10 and R4 = H or (b) R3 = H and R4 = C(=O)R6, C(=O)NR8R10

---

6. claims: 1-7, 31-33 (each partial)

compounds (and subject-matter referring to these compounds) of formula (I), wherein R1 = NR3R4 and R3 = C(=S)R6 or C(=S)NHR8 and R4 = H

---

7. claims: 1-7, 31-33 (each partial)

compounds (and subject-matter referring to these compounds) of formula (I), wherein R1 = NR3R4 and R3 = OR7, P(O)(OR15)2, S(O)2R8, SR8, Si(R8)3, N(R9)R10, N=C(R15)R16 and R4 = H

FURTHER INFORMATION CONTINUED FROM PCT/ISA/ 210

8. claims: 1, 31-33 (each partial)

compounds (and subject-matter referring to these compounds) of formula (I), wherein R1 = NR3R4 and R3 = C(=NR16)SR16 and R4 = H

---

9. claims: 12-22 (each complete); 1, 31-33 (each partial)

compounds (and subject-matter referring to these compounds) of formula (I), wherein R1 = NR3R4 and neither R3 nor R4 = H

INTERNATIONAL SEARCH REPORT

Information on patent family members

International application No
PCT/US2009/031683

Patent document cited in search report		Publication date	Patent family member(s)		Publication date
EP 0139613	A	02-05-1985	AU	584861 B2	08-06-1989
			AU	3245084 A	07-03-1985
			BR	8404295 A	23-07-1985
			CA	1254560 A1	23-05-1989
			DK	410384 A	01-03-1985
			ES	8506288 A1	01-11-1985
			GR	80171 A1	02-01-1985
			IL	72771 A	31-03-1988
			JP	60072867 A	24-04-1985
			NZ	209356 A	08-01-1988
			PT	79137 A	01-09-1984
			ZA	8406706 A	24-04-1985
EP 0332579	A	13-09-1989	AT	109776 T	15-08-1994
			AU	3114989 A	14-09-1989
			BR	8901086 A	31-10-1989
			DE	58908159 D1	15-09-1994
			DK	112689 A	10-09-1989
			ES	2057172 T3	16-10-1994
			JP	1272569 A	31-10-1989
			US	4980355 A	25-12-1990
			US	5112840 A	12-05-1992
			ZA	8901767 A	29-11-1989
US 3868373	A	25-02-1975	NONE		
GB 1461184	A	13-01-1977	AT	331259 B	10-08-1976
			BE	819606 A1	06-03-1975
			CH	579057 A5	31-08-1976
			DD	114263 A5	20-07-1975
			DE	2436149 A1	27-03-1975
			FR	2247457 A1	09-05-1975
			JP	50050386 A	06-05-1975
			NL	7410730 A	11-03-1975
			SU	578873 A3	30-10-1977

PATENT COOPERATION TREATY PCT/US2009/031683

From the INTERNATIONAL BUREAU

PCT

NOTIFICATION OF THE RECORDING OF A CHANGE

(PCT Rule 92*bis*.1 and Administrative Instructions, Section 422)

To:

ARNETT, Charles
9330 Zionsville Rd
Indianapolis, Indiana 46268
ETATS-UNIS D'AMERIQUE

Date of mailing *(day/month/year)* 03 March 2009 (03.03.2009)	
Applicant's or agent's file reference 64,988A WO	**IMPORTANT NOTIFICATION**
International application No. PCT/US2009/031683	International filing date *(day/month/year)* 22 January 2009 (22.01.2009)

1. The following indications appeared on record concerning:

☒ the applicant ☒ the inventor ☐ the agent ☐ the common representative

Name and Address	State of Nationality	State of Residence
SMITH, Frisby 1540 Drew Ave Davis, CA 95618-5320 United States of America	US	US
	Telephone No.	
	Facsimile No.	
	E-mail address	

2. The International Bureau hereby notifies the applicant that the following change has been recorded concerning:

☐ the person ☐ the name ☒ the address ☐ the nationality ☐ the residence

Name and Address	State of Nationality	State of Residence
SMITH, Frisby 4622 Cowell Boulevard Davis, CA 95618 United States of America	US	US
	Telephone No.	
	Facsimile No.	
	E-mail address ☐ Notifications by e-mail authorized	

3. Further observations, if necessary:

4. A copy of this notification has been sent to:

☒ the receiving Office
☒ the International Searching Authority
☐ the International Preliminary Examining Authority
☐ the designated Offices concerned
☐ the elected Offices concerned
☐ other:

The International Bureau of WIPO 34, chemin des Colombettes 1211 Geneva 20, Switzerland Facsimile No. +41 22 338 70 80	Authorized officer Gevaux David e-mail pt11.pct@wipo.int Telephone No. +41 22 338 74 11

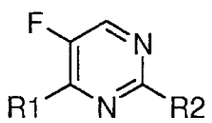
Industria y Comercio
SUPERINTENDENCIA

SUPERINTENDENCIA DE INDUSTRIA Y COMERCIO
DIVISION DE NUEVAS CREACIONES
EXTRACTO PARA PUBLICACIÓN
SOLICITUD DE PATENTE DE INVENCIÓN PCT

(21) N° de solicitud: 22) Fecha de solicitud: (30) Prioridad (31) ***Prioridad*** (32) ***Fecha*** (33) ***País*** **61/011,799** **22/01/2008** **US** **61/115,297** **17/11/2008** **US**	(51) Int Cl: **C07D 239/047** (71)Solicitante(s) **DOW AGROSCIENCES LLC** (72) Inventor(es) **ZOLTAN BENKO** **Y OTROS** (74) Apoderado: **LUZ CLEMENCIA DE PAEZ**
(85) Fecha limite inicio fase nacional: 22/08/2010 (86) Datos relativos a la presentación de la solicitud PCT Fecha de presentación de la solicitud: 22/01/2009 No. de solicitud PCT/US2009/031683	(87) Publicación internacional Fecha: 30/07/2009 N° publicación: ***WO 2009/094442 A3***
(54) Titulo: **DERIVADOS DE PIRIMIDINA 5-FLUORO COMO FUNGICIDAS**	

Reivindicación(es):

1. Un compuesto de la fórmula I



I

en donde R^1 es $-N(R^3)R^4$;
R^2 es $-OR^{21}$;
R^3 es:

H;

C_1-C_6 alquilo opcionalmente sustituido con 1 a 3 R^5;

C_2-C_6 alquenilo opcionalmente sustituido con 1 a 3 R^5;

un anillo heteroaromático de 5 o 6 miembros seleccionado del grupo que consiste en furanilo, piridinilo, piridinil-N-óxido, pirimidinilo, piridazinilo, pirazinilo, tiazolilo, triazinilo, tiadiazolilo, oxazolilo, isoxazolilo, triazolilo, siendo cada anillo heteroaromático opcionalmente sustituido con 1 a 3 R^{30};

imidazol fusionado con un anillo aromático o heteroaromático seleccionado del grupo que consiste en benceno, oxazol, isoxazol, furan, tiazol, pirimidina, piridina, pirrol, pirazina, tiofeno, siendo cada anillo aromático o heteroaromático opcionalmente sustituido con 1 a 3 R^{30};

benzo[1,3]dioxolilo;
3H-isobenzofuran-1-onilo;
ciano;
C3-C6 alquinilo opcionalmente sustituido con 1 a 3 R5;

- C(=O)R6;
- C(=O)OCH2C(=O)R8;
- C(=S)R6;
- C(=S)NHR8;
- C(=O)N(R8)R10;
- OR7;
- P(O)(OR15)2;
- $S(O)_2R^8$;
- SR^8;
- $Si(R^8)_3$;
- $N(R^9)R^{10}$;
- $N=C(R^{15})R^{16}$;
  $-(CHR^{22})_mR^{37}$;
  $-(CHR^{24})OR^{29}$; o
- $C(=NR^{16})SR^{16}$;

en donde m es un entero de 1-3;

R^4 es:

H;

C_1-C_6 alquilo, opcionalmente sustituido con 1 a 3 R^5;

- $C(=O)R^6$; o
- $C(=O)N(R^8)R^{10}$;

como alternativa R^3 y R^4 se pueden tomar juntos para formar:

un anillo saturado o insaturado de 5 ó 6 miembros que contiene 1 a 3 heteroátomos en donde cada anillo puede ser opcionalmente sustituido con 1 a 3 R^{11};

$=C(R^{12})N(R^{13})R^{14}$;
$=C(R^{13})(R^{14})$;
$=C(R^{15})OR^{15}$;
$=S(R^{34})_2$; o
$=NR^{35}$;

R^5 es independientemente halógeno, C_1-C_6 alquilo, C_1-C_4 haloalquilo, C_1-C_4 alcoxi, C_1-C_4 haloalcoxi, C_1-C_4 alquiltio, C_1-C_4 haloalquiltio, amino, C_1-C_3 alquilamino, C_2-C_6 alcoxicarbonilo, C_2-C_6 alquilcarbonilo, C_2-C_6 alquilaminocarbonilo, -OH, N-metil piperazina o C_3-C_6 trialquilsililo;

SUPERINTENDENCIA DE INDUSTRIA Y COMERCIO

NIT : 800176089-2

RECIBO OFICIAL DE CAJA : 10 - 57,124
FECHA : JULIO 12 DE 2010

SUPERINTENDENCIA DE INDUSTRIA Y COMERCIO
No. 10-089491- -00000-0000
Fecha: 2010-07-22 16:46:27 Dep. 2020 DIR.NUEVASCR
Tra. 11 PATENTEIYII Eve: 378 FASENACIONALI
Act. 411 PRESENTACION Folios: 358

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

DEPOSITANTE	TIPO PAGO	BANCO	CUENTA	No. PAGO	FECHA PGO	Vr. PAGO
CAVELIER ABOGADOS	CONSIGNACION	BANCO DE BOGOTA	062754387	770814	12/07/2010	39,730,000.00

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

CANT.	RENTISTICO	CONCEPTO		TOTAL CONCEPTO
1	50005-01-01 SOLICITUDES	1 TRAMITES DE SOL. DE PATENTE DE IN		554,000.00
		TOTAL :	$	554,000.00

SON: QUINIENTOS CINCUENTA Y CUATRO MIL PESOS

RESPONSABLE : _____

RECIBO DE CAJA APLICADO AL EXPEDIENTE No._____

Folio 10975-841-040-0

SUPERINTENDENCIA DE INDUSTRIA Y COMERCIO

NIT : 800176089-2

RECIBO OFICIAL DE CAJA : 10 - 20,563
FECHA : MARZO 15 DE 2010

SUPERINTENDENCIA DE INDUSTRIA Y COMERCIO
No. 10-089491- -00000-0000
Fecha: 2010-07-22 16:46:27 Dep. 2020 DIR.NUEVASCR
Tra. 11 PATENTEIYII Eve: 378 FASENACIONALI
Act 411 PRESENTACION Folios: 358

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

DEPOSITANTE	TIPO PAGO	BANCO	CUENTA	No. PAGO	FECHA PGO	Vr. PAGO
CAVELIER ABOGADOS	CONSIGNACION	BANCO DE BOGOTA	062754387	175526956	15/03/2010	56,869,000.00

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

CANT.	RENTISTICO	CONCEPTO	TOTAL CONCEPTO
1	50005-01-01 SOLICITUDES	5 TRAMITES DE SOL. DE MODELO DE UTI	325,000.00
		TOTAL : $	325,000.00

SON: TRESCIENTOS VEINTICINCO MIL PESOS

RESPONSABLE : _____

RECIBO DE CAJA APLICADO AL EXPEDIENTE No._____

Cdo 10975-891-040-0

SUPERINTENDENCIA DE INDUSTRIA Y COMERCIO

NIT : 800176089-2

RECIBO OFICIAL DE CAJA : 10 - 48,316
FECHA : JUNIO 15 DE 2010

SUPERINTENDENCIA DE INDUSTRIA Y COMERCIO
No. 10-089491- -00000-0000
Fecha: 2010-07-22 16:46:27 Dep. 2020 DIR.NUEVASCR
Tra. 11 PATENTEIYII Eve: 378 FASENACIONALI
Act 411 PRESENTACION Folios: 358

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

DEPOSITANTE	TIPO PAGO	BANCO	CUENTA	No. PAGO	FECHA PAG	Vr. PAGO
CAVELIER ABOGADOS	CONSIGNACION	BANCO DE BOGOTA	062754387	132666984	15/06/2010	51,356,000.00

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

CANT.	RENTISTICO	CONCEPTO		TOTAL CONCEPTO
1	50005-01-01 SOLICITUDES	90	INSCRIPCION DE RENUNCIA DE DERECH	290,000.00
			TOTAL : $	280,000.00

SON: DOSCIENTOS OCHENTA MIL PESOS

RESPONSABLE : _____

RECIBO DE CAJA APLICADO AL EXPEDIENTE No. _____

Odo 10975-841-040-0

Industria y Comercio
SUPERINTENDENCIA

SUPERINTENDENCIA DE INDUSTRIA Y COMERCIO
No. 10-089491- -00000-0000
Fecha: 2010-07-22 16:46:27 Dep. 2020 DIR.NUEVASCR
Tra. 11 PATENTEIYII Eve: 378 FASENACIONALI
Act. 411 PRESENTACION Folios: 358

PATENTE DE INVENCIÓN ☐ **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 INVENCIÓN PCT ☑ **MODELO DE UTILIDAD PCT** ☐ **Art.33 Decisión 486/00, Circular Única**

- ☑ Indicación que se solicita una PCT
- ☑ Copia de la solicitud en español, tal como fue presentada inicialmente (capítulo descriptivo, reivindicatorio, resumen)
- ☐ Dibujos de ser estos pertinentes
- ☑ Comprobante de pago de las tasas establecidas (de ser el caso formato de descuento)

Completa ☐ Incompleta ☐

DISEÑO INDUSTRIAL ☐ **(Art. 119 Decisión 486/00)**

- ☐ Indicación que se solicita Diseño industrial
- ☐ Datos de identificación del solicitante o de la persona que presenta la solicitud
- ☐ Representación gráfica y fotográfica del Diseño industrial o muestra del material que incorpora el diseño
- ☐ Comprobante de pago de las tasas establecidas

Completa ☐ Incompleta ☐

ESQUEMA DE TRAZADO ☐ **(Art. 92 Decisión 486/00)**

- ☐ Indicación que se solicita un esquema de trazado
- ☐ Datos de identificación del solicitante o de la persona que presenta la solicitud
- ☐ Representación gráfica de un esquema de trazado
- ☐ Comprobante de pago de las tasas establecidas

Completa ☐ Incompleta ☐

Industria y Comercio
SUPERINTENDENCIA

Bogotá D.C.,
2020

Doctor(a)
SUAREZ DE PAEZ LUZ CLEMENCIA
Apoderado(a) y/o Representante de
DOW AGROSCIENCES LLC

REFERENCIA	Radicación No.	10 089491
	Solicitud internacional	PCT/US2009/031683
	Fecha inicio fase nacional	22/08/2010
	Trámite	11
	Evento	378
	Actuación	430
	Oficio No.	11651

Como resultado del examen de forma, realizado con fundamento en el artículo 38 de la Decisión 486 de la Comisión de la Comunidad Andina, artículo 27 del Tratado de Cooperación en Materia de Patentes (PCT), regla 51 bis del Reglamento y Circular Única de la Superintendencia de Industria y Comercio, se le comunica que:

1. La solicitud no contiene la copia del documento en que consta la cesión del derecho a la patente del inventor al solicitante o a su causante, conforme a lo establecido por el artículo 26 literal k) de la Decisión 486 de la Comisión de la Comunidad Andina. Cuando se trate de documentos otorgados en el extranjero, estos deberán legalizarse de conformidad con lo dispuesto por los artículos 259 y 260 del Código de Procedimiento Civil. Cuando se trate de las declaraciones a que hace referencia la Regla 4.17 ii) del Tratado de Cooperación en Materia de Patentes PCT., el alcance de las tales declaraciones se determinará según indiquen claramente una cesión de derechos del inventor al solicitante o su causante, de conformidad con lo dispuesto en el numeral 5.2.15 del Capítulo Quinto, Título X de la Circular Única de Superintendencia de Industria y Comercio.

En cumplimiento de lo dispuesto por el artículo 39 de la Decisión 486, se le requiere para que dentro del término de dos meses siguientes a la fecha de notificación del presente oficio, complete los requisitos anteriormente enunciados. En caso de no dar cumplimiento al presente requerimiento, la solicitud se considerará abandonada y perderá su prelación.

Notifíquese el presente oficio conforme a lo dispuesto en el numeral 5.2, literal e), del capítulo quinto del título primero de la Circular Unica No.10 de 2001.

ALIX CARMENZA CESPEDES DE VERGEL
Directora de Nuevas Creaciones (c)

El anterior requerimiento fue notificado por fijación en lista de fecha 10 SET. 2010.
adpa11

Sede Centro: Carrera 13 No. 27-00 Pisos 2, 5 , 7 y 10 PBX: 5870000
Sede CAN: Av. Cra 50 No. 26-55 int. 2 Tel: 5880070
Call Center 6513240. Línea 01800-910165
Web: www.sic.gov.co e-mail: info@sic.gov.co
Bogotá D.C. - Colombia