



Industria y Comercio

SUPERINTENDENCIA

QF

Delegatura de propiedad Industrial

División de Nuevas Creaciones

PCT

SOLICITUD

PATENTE DE INVENCION

21 **EXPEDIENTE No.** 06-38137

54 **TÍTULO** Mimeticos de Glucocorticoides, Metodos de Preparación, composiciones Farmaceuticas y Usos de los Mismos

51 **CLASIFICACIÓN INTERNACIONAL** A61K 31/175, 31/52, C07D 471/04, 487/04, 495/04, 491/04, 405/10, 307/79, A61P 5/44, 5/48

71 **SOLICITANTE** BOEHRINGER INGELHEIM PHARMACEUTICALS, INC.

DOMICILIO RIDGEFIELD, U.S.A.

74 **APODERADO** Emilio Ferrero
c.c. 438 019 de Usaquén

22 **BOGOTÁ, D. C.,** _____



Industria y Comercio
SUPERINTENDENCIA

PETITORIO

SUPERINTENDENCIA DE INDUSTRIA Y COMERCIO
Rad: 06-038137- -00000-0000 Fez 2006-04-21 18:05:58
Dep. 2020 NUECREACIONES
Tra: 11 PATENTERII Evs 379 FASENACIONALI
Act 411 PRESENTACION Folios: 1051

FORMULARIO UNICO DE SOLICITUD DE PATENTE
PCT

ENTRADA EN FASE NACIONAL 24-04-2006

SOLICITUD DE:

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

2
S LICITANTE
(71)

Nombre: **BOEHRINGER INGELHEIM/
PHARMACEUTICALS INC**
sociedad constituida y existente bajo las
leyes del Estado de Delaware, Estados
Unidos de América.

Dirección: 900 Ridgebury Road
Ridgefield 368
Connecticut 06877-0368
Estados Unidos de América

Domicilio: Ridgefield
Connecticut 06877-0368
Estados Unidos de América

IDENTIFICACIÓN

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

3
REPRESENTANTE
O APODERADO

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

IDENTIFICACIÓN

C.C. ☒ NIT ☐
C.E. ☐ Otro ☐
Cual _____
Número 438.019 T/P 81.929

4
INVENTOR (ES)
(72)

1. **Younes BEKKALI**
Boehringer Ingelheim Pharmaceuticals,
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900 Ridgebury Road
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Estados Unidos de América

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5. **Christian H J J HARCKEN**
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2. **Rajashekhhar BETAGERI**
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4. **Abdelhakim HAMMACH**
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9. Pingrong LIU
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11. Hossein RAZAVI
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13. Hidenori TAKAHASHI
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14. David S THOMSON
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Connecticut 06877
Estados Unidos de América

16. Renee ZINDELL
Boehringer Ingelheim Pharmaceuticals,
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900 Ridgebury Road
P.O. Box 368, Ridgefield
Connecticut 06877
Estados Unidos de América

5

PCT (86)

Solicitud Internacional No. PCT/US2004/031009Fecha: 22 de septiembre de 2004Publicación Internacional No. WO 2005/030213 A1Fecha: 7 de abril de 2005

6

Título (54)

MIMETICOS DE GLUCOCORTICOIDES, METODOS DE PREPARACION,
COMPOSICIONES FARMACEUTICAS Y USOS DE LOS MISMS

7

Clasificación Internacional (51) A61K 31/475, 31/52, C07D 471/04, 471/04, 493/04,
491/04, 495/10, 307/19, A61P 5/44, 5/46

8

Prioridad

SI ☒ NO ☐

(33) País de Origen

US
US

(32) Fecha

24 de septiembre de 2003
29 de septiembre de 2003

(31) Número de Solicitud

60/505.456
60/507.079

9

Comprobante de pago No.: 06-19,913Fecha: marzo 13 de 2006

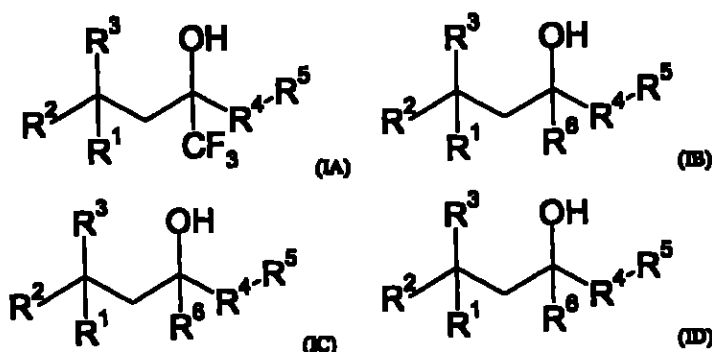
10

Anexos:

- ☒ Comprobante de pago de la tasa de presentación de la solicitud por \$ 463.000.00.
- ☐ Comprobante de pago por reivindicación de prioridad.
- ☒ Documento que acredita la existencia y representación legal cuando el solicitante sea persona jurídica.
- ☒ Poderes, si fuere el caso.
- ☒ Documento de cesión del inventor al solicitante o a su causante.
- ☐ Declaraciones.
- ☒ Copia de la solicitud internacional. (Resumen, descripción, reivindicaciones, dibujos)
- ☒ Traducción de la solicitud internacional al castellano. (Resumen, descripción, reivindicaciones, dibujos)
- ☐ Copia del examen preliminar efectuado por la IPEA.
- ☐ Traducción del examen preliminar efectuado por la IPEA.
- ☐ De ser el caso, copia del contrato de acceso.
- ☐ De ser el caso, documento que acredite la licencia o autorización de uso de conocimientos tradicionales de las comunidades indígenas.
- ☐ De ser el caso, certificado de depósito del material biológico.
- ☒ Arte final 12x12
- ☐ De ser el caso, información sobre otras solicitudes de patente o títulos obtenidos en el extranjero por el mismo titular o su causante, relacionadas parcial o totalmente con la invención de esta solicitud.

Otros: VER HOJA DE DOCUMENTOS ANEXOS

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FIGURA CARACTERÍSTICA

4-3a

12

NOMBRE: EMILIO FERRERO

FIRMA: 

C.C. 438.019 de Usaquén T.P. 31.929

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

CAR/jag.

DOCUMENTOS ANEXOS

1. ☒ Publicación Internacional WO 2005/030213 A1
2. ☒ Forma PCT/ISA/210. Informe de Búsqueda Internacional.
3. ☒ Opinión escrita
4. ☒ Forma PCT/IPEA/401. PCT Demand
5. ☒ Extracto de publicación.

**NO SE REALIZARON MODIFICACIONES
EN FASE INTERNACIONAL**

REPRESENTACION Y PODER

REPRESENTATION AND
POWER OF ATTORNEY

I (we), the undersigned/Yo (nosotros), abajo firmado (a)
BOEHRINGER INGELHEIM PHARMACEUTICALS, INC.

of/domiciliado (a) en 900 Ridgebury Road,
Ridgefield, Connecticut 06877, United
States of America,

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 N° 72-35, Bogotá, Colombia, en obediencia a lo dispuesto en el parágrafo 1º del Artículo 543 del Código de Comercio, el primero como principal y los demás como suplentes, en su orden, como nros (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 al 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 y judicial, nulidad, 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, desistír, cancelar, recibir, renunciar, y ratificar los actos de agentes oficiosos.

In due compliance with the terms of paragraph 1st. of article 543 of the Commercial Code 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 N° 72-35, Bogotá, Colombia, 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 first or second alternates in their turn, or both of them, 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 appointee, 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 suffice. The exercise of the representation by the first, second or third alternates in their turn shall 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, for which purpose I (we) authorize the said attorneys to represent me (us) before any authorities or persons, with or without jurisdiction, specially 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 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 29th

day of August, 1996

In/en Ridgefield, Connecticut USA

Signature

Dr. Robert P. Raymond
Chief Patent Counsel

Firma

Boehringer Ingelheim Pharmaceuticals, Inc.

96912
ANA MARIA SALVETTI
TRANSLUCTORA E INTERPRETE OFICIAL
INGLES-ITALIANO-ESPAÑOL
BOL. No. 158 MINJUSTICIA

CAVELIER ABOGADOS
WIT/DERE-3 (MINUTA N° 12.1.1.2.6 USA)

9/087

CERTIFICADO NOTARIAL (INDIVIDUO)

A los 29 días del mes de AGOSTO de 19 96
ante mí, Notario Público de Connecticut, EE.UU.
compareció(eron) personalmente Dr. Robert P. Raymond

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.

MARY-ELLEN M. DEVLIN
NOTARY PUBLIC
MY COMMISSION EXPIRES OCT. 31, 2000

CERTIFICADO NOTARIAL (SOCIEDAD)

Hoy, 29 de Agosto de 19 96
compareció(eron) ante mí
Dr. Robert P. Raymond

a quien(es) conozco personalmente y que firmó este documen
to en representación de la BOEHRINGER INGELHEIM PHARMACEUTICALS
INC.

denominada BOEHRINGER INGELHEIM PHARMACEUTICALS
INC.

Certifico:

1. Que he visto los siguientes documentos:
ESCRITURA DE LA SOCIEDAD, ESTATUTOS Y VARIOS
RESOLUCIONES DE LA JUNTA DIRECTIVA, BIL-071
de fecha 29 de noviembre de 1984.

2. Que dichos documentos prueban lo siguiente:

2.1. Que BOEHRINGER INGELHEIM PHARMACEUTICALS,
INC.
es una Sociedad/Compañía legalmente constituida y existente
de acuerdo con las leyes de Estado de Delaware,
Estados Unidos de América

2.2. Que el domicilio de dicha Sociedad/Compañía es
900 Ridgebury Road, Ridgefield, Connecticut
06877, Estados Unidos de América

2.3. Que el objeto u objeto para los cuales se otorga el presente
poder están comprendidos dentro del objeto social de la
mencionada Sociedad/Compañía.

2.4. Que el(los) Sr.(Sres.) DR. ROBERT P. RAYMOND

está(n) autorizado(s) para otorgar el presente Poder.

Ciudad Ridgefield

Estado Connecticut, EE.UU.

Hoy 29 Agosto de 19 96

Notario Público — Notary Public

* Los documentos deben identificarse con fecha y origen.

NOTARIAL CERTIFICATE (INDIVIDUAL)

On this 29 day of August 19 96
before me, a Notary Public of Connecticut, USA
personally appeared Dr. Robert P. Raymond

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.

Mary-Ellen M. Devlin
Mary-Ellen M. Devlin
My Commission Expires 10/31/2000

NOTARIAL CERTIFICATE (CORPORATE)

On this 29 day of August 19 96
appeared before me

Dr. Robert P. Raymond

whom I know personally and who(m) signed this document as
representative(s) of the Corporation/Company

named BOEHRINGER INGELHEIM PHARMACEUTICALS
INC.

I Certify:

1. That I have seen the following documents:

Corporate Charter, By-Laws and various, board
resolutions, BIL-071 dated November 29, 1984.

2. That the above documents duly prove the following:

2.1. That BOEHRINGER INGELHEIM PHARMACEUTICALS,
INC.
is a Corporation/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/Company is
900 Ridgebury Road, Ridgefield, Connecticut
06877, United States of America

2.3. That the 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.

2.4. That Mr.(Messrs.) Dr. Robert P. Raymond

is(are) authorized to grant the present Power of Attorney.

City Ridgefield

State Connecticut, USA

This 29th day of August 19 96

Mary-Ellen M. Devlin
MARY-ELLEN M. DEVLIN
NOTARY PUBLIC
MY COMMISSION EXPIRES OCT. 31, 2000

* Documents must be identified with date and origin.

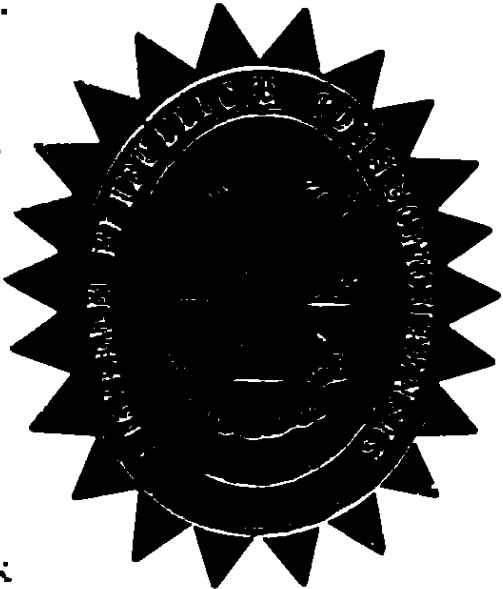
STATE OF CONNECTICUT
OFFICE OF THE SECRETARY OF THE STATE

I, MILES S. RAPOPORT, Secretary of the State of Connecticut,
and keeper of the seal thereof,

DO HEREBY CERTIFY: that BARBARA SERFILIPPI was duly elected or
appointed to the office of TOWN CLERK for the town of RIDGEFIELD in
the County of FAIRFIELD in said State, for the term of JANUARY 1,
1996 to JANUARY 5, 1998;

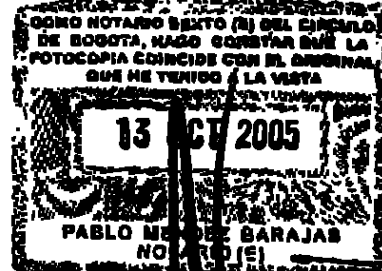
and that to his/her acts and
attestations as such, full faith and
credit are and ought to be given in and
out of Court.

In Testimony Whereof, I have hereunto
set my hand and affixed the Great Seal
of the State of Connecticut, at
Hartford, on August 30, 1996.



Miles S. Rapoport

Secretary of the State



96912

ANA MARIA SALVETTI
TRADUCTORA E INTERPRETE OFICIAL
INGLES - ITALIANO - ESPAÑOL
REG. No. 158 MINJUSTICIA

STATE OF CONNECTICUT
COUNTY OF FAIRFIELD

} RIDGEFIELD

I, Barbara Serfilippi, Clerk of the Town of Ridgefield

in said County, do hereby certify that Mary Ellen M. Devlin
by and before whom the foregoing (or annexed) acknowledgment was taken, was at the time of taking the same
a notary public (or other officer) residing (or authorized to act) in said County, and was authorized by the laws
of said State to take and certify acknowledgments in said State, and, further, that I believe that the signature to
the certificate of acknowledgment is genuine.

IN TESTIMONY WHEREOF, I have hereunto set my hand and affixed the seal of the State and Town this

29th day of August 19 96.

ANA MARIA SALVETTI
TRADUCTORA E INTERPRETE OFICIAL
INGLES - ITALIANO - ESPAÑOL
REG. No. 158 MINJUSTICIA

Barbara Serfilippi
Town Clerk

CONSULADO DE COLOMBIA

535 Boylston Street 11th Floor Boston, MA 02116 Tel: (617) 536-6222 Fax: (617) 536-9372

No 1156

El suscrito Cónsul de Colombia en Boston, MA, Estados Unidos vistos los documentos presentados

CERTIFICA:

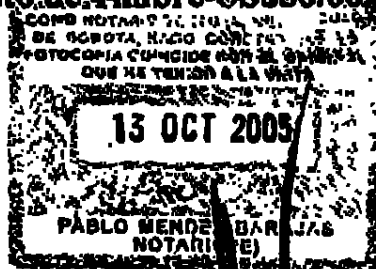
Que el señor(a) MILES S RAPOPORT, quien autenticó la firma de BARBARA SERFILIPPI, secretario de la ciudad de Ridgefield, Connecticut, en el documento adjunto ejercía en la fecha allí indicada las funciones de SECRETARIO DE ESTADO DEL ESTADO DE CONNECTICUT, USA y su firma y sello son los que acostumbra a usar en sus actos oficiales. Que la compañía BOEHRINGER INGELHEIM FARMACEUTICALS INC., con domicilio principal en la ciudad de RIDGEFIELD, CONNECTICUT, es sociedad constituida bajo las leyes del estado de DELAWARE, Estados Unidos y tienen y ejerce su objeto social conforme a las leyes de ese país. Que el señor ROBERT P RAYMOND en su calidad de CONSEJERO JEFE DE PATENTES de dicha compañía está debidamente autorizado para otorgar este poder a nombre de estas compañías y, para los fines y propósitos allí expresados.

La presente certificación se expide en cumplimiento del artículo 480 del Código de Comercio.

El Cónsul no asume responsabilidad por el contenido del documento.

Esta certificación se expide en Boston a los 13 días del mes de Septiembre de 1996

Pagado Impuesto de Timbre US\$56.00



LUIS FERNANDO LEMAITRE
VICECONSUL



76912

ANA MARIA SALVETTI
TRADUCTORA E INTERPRETE OFICIAL
INGLES - ITALIANO - ESPAÑOL
REG. No. 1ER MINISTRIA

MINISTERIO DE RELACIONES
EXTERIORES

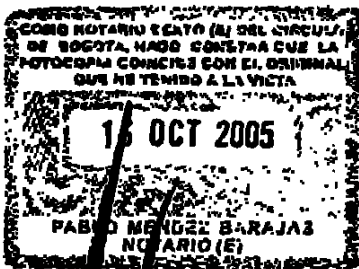
NO SE ASUME

RESPONSABILIDAD DEL TEXTO

1906 SET 25 A 11:45

JEFE DE LEGALIZACIONES
SANTAFE DE BOGOTA D.C.

DOCUMENTO DESEMPLEADO
LAS FUNCIONES INDICADAS



MINISTERIO DE RELACIONES
EXTERIORES

CUYA FIRMA REPRESENTA EL
DOCUMENTO DESEMPLEADO
LAS FUNCIONES INDICADAS

NO SE ASUME
RESPONSABILIDAD DEL TEXTO

1906 SET 24 A 11:45

JEFE DE LEGALIZACIONES
SANTAFE DE BOGOTA D.C.

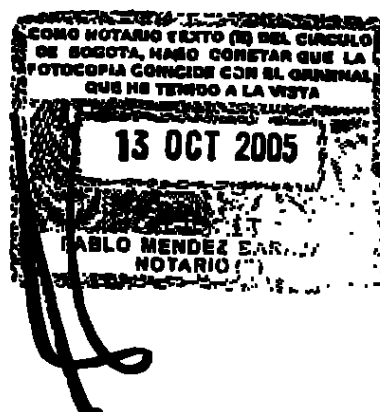
**CERTIFICACION NOTARIAL
Y AUTENTICACION CONSULAR**

1. Es necesaria la legalización con certificado notarial. El Notario Público debe certificar:
 - 1.1. Que la compañía está legalmente constituida y actualmente existente.
 - 1.2. Su domicilio.
 - 1.3. Que el propósito del poder está incluido 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.



9
10

TRADUCCION OFICIAL No.96912 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 Ana Maria Salvetti, Traductora Oficial debidamente reconocida por el Ministerio de Relaciones Exteriores y aprobada por el Ministerio de Justicia colombiano mediante Resolución No.158 de 1991.

(Se traducen sellos y legalizaciones que aparecen en la continuación de un poder escrito en inglés y español otorgado por la sociedad BOEHRINGER INGELHEIM PHARMACEUTICALS, INC., a favor de Germán CAVELIER, Gonzalo PERDOMO, Emilio FERRERO, y Luz Clemencia de PAEZ.)

Dado y firmado el 29 de agosto de 1996 en Ridgefield, Connecticut EE.UU. (Firmado), ilegible, Robert P. Raymond, Principal Asesor de Patentes. Boehringer Ingelheim Pharmaceuticals, Inc.

(en inglés y español): CERTIFICACION NOTARIAL (INDIVIDUO) referente a la firma que antecede. (Firmado), Marry-Ellen M. Devlin, Notario Público. Mi comisión expira el 31 de octubre del 2000. Sello seco del Notario.

CERTIFICACION NOTARIAL (SOCIEDAD) referente a la existencia y representación de la sociedad mencionada. (Firmado), Mary-Ellen M. Devlin, Notario Público. Mi comisión expira el 31 de octubre del 2000. Sello seco del Notario.

ESTADO DE CONNECTICUT

CONDADO DE FAIRFIELD, ss. RIDGEFIELD

Yo, Barbara Serfilippi, Escribano de la Población de

10
11

Ridgefield, en dicho Condado, por medio del presente certifico que Mary Ellen M. Devlin, por y ante quien el anterior reconocimiento (o adjunto) fue tomado, era al momento de tomarlo, un Notario Público (u otro funcionario) domiciliado (o autorizado para actuar) en dicho Condado, y que estaba autorizado por las leyes de dicho Estado para tomar y certificar reconocimientos en dicho Estado, y además, que creo que la firma que aparece en el certificado de reconocimiento es auténtica.

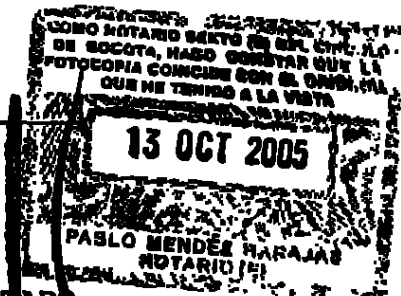
En Testimonio de lo Cual, he firmado y estampado del sello del Esado y Población hoy, 29 de agosto de 1996. (Firmado), Barbara Serfilippi, Escribano.

(0404/07/T/Rev.11-92)

ESTADO DE CONNECTICUT

OFICINA DEL SECRETARIO DEL ESTADO

Yo, Miles S. Rapoport, Secretario del Estado de Connecticut, y guardián de su Sello, POR MEDIO DEL PRESENTE CERTIFICO que BARBARA SERFILIPPI fue debidamente elegida o nombrada para el cargo de ESCRIBANO DEL CONDADO para la población de RIDGEFIELD en el Condado de FAIRFIELD en dicho Estado, para el período que va del 1o. de enero de 1996 al 5 de enero de 1998; y que sus actos oficiales en calidad de tal merecen completa fe y crédito dentro y fuera de la Corte. En testimonio de lo cual, he firmado y estampado el Gran Sello del Estado de Connecticut, en Hartford, el 30 de agosto de 1996. (Firma facsimilar), Miles S. Rapoport, Secretario del Estado. Adherido se encuentra sello de oblea del Estado de Connecticut. Sello seco del Consulado de Colombia en Boston,



12

EE.UU.

(en español): **Certificación No.1156 del 13 de septiembre de 1996**, de la firma que antecede por el Consulado de Colombia en Boston. Pagados impuesto de timbre y derechos de fondo rotatorio. (Firmado), Luis Fernando Lemaitre, Cónsul. Sello consular en tinta. **Certificación No.213241 del 24 de septiembre de 1996** de la firma que antecede por el Ministerio de Relaciones Exteriores. (Firmado), Jefe Legalizaciones.

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

Santa fe de Bogotá, 30 de septiembre de 1996.

COLO-7612-801-005-5

ams/59-60

Ara Maria Salveti
ARA MARIA SALVETTI
ABOGADA EN EL D.E. DE BOGOTÁ
CALLE 100 No. 10-8

MINISTERIO DE RELACIONES
EXTERIORES
263981
PABLO MENDIZABARAJAS
NOTARIO (E)
LAS FOLIOES INDICADAS

COMO NOTARIO SEXTO ENCARGADO DE SANTAFE
DE BOGOTA HAGO CONSTAR QUE LA FIRMA DE

Pablo Mendizabara
E.C.
COINCIDE CON LA REGISTRADA POR EL (E) EN
ESTA NOTARIA.

30 SET. 1996
SANTAFE DE BOGOTÁ, D.C.

NOTARIA SEXTO
ENCARGADO
PABLO MENDIZABARA
Jefe Encargado

NO SE ASUME
RESPONSABILIDAD DEL TEXTO
30 SET 1996 12:07
JEF. DE LEGALIZACIONES
SANTAFE DE BOGOTÁ D.C.

TELEFAX (57) (11) 2358850
CABLES CAVELEYES
TELEX: 45479

CAVELIER
ABOGADOS
EDIFICIO SISKI
CARRERA 41, No. 72-35
BOGOTA 8, COLOMBIA

CORREO ELECTRONICO MUNDIAL: CAVE
TELEFONO: (57) (11) 2120100

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

Poderdante: BOEHRINGER INGELHEIM PHARMACEUTICALS, INC.

Poder conferido el: 29-08-96

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.

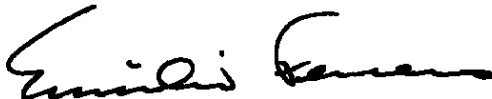
Señor Jefe,


GERMAN CAVELIER

T.P.A. No. 832

C.C. No. 2.877.924 de Bogotá

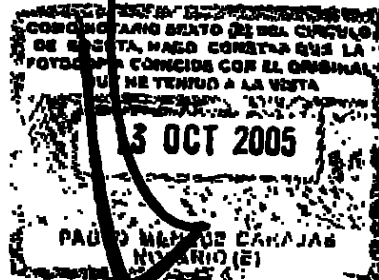
Acepto:



EMILIO FERRERO

T.P.A. No 31.929

C.C. No. 438.019 de Usaquén



2e-780-2

CESION

Yo, (nosotros) abajo firmado (s)

5. Christian H. J. J. HARCKEN
6. Thomas M. KIRRANE
7. Daniel KUZMICH
8. Thomas Wai-Ho LEE

Domiciliado(s)

en

5. Boehringer Ingelheim Pharmaceuticals, Inc., 900 Ridgebury Road, Ridgefield, CT 06877 / EEUU
6. Boehringer Ingelheim Pharmaceuticals, Inc., 900 Ridgebury Road, Ridgefield, CT 06877 / EEUU
7. Boehringer Ingelheim Pharmaceuticals, Inc., 900 Ridgebury Road, Ridgefield, CT 06877 / EEUU
8. Boehringer Ingelheim Pharmaceuticals, Inc., 900 Ridgebury Road, Ridgefield, CT 06877 / EEUU

Declaro(amos) bajo juramento ser único(s) y verdadero(s) inventor(es) de la invención: *Antiméticos de Glucocorticoides,**Antiméticos de preparación farmacéutica*
declaro(amos) así mismo que cedo(en/s) y transfiero(erimos), sin y *de los*
limitación, a favor de la sociedad *mismas*

Boehringer Ingelheim Pharmaceuticals, Inc.

constituida y existente de conformidad con las leyes de

EEUU

domiciliada en **Boehringer Ingelheim Pharmaceuticals, Inc., 900 Ridgebury Road, Ridgefield, CT 06877 / EEUU**todos los derechos inherentes a dicha invención y que la citada *Compañía* queda facultada para solicitar en su nombre la patente de invención correspondiente en la República de Colombia.

ASSIGNMENT

I (we), the undersigned

5. Christian H. J. J. HARCKEN
6. Thomas M. KIRRANE
7. Daniel KUZMICH
8. Thomas Wai-Ho LEE

of

5. Boehringer Ingelheim Pharmaceuticals, Inc., 900 Ridgebury Road, Ridgefield, CT 06877 / USA
6. Boehringer Ingelheim Pharmaceuticals, Inc., 900 Ridgebury Road, Ridgefield, CT 06877 / USA
7. Boehringer Ingelheim Pharmaceuticals, Inc., 900 Ridgebury Road, Ridgefield, CT 06877 / USA
8. Boehringer Ingelheim Pharmaceuticals, Inc., 900 Ridgebury Road, Ridgefield, CT 06877 / USA

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

"1,1,1-Trifluoro-4-Phenyl-4-Methyl-2-(1H-Pyrrolo)"state as well, that assign, without reservations or limitations in favour of **Boehringer Ingelheim Pharmaceuticals, Inc.**

a corporation constituted and existing in conformity with the laws of

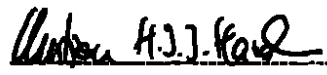
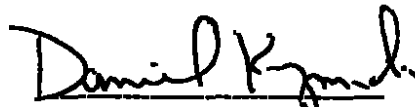
USA

domiciled in **Boehringer Ingelheim Pharmaceuticals, Inc., 900 Ridgebury Road, Ridgefield, CT 06877 / USA**

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

Dado y firmado hoy/Given and signed this 23RD DAY OF JANUARY, 2006
en/in RIDGEFIELD, CONNECTICUT USA

Firma/Signature


Christian H. J. J. HARCKEN
Thomas M. KIRRANE
Daniel KUZMICH
Thomas Wai-Ho LEE

15 ¹⁴

APOSTILLE

(Convention de La Haye du 5 octobre 1961)

1. Country: The United States of America

THIS PUBLIC DOCUMENT

2. has been signed by **JEANNE E. ROGERS**

3. acting in the capacity of **NOTARY PUBLIC**

4. in the State of Connecticut for the term of **November 26, 2001 to November 30, 2006**

CERTIFIED

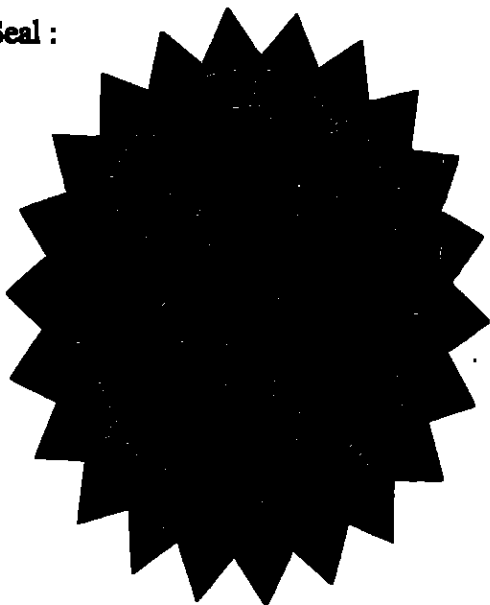
5. at **Hartford, Connecticut**

6. on **February 14, 2006**

7. by **SUSAN BYSIEWICZ** Secretary of the State of Connecticut

8. Number : **2006-1731**

9. Seal :



10. Signature


Secretary of the State

CERTIFICACIÓN NOTARIAL (INDIVIDUO)

A los _____ días del mes de _____

de 200 _____ Ante mí, Notario Público de _____

compareció(eron) personalmente _____

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 CERTIFICATION (INDIVIDUAL)

On this 23rd day of January

2006 _____ before me, a Notary Public of

The State of Connecticut

personally appeared Christian H.J.J. HARCKEN,

Thomas M. KIRRANE, Daniel KUZMICH,

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



Jeanne E. ROGERS
Notary Public

APOSTILLE

(Convention de La Haye du 5 octobre 1961)

1. Country:
This public document
2. has been signed by
3. acting in the capacity of
4. bears the seal/stamp of

Certified

5. at 6. the
7. by
8. No.
9. Seal/stamp 10. Signature

.....

17 ~~16~~

TRADUCCIÓN OFICIAL 066/2006 DE UN DOCUMENTO ESCRITO EN INGLÉS Y EN ESPAÑOL, REALIZADA POR EDUARDO CALADO NOGUERA, TRADUCTOR OFICIAL APROBADO POR RESOLUCIÓN 541 DEL 27 DE FEBRERO DE 1976 POR EL MINISTERIO DE JUSTICIA Y DEBIDAMENTE REGISTRADO ANTE EL MINISTERIO DE RELACIONES EXTERIORES DE LA REPÚBLICA DE COLOMBIA Y MEDIANTE EL CUAL 5. CHRISTIAN H. J.J.HARCKEN, 6. THOMAS M KIRrane, 7. DANIEL KUZMICH; 8. THOMAS WAI-HO LEE, TODOS DOMICILIADOS EN BOEHRINGER INGELHEIM PHARMACEUTICALS, INC. 900, RIDGEBURY ROAD, RIDGEFIELD, CT 06877, ESTADOS UNIDOS DE AMÉRICA, INVENTORES ÚNICOS Y VERDADEROS DE LA INVENCION: "MIMÉTICOS DE GLUCOCORTICOIDES, MÉTODOS DE PREPARACIÓN, COMPOSICIONES FARMACÉUTICAS Y USOS DE LOS MISMOS" 1,1,1-TRIFLUORO-4-FENIL-4-METIL-2 (1H-PIRROLO) DECLARAN POR EL PRESENTE QUE CEDEN DICHA INVENCION A BOEHRINGER INGELHEIM PHARMACEUTICALS, INC., EMPRESA ORGANIZADA Y EXISTENTE BAJO LAS LEYES DE ESTADOS UNIDOS, DOMICILIADA EN BOEHRINGER INGELHEIM PHARMACEUTICALS, INC., 900 RIDGEBURY ROAD, RIDGEFIELD, CT 06877, EEUU, JUNTO CON TODOS LOS DERECHOS INHERENTES Y QUE DICHA COMPAÑÍA QUEDA FACULTADA PARA SOLICITAR EN SU NOMBRE LA PATENTE CORRESPONDIENTE EN LA REPÚBLICA DE COLOMBIA.

DADO Y FIRMADO HOY 23 DE ENERO DE 2006 en RIDGEFIELD, CONNECTICUT, EE UU.

FIRMAS ILEGIBLES. CHRISTIAN H.J.J. HARCKEN; THOMAS M. KIRrane; DANIEL KUZMICH Y THOMAS WAI-HO LEE

CERTIFICACIÓN NOTARIAL (INDIVIDUO)

Hoy 23 de enero de 2006, ante mí, notario público del estado de Connecticut, comparecieron personalmente CHRISTIAN H.J.J HARCKEN, THOMAS M. KIRrane Y DANIEL KUZMICH a quienes doy fe de conocer y me consta que son la personas firmantes del documento que precede y quienes tienen capacidad legal para otorgarlo.

Firma ilegible: Notario público. JEANNE E. ROGERS

18 + 77

APOSTILLA:

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

1. ESTADOS UNIDOS DE AMÉRICA

Este documento público

2. ha sido firmado por JEANNE E. ROGERS

3. quien actúa en su calidad de NOTARIO PÚBLICO

4. del estado de Connecticut, para el período entre el 26 de
noviembre de 2001 y el 30 de noviembre de 2006.

CERTIFICADO

5. en Hartford, Connecticut

6. el 14 DE FEBRERO DE 2006

7. por SUSAN BYSIEWICZ, secretario del estado de Connecticut

8. Número 2006-1731

9. Sello: GRAN SELLO DEL ESTADO DE CONNECTICUT

10. Firma: SUSAN BYSIEWICZ, SECRETARIO DE ESTADO

COLO-7612-841-012-3

WPCL002G. ID 7617

Bogotá, 27 de marzo de 2006

Eduardo Celado
Eduardo Celado Rogers
"TRADUCTOR OFICIAL"
BIBLIOTECARIO - ESPAÑOL
Res. 541. Febrero de 1990

NO SE ASUME
RESPONSABILIDAD DEL TEXTO

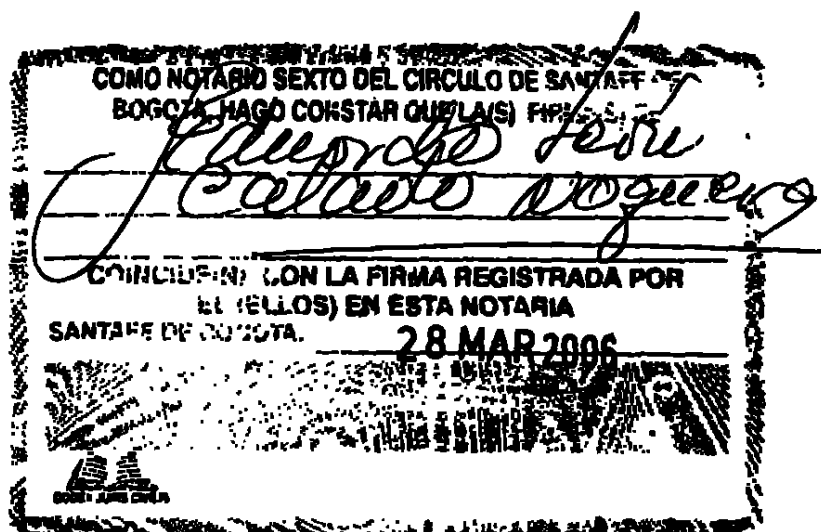
2006 MAR 28 P 12:50

1403
JEFE DE LEGALIZACIONES
BOGOTÁ D.C.

MINISTERIO DE
RELACIONES EXTERIORES

432425
Edardo Arturo

ESTA FIRMA FARELE EN EL
DOCUMENTO DESEMPERAR
LAS FUNCIONES INDICADAS



ABOGADOS

EDIFICIO SISKI
CARRERA 4a. N° 72-36
BOGOTÁ, 8 - COLOMBIA

CESION

Yo, (nosotros) abajo firmado (s)

1. Younes BEKKALI
2. Rajashekhar BETAGERI
3. Michel J. EMMANUEL
4. Abdelhakim HAMMACH

Domiciliado(s)

en

1. Boehringer Ingelheim Pharmaceuticals, Inc., 900 Ridgebury Road, Ridgefield, CT 06877 / EEUU
2. Boehringer Ingelheim Pharmaceuticals, Inc., 900 Ridgebury Road, Ridgefield, CT 06877 / EEUU
3. Boehringer Ingelheim Pharmaceuticals, Inc., 900 Ridgebury Road, Ridgefield, CT 06877 / EEUU
4. Boehringer Ingelheim Pharmaceuticals, Inc., 900 Ridgebury Road, Ridgefield, CT 06877 / EEUU

Declaro(amos) bajo juramento ser único(s) y verdadero(s)

inventor(es) de la invención:

MIMETICOS DE GLUCOCORTICOIDES
METODOS DE PREPARACION COMPOSICIONES FARMACEUTICAS
USOS DE LOS MISMS

declaro(amos) al mismo que cedo(amos) y transfiero(emos), sin

limitación, a favor de la sociedad

Boehringer Ingelheim Pharmaceuticals, Inc.

constituida y existente de conformidad con las leyes de

EEUU

domiciliada en **Boehringer Ingelheim Pharmaceuticals, Inc., 900 Ridgebury Road, Ridgefield, CT 06877 / EEUU**todos los derechos inherentes a dicha invención y que la citada
compañía queda facultada para solicitar en su nombre la patente de
invención correspondiente en la República de Colombia.

ASSIGNMENT

I (we), the undersigned

1. Younes BEKKALI
2. Rajashekhar BETAGERI
3. Michel J. EMMANUEL
4. Abdelhakim HAMMACH

of

1. Boehringer Ingelheim Pharmaceuticals, Inc., 900 Ridgebury Road, Ridgefield, CT 06877 / USA
2. Boehringer Ingelheim Pharmaceuticals, Inc., 900 Ridgebury Road, Ridgefield, CT 06877 / USA
3. Boehringer Ingelheim Pharmaceuticals, Inc., 900 Ridgebury Road, Ridgefield, CT 06877 / USA
4. Boehringer Ingelheim Pharmaceuticals, Inc., 900 Ridgebury Road, Ridgefield, CT 06877 / USA

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

"1,1,1-Trifluoro-4-Phenyl-4-Methyl-2-(1H-Pyrrolo)"

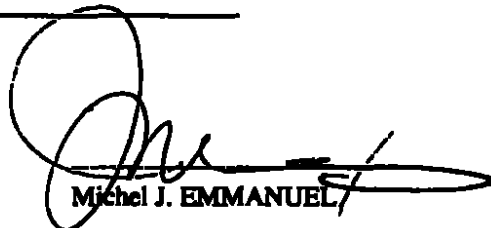
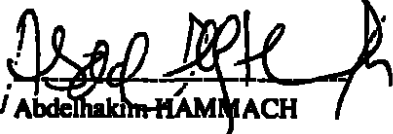
state as well, that assign, without reservations or limitations in favour
of **Boehringer Ingelheim Pharmaceuticals, Inc.**

a corporation constituted and existing in conformity with the laws of

USA

domiciled in **Boehringer Ingelheim Pharmaceuticals, Inc., 900 Ridgebury Road, Ridgefield, CT 06877 / USA**all rights inherent to said invention and that the above mentioned
company hereby is enabled to apply, in its name, for the corresponding
patent invention, in the Republic of ColombiaDado y firmado hoy/Given and signed this 23RD DAY JANUARY, 2006en/in RIDGEFIELD, CONNECTICUT USA

Firma/Signature


Younes BEKKALI
Rajashekhar BETAGERI
Michel J. EMMANUEL
Abdelhakim HAMMACH

19
20

APOSTILLE

(Convention de La Haye du 5 octobre 1961)

1. Country: The United States of America

THIS PUBLIC DOCUMENT

2. has been signed by **JEANNE E. ROGERS**

3. acting in the capacity of **NOTARY PUBLIC**

4. in the State of Connecticut for the term of **November 26, 2001 to November 30, 2006**

CERTIFIED

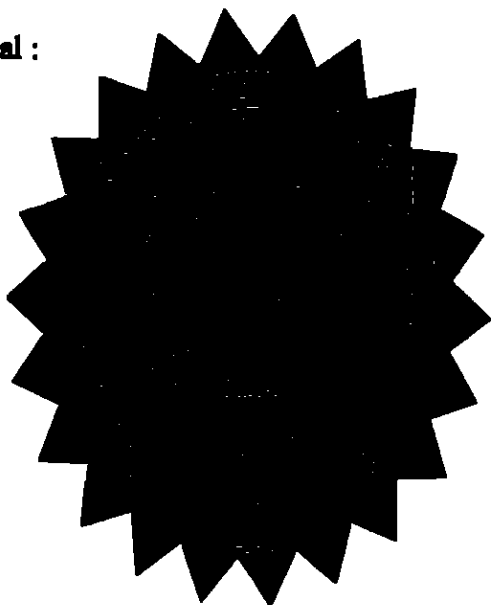
5. at Hartford, Connecticut

6. on **February 14, 2006**

7. by **SUSAN BYSIEWICZ** Secretary of the State of Connecticut

8. Number : **2006-1733**

9. Seal :



10. Signature


Secretary of the State

CERTIFICACIÓN NOTARIAL (INDIVIDUO)

A los _____ días del mes de _____
de 200 _____ Ante mí, Notario Público de _____

compañerío(eron) personalmente _____

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 CERTIFICATION (INDIVIDUAL)

On this 23rd day of January

2006 _____ before me, a Notary Public of

The State of Connecticut

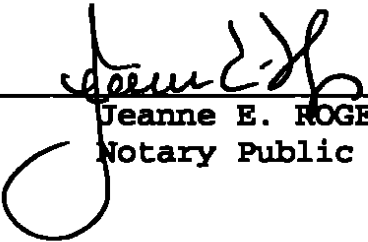
personally appeared Younes BEKKALI,

Rajashekhhar BETAGERI, Michel J. EMMANUEL,

Abdelhakim HAMMACH

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



Jeanne E. ROGERS
Notary Public

APOSTILLE

(Convention de La Haye du 5 octobre 1961)

- 1. Country: _____
This public document
- 2. has been signed by _____
- 3. acting in the capacity of _____
- 4. bears the seal/stamp of _____

Certified

- 5. at _____ 6. the _____
- 7. by _____
- 8. No. _____
- 9. Seal/stamp 10. Signature

.....

22

TRADUCCIÓN OFICIAL 067/2006 DE UN DOCUMENTO ESCRITO EN INGLÉS Y EN ESPAÑOL, REALIZADA POR EDUARDO CALADO NOGUERA, TRADUCTOR OFICIAL APROBADO POR RESOLUCIÓN 541 DEL 27 DE FEBRERO DE 1976 POR EL MINISTERIO DE JUSTICIA Y DEBIDAMENTE REGISTRADO ANTE EL MINISTERIO DE RELACIONES EXTERIORES DE LA REPÚBLICA DE COLOMBIA Y MEDIANTE EL CUAL 1. YOUNES BEKKALI, 2. RAJASHEKHAR BETAGERI, 3. MICHEL J. EMMANUEL; 4. ABDELHAKIM HAMMACH; TODOS DOMICILIADOS EN BOEHRINGER INGELHEIM PHARMACEUTICALS, INC. 900, RIDGEBURY ROAD, RIDGEFIELD, CT 06877, ESTADOS UNIDOS DE AMÉRICA, INVENTORES ÚNICOS Y VERDADEROS DE LA INVENCION: "MIMÉTICOS DE GLUCOCORTICOIDES, MÉTODOS DE PREPARACIÓN, COMPOSICIONES FARMACÉUTICAS Y USOS DE LOS MISMOS" 1,1,1-TRIFLUORO-4-FENIL-4 - METIL-2 (1H-PIRROLO) DECLARAN POR EL PRESENTE QUE CEDEN DICHA INVENCION A BOEHRINGER INGELHEIM PHARMACEUTICALS, INC., EMPRESA ORGANIZADA Y EXISTENTE BAJO LAS LEYES DE ESTADOS UNIDOS, DOMICILIADA EN BOEHRINGER INGELHEIM PHARMACEUTICALS, INC., 900 RIDGEBURY ROAD, RIDGEFIELD, CT 06877, EEUU, JUNTO CON TODOS LOS DERECHOS INHERENTES Y QUE DICHA COMPAÑÍA QUEDA FACULTADA PARA SOLICITAR EN SU NOMBRE LA PATENTE CORRESPONDIENTE EN LA REPÚBLICA DE COLOMBIA.

DADO Y FIRMADO HOY 23 DE ENERO DE 2006 EN RIDGEFIELD, CONNECTICUT, EEUU.

FIRMAS ILEGIBLES. YOUNES BEKKALI, RAJASHEKHAR BETAGERI, MICHEL J. EMMANUEL Y ABDELHAKIM HAMMACH

CERTIFICACIÓN NOTARIAL (INDIVIDUO)

Hoy 23 de enero de 2006, ante mí, notario público del estado de Massachusetts, compareció personalmente YOUNES BEKKALI, RAJASHEKHAR BETAGERI, MICHEL J. EMMANUEL, ABDELHAKIM HAMMACH, quien doy fe de conocer y me consta que es la persona firmante del documento que precede y quien tiene capacidad legal para

22
23
otorgarlo. Firma ilegible: Notario público, JEANNE E. ROGERS,
NOTARIO PÚBLICO

APOSTILLA:

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

1. ESTADOS UNIDOS DE AMÉRICA

Este documento público

2. ha sido firmado por JEANNE E. ROGERS

3. quien actúa en su calidad de NOTARIO PÚBLICO

4. del estado de Connecticut entre el 26 de noviembre de 2001
y el 30 de noviembre de 2006.

CERTIFICADO

5. en HARTFORD, CONNECTICUT

6. el 14 DE FEBRERO DE 2006

7. por SUSAN BYSIEWICZ, secretario del estado de Connecticut

8. Número 2006-1733

9. Sello: GRAN SELLO DEL ESTADO DE CONNECTICUT

10. Firma: SUSAN BYSIEWICZ, secretario de estado

COLO-7612-841-012-3

WPCL002G. ID 7618

Bogotá, 27 de marzo de 2006

Edmundo Calado N.
Edmundo Calado Noguera
"TRADUCTOR OFICIAL"
ESPAÑOL - ESPAÑOL
Res. 541 Febrero de 1996

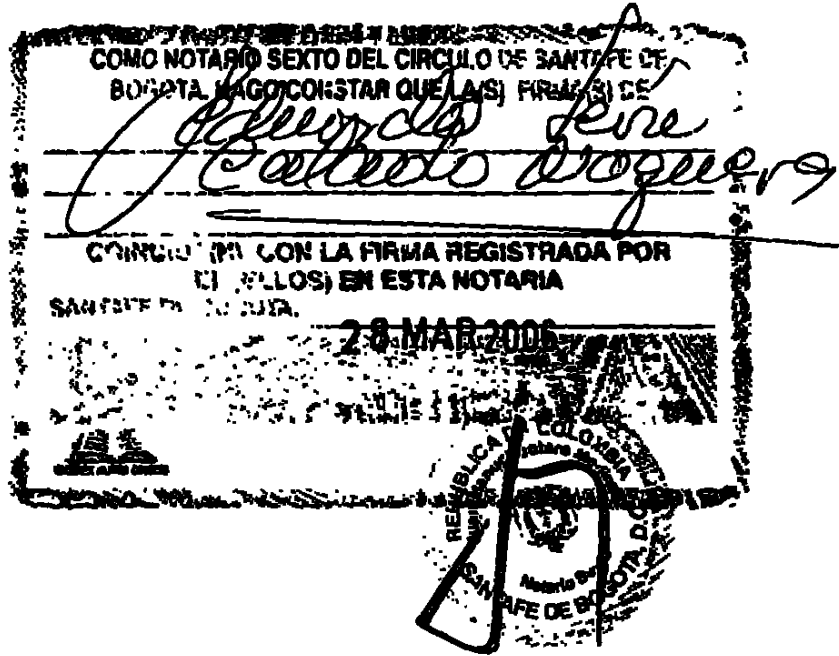
NO SE ASUME
RESPONSABILIDAD DEL TEXTO

2006.03.28 P 12:50

LOS
JEFE DE LEGALIZACIONES
BOGOTA D.C.

MINISTERIO DE
RELACIONES EXTERIORES

432426
Eduardo Calvado
CUMPLIDA LA AGACIEN EN EL
DOCUMENTO DESEMPENA
LAS FUNCIONES INDICADAS



CESION

Yo. (nosotros) abajo firmado (s)

9. Pingrong LIU
10. Usha R. PATEL
11. Hossein RAZAVI
12. Doris RIETHER

Domiciliado(s)

en

9. Boehringer Ingelheim Pharmaceuticals, Inc., 900
Ridgebury Road, Ridgefield, CT 06877 / EEUU
10. Boehringer Ingelheim Pharmaceuticals, Inc., 900
Ridgebury Road, Ridgefield, CT 06877 / EEUU
11. Boehringer Ingelheim Pharmaceuticals, Inc., 900
Ridgebury Road, Ridgefield, CT 06877 / EEUU
12. Boehringer Ingelheim Pharmaceuticals, Inc., 900
Ridgebury Road, Ridgefield, CT 06877 / EEUU

Declaro(amos) bajo juramento ser único(s) y verdadero(s)
inventor(es) de la invención: *análogos de Glucocorticoides, derivados
de prednisona, compuestos farmacéuticos y usos*
de preparación, compuestos farmacéuticos y usos
declaro(amos) así mismo que cedo(amos) y transfiero(amos), sin *los*
limitación. a favor de la sociedad *misma*

Boehringer Ingelheim Pharmaceuticals, Inc.

constituida y existente de conformidad con las leyes de

EEUU

domiciliada en Boehringer Ingelheim Pharmaceuticals,
Inc., 900 Ridgebury Road, Ridgefield,
CT 06877 / EEUU

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

ASSIGNMENT

I (we), the undersigned

9. Pingrong LIU
10. Usha R. PATEL
11. Hossein RAZAVI
12. Doris RIETHER

of

9. Boehringer Ingelheim Pharmaceuticals, Inc., 900
Ridgebury Road, Ridgefield, CT 06877 / USA
10. Boehringer Ingelheim Pharmaceuticals, Inc., 900
Ridgebury Road, Ridgefield, CT 06877 / USA
11. Boehringer Ingelheim Pharmaceuticals, Inc., 900
Ridgebury Road, Ridgefield, CT 06877 / USA
12. Boehringer Ingelheim Pharmaceuticals, Inc., 900
Ridgebury Road, Ridgefield, CT 06877 / USA

state(s) under oath to be sole and true inventor(s) of the invention:
"1,1,1-Trifluoro-4-Phenyl-4-Methyl-2-(1H-Pyrrolo)"

state as well, that assign, without reservations or limitations in favour
of Boehringer Ingelheim Pharmaceuticals, Inc.

a corporation constituted and existing in conformity with the laws of

USA

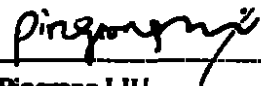
domiciled in Boehringer Ingelheim Pharmaceuticals, Inc.,
900 Ridgebury Road, Ridgefield, CT 06877 /
USA

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

Dado y firmado hoy/Given and signed this 28th DAY OF JANUARY, 2006

en/in RIDGEFIELD, CONNECTICUT USA

Firma/Signature



Pingrong LIU



Usha R. PATEL



Hossein RAZAVI



Doris RIETHER

© CAVELIER ABOGADOS

WPP00E01- 374

24
25

APOSTILLE

(Convention de La Haye du 5 octobre 1961)

1. Country: The United States of America

THIS PUBLIC DOCUMENT

2. has been signed by **JEANNE E. ROGERS**

3. acting in the capacity of **NOTARY PUBLIC**

4. in the State of Connecticut for the term of **November 26, 2001 to November 30, 2006**

CERTIFIED

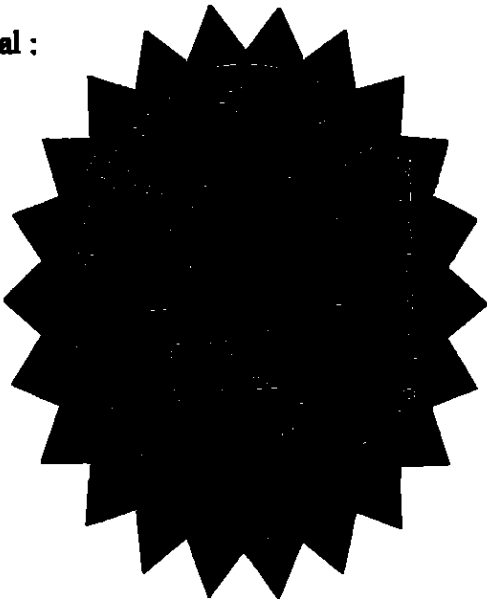
5. at Hartford, Connecticut

6. on **February 14, 2006**

7. by **SUSAN BYSIEWICZ** Secretary of the State of Connecticut

8. Number : **2006-1730**

9. Seal :



10. Signature

Secretary of the State

CERTIFICACIÓN NOTARIAL (INDIVIDUO)

A los _____ días del mes de _____
de 200 ____ Ante mí, Notario Público de _____

compareció(eron) personalmente _____

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

NOTARIAL CERTIFICATION (INDIVIDUAL)

On this 23rd day of January
2006 ____ before me, a Notary Public of _____

The State of Connecticut
personally appeared Pingrong LIU, Usha R. PATEL,
Hossein RAZAVI, Doris RIETHER

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



Jeanne E. ROGERS
Notary Public

APOSTILLE

(Convention de La Haye du 5 octobre 1961)

1. Country:
This public document
2. has been signed by
3. acting in the capacity of
4. bears the seal/stamp of

Certified

5. at 6. the
7. by
8. No.
9. Seal/stamp 10. Signature

.....

26
27

TRADUCCIÓN OFICIAL 065/2006 DE UN DOCUMENTO ESCRITO EN INGLÉS Y EN ESPAÑOL, REALIZADA POR EDUARDO CALADO NOGUERA, TRADUCTOR OFICIAL APROBADO POR RESOLUCIÓN 541 DEL 27 DE FEBRERO DE 1976 POR EL MINISTERIO DE JUSTICIA Y DEBIDAMENTE REGISTRADO ANTE EL MINISTERIO DE RELACIONES EXTERIORES DE LA REPÚBLICA DE COLOMBIA Y MEDIANTE EL CUAL 9. PINGRONG LIU, 10. USHA R. PATEL, 11. HOSSEIN RAZAVI, 12. DORIS RIETHER, TODOS DOMICILIADOS EN BOEHRINGER INGELHEIM PHARMACEUTICALS, INC. 900, RIDGEBURY ROAD, RIDGEFIELD, CT 06877, ESTADOS UNIDOS DE AMÉRICA, INVENTORES ÚNICOS Y VERDADEROS DE LA INVENCION: "MIMÉTICOS DE GLUCOCORTICOIDES, MÉTODOS DE PREPARACIÓN, COMPOSICIONES FARMACÉUTICAS Y USOS DE LOS MISMOS" 1,1,1-TRIFLUORO-4-FENIL-4-METIL-2 (1H-PIRROLO) DECLARAN POR EL PRESENTE QUE CEDEN DICHA INVENCION A BOEHRINGER INGELHEIM PHARMACEUTICALS, INC., EMPRESA ORGANIZADA Y EXISTENTE BAJO LAS LEYES DE ESTADOS UNIDOS, DOMICILIADA EN BOEHRINGER INGELHEIM PHARMACEUTICALS, INC., 900 RIDGEBURY ROAD, RIDGEFIELD, CT 06877, EEUU, JUNTO CON TODOS LOS DERECHOS INHERENTES Y QUE DICHA COMPAÑÍA QUEDA FACULTADA PARA SOLICITAR EN SU NOMBRE LA PATENTE CORRESPONDIENTE EN LA REPÚBLICA DE COLOMBIA.

DADO Y FIRMADO HOY 23 DE ENERO DE 2006, EN RIDGEFIELD, CONNECTICUT, ESTADOS UNIDOS DE AMÉRICA
FIRMAS ILEGIBLES. PINGRONG LIU, USHA R. PATEL, HOSSEIN RAZAVI Y DORIS RIETHER

CERTIFICACIÓN NOTARIAL (INDIVIDUO)

Hoy 23 de enero de 2006, ante mí, notario público del estado de Connecticut, comparecieron personalmente PINGRONG LIU, USHA R. PATEL, HOSSEIN RAZAVI Y DORIS RIETHER, a quienes doy fe de conocer y me consta que son la personas firmantes del documento que precede y quienes tienen capacidad legal para otorgarlo.

Firma ilegible: Notario público. JEANNE E. ROGERS.

APOSTILLA:

27
28

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

1. ESTADOS UNIDOS DE AMÉRICA

Este documento público

2. ha sido firmado por JEANNE E. ROGERS

3. quien actúa en su calidad de NOTARIO PÚBLICO

4. en el estado de Connecticut, por el período entre el 26 de
noviembre de 2001 y el 30 de noviembre de 2006.

CERTIFICADO

5. en HARTFORD, CONNECTICUT

6. el 14 DE FEBRERO DE 2006

7. por SUSAN BYSIEWICZ el secretario del estado de Connecticut

8. Número 2006-1730

9. Sello: GRAN SELLO DEL ESTADO DE CONNECTICUT

10. Firma: SUSAN BYSIEWICZ, SECRETARIO DE ESTADO

COLO-7612-841-012-3

WPCL002G. ID 7616

Bogotá, 27 de marzo de 2006

Eduardo Calado
Eduardo Calado Rogues
"TRADUCTOR OFICIAL"
NIEB - ESPAÑA NIEB
Res. 641. Febrero de 1979

MINISTERIO DE
RELACIONES EXTERIORES

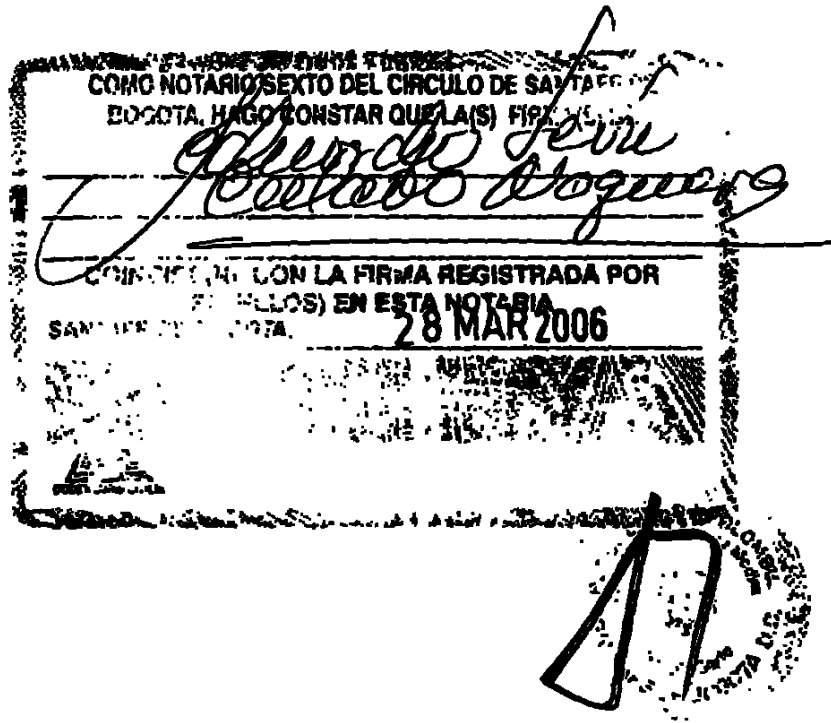
432429

Edmundo Saldaña
QUE APARECE EN EL
CENTRO DE EMPLEO
DELEGACIONES

NO SE ASUME
RESPONSABILIDAD DEL TEXTO

2006 MAR 28 P 12:52

UES
JEFE DE LEGALIZACIONES
BOGOTÁ D.C.



CAVELIER**374****ABOGADOS**
EDIFICIO SISKI
CARRETERA 4a N° 72-35
BOGOTÁ, 8 - COLOMBIA**CESION**

Yo, (nosotros) abajo firmado (s)

13. Hidenori TAKAHASHI
14. David S. THOMSON
15. Ji WANG
16. Renee ZINDELL
17. John Robert PROUDFOOT

Domiciliado(s)

en

13. Boehringer Ingelheim Pharmaceuticals, Inc., 900 Ridgebury Road, Ridgefield, CT 06877 / EEUU
14. Boehringer Ingelheim Pharmaceuticals, Inc., 900 Ridgebury Road, Ridgefield, CT 06877 / EEUU
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17. Boehringer Ingelheim Pharmaceuticals, Inc., 900 Ridgebury Road, Ridgefield, CT 06877 / EEUU

Declaro(amos) bajo juramento ser único(s) y verdadero(s) inventor(es) de la invención: *miméticos de Glucocorticoides,**análogos de preparados de sistema farmacológico y*
declaro(amos) así mismo que cedo(amos) y transfiero(erimos), sin *los* *mismos*
limitación, a favor de la sociedad

Boehringer Ingelheim Pharmaceuticals, Inc.

constituida y existente de conformidad con las leyes de

EEUU

domiciliada en **Boehringer Ingelheim Pharmaceuticals, Inc., 900 Ridgebury Road, Ridgefield, CT 06877 / EEUU**

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

ASSIGNMENT

I (we), the undersigned

13. Hidenori TAKAHASHI
14. David S. THOMSON
15. Ji WANG
16. Renee ZINDELL
17. John Robert PROUDFOOT

of

13. Boehringer Ingelheim Pharmaceuticals, Inc., 900 Ridgebury Road, Ridgefield, CT 06877 / USA
14. Boehringer Ingelheim Pharmaceuticals, Inc., 900 Ridgebury Road, Ridgefield, CT 06877 / USA
15. Boehringer Ingelheim Pharmaceuticals, Inc., 900 Ridgebury Road, Ridgefield, CT 06877 / USA
16. Boehringer Ingelheim Pharmaceuticals, Inc., 900 Ridgebury Road, Ridgefield, CT 06877 / USA
17. Boehringer Ingelheim Pharmaceuticals, Inc., 900 Ridgebury Road, Ridgefield, CT 06877 / USA

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

"1,1,1-Trifluoro-4-Phenyl-4-Methyl-2-(1H-Pyrrolo)"state as well, that assign, without reservations or limitations in favour of **Boehringer Ingelheim Pharmaceuticals, Inc.**

a corporation constituted and existing in conformity with the laws of

USA

domiciled in **Boehringer Ingelheim Pharmaceuticals, Inc., 900 Ridgebury Road, Ridgefield, CT 06877 / USA**

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

Dado y firmado hoy/Given and signed this **28th DAY OF JANUARY, 2006**en/in **RIDGEFIELD, CONNECTICUT USA**

Firma/Signature



Hidenori TAKAHASHI



David S. THOMSON

Ji WANG



Renee ZINDELL



John Robert PROUDFOOT

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WFP0001- 374

APOSTILLE

(Convention de La Haye du 5 octobre 1961)

1. Country: The United States of America

THIS PUBLIC DOCUMENT

2. has been signed by **JEANNE E. ROGERS**

3. acting in the capacity of **NOTARY PUBLIC**

4. in the State of Connecticut for the term of **November 26, 2001 to November 30, 2006**

CERTIFIED

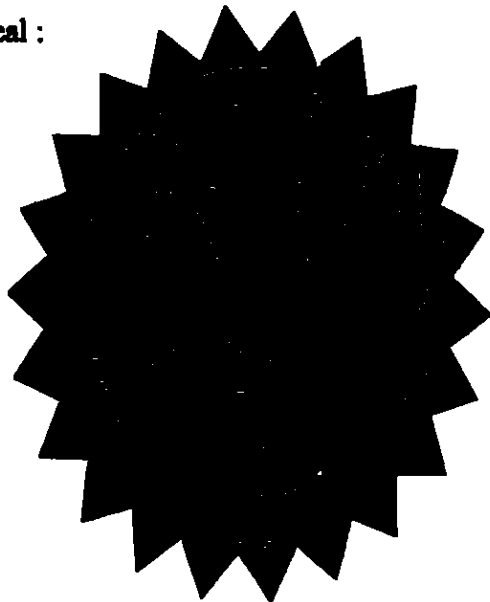
5. at **Hartford, Connecticut**

6. on **February 14, 2006**

7. by **SUSAN BYSIEWICZ** Secretary of the State of Connecticut

8. Number : **20061732-**

9. Seal :



10. Signature

Secretary of the State

CERTIFICACIÓN NOTARIAL (INDIVIDUO)

A los _____ días del mes de _____
de 200 ____ Ante mí, Notario Público de _____

compareció(eron) personalmente _____

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 CERTIFICATION (INDIVIDUAL)

On this 23rd day of January

2006 _____ before me, a Notary Public of _____

The State of Connecticut

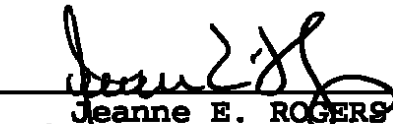
personally appeared Hidenori TAKAHASHI,

David S. THOMSON, R. ZINDELL,

John Robert PROUDFOOT

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



Jeanne E. ROGERS
Notary Public

APOSTILLE

(Convention de La Haye du 5 octobre 1961)

- 1. Country:
This public document
- 2. has been signed by
- 3. acting in the capacity of
- 4. bears the seal/stamp of

Certified

- 5. at 6. the
- 7. by
- 8. No.
- 9. Seal/stamp 10. Signature

.....

TRADUCCIÓN OFICIAL 064/2006 DE UN DOCUMENTO ESCRITO EN INGLÉS Y EN ESPAÑOL, REALIZADA POR EDUARDO CALADO NOGUERA, TRADUCTOR OFICIAL APROBADO POR RESOLUCIÓN 541 DEL 27 DE FEBRERO DE 1976 POR EL MINISTERIO DE JUSTICIA Y DEBIDAMENTE REGISTRADO ANTE EL MINISTERIO DE RELACIONES EXTERIORES DE LA REPÚBLICA DE COLOMBIA Y MEDIANTE EL CUAL 13. HIDENORI TAKAHASHI, 14. DAVID S. THOMSON, 15. JI WANG, 16. RENEE ZINDELL, 17. JOHN ROBERT PROUDFOOT, TODOS DOMICILIADOS EN BOEHRINGER INGELHEIM PHARMACEUTICALS, INC. 900, RIDGEBURY ROAD, RIDGEFIELD, CT 06877, ESTADOS UNIDOS DE AMÉRICA, INVENTORES ÚNICOS Y VERDADEROS DE LA INVENCION: "MIMÉTICOS DE GLUCOCORTICOIDES, MÉTODOS DE PREPARACIÓN, COMPOSICIONES FARMACÉUTICAS Y USOS DE LOS MISMOS" 1,1,1-TRIFLUORO-4-FENIL-4-METIL-2 (1H-PIRROLO) DECLARAN POR EL PRESENTE QUE CEDEN DICHA INVENCION A BOEHRINGER INGELHEIM PHARMACEUTICALS, INC., EMPRESA ORGANIZADA Y EXISTENTE BAJO LAS LEYES DE ESTADOS UNIDOS, DOMICILIADA EN BOEHRINGER INGELHEIM PHARMACEUTICALS, INC., 900 RIDGEBURY ROAD, RIDGEFIELD, CT 06877, EEUU, JUNTO CON TODOS LOS DERECHOS INHERENTES Y QUE DICHA COMPAÑÍA QUEDA FACULTADA PARA SOLICITAR EN SU NOMBRE LA PATENTE CORRESPONDIENTE EN LA REPÚBLICA DE COLOMBIA.

DADO Y FIRMADO HOY 23 DE ENERO DE 2006 en RIDGEFIELD, CONNECTICUT, ESTADOS UNIDOS.

CUATRO FIRMAS ILEGIBLES: HIDENORI TAKAHASHI, DAVID S. THOMSON, RENEE ZINDELL, JOHN ROBERT PROUDFOOT.

CERTIFICACIÓN NOTARIAL (INDIVIDUO)

Hoy 23 de enero de 2006, ante mí, notario público del estado de Connecticut, compareció personalmente HIDENORI TAKAHASHI, DAVID S. THOMSON, R. ZINDELL Y JOHN ROBERT PROUDFOOT, quien doy fe de conocer y me consta que es la persona firmante del documento que precede y quien tiene capacidad legal para otorgarlo.

Firma ilegible: Notario público. (Jeanne E. ROGERS)

APOSTILLA:

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

1. ESTADOS UNIDOS DE AMÉRICA

Este documento público

2. ha sido firmado por JEANNE E. ROGERS

3. quien actúa en su calidad de NOTARIO PÚBLICO

4. en el ESTADO DE CONNECTICUT por el período entre 26 de
noviembre de 2001 y 30 de noviembre de 2006

CERTIFICADO

5. en Hartford, Connecticut

6. el 14 de febrero de 2006

7. por SUSAN BYSIEWICZ, secretario de estado de Connecticut

8. Número 20061732-

9. Sello: GRAN SELLO DEL ESTADO DE CONNECTICUT

10. Firma: SUSAN BYSIEWICZ, SECRETARIO DE ESTADO

COLO-7612-841-012-3

WPCL002G. ID 7615

Bogotá, 27 de marzo de 2006

Eduardo Calado R.

Eduardo Calado Riquelme
•TRADUCTOR OFICIAL
ESPAÑOL - ESPAÑOL
Ene. 31. Febrero de 1976

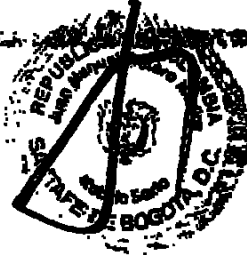
MINISTERIO DE
RELACIONES EXTERIORES

432428
Edardo Cabado
CUI...
ACREDITADO EN EL
GOBIERNO DESEMPENA
LAS FUNCIONES INDICADAS

NO SE ASUME
RESPONSABILIDAD DEL TEXTO

2006 MAR 28 P 12:51
UCS
JEFE DE LEGALIZACIONES
BOGOTA D.C.

COMO NOTARIO SEÑOR DEL CIRCULO DE SANTA FE
BOGOTA, HAGO CONSTAR QUE LA FIRMA
Edardo Cabado
CONCIDE CON LA FIRMA REGISTRADA POR
EL SELLO EN ESTA NOTARIA
SANTA FE DE BOGOTA. 28 MAR 2006



931

33

34

The Commonwealth of Massachusetts

William Francis Galvin
Secretary of the Commonwealth

APOSTILLE

(Convention de La Haye du 5 octobre 1961)

1. **Country:** United States of America

This public document

2. **has been signed by:** Machleal D. Mayes

3. **acting in the capacity of:** Notary Public

4. **bears the seal/stamp of:** the State of Massachusetts
whose commission expires on: November 28, 2008

Certified

5. **at:** Boston, Massachusetts

6. **the:** 9 February, 2006

7. **by:** the Secretary of the Commonwealth

8. **No.:** 1126399

9. **Seal/stamp:**

Great Seal of the Commonwealth

10. **Signature:**



William Francis Galvin
Secretary of the Commonwealth



ABOGADOS
EDIFICIO SISKI
CARRERA 4a N° 72-35
BOGOTÁ, 8 - COLOMBIA

CESION

Yo, (nosotros) abajo firmado (s)

13. Hidenori TAKAHASHI
14. David S. THOMSON
15. JI WANG
16. Renee ZINDELL
17. John Robert PROUDFOOT

Domiciliado(s)

en

13. Boehringer Ingelheim Pharmaceuticals, Inc., 900 Ridgebury Road, Ridgefield, CT 06877 / EEUU
14. Boehringer Ingelheim Pharmaceuticals, Inc., 900 Ridgebury Road, Ridgefield, CT 06877 / EEUU
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Declaro(amos) bajo juramento ser único(s) y verdadero(s)

inventor(es) de la invención: *miméticos de Glucocorticoides,**análogos de la estructura, composición farmacéutica y uso*
declaro(amos) así mismo que cedo(amos) y transfiero(amos), *sin* las
limitación, a favor de la sociedad

Boehringer Ingelheim Pharmaceuticals, Inc.

constituida y existente de conformidad con las leyes de

EEUU

domiciliada en **Boehringer Ingelheim Pharmaceuticals,
Inc., 900 Ridgebury Road, Ridgefield,
CT 06877 / EEUU**

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

Dado y firmado hoy/Given and signed this

en/in

Amherst, MA.

Firma/Signature

Hidenori TAKAHASHI

David S. THOMSON

Renee ZINDELL

John Robert PROUDFOOT

© CAVELIER ABOGADOS
WPP0DE01- 374

ASSIGNMENT

I (we), the undersigned

13. Hidenori TAKAHASHI
14. David S. THOMSON
15. JI WANG
16. Renee ZINDELL
17. John Robert PROUDFOOT

of

13. Boehringer Ingelheim Pharmaceuticals, Inc., 900 Ridgebury Road, Ridgefield, CT 06877 / USA
14. Boehringer Ingelheim Pharmaceuticals, Inc., 900 Ridgebury Road, Ridgefield, CT 06877 / USA
15. Boehringer Ingelheim Pharmaceuticals, Inc., 900 Ridgebury Road, Ridgefield, CT 06877 / USA
16. Boehringer Ingelheim Pharmaceuticals, Inc., 900 Ridgebury Road, Ridgefield, CT 06877 / USA
17. Boehringer Ingelheim Pharmaceuticals, Inc., 900 Ridgebury Road, Ridgefield, CT 06877 / USA

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

"1,1,1-Trifluoro-4-Phenyl-4-Methyl-2-(1H-Pyrrolo)"

state as well, that assign, without reservations or limitations in favour
of **Boehringer Ingelheim Pharmaceuticals, Inc.**

a corporation constituted and existing in conformity with the laws of

USA

domiciled in **Boehringer Ingelheim Pharmaceuticals, Inc.,
900 Ridgebury Road, Ridgefield, CT 06877 /
USA**

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


JI WANG

CERTIFICACIÓN NOTARIAL (INDIVIDUO)

A los _____ días del mes de _____
de 200 _____ Ante mí, Notario Público de _____

compareció(eron) personalmente _____

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 CERTIFICATION (INDIVIDUAL)

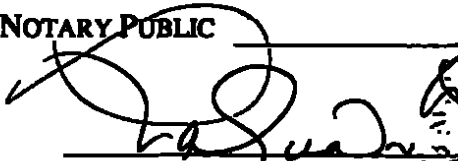
On this 28 day of January
2006 _____ before me, a Notary Public of

The State of Massachusetts

personally appeared JI WANG,

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


Notary Public
My Commission expires Nov. 28, 2008

APOSTILLE

(Convention de La Haye du 5 octobre 1961)

1. Country: _____
This public document
2. has been signed by _____
3. acting in the capacity of _____
4. bears the seal/stamp of _____

Certified

5. at _____
6. the _____
7. by _____
8. No. _____
9. Seal/stamp _____
10. Signature _____

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-1 37

TRADUCCIÓN OFICIAL 063/2006 DE UN DOCUMENTO ESCRITO EN INGLÉS Y EN ESPAÑOL, REALIZADA POR EDUARDO CALADO NOGUERA, TRADUCTOR OFICIAL APROBADO POR RESOLUCIÓN 541 DEL 27 DE FEBRERO DE 1976 POR EL MINISTERIO DE JUSTICIA Y DEBIDAMENTE REGISTRADO ANTE EL MINISTERIO DE RELACIONES EXTERIORES DE LA REPÚBLICA DE COLOMBIA Y MEDIANTE EL CUAL 13. HIDENORI TAKAHASHI, 14. DAVID S. THOMSON, 15. JI WANG, 16. RENEE ZINDELL, 17. JOHN ROBERT PROUDFOOT, TODOS DOMICILIADOS EN BOEHRINGER INGELHEIM PHARMACEUTICALS, INC. 900, RIDGEBURY ROAD, RIDGEFIELD, CT 06877, ESTADOS UNIDOS DE AMÉRICA, INVENTORES ÚNICOS Y VERDADEROS DE LA INVENCION: "MIMÉTICOS DE GLUCOCORTICOIDES, MÉTODOS DE PREPARACIÓN, COMPOSICIONES FARMACÉUTICAS Y USOS DE LOS MISMOS" 1,1,1-TRIFLUORO-4-FENIL-4-METIL-2 (1H-PIRROLO) DECLARAN POR EL PRESENTE QUE CEDEN DICHA INVENCION A BOEHRINGER INGELHEIM PHARMACEUTICALS, INC., EMPRESA ORGANIZADA Y EXISTENTE BAJO LAS LEYES DE ESTADOS UNIDOS, DOMICILIADA EN BOEHRINGER INGELHEIM PHARMACEUTICALS, INC., 900 RIDGEBURY ROAD, RIDGEFIELD, CT 06877, EEUU, JUNTO CON TODOS LOS DERECHOS INHERENTES Y QUE DICHA COMPAÑÍA QUEDA FACULTADA PARA SOLICITAR EN SU NOMBRE LA PATENTE CORRESPONDIENTE EN LA REPÚBLICA DE COLOMBIA.

DADO Y FIRMADO HOY 28 DE ENERO DE 2006, en AMHERST, MA.

FIRMA ILEGIBLE. (JI WANG)

CERTIFICACIÓN NOTARIAL (INDIVIDUO)

Hoy 28 de enero de 2006, ante mí, notario público del estado de Massachusetts, compareció personalmente JI WANG, quien doy fe de conocer y me consta que es la persona firmante del documento que precede y quien tiene capacidad legal para otorgarlo.

Firma ilegible: Notario público.

APOSTILLA:

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

1. ESTADOS UNIDOS DE AMÉRICA

Este documento público

2. ha sido firmado por MACHIEAL D. MAYES

3. quien actúa en su calidad de NOTARIO PÚBLICO

4. lleva el sello del ESTADO DE MASSACHUSETTS, y cuyo cargo
dura hasta el 28 de noviembre de 2008.

CERTIFICADO

5. en BOSTON, MASSACHUSETTS

6. el 9 DE FEBRERO DE 2006

7. por el secretario del estado

8. Número 1126399

9. Sello: GRAN SELLO DEL ESTADO DE MASSACHUSETTS

10. Firma: WILLIAM FRANCIS GALVIN, SECRETARIO DE ESTADO

COLO-7612-841-012-3

WPCL002G. ID 7614

Bogotá, 27 de marzo de 2006

Eduardo Calado
Eduardo Calado Magaña
"TRADUCTOR OFICIAL"
ESPAÑOL - ESPAÑOL
Res. 542. Febrero de 1996

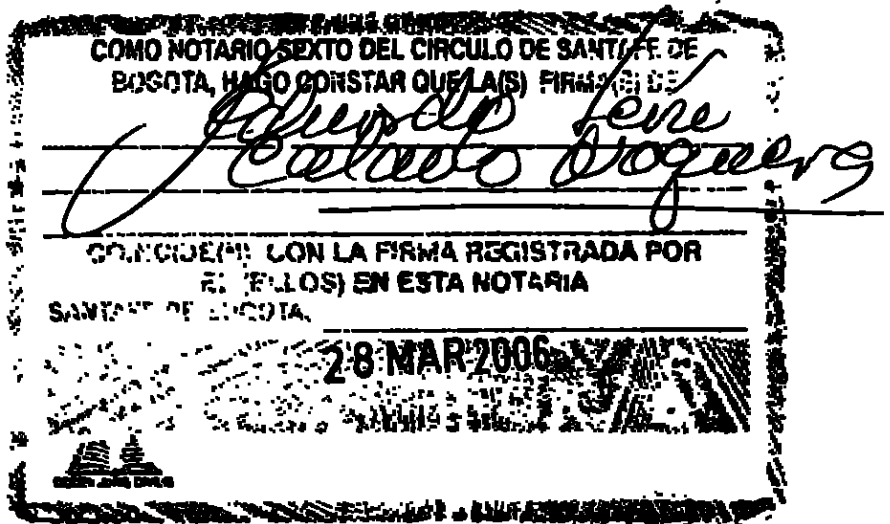
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RELACIONES EXTERIORES

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JEFE DE LEGALIZACIONES
BOGOTA D.C.



CAVELIER
ABOGADOS
EDIFICIO SISKI
CARRERA 4a N° 72-35
BOGOTÁ, 8 - COLOMBIA

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CESION

ASSIGNMENT

Yo, (nosotros) abajo firmado (s)

5. Christian H. J. J. HARCKEN
6. Thomas M. KIRRANE
7. Daniel KUZMICH
8. Thomas Wai-Ho LEE

Domiciliado(s)

en

5. Boehringer Ingelheim Pharmaceuticals, Inc., 900 Ridgebury Road, Ridgefield, CT 06877 / EEUU
6. Boehringer Ingelheim Pharmaceuticals, Inc., 900 Ridgebury Road, Ridgefield, CT 06877 / EEUU
7. Boehringer Ingelheim Pharmaceuticals, Inc., 900 Ridgebury Road, Ridgefield, CT 06877 / EEUU
8. Boehringer Ingelheim Pharmaceuticals, Inc., 900 Ridgebury Road, Ridgefield, CT 06877 / EEUU

Declaro(amos) bajo juramento ser único(s) y verdadero(s) inventor(es) de la invención: **MIMÉTICOS DE GLUCOCORTICOIDES**
MÉTODOS DE PREPARACIÓN COMPOSICIONES FARMACÉUTICAS
y usos de los mismos
declaro(amos) así mismo que cedo(amos) y transfiero(ermos), sin limitación, a favor de la sociedad

Boehringer Ingelheim Pharmaceuticals, Inc.

constituida y existente de conformidad con las leyes de

EEUU

domiciliada en **Boehringer Ingelheim Pharmaceuticals, Inc., 900 Ridgebury Road, Ridgefield, CT 06877 / EEUU**

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

I (we), the undersigned

5. Christian H. J. J. HARCKEN
6. Thomas M. KIRRANE
7. Daniel KUZMICH
8. Thomas Wai-Ho LEE

of

5. Boehringer Ingelheim Pharmaceuticals, Inc., 900 Ridgebury Road, Ridgefield, CT 06877 / USA
6. Boehringer Ingelheim Pharmaceuticals, Inc., 900 Ridgebury Road, Ridgefield, CT 06877 / USA
7. Boehringer Ingelheim Pharmaceuticals, Inc., 900 Ridgebury Road, Ridgefield, CT 06877 / USA
8. Boehringer Ingelheim Pharmaceuticals, Inc., 900 Ridgebury Road, Ridgefield, CT 06877 / USA

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

"1,1,1-Trifluoro-4-Phenyl-4-Methyl-2-(1H-Pyrrolo)"

state as well, that assign, without reservations or limitations in favour of **Boehringer Ingelheim Pharmaceuticals, Inc.**

a corporation constituted and existing in conformity with the laws of

USA

domiciled in **Boehringer Ingelheim Pharmaceuticals, Inc., 900 Ridgebury Road, Ridgefield, CT 06877 / USA**

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

Dado y firmado hoy/Given and signed this 20th DAY OF MARCH, 2006
en/in RIDGEFIELD, CONNECTICUT USA

Firma/Signature

Christian H. J. J. HARCKEN

Thomas M. KIRRANE

Daniel KUZMICH


Thomas Wai-Ho LEE

APOSTILLE

(Convention de La Haye du 5 octobre 1961)

1. Country: The United States of America

THIS PUBLIC DOCUMENT

2. has been signed by **JEANNE E. ROGERS**

3. acting in the capacity of **NOTARY PUBLIC**

4. in the State of Connecticut for the term of **November 26, 2001 to November 30, 2006**

CERTIFIED

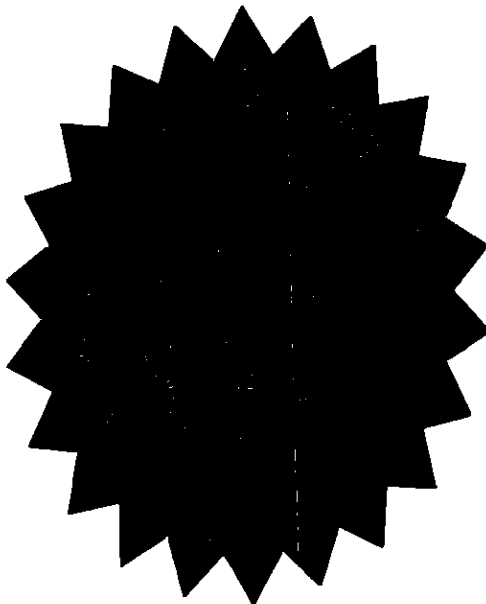
5. at Hartford, Connecticut

6. on **March 28, 2006**

7. by **SUSAN BYSIEWICZ** Secretary of the State of Connecticut

8. Number : **2006-4131**

9. Seal :



10. Signature

Susan Bysiewicz

Secretary of the State

CERTIFICACIÓN NOTARIAL (INDIVIDUO)

A los _____ días del mes de _____
de 200 ____ Ante mí, Notario Público de _____

compareció(eron) personalmente _____

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 CERTIFICATION (INDIVIDUAL)

On this 20th day of March

2006 ____ before me, a Notary Public of

The State of Connecticut

personally appeared Thomas Wai-Ho LEE

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

Jeanne E. ROGERS
Notary Public

APOSTILLE

(Convention de La Haye du 5 octobre 1961)

1. Country:
This public document
2. has been signed by
3. acting in the capacity of
4. bears the seal/stamp of

Certified

5. at 6. the
7. by
8. No.
9. Seal/stamp 10. Signature

.....

TRADUCCIÓN OFICIAL No. 09/04.06 preparada por María Elvira Martelo, traductora oficial aprobada por el Ministerio de Justicia mediante resolución 2879 de 1995 y reconocida por el Ministerio de Relaciones Exteriores.

A continuación se traducen los sellos y legalizaciones de un documento de Cesión escrito en inglés y español, por el cual los inventores de la invención "*Miméticos de glucocorticoides, métodos de preparación composiciones farmacéuticas y usos de los mismos*" ceden dicha invención a **BOEHRINGER INGELHEIM PHARMACEUTICALS, INC.**, sociedad domiciliada en 900 Ridgebury Road, Ridgefield, CT 06877 /, Estados Unidos de América.

Dado y firmado hoy 20 de marzo de 2006

En Ridgefield, Connecticut, E.U.A.

[Firmado] _____

Thomas Wai-Ho LEE

CERTIFICADO NOTARIAL (INDIVIDUO) referente a la firma del Sr. Thomas Wai-Ho LEE. Dado en inglés y español el 20 de marzo de 2006.

(Firma ilegible)

Jeanne E. Rogers

Notario Público

APOSTILLA

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

1. País: Estados Unidos de América

ESTE DOCUMENTO PÚBLICO

2. ha sido firmado por **JEANNE E. ROGERS**

3. actuando en su capacidad de **NOTARIO PÚBLICO**

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4. del estado de Connecticut por el término 26 de noviembre de 2001 a 30 de noviembre de 2006

CERTIFICADO

5. en Hartford, Connecticut

6. el 28 de marzo de 2006

7. por **SUSAN BYSIEWICZ**, Secretario de Estado de Connecticut

8. Número: **2006-4131**

9. Sello: sello del Estado de Connecticut

10. Firma (firma ilegible), Secretario de Estado

Es traducción fiel y completa de la cual se deja copia para su confrontación.

COLO 07612-841-012-3

WPCL 7612 - ID 280

ABR 18/06

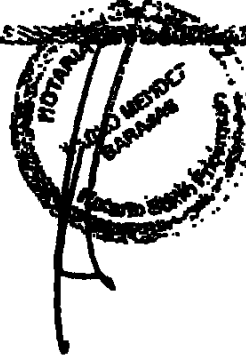
Maria E. Martelo
TRADUCCION No. 09/04/06
MARIA ELVIRA MARTELO
Traductora e Interprete Oficial
Inglés - Español - Inglés
Res. No. 2879 Min. Justicia

COMO NOTARIO SEXTO DEL CIRCULO DE SANTAFE DE BOGOTA, HAGO CONSTAR QUE LA FIRMA DE

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SANTAFE DE BOGOTA, 19 ABR 2006



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JEFE DE REGISTRO
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MINISTERIO DE RELACIONES
EXTERIORES

8533476
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DOCUMENTO DESEMPENA
LAS FUNCIONES INDICADAS

(19) World Intellectual Property
Organization
International Bureau(43) International Publication Date
7 April 2005 (07.04.2005)

PCT

(10) International Publication Number
WO 2005/030213 A1(51) International Patent Classification: A61K 31/475,
31/52, C07D 471/04, 487/04, 495/04, 491/04, 405/10,
307/79, A61P 5/44, 5/46(21) International Application Number:
PCT/US2004/031009(22) International Filing Date:
22 September 2004 (22.09.2004)

(25) Filing Language: English

(26) Publication Language: English

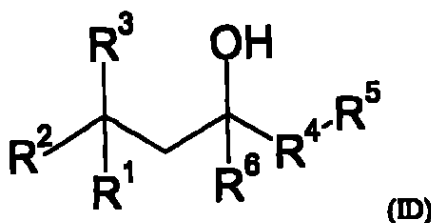
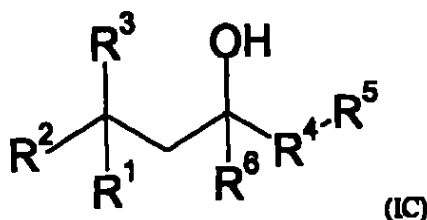
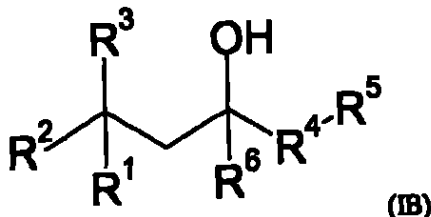
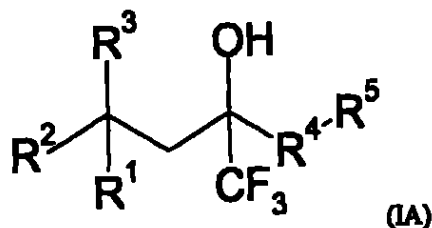
(30) Priority Data:
60/505,456 24 September 2003 (24.09.2003) US
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[Continued on next page]

(54) Title: 1,1,1-TRIFLUORO-4-PHENYL-4-METHYL-2-(1H-PYRROLO

(57) Abstract: Compounds of Formula (IA), (IB), (IC), and (ID) wherein R¹, R², R³, R⁴, R⁵, and R⁶ are as respectively defined herein for Formula (IA), (IB), (IC), and (ID), or a tautomer, prodrug, solvate, or salt thereof; pharmaceutical compositions containing such compounds, and methods of modulating the glucocorticoid receptor function and methods of treating disease-states or conditions mediated by the glucocorticoid receptor function or characterized by inflammatory, allergic, or proliferative processes in a patient using these compounds.43
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WO 2005/030213 A1



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Ridgefield, CT 06877 (US). TAKAHASHI, Hidenori [JP/US]; Boehringer Ingelheim Pharmaceuticals, Inc., 900 Ridgebury Road, P.O. Box 368, Ridgefield, CT 06877 (US). THOMSON, David, S. [GB/US]; Boehringer Ingelheim Pharmaceuticals, Inc., 900 Ridgebury Road, P.O. Box 368, Ridgefield, CT 06877 (US). WANG, Ji [CN/US]; Boehringer Ingelheim Pharmaceuticals, Inc., 900 Ridgebury Road, P.O. Box 368, Ridgefield, CT 06877 (US). ZINDELL, Renee [US/US]; Boehringer Ingelheim Pharmaceuticals, Inc., 900 Ridgebury Road, P.O. Box 368, Ridgefield, CT 06877 (US). PROUDFOOT, John, Robert [IE/US]; Boehringer Ingelheim Pharmaceuticals, Inc., 900 Ridgebury Road, P.O. Box 368, Ridgefield, CT 06877 (US).

KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NA, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW.

(74) Agents: RAYMOND, Robert, P. et al.; Boehringer Ingelheim Corporation, 900 Ridgebury Road, P.O. Box 368, Ridgefield, CT 06877-0368 (US).

(81) Designated States (unless otherwise indicated, for every kind of national protection available): AE, AG, AL, AM, AT/AU, AZ, BA, BB, BG, BR, BW, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE,

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

Published:

- with international search report
- before the expiration of the time limit for amending the claims and to be republished in the event of receipt of amendments

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

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1,1,1-TRIFLUORO-4-PHENYL-4-METHYL-2-(1H-PYRROLO[2,3-C]PYRIDIN-2-YLMETHYL)PENTAN-2-OL DERIVATIVES AND RELATED COMPOUNDS AS GLUCOCORTICOID LIGANDS FOR THE TREATMENT OF INFLAMMATORY DISEASES AND DIABETES

5 **Field of the Invention**

The present invention relates to glucocorticoid mimetics or ligands, methods of making such compounds, their use in pharmaceutical compositions, and their use in modulating the glucocorticoid receptor function, treating disease-states or conditions mediated by the glucocorticoid receptor function in a patient in need of such treatment, and other uses.

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Background of the Invention

Glucocorticoids, a class of corticosteroids, are endogenous hormones with profound effects on the immune system and multiple organ systems. They suppress a variety of immune and inflammatory functions by inhibition of inflammatory cytokines such as IL-1, IL-2, IL-6, and
15 TNF, inhibition of arachidonic acid metabolites including prostaglandins and leukotrienes, depletion of T-lymphocytes, and reduction of the expression of adhesion molecules on endothelial cells (P.J. Barnes, Clin. Sci., 1998, 94, pp. 557-572; P.J. Barnes *et al.*, Trends Pharmacol. Sci., 1993, 14, pp. 436-441). In addition to these effects, glucocorticoids stimulate glucose production in the liver and catabolism of proteins, play a role in electrolyte and water
20 balance, reduce calcium absorption, and inhibit osteoblast function.

The anti-inflammatory and immune suppressive activities of endogenous glucocorticoids have stimulated the development of synthetic glucocorticoid derivatives including dexamethasone, prednisone, and prednisolone (L. Parente, Glucocorticoids, N.J. Goulding and R.J. Flowers
25 (eds.), Boston: Birkhauser, 2001, pp. 35-54). These have found wide use in the treatment of inflammatory, immune, and allergic disorders including rheumatic diseases such as rheumatoid arthritis, juvenile arthritis, and ankylosing spondylitis, dermatological diseases including psoriasis and pemphigus, allergic disorders including allergic rhinitis, atopic dermatitis, and contact dermatitis, pulmonary conditions including asthma and chronic obstructive pulmonary
30 disease (COPD), and other immune and inflammatory diseases including Crohn disease, ulcerative colitis, systemic lupus erythematosus, autoimmune chronic active hepatitis, osteoarthritis, tendonitis, and bursitis (J. Toogood, Glucocorticoids, N.J. Goulding and R.J. Flowers (eds.), Boston: Birkhauser, 2001, pp. 161-174). They have also been used to help prevent rejection in organ transplantation.

Unfortunately, in addition to the desired therapeutic effects of glucocorticoids, their use is associated with a number of adverse side effects, some of which can be severe and life-threatening. These include alterations in fluid and electrolyte balance, edema, weight gain, hypertension, muscle weakness, development or aggravation of diabetes mellitus, and osteoporosis. Therefore, a compound that exhibited a reduced side effect profile while maintaining the potent anti-inflammatory effects would be particularly desirable especially when treating a chronic disease.

- 10 The effects of glucocorticoids are mediated at the cellular level by the glucocorticoid receptor (R.H. Oakley and J. Cidlowski, Glucocorticoids, N.J. Goulding and R.J. Flowers (eds.), Boston: Birkhauser, 2001, pp. 55-80). The glucocorticoid receptor is a member of a class of structurally related intracellular receptors that when coupled with a ligand can function as a transcription factor that affects gene expression (R.M. Evans, *Science*, 1988, 240, pp. 889-895). Other
- 15 members of the family of steroid receptors include the mineralocorticoid, progesterone, estrogen, and androgen receptors. In addition to the effects mentioned above for glucocorticoids, hormones that act on this receptor family have a profound influence on body homeostasis, mineral metabolism, the stress response, and development of sexual characteristics. Glucocorticoids, N.J. Goulding and R.J. Flowers (eds.), Boston: Birkhauser,
- 20 2001, is hereby incorporated by reference in its entirety to better describe the state of the art.

- A molecular mechanism which accounts for the beneficial anti-inflammatory effects and the undesired side effects has been proposed (e.g., S. Heck *et al.*, *EMBO J*, 1994, 12, pp. 4087-4095; H.M. Reichardt *et al.*, *Cell*, 1998, 93, pp. 531-541; F. Tronche *et al.*, *Curr. Opin. in*
- 25 *Genetics and Dev.*, 1998, 8, pp. 532-538). Many of the metabolic and cardiovascular side effects are thought to be the result of a process called transactivation. In transactivation, the translocation of the ligand-bound glucocorticoid receptor to the nucleus is followed by binding to glucocorticoid response elements (GREs) in the promoter region of side effect-associated genes, for example, phosphoenolpyruvate carboxy kinase (PEPCK), in the case of increased
- 30 glucose production. The result is an increased transcription rate of these genes which is believed to result, ultimately, in the observed side effects. The anti-inflammatory effects are thought to be due to a process called transrepression. In general, transrepression is a process

independent of DNA binding that results from inhibition of NF- κ B and AP-1-mediated pathways, leading to down regulation of many inflammatory and immune mediators. Additionally, it is believed that a number of the observed side effects may be due to the cross-reactivity of the currently available glucocorticoids with other steroid receptors, particularly the mineralocorticoid and progesterone receptors.

Thus, it may be possible to discover ligands for the glucocorticoid receptor that are highly selective and, upon binding, can dissociate the transactivation and transrepression pathways, providing therapeutic agents with a reduced side effect profile. Assay systems to determine effects on transactivation and transrepression have been described (e.g., C.M. Bamberger and H.M. Schulte, *Eur. J. Clin. Invest.*, 2000, 30 (suppl. 3), pp. 6-9). Selectivity for the glucocorticoid receptor may be determined by comparing the binding affinity for this receptor with that of other steroid family receptors including those mentioned above.

Glucocorticoids also stimulate the production of glucose in the liver by a process called gluconeogenesis and it is believed that this process is mediated by transactivation events. Increased glucose production can exacerbate type II diabetes, therefore a compound that selectively inhibited glucocorticoid mediated glucose production may have therapeutic utility in this indication (J.E. Freidman *et al.*, *J. Biol. Chem.*, 1997, 272, pp. 31475-31481).

Novel ligands for the glucocorticoid receptor have been described in the scientific and patent literature. For example, PCT International Publication No. WO 99/33786 discloses triphenylpropanamide compounds with potential use in treating inflammatory diseases. PCT International Publication No. WO 00/66522 describes non-steroidal compounds as selective modulators of the glucocorticoid receptor potentially useful in treating metabolic and inflammatory diseases. PCT International Publication No. WO 99/41256 describes tetracyclic modulators of the glucocorticoid receptor potentially useful in treating immune, autoimmune, and inflammatory diseases. U.S. Patent No. 5,688,810 describes various non-steroidal compounds as modulators of glucocorticoid and other steroid receptors. PCT International Publication No. WO 99/63976 describes a non-steroidal, liver-selective glucocorticoid antagonist potentially useful in the treatment of diabetes. PCT International Publication No. WO 00/32584 discloses non-steroidal compounds having anti-inflammatory activity with

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dissociation between anti-inflammatory and metabolic effects. PCT International Publication No. WO 98/54159 describes non-steroidal cyclically substituted acylanilides with mixed gestagen and androgen activity. U.S. Patent No. 4,880,839 describes acylanilides having progestational activity and EP 253503 discloses acylanilides with antiandrogenic properties.

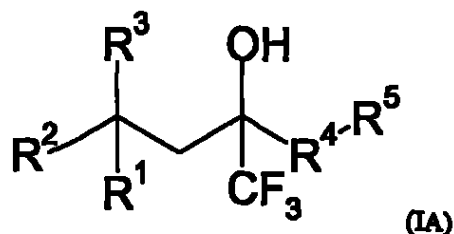
- 5 PCT International Publication No. WO 97/27852 describes amides that are inhibitors of farnesyl-protein transferase.

- A compound that is found to interact with the glucocorticoid receptor in a binding assay could be an agonist or an antagonist. The agonist properties of the compound could be evaluated in the transactivation or transrepression assays described above. Given the efficacy demonstrated by available glucocorticoid drugs in inflammatory and immune diseases and their adverse side effects, there remains a need for novel glucocorticoid receptor agonists with selectivity over other members of the steroid receptor family and a dissociation of the transactivation and transrepression activities. Alternatively, the compound may be found to have antagonist activity. As mentioned above, glucocorticoids stimulate glucose production in the liver. Increased glucose production induced by glucocorticoid excess can exacerbate existing diabetes, or trigger latent diabetes. Thus a ligand for the glucocorticoid receptor that is found to be an antagonist may be useful, inter alia, for treating or preventing diabetes.

- 20 Prior applications U.S. Serial No. 60/367,798, filed March 26, 2002, U.S. Serial No. 60/431,817, filed December 12, 2002, U.S. Serial No. 60/442,404, filed January 24, 2003, and U.S. Patent Application Pub. No. 2004/0023999, are each incorporated by reference in their entireties.

25 Summary of the Invention

The instant invention is directed to compounds of Formula (IA)



wherein:

R¹ is an aryl or heteroaryl group, each optionally independently substituted with one to three substituent groups,

5 wherein each substituent group of R¹ is independently C₁-C₃ alkyl, C₂-C₃ alkenyl, C₂-C₃ alkynyl, C₃-C₈ cycloalkyl, heterocyclyl, aryl, heteroaryl, C₁-C₃ alkoxy, C₂-C₃ alkenyloxy, C₂-C₃ alkynyloxy, aryloxy, acyl, C₁-C₃ alkoxycarbonyl, C₁-C₃ alkanoyloxy, C₁-C₃ alkanoyl, aroyl, aminocarbonyl, alkylaminocarbonyl, dialkylaminocarbonyl, aminocarbonyloxy, C₁-C₃ alkylaminocarbonyloxy, C₁-C₃ dialkylaminocarbonyloxy, C₁-C₃ alkanoylamino, C₁-C₃ alkoxycarbonylamino, C₁-C₃ alkylsulfonylamino, 10 aminosulfonyl, C₁-C₃ alkylaminosulfonyl, C₁-C₃ dialkylaminosulfonyl, halogen, hydroxy, carboxy, cyano, trifluoromethyl, trifluoromethoxy, nitro, or amino wherein the nitrogen atom is optionally independently mono- or di-substituted by C₁-C₃ alkyl or aryl; or ureido wherein either nitrogen atom is optionally independently substituted with C₁-C₃ alkyl; or C₁-C₃ alkylthio wherein the sulfur atom is optionally oxidized to a sulfoxide or sulfone, 15

20 wherein each substituent group of R¹ is optionally independently substituted with one to three substituent groups selected from methyl, methoxy, halogen, hydroxy, oxo, cyano, heteroaryl, heterocyclyl, or amino wherein the nitrogen atom is optionally independently mono- or di-substituted by C₁-C₃ alkyl or aryl; or ureido wherein either nitrogen atom is optionally independently substituted with C₁-C₃ alkyl;

25 **R²** and **R³** are each independently hydrogen or C₁-C₃ alkyl, or **R²** and **R³** together with the carbon atom they are commonly attached to form a C₃-C₈ spiro cycloalkyl ring;

R⁴ is C₁-C₃ alkyl, C₂-C₃ alkenyl, or C₂-C₃ alkynyl, each optionally independently substituted with one to three substituent groups,

30 wherein each substituent group of **R⁴** is independently C₁-C₃ alkyl, hydroxy, halogen, amino, or oxo; and

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R⁵ is a heteroaryl group optionally independently substituted with one to three substituent groups,

5 wherein each substituent group of R⁵ is independently C₁-C₃ alkyl, C₂-C₃ alkenyl, C₂-C₃ alkynyl, C₃-C₆ cycloalkyl, heterocyclyl, aryl, heteroaryl, C₁-C₃ alkoxy, C₂-C₃ alkenyloxy, C₂-C₃ alkynyloxy, aryloxy, acyl, C₁-C₃ alkoxy-carbonyl, C₁-C₃ alkanoyloxy, heterocyclyl-carbonyl, aroyl, aminocarbonyl, alkylaminocarbonyl, dialkylaminocarbonyl, aminocarbonyloxy, C₁-C₃ alkylaminocarbonyloxy, C₁-C₃ dialkylaminocarbonyloxy, C₁-C₃ alkanoylamino, C₁-C₃ alkoxy-carbonylamino, C₁-C₃ alkylsulfonylamino, aminosulfonyl, C₁-C₃ alkylaminosulfonyl, C₁-C₃ dialkylaminosulfonyl, halogen, hydroxy, carboxy, cyano, trifluoromethyl, trifluoromethoxy, trifluoromethylthio, nitro, or amino wherein the nitrogen atom is optionally independently mono- or di-substituted by C₁-C₃ alkyl; or ureido wherein either nitrogen atom is optionally independently substituted with C₁-C₃ alkyl; or C₁-C₃ alkylthio wherein the sulfur atom is optionally oxidized to a sulfoxide or sulfone,

20 wherein each substituent group of R⁵ is optionally independently substituted with one to three substituent groups selected from C₁-C₃ alkyl, C₁-C₃ alkoxy, acyl, C₁-C₃ alkenyloxy, C₁-C₃ alkoxy-carbonyl, carboxy, halogen, hydroxy, oxo, cyano, aryl, heteroaryl, heterocyclyl, or amino wherein the nitrogen atom is optionally independently mono- or di-substituted by C₁-C₃ alkyl or aryl; or ureido wherein either nitrogen atom is optionally independently substituted with C₁-C₃ alkyl, or trifluoromethyl,

25 or a tautomer, prodrug, solvate, or salt thereof.

Another aspect of the invention includes compounds of Formula (IA), wherein:

30 R¹ is thienyl, phenyl, naphthyl, dihydrobenzofuranyl, benzofuranyl, chromanyl, dihydroindolyl, indolyl, dihydrobenzothienyl, benzothienyl, benzodioxolanyl, dihydrobenzoxazolyl, benzoxazolyl, benzisoxazolyl, benzpyrazolyl, benzimidazolyl,

thienyl, quinoliny, pyridinyl, pyrimidinyl, or pyrazinyl, each optionally independently substituted with one to three substituent groups,

5 wherein each substituent group of R¹ is independently C₁-C₃ alkyl, C₂-C₃ alkenyl, C₂-C₃ alkynyl, C₁-C₃ alkoxy, C₂-C₃ alkenyloxy, C₁-C₃ alkanoyl, C₁-C₃ alkoxycarbonyl, C₁-C₃ alkanoyloxy, halogen, hydroxy, carboxy, cyano, heterocyclyl, trifluoromethyl, trifluoromethoxy, nitro, amino wherein the nitrogen atom is optionally independently
10 mono- or di-substituted by C₁-C₃ alkyl or aryl; or ureido wherein either nitrogen atom is optionally independently substituted with C₁-C₃ alkyl, or C₁-C₃ alkylthio wherein the sulfur atom is optionally oxidized to a sulfoxide or sulfone,

wherein each substituent group of R¹ is optionally independently substituted with a substituent group selected from methyl, methoxy, halogen, hydroxy, oxo, cyano, or
15 amino;

R² and R³ are each independently hydrogen or C₁-C₃ alkyl, or R² and R³ together with the carbon atom they are commonly attached to form a C₃-C₆ spiro cycloalkyl ring;

R⁴ is CH₂; and

20 R⁵ is an imidazolyl, pyridyl, indolyl, indazolyl, azaindolyl, diazaindolyl, benzofuranyl, furanopyridinyl, furanopyrimidinyl, imidazolopyrimidinyl, imidazolopyridazinyl, imidazolopyrazinyl, benzothienyl, thienopyridinyl, thienopyrimidinyl, thianopyridazinyl, benzoxazolyl, oxazolopyridinyl, benzothiazolyl, thiazolopyridinyl, benzimidazolyl,
25 imidazolopyridinyl, quinoliny, or isoquinoliny group, each optionally independently substituted with one to three substituent groups,

wherein each substituent group of R⁵ is independently C₁-C₃ alkyl, C₂-C₃ alkenyl, phenyl, C₁-C₃ alkoxy, methoxycarbonyl, aminocarbonyl, C₁-C₃ alkylaminocarbonyl,
30 C₁-C₃ dialkylaminocarbonyl, heterocyclcarbonyl, hydroxy, oxo, fluoro, chloro, bromo, cyano, trifluoromethyl, amino wherein the nitrogen atom is optionally independently mono- or di-substituted by C₁-C₃ alkyl or aryl; or ureido wherein either

nitrogen atom is optionally independently substituted with C₁-C₃ alkyl, or C₁-C₃ alkylthio wherein the sulfur atom is optionally oxidized to a sulfoxide or sulfone,

5 wherein each substituent group of R⁵ is optionally independently substituted with a substituent group selected from methyl, methoxy, fluoro, chloro, bromo, oxo, or trifluoromethyl, hydroxy, cyano, or amino wherein the nitrogen atom is optionally independently mono- or di-substituted by C₁-C₃ alkyl or aryl,

or a tautomer, prodrug, solvate, or salt thereof.

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Yet another aspect of the invention includes compounds of Formula (IA), wherein:

R¹ is thienyl, phenyl, naphthyl, pyridyl, chromanyl, dihydrobenzofuranyl, or benzofuranyl, each optionally independently substituted with one or two substituent groups,

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wherein each substituent group of R¹ is independently methyl, ethyl, methoxy, ethoxy, fluoro, chloro, bromo, hydroxy, trifluoromethyl, trifluoromethoxy, cyano, or C₁-C₃ alkylthio wherein the sulfur atom is optionally oxidized to a sulfoxide or sulfone;

20 R² and R³ are each independently methyl or ethyl, or R² and R³ together with the carbon atom they are commonly attached to form a spiro cyclopropyl ring;

R⁴ is CH₂; and

25 R⁵ is a pyridyl, indolyl, azaindolyl, diazaindolyl, benzofuranyl, furanopyridinyl, thienopyridinyl, benzoxazolyl, benzimidazolyl, quinolinyl, or isoquinolinyl group, each optionally independently substituted with one to three substituent groups,

30 wherein each substituent group of R⁵ is independently methyl, phenyl, methoxy, ethoxy, isopropoxy, methoxycarbonyl, aminocarbonyl, methylaminocarbonyl, dimethylaminocarbonyl, morpholinylcarbonyl, morpholinyl, piperidinyl, trifluoromethyl, fluoro, chloro, bromo, hydroxy, cyano, or amino wherein the nitrogen

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atom is optionally independently mono- or di-substituted by C₁-C₃ alkyl or aryl or trifluoromethyl,

or a tautomer, prodrug, solvate, or salt thereof.

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Yet another aspect of the invention includes compounds of Formula (IA), wherein:

R¹ is phenyl, dihydrobenzofuranyl, or benzofuranyl, each optionally independently substituted with one to three substituent groups,

10

wherein each substituent group of R¹ is independently C₁-C₃ alkyl, C₂-C₃ alkenyl, C₂-C₃ alkynyl, C₁-C₃ alkoxy, C₂-C₃ alkenyloxy, C₁-C₃ alkanoyl, C₁-C₃ alkoxycarbonyl, C₁-C₃ alkanoyloxy, halogen, hydroxy, carboxy, cyano, trifluoromethyl, nitro, or C₁-C₃ alkylthio wherein the sulfur atom is optionally oxidized to a sulfoxide or sulfone; and

15

R² and R³ are each independently hydrogen or C₁-C₃ alkyl,

or a tautomer, prodrug, solvate, or salt thereof.

20 Yet another aspect of the invention includes compounds of Formula (IA), wherein:

R¹ is a pyridyl, indolyl, azaindolyl, diazaindolyl, benzofuranyl, furanopyridinyl, thienopyridinyl, benzoxazolyl, benzimidazolyl, quinoliny, or isoquinoliny group, each optionally independently substituted with one to three substituent groups,

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or a tautomer, prodrug, solvate, or salt thereof.

Another aspect of the invention includes compounds of Formula (IA), wherein:

30 R¹ is thienyl, phenyl, naphthyl, dihydrobenzofuranyl, benzofuranyl, chromanyl, dihydroindolyl, indolyl, dihydrobenzothieryl, benzothieryl, benzodioxolyl, dihydrobenzoxazolyl, benzoxazolyl, benzisoxazolyl, benzpyrazolyl, benzimidazolyl,

thienyl, quinolinyl, pyridinyl, pyrimidinyl, or pyrazinyl, each optionally independently substituted with one to three substituent groups,

5 wherein each substituent group of R^1 is independently C_1 - C_3 alkyl, C_2 - C_3 alkenyl, C_2 - C_3 alkynyl, C_1 - C_3 alkoxy, C_2 - C_3 alkenyloxy, C_1 - C_3 alkanoyl, C_1 - C_3 alkoxycarbonyl, C_1 - C_3 alkanoyloxy, aryl, heteroaryl, halogen, hydroxy, carboxy, cyano, heterocyclyl, trifluoromethyl, trifluoromethoxy, nitro, aminosulfonyl, dialkylaminosulfonyl, amino
10 wherein the nitrogen atom is optionally independently mono- or di-substituted by C_1 - C_3 alkyl or aryl; or ureido wherein either nitrogen atom is optionally independently substituted with C_1 - C_3 alkyl, or C_1 - C_3 alkylthio wherein the sulfur atom is optionally oxidized to a sulfoxide or sulfone,

15 wherein each substituent group of R^1 is optionally independently substituted with one or two substituent groups selected from methyl, methoxy, halogen, hydroxy, oxo, cyano, morpholinyl, or amino wherein the nitrogen atom is optionally independently mono- or di-substituted by C_1 - C_3 alkyl;

R^2 and R^3 are each independently hydrogen or C_1 - C_3 alkyl, or R^2 and R^3 together with the carbon atom they are commonly attached to form a C_3 - C_6 spiro cycloalkyl ring;

20 R^4 is CH_2 ; and

R^5 is an imidazolyl, pyridyl, indolyl, indazolyl, azaindolyl, diazaindolyl, benzofuranyl, furanopyridinyl, furanopyrimidinyl, imidazolopyrimidinyl, imidazolopyridazinyl,
25 imidazolopyrazinyl, benzothienyl, thienopyridinyl, thienopyrimidinyl, thienopyridazinyl, benzoxazolyl, oxazolopyridinyl, benzothiazolyl, thiazolopyridinyl, benzimidazolyl, imidazolopyridinyl, quinolinyl, or isoquinolinyl group, each optionally independently substituted with one to three substituent groups,

30 wherein each substituent group of R^5 is independently C_1 - C_3 alkyl, C_2 - C_3 alkenyl, phenyl, heteroaryl, heterocyclyl, acyl, dialkylaminosulfonyl, C_1 - C_3 alkoxy, methoxycarbonyl, aminocarbonyl, C_1 - C_3 alkylaminocarbonyl, C_1 - C_3

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5 dialkylaminocarbonyl, heterocyclylcarbonyl, hydroxy, oxo, fluoro, chloro, bromo, cyano, trifluoromethyl, amino wherein the nitrogen atom is optionally independently mono- or di-substituted by C₁-C₃ alkyl or aryl; or uracido wherein either nitrogen atom is optionally independently substituted with C₁-C₃ alkyl; or C₁-C₃ alkylthio wherein the sulfur atom is optionally oxidized to a sulfoxide or sulfone,

10 wherein each substituent group of R³ is optionally independently substituted with one or two substituent groups selected from methyl, methoxy, fluoro, chloro, bromo, oxo, trifluoromethyl, hydroxy, cyano, morpholinyl, pyrrolidinyl, or amino wherein the nitrogen atom is optionally independently mono- or di-substituted by C₁-C₃ alkyl or aryl,

or a tautomer, prodrug, solvate, or salt thereof.

15 Yet another aspect of the invention includes compounds of Formula (IA), wherein:

R¹ is thienyl, phenyl, naphthyl, pyridyl, chromanyl, benzodioxolyl, dihydrobenzofuranyl, or benzofuranyl, each optionally independently substituted with one or two substituent groups,

20 wherein each substituent group of R¹ is independently methyl, ethyl, methoxy, ethoxy, fluoro, chloro, bromo, hydroxy, trifluoromethyl, trifluoromethoxy, morpholinylmethyl, dimethylaminomethyl, aminosulfonyl, dimethylaminosulfonyl, phenyl, pyrimidinyl, pyridinyl, thienyl, naphthalenyl, morpholinyl, piperidinyl, cyano, or C₁-C₃ alkylthio
25 wherein the sulfur atom is optionally oxidized to a sulfoxide or sulfone,

wherein each substituent group of R¹ is optionally independently substituted with one or two groups selected from cyano, chloro, bromo, or fluoro;

30 R² and R³ are each independently methyl or ethyl, or R² and R³ together with the carbon atom they are commonly attached to form a spiro cyclopropyl ring;

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R⁴ is CH₃; and

R⁵ is a pyridyl, indolyl, azaindolyl, diazaindolyl, benzofuranyl, thienopyridazinyl, furanopyridinyl, thienopyridinyl, benzoxazolyl, benzimidazolyl, quinoliny, or isoquinoliny group, each optionally independently substituted with one to three substituent groups,

wherein each substituent group of R⁵ is independently methyl, phenyl, methoxy, ethoxy, isopropoxy, methoxycarbonyl, aminocarbonyl, methylaminocarbonyl, dimethylaminocarbonyl, morpholinylcarbonyl, morpholinyl, piperidinyl, phenoxy, pyrrolidinyl, acetyl, pivaloyl, ethylcarbonyl, isopropylcarbonyl, pyridinyl, pyrimidinyl, trifluoromethyl, fluoro, chloro, bromo, hydroxy, cyano, or amino wherein the nitrogen atom is optionally independently mono- or di-substituted by C₁-C₃ alkyl or aryl or trifluoromethyl,

wherein each substituent group of R⁵ is optionally independently substituted with one or two substituent groups selected from cyano, halogen, methyl, dimethylamino, morpholinyl, pyrrolidinyl, or piperidinyl,

or a tautomer, prodrug, solvate, or salt thereof.

Yet another aspect of the invention includes compounds of Formula (IA), wherein:

R¹ is phenyl, dihydrobenzofuranyl, or benzofuranyl, each optionally independently substituted with one to three substituent groups,

wherein each substituent group of R¹ is independently C₁-C₃ alkyl, C₂-C₃ alkenyl, C₂-C₃ alkynyl, C₁-C₃ alkoxy, C₂-C₃ alkanyloxy, C₁-C₃ alkanoyl, C₁-C₃ alkoxycarbonyl, C₁-C₃ alkanoyloxy, halogen, hydroxy, carboxy, cyano, trifluoromethyl, nitro, aryl, heteroaryl, heterocyclyl, or C₁-C₃ alkylthio wherein the sulfur atom is optionally oxidized to a sulfoxide or sulfone; and

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R^2 and R^3 are each independently hydrogen or C_1 - C_3 alkyl,

or a tautomer, prodrug, solvate, or salt thereof.

5 Yet another aspect of the invention includes compounds of Formula (IA), wherein:

R^5 is a pyridyl, indolyl, azaindolyl, diazaindolyl, benzofuranyl, thienopyridazinyl
furanopyridinyl, thienopyridinyl, benzoxazolyl, benzimidazolyl, quinoliny, or
isoquinoliny group, each optionally independently substituted with one to three
10 substituent groups,

or a tautomer, prodrug, solvate, or salt thereof.

Representative compounds of Formula (IA) according to the invention are appended hereto as
15 Table IA, where column A is the compound name according to standard nomenclature and
column B is the corresponding chemical structure.

Preferred compounds of Formula (IA) include the following:

20 4-Cyclohexyl-1,1,1-trifluoro-4-methyl-2-quinolin-4-ylmethylpentan-2-ol;

4-Pyrimidin-5-yl-2-[4,4,4-trifluoro-3-hydroxy-1,1-dimethyl-3-(1H-pyrrolo[2,3-c]pyridin-2-
ylmethyl)butyl]phenol;

25 1,1,1-Trifluoro-4-(5-fluoro-2-methoxyphenyl)-4-methyl-2-(3-methyl-1H-pyrrolo[3,2-c]pyridin-
2-ylmethyl)pentan-2-ol;

1,1,1-Trifluoro-4-(5-fluoro-2,3-dihydrobenzofuran-7-yl)-4-methyl-2-(1H-pyrrolo[3,2-c]pyridin-
2-ylmethyl)pentan-2-ol;

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1,1,1-Trifluoro-4-(5-fluoro-2-methoxyphenyl)-4-methyl-2-(3-methyl-1*H*-pyrrolo[2,3-*c*]pyridin-2-ylmethyl)pentan-2-ol;

5 2-(4,6-Dimethyl-1*H*-pyrrolo[3,2-*c*]pyridin-2-ylmethyl)-1,1,1-trifluoro-4-(5-fluoro-2-methoxyphenyl)-4-methylpentan-2-ol;

2-(5,7-Dimethyl-1*H*-pyrrolo[2,3-*c*]pyridin-2-ylmethyl)-1,1,1-trifluoro-4-(5-fluoro-2-methoxyphenyl)-4-methylpentan-2-ol;

10 2-[4-(5-Fluoro-2-methoxyphenyl)-2-hydroxy-4-methyl-2-trifluoromethylpentyl]-1*H*-pyrrolo[3,2-*b*]pyridine-5-carbonitrile;

1,1,1-Trifluoro-4-(5-fluoro-2-methoxyphenyl)-4-methyl-2-(6-methyl-1*H*-pyrrolo[3,2-*c*]pyridin-2-ylmethyl)pentan-2-ol;

15

1,1,1-Trifluoro-4-(5-fluoro-2-methoxyphenyl)-4-methyl-2-(4-methyl-1*H*-pyrrolo[3,2-*c*]pyridin-2-ylmethyl)pentan-2-ol;

20 2-[4-(5-Fluoro-2-methoxyphenyl)-2-hydroxy-4-methyl-2-trifluoromethylpentyl]-4-methyl-1*H*-pyrrolo[3,2-*c*]pyridine-6-carbonitrile;

2-[4-(5-Fluoro-2-methoxyphenyl)-2-hydroxy-4-methyl-2-trifluoromethylpentyl]-1*H*-pyrrolo[2,3-*c*]pyridine-5-carbonitrile;

25 2-[4-(5-Fluoro-2-methoxyphenyl)-2-hydroxy-4-methyl-2-trifluoromethylpentyl]-1*H*-pyrrolo[3,2-*c*]pyridine-4-carbonitrile;

1,1,1-Trifluoro-4-(5-fluoro-2-methoxyphenyl)-4-methyl-2-(5*H*-pyrrolo[3,2-*d*]pyrimidin-6-ylmethyl)pentan-2-ol;

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1,1,1-Trifluoro-4-(5-fluoro-2-methoxyphenyl)-4-methyl-2-thieno[2,3-*d*]pyridazin-2-ylmethylpentan-2-ol;

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- 1,1,1-Trifluoro-4-(5-fluoro-2-methoxyphenyl)-4-methyl-2-(5*H*-pyrrolo[3,2-*c*]pyridazin-6-ylmethyl)pentan-2-ol;
- 5 1,1,1-Trifluoro-4-(5-fluoro-2-methoxyphenyl)-4-methyl-2-(2-methyl-5*H*-pyrrolo[3,2-*d*]pyrimidin-6-ylmethyl)pentan-2-ol;
- 1,1,1-Trifluoro-4-(5-fluoro-2-methylphenyl)-4-methyl-2-(1*H*-pyrrolo[2,3-*d*]pyridazin-2-ylmethyl)pentan-2-ol;
- 10 2-(4,6-Dimethyl-1*H*-pyrrolo[3,2-*c*]pyridin-2-ylmethyl)-1,1,1-trifluoro-4-(5-fluoro-2-methylphenyl)-4-methylpentan-2-ol;
- 4-(5-Chloro-2,3-dihydrobenzofuran-7-yl)-2-(4,6-dimethyl-1*H*-pyrrolo[3,2-*c*]pyridin-2-ylmethyl)-1,1,1-trifluoro-4-methylpentan-2-ol;
- 15 2-[4-(5-Fluoro-2-methylphenyl)-2-hydroxy-4-methyl-2-trifluoromethylpentyl]-1*H*-pyrrolo[3,2-*b*]pyridine-5-carbonitrile;
- 20 4-(5-Chloro-2,3-dihydrobenzofuran-7-yl)-1,1,1-trifluoro-4-methyl-2-(3-methyl-1*H*-pyrrolo[2,3-*c*]pyridin-2-ylmethyl)pentan-2-ol;
- 1,1,1-Trifluoro-4-(5-fluoro-2-methylphenyl)-4-methyl-2-(5*H*-pyrrolo[3,2-*c*]pyridazin-6-ylmethyl)pentan-2-ol;
- 25 4-(5-Chloro-2,3-dihydrobenzofuran-7-yl)-1,1,1-trifluoro-4-methyl-2-(5*H*-pyrrolo[3,2-*c*]pyridazin-6-ylmethyl)pentan-2-ol;
- 4-(5-Chloro-2,3-dihydrobenzofuran-7-yl)-1,1,1-trifluoro-4-methyl-2-(1*H*-pyrrolo[2,3-*d*]pyridazin-2-ylmethyl)pentan-2-ol;
- 30

1,1,1-Trifluoro-4-(5-fluoro-2-methoxyphenyl)-2-(7-fluoro-1*H*-pyrrolo[2,3-*c*]pyridin-2-ylmethyl)-4-methylpentan-2-ol;

5 1,1,1-Trifluoro-4-(5-fluoro-2-methoxyphenyl)-4-methyl-2-(4-methyl-1*H*-pyrrolo[2,3-*c*]pyridin-2-ylmethyl)pentan-2-ol;

2-(5,7-Dichloro-1*H*-pyrrolo[2,3-*c*]pyridin-2-ylmethyl)-1,1,1-trifluoro-4-(5-fluoro-2-methoxyphenyl)-4-methylpentan-2-ol;

10 1,1,1-Trifluoro-4-(5-fluoro-2-methoxyphenyl)-4-methyl-2-(5-trifluoromethyl-1*H*-pyrrolo[2,3-*c*]pyridin-2-ylmethyl)pentan-2-ol;

1,1,1-Trifluoro-4-(5-fluoro-2-methoxyphenyl)-2-(5-methoxy-1*H*-pyrrolo[2,3-*c*]pyridin-2-ylmethyl)-4-methylpentan-2-ol;

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1,1,1-Trifluoro-4-(5-fluoro-2-methylphenyl)-4-methyl-2-(4-methyl-1*H*-pyrrolo[2,3-*c*]pyridin-2-ylmethyl)pentan-2-ol;

1,1,1-Trifluoro-4-(5-fluoro-2-methylphenyl)-2-(5-isopropoxy-1*H*-pyrrolo[2,3-*c*]pyridin-2-

20 ylmethyl)-4-methylpentan-2-ol;

1,1,1-Trifluoro-4-(5-fluoro-2-methylphenyl)-2-(5-methoxy-1*H*-pyrrolo[2,3-*c*]pyridin-2-ylmethyl)-4-methylpentan-2-ol;

25 4-(5-Chloro-2,3-dihydrobenzofuran-7-yl)-1,1,1-trifluoro-2-(5-methoxy-1*H*-pyrrolo[2,3-*c*]pyridin-2-ylmethyl)-4-methylpentan-2-ol;

1,1,1-Trifluoro-4-(5-fluoro-2-methylphenyl)-2-(7-fluoro-1*H*-pyrrolo[2,3-*c*]pyridin-2-ylmethyl)-4-methylpentan-2-ol;

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4-(5-Chloro-2,3-dihydrobenzofuran-7-yl)-1,1,1-trifluoro-4-methyl-2-(5-trifluoromethyl-1*H*-pyrrolo[2,3-*c*]pyridin-2-ylmethyl)pentan-2-ol;

- 1,1,1-Trifluoro-4-(5-fluoro-2-methylphenyl)-4-methyl-2-(5-trifluoromethyl-1*H*-pyrrolo[2,3-
c]pyridin-2-ylmethyl)pentan-2-ol;
- 5 4-(5-Chloro-2,3-dihydrobenzofuran-7-yl)-1,1,1-trifluoro-2-(5-isopropoxy-1*H*-pyrrolo[2,3-
c]pyridin-2-ylmethyl)-4-methylpentan-2-ol;
- 4-(5-Chloro-2,3-dihydrobenzofuran-7-yl)-1,1,1-trifluoro-2-(7-fluoro-1*H*-pyrrolo[2,3-c]pyridin-
2-ylmethyl)-4-methylpentan-2-ol;
- 10 4-(5-Chloro-2,3-dihydrobenzofuran-7-yl)-2-(5-dimethylamino-1*H*-pyrrolo[2,3-c]pyridin-2-
ylmethyl)-1,1,1-trifluoro-4-methylpentan-2-ol;
- 4-(5-Chloro-2,3-dihydrobenzofuran-7-yl)-1,1,1-trifluoro-4-methyl-2-(5-piperidin-1-yl-1*H*-
15 pyrrolo[2,3-c]pyridin-2-ylmethyl)pentan-2-ol;
- 4-(5-Chloro-2,3-dihydrobenzofuran-7-yl)-1,1,1-trifluoro-4-methyl-2-(5-morpholin-4-yl-1*H*-
pyrrolo[2,3-c]pyridin-2-ylmethyl)pentan-2-ol;
- 20 1,1,1-Trifluoro-4-(5-fluoro-2-methylphenyl)-4-methyl-2-(5-piperidin-1-yl-1*H*-pyrrolo[2,3-
c]pyridin-2-ylmethyl)pentan-2-ol;
- 4-(5-Chloro-2,3-dihydrobenzofuran-7-yl)-2-(5-ethoxy-1*H*-pyrrolo[2,3-c]pyridin-2-ylmethyl)-
1,1,1-trifluoro-4-methylpentan-2-ol;
- 25 2-(5-Benzoyloxy-1*H*-pyrrolo[2,3-c]pyridin-2-ylmethyl)-1,1,1-trifluoro-4-(5-fluoro-2-
methylphenyl)-4-methylpentan-2-ol;
- 2-(5-Benzoyloxy-1*H*-pyrrolo[2,3-c]pyridin-2-ylmethyl)-4-(5-chloro-2,3-dihydrobenzofuran-7-
30 yl)-1,1,1-trifluoro-4-methylpentan-2-ol;

- 1,1,1-Trifluoro-4-(5-fluoro-2-methoxyphenyl)-2-(5-chloro-1*H*-pyrrolo[2,3-*c*]pyridin-2-ylmethyl)-4-methylpentan-2-ol;
- 1,1,1-Trifluoro-4-(5-fluoro-2-methoxyphenyl)-4-methyl-2-[5-(methylamino)-1*H*-pyrrolo[2,3-*c*]pyridin-2-ylmethyl]pentan-2-ol;
- 1,1,1-Trifluoro-4-(5-fluoro-2-methoxyphenyl)-4-methyl-2-(5-amino-1*H*-pyrrolo[2,3-*c*]pyridin-2-ylmethyl)pentan-2-ol;
- 1,1,1-Trifluoro-4-(5-fluoro-2-methylphenyl)-4-methyl-2-(6-amino-1*H*-pyrrolo[2,3-*c*]pyridin-2-ylmethyl)pentan-2-ol;
- 4-(5-Chloro-2,3-dihydrobenzofuran-7-yl)-1,1,1-trifluoro-2-(5-amino-1*H*-pyrrolo[2,3-*c*]pyridin-2-ylmethyl)-4-methylpentan-2-ol;
- 4-(5-Chloro-2,3-dihydrobenzofuran-7-yl)-1,1,1-trifluoro-4-methyl-2-(5-methylamino-1*H*-pyrrolo[2,3-*c*]pyridin-2-ylmethyl)pentan-2-ol;
- 7-[4-(5-Fluoro-2-methoxyphenyl)-2-hydroxy-4-methyl-2-trifluoromethylpentyl]-1*H*-pyrrolo[2,3-*b*]pyridin-7-ium chloride;
- 6-[4-(5-Fluoro-2-methoxyphenyl)-2-hydroxy-4-methyl-2-trifluoromethylpentyl]-2-methyl-1*H*-pyrrolo[2,3-*c*]pyridin-6-ium chloride;
- 4-(5-Bromo-2,3-dihydrobenzofuran-7-yl)-1,1,1-trifluoro-4-methyl-2-(1*H*-pyrrolo[2,3-*c*]pyridin-2-ylmethyl)pentan-2-ol;
- 1,1,1-Trifluoro-4-methyl-4-(5-methyl-2,3-dihydrobenzofuran-7-yl)-2-(1*H*-pyrrolo[2,3-*c*]pyridin-2-ylmethyl)pentan-2-ol;
- 4-(5-Chloro-2,3-dihydrobenzofuran-7-yl)-1,1,1-trifluoro-4-methyl-2-(1*H*-pyrrolo[2,3-*c*]pyridin-2-ylmethyl)pentan-2-ol;

- 1,1,1-Trifluoro-4-(5-fluoro-2-methoxyphenyl)-4-methyl-2-pyrrolo[2,3-*b*]pyridin-1-ylmethylpentan-2-ol;
- 5 1,1,1-Trifluoro-4-(5-fluoro-2-methoxyphenyl)-4-methyl-2-(6-oxy-1*H*-pyrrolo[2,3-*c*]pyridin-2-ylmethyl)pentan-2-ol;
- 1,1,1-Trifluoro-4-(5-fluoro-2-methoxyphenyl)-4-methyl-2-pyrrolo[2,3-*c*]pyridin-1-ylmethylpentan-2-ol;
- 10 2-Benzo[*b*]thiophen-2-ylmethyl-1,1,1-trifluoro-4-(5-fluoro-2-methoxyphenyl)-4-methylpentan-2-ol;
- 1,1,1-Trifluoro-4-(5-fluoro-2-methoxyphenyl)-4-methyl-2-thieno[2,3-*c*]pyridin-2-ylmethylpentan-2-ol;
- 15 1,1,1-Trifluoro-4-(5-fluoro-2-methoxyphenyl)-2-indazol-1-ylmethyl-4-methylpentan-2-ol;
- 1,1,1-Trifluoro-4-(5-fluoro-2-methoxyphenyl)-4-methyl-2-[4-((*Z*)propenyl)-3-vinylpyrazol-1-ylmethyl]pentan-2-ol;
- 20 1,1,1-Trifluoro-4-(5-fluoro-2-methoxyphenyl)-4-methyl-2-pyrazolo[1,5-*a*]pyridin-2-ylmethylpentan-2-ol;
- 25 4-(5-Chloro-2,3-dihydrobenzofuran-7-yl)-2,4-dimethyl-1-thieno[2,3-*c*]pyridin-2-ylpentan-2-ol;
- 4-(5-Fluoro-2-methylphenyl)-2,4-dimethyl-1-thieno[2,3-*c*]pyridin-2-ylpentan-2-ol;
- 1,1,1-Trifluoro-4-(5-fluoro-2-methoxyphenyl)-2-furo[2,3-*c*]pyridin-2-ylmethyl-4-methylpentan-2-ol;
- 30 4-(5-Chloro-2,3-dihydrobenzofuran-7-yl)-1-furo[2,3-*c*]pyridin-2-yl-2,4-dimethylpentan-2-ol;

- 4-(5-Fluoro-2-methylphenyl)-1-furo[2,3-*c*]pyridin-2-yl-2,4-dimethylpentan-2-ol;
- 1,1,1-Trifluoro-4-(5-fluoro-2-methylphenyl)-4-methyl-2-(1*H*-pyrrolo[3,2-*c*]pyridin-2-ylmethyl)pentan-2-ol;
- 5 1,1,1-Trifluoro-4-methyl-4-(5-methyl-2,3-dihydrobenzofuran-7-yl)-2-(1*H*-pyrrolo[3,2-*c*]pyridin-2-ylmethyl)pentan-2-ol;
- 10 4-(5-Chloro-2,3-dihydrobenzofuran-7-yl)-1,1,1-trifluoro-4-methyl-2-(1*H*-pyrrolo[3,2-*c*]pyridin-2-ylmethyl)pentan-2-ol;
- 4-(5-Bromo-2,3-dihydrobenzofuran-7-yl)-1,1,1-trifluoro-4-methyl-2-(1*H*-pyrrolo[3,2-*c*]pyridin-2-ylmethyl)pentan-2-ol;
- 15 2-(3-Dimethylaminomethyl-1*H*-pyrrolo[3,2-*c*]pyridin-2-ylmethyl)-1,1,1-trifluoro-4-(5-fluoro-2-methoxyphenyl)-4-methylpentan-2-ol;
- 1,1,1-Trifluoro-4-(5-fluoro-2-methoxyphenyl)-4-methyl-2-pyrrolo[3,2-*c*]pyridin-1-ylmethylpentan-2-ol;
- 20 1,1,1-Trifluoro-4-(5-fluoro-2-methoxyphenyl)-4-methyl-2-pyrrolo[3,2-*b*]pyridin-1-ylmethylpentan-2-ol;
- 25 1,1,1-Trifluoro-4-(5-fluoro-2-methoxyphenyl)-2-furo[3,2-*c*]pyridin-2-ylmethyl-4-methylpentan-2-ol;
- 4-(5-Chloro-2,3-dihydrobenzofuran-7-yl)-1,1,1-trifluoro-4-methyl-2-pyrrolo[3,2-*b*]pyridin-1-ylmethylpentan-2-ol;
- 30 1,1-Difluoro-4-(5-fluoro-2-methoxyphenyl)-4-methyl-2-(1*H*-pyrrolo[3,2-*c*]pyridin-2-ylmethyl)pentan-2-ol;

- 1,1,1-Trifluoro-4-(5-fluoro-2-methoxyphenyl)-4-methyl-2-thieno[3,2-c]pyridin-2-ylmethylpentan-2-ol;
- 5 4-(5-Chloro-2,3-dihydrobenzofuran-7-yl)-1,1,1-trifluoro-4-methyl-2-thieno[3,2-c]pyridin-2-ylmethylpentan-2-ol;
- 1,1,1-Trifluoro-4-(5-fluoro-2-methylphenyl)-4-methyl-2-pyrrolo[3,2-b]pyridin-1-ylmethylpentan-2-ol;
- 10 1,1,1-Trifluoro-4-(5-fluoro-2-methylphenyl)-4-methyl-2-thieno[3,2-c]pyridin-2-ylmethylpentan-2-ol;
- 4-Fluoro-2-(4,4,4-trifluoro-3-hydroxy-1,1-dimethyl-3-thieno[3,2-c]pyridin-2-ylmethylbutyl)phenol;
- 15 4-Fluoro-2-(4,4,4-trifluoro-3-furo[3,2-c]pyridin-2-ylmethyl-3-hydroxy-1,1-dimethylbutyl)phenol;
- 20 4-Fluoro-2-(4,4,4-trifluoro-3-hydroxy-1,1-dimethyl-3-pyrrolo[3,2-b]pyridin-1-ylmethylbutyl)phenol;
- 2-[4-(5-Fluoro-2-hydroxyphenyl)-2-hydroxy-4-methyl-2-trifluoromethylpentyl]-1*H*-indole-6-carboxylic acid;
- 25 2-[4-(5-Fluoro-2-hydroxyphenyl)-2-hydroxy-4-methyl-2-trifluoromethylpentyl]-1*H*-indole-6-carboxylic acid dimethylamide;
- {2-[4-(5-Fluoro-2-hydroxyphenyl)-2-hydroxy-4-methyl-2-trifluoromethylpentyl]-1*H*-indol-6-yl}morpholin-4-ylmethanone;
- 30

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- 2-[4-(5-Fluoro-2-methoxyphenyl)-2-hydroxy-4-methyl-2-trifluoromethylpentyl]-1*H*-indole-6-carboxylic acid dimethylamide;
- 5 2-[4-(5-Fluoro-2-methoxyphenyl)-2-hydroxy-4-methyl-2-trifluoromethylpentyl]-1*H*-indole-6-carboxylic acid dimethylamide;
- {2-[4-(5-Fluoro-2-methoxyphenyl)-2-hydroxy-4-methyl-2-trifluoromethylpentyl]-1*H*-indol-6-yl}morpholin-4-ylmethanone;
- 10 2-[4-(2,3-Dihydrobenzofuran-7-yl)-2-hydroxy-4-methyl-2-trifluoromethylpentyl]-4-methyl-1*H*-indole-6-carbonitrile;
- 2-[4-(5-Fluoro-2-hydroxyphenyl)-2-hydroxy-4-methyl-2-trifluoromethylpentyl]-1*H*-indole-6-carboxylic acid amide;
- 15 2-[4-(5-Fluoro-2-methoxyphenyl)-2-hydroxy-4-methyl-2-trifluoromethylpentyl]-1*H*-indole-6-carboxylic acid amide;
- 4-Fluoro-2-[4,4,4-trifluoro-3-hydroxy-1,1-dimethyl-3-(5-nitro-1*H*-indol-2-ylmethyl)butyl]phenol;
- 20 2-[4-(5-Fluoro-2-methoxyphenyl)-2-hydroxy-4-methyl-2-trifluoromethylpentyl]-1*H*-indole-6-carbonitrile;
- 25 2-[4-(5-Fluoro-2-hydroxyphenyl)-2-hydroxy-4-methyl-2-trifluoromethylpentyl]-1*H*-indole-6-carbonitrile;
- N*-(2-[4-(5-Fluoro-2-methoxyphenyl)-2-hydroxy-4-methyl-2-trifluoromethylpentyl]-1*H*-indol-5-yl)acetamide;
- 30 1,1,1-Trifluoro-4-(4-fluoro-2-methoxyphenyl)-2-(7-fluoro-4-methyl-1*H*-indol-2-ylmethyl)-4-methylpentan-2-ol;

5-Fluoro-2-[4,4,4-trifluoro-3-(7-fluoro-4-methyl-1*H*-indol-2-ylmethyl)-3-hydroxy-1,1-dimethylbutyl]phenol;

5 2-[4-(3-[1,3]Dioxolan-2-ylphenyl)-2-hydroxy-4-methyl-2-trifluoromethylpentyl]-1*H*-indole-5-carbonitrile;

2-[4-(5-Fluoro-2-methoxyphenyl)-2-hydroxy-4-methyl-2-trifluoromethylpentyl]-1*H*-indole-5-carboxylic acid-2-trimethylsilyl ethyl ester;

10

2-[4-(5-Fluoro-2-methoxyphenyl)-2-hydroxy-4-methyl-2-trifluoromethylpentyl]-1*H*-indole-5-carboxylic acid;

15

2-[4-(4-Fluoro-2-hydroxyphenyl)-2-hydroxy-4-methyl-2-trifluoromethylpentyl]-4-methyl-1*H*-indole-6-carbonitrile;

{2-[4-(5-Fluoro-2-methoxyphenyl)-2-hydroxy-4-methyl-2-trifluoromethylpentyl]-1*H*-indol-5-yl}piperidin-1-ylmethanone;

20

2-[4-(5-Fluoro-2-methoxyphenyl)-2-hydroxy-4-methyl-2-trifluoromethylpentyl]-1*H*-indole-5-carboxylic acid methylamide;

{2-[4-(5-Fluoro-2-methoxyphenyl)-2-hydroxy-4-methyl-2-trifluoromethylpentyl]-1*H*-indol-5-yl}pyrrolidin-1-ylmethanone;

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1-(2-[4-(5-Fluoro-2-methoxyphenyl)-2-hydroxy-4-methyl-2-trifluoromethylpentyl]-1*H*-indole-5-carbonyl)piperidin-4-one;

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2-[4-(5-Fluoro-2-methoxyphenyl)-2-hydroxy-4-methyl-2-trifluoromethylpentyl]-1*H*-indole-5-carboxylic acid (2-hydroxyethyl)amide;

{2-[4-(5-Fluoro-2-methoxyphenyl)-2-hydroxy-4-methyl-2-trifluoromethylpentyl]-1*H*-indol-5-yl}(4-hydroxypiperidin-1-yl)methanone;

5 {2-[4-(5-Fluoro-2-methoxyphenyl)-2-hydroxy-4-methyl-2-trifluoromethylpentyl]-1*H*-indol-5-yl}(3-hydroxypyrrolidin-1-yl)methanone;

2-[4-(5-Fluoro-2-methoxyphenyl)-2-hydroxy-4-methyl-2-trifluoromethylpentyl]-1*H*-indole-5-carboxylic acid cyanomethylamide;

10 2-[4-(5-Fluoro-2-methoxyphenyl)-2-hydroxy-4-methyl-2-trifluoromethylpentyl]-1*H*-indole-5-carboxylic acid (2-dimethylaminoethyl)amide;

{2-[4-(5-Fluoro-2-methoxyphenyl)-2-hydroxy-4-methyl-2-trifluoromethylpentyl]-1*H*-indol-5-yl}(4-methylpiperazin-1-yl)methanone;

15 ({2-[4-(5-Fluoro-2-methoxyphenyl)-2-hydroxy-4-methyl-2-trifluoromethylpentyl]-1*H*-indole-5-carbonyl}amino)acetic acid methyl ester;

20 2-[4-(5-Fluoro-2-methoxyphenyl)-2-hydroxy-4-methyl-2-trifluoromethylpentyl]-1*H*-indole-5-carboxylic acid carbamoylmethylamide;

4-({2-[4-(5-Fluoro-2-methoxyphenyl)-2-hydroxy-4-methyl-2-trifluoromethylpentyl]-1*H*-indole-5-carbonyl}amino)butyric acid methyl ester;

25 ({2-[4-(5-Fluoro-2-methoxyphenyl)-2-hydroxy-4-methyl-2-trifluoromethylpentyl]-1*H*-indole-5-carbonyl}amino)acetic acid;

4-({2-[4-(5-Fluoro-2-methoxyphenyl)-2-hydroxy-4-methyl-2-trifluoromethylpentyl]-1*H*-indole-5-carbonyl}amino)butyric acid;

30 2-[4-(3-Dimethylaminomethylphenyl)-2-hydroxy-4-methyl-2-trifluoromethylpentyl]-1*H*-indole-5-carbonitrile;

- 4-Fluoro-2-[4,4,4-trifluoro-3-hydroxy-1,1-dimethyl-3-(5-trifluoromethyl-1*H*-indol-2-ylmethyl)butyl]phenol;
- 5 2-[4-(5-Bromo-2,3-dihydrobenzofuran-7-yl)-2-hydroxy-4-methyl-2-trifluoromethylpentyl]-4-methyl-1*H*-indole-6-carbonitrile;
- 2-[2-Hydroxy-4-(5-methanesulfonyl-2,3-dihydrobenzofuran-7-yl)-4-methyl-2-trifluoromethylpentyl]-4-methyl-1*H*-indole-6-carbonitrile;
- 10 2-[4-(5-Bromo-2,3-dihydrobenzofuran-7-yl)-2-hydroxy-4-methyl-2-trifluoromethylpentyl]-1*H*-indole-5-carboxylic acid;
- 2-[4-(5-Bromo-2,3-dihydrobenzofuran-7-yl)-2-hydroxy-4-methyl-2-trifluoromethylpentyl]-1*H*-indole-5-carboxylic acid amide;
- 15 2-[4-(5-Bromo-2,3-dihydrobenzofuran-7-yl)-2-hydroxy-4-methyl-2-trifluoromethylpentyl]-1*H*-indole-5-carboxylic acid dimethylamide;
- 20 2-[4-(5-Bromo-2,3-dihydrobenzofuran-7-yl)-2-hydroxy-4-methyl-2-trifluoromethylpentyl]-1*H*-indole-5-carboxylic acid cyanomethylamide;
- {2-[4-(5-Bromo-2,3-dihydrobenzofuran-7-yl)-2-hydroxy-4-methyl-2-trifluoromethylpentyl]-1*H*-indol-5-yl}pyrrolidin-1-ylmethanone;
- 25 {2-[4-(5-Bromo-2,3-dihydrobenzofuran-7-yl)-2-hydroxy-4-methyl-2-trifluoromethylpentyl]-1*H*-indol-5-yl}morpholin-4-ylmethanone;
- 2-[4-(5-Fluoro-2-methoxyphenyl)-2-hydroxy-4-methyl-2-trifluoromethylpentyl]-1*H*-indole-5-carboxylic acid amide;
- 30

- (2-[4-(5-Fluoro-2-methoxyphenyl)-2-hydroxy-4-methyl-2-trifluoromethylpentyl]-1*H*-indol-5-yl)morpholin-4-ylmethanone;
- 2-(4-Benzo[1,3]dioxol-4-yl-2-hydroxy-4-methyl-2-trifluoromethylpentyl)-
5 4-methyl-1*H*-indole-6-carbonitrile;
- 1,1,1-Trifluoro-4-methyl-4-phenyl-2-quinolin-4-ylmethylhexan-2-ol;
- 2-[2-Hydroxy-4-methyl-4-(5-methylsulfanyl-2,3-dihydrobenzofuran-7-yl)-
10 2-trifluoromethylpentyl]-1*H*-indole-3-carbonitrile;
- 7-(4,4,4-Trifluoro-3-hydroxy-1,1-dimethyl-3-quinolin-4-ylmethylbutyl)-
2,3-dihydrobenzofuran-5-carbonitrile;
- 15 2-[2-Hydroxy-4-(5-methanesulfonyl-2,3-dihydrobenzofuran-7-yl)-
4-methyl-2-trifluoromethylpentyl]-1*H*-indole-3-carbonitrile;
- 2-[2-Hydroxy-4-(2-hydroxy-5-methylphenyl)-4-methyl-2-trifluoromethylpentyl]-
4-methyl-1*H*-indole-6-carbonitrile;
- 20 1,1,1-Trifluoro-4-(5-fluoro-2,3-dihydrobenzofuran-7-yl)-4-
methyl-2-(5-methylsulfanyl-1*H*-indol-2-ylmethyl)pentan-2-ol;
- 2-[2-Hydroxy-4-(2-methoxy-5-methylsulfanylphenyl)-4-methyl-2-trifluoromethylpentyl]-1*H*-
25 indole-3-carbonitrile;
- 2-[2-Hydroxy-4-(5-methanesulfonyl-2-methoxyphenyl)-4-methyl-2-trifluoromethyl-
pentyl]-1*H*-indole-3-carbonitrile;
- 30 2-[4-(5-Fluoro-2,3-dihydrobenzofuran-7-yl)-2-hydroxy-4-methyl-2-
trifluoromethylpentyl]-1*H*-indole-5-sulfonic acid dimethylamide;

- 1,1,1-Trifluoro-4-(5-fluoro-2,3-dihydrobenzofuran-7-yl)-4-methyl-2-(5-phenyl-1*H*-indol-2-ylmethyl)pentan-2-ol;
- 5 2-[4-(5-*tert*-Butyl-2-hydroxyphenyl)-2-hydroxy-4-methyl-2-trifluoromethylpentyl]-1*H*-indole-3-carbonitrile;
- 2-[2-Hydroxy-4-(2-hydroxy-5-isopropylphenyl)-4-methyl-2-trifluoromethylpentyl]-1*H*-indole-3-carbonitrile;
- 10 2-[2-Hydroxy-4-(2-hydroxy-3,5-dimethylphenyl)-4-methyl-2-trifluoromethylpentyl]-1*H*-indole-3-carbonitrile;
- 2-[2-Hydroxy-4-(5-hydroxy-2,4-dimethylphenyl)-4-methyl-2-trifluoromethylpentyl]-1*H*-indole-3-carbonitrile;
- 15 2-[4-(5-*tert*-Butyl-2-methoxyphenyl)-2-hydroxy-4-methyl-2-trifluoromethylpentyl]-1*H*-indole-3-carbonitrile;
- 2-[4-(5-*tert*-Butyl-2-methoxyphenyl)-2-hydroxy-4-methyl-2-trifluoromethylpentyl]-1-methyl-1*H*-indole-3-carbonitrile;
- 20 2-[2-Hydroxy-4-(5-isopropyl-2-methoxyphenyl)-4-methyl-2-trifluoromethylpentyl]-1*H*-indole-3-carbonitrile;
- 25 2-[2-Hydroxy-4-(5-isopropyl-2-methoxyphenyl)-4-methyl-2-trifluoromethylpentyl]-1-methyl-1*H*-indole-3-carbonitrile;
- 2-[2-Hydroxy-4-(2-hydroxy-5-methanesulfonylphenyl)-4-methyl-2-trifluoromethylpentyl]-1*H*-indole-3-carbonitrile;
- 30 2-[2-Hydroxy-4-(2-methoxy-5-methylphenyl)-4-methyl-2-trifluoromethylpentyl]-4-methyl-1*H*-indole-6-carbonitrile;

- 1,1,1-Trifluoro-4-methyl-2-quinolin-4-ylmethyl-4-*o*-tolylpentan-2-ol;
- 1,1,1-Trifluoro-4-methyl-2-quinolin-4-ylmethyl-4-*m*-tolylpentan-2-ol;
- 5 1,1,1-Trifluoro-4-(2-fluorophenyl)-2-(1*H*-indol-2-ylmethyl)-4-methylpentan-2-ol;
- 1,1,1-Trifluoro-4-(2-fluorophenyl)-4-methyl-2-quinolin-4-ylmethylpentan-2-ol;
- 10 1,1,1-Trifluoro-4-(3-fluorophenyl)-2-(1*H*-indol-2-ylmethyl)-4-methylpentan-2-ol;
- 1,1,1-Trifluoro-4-(3-fluorophenyl)-4-methyl-2-quinolin-4-ylmethylpentan-2-ol;
- 1,1,1-Trifluoro-4-(4-fluorophenyl)-2-(1*H*-indol-2-ylmethyl)-4-methylpentan-2-ol;
- 15 1,1,1-Trifluoro-4-(4-fluorophenyl)-4-methyl-2-quinolin-4-ylmethylpentan-2-ol;
- 3-(4,4,4-Trifluoro-3-hydroxy-1,1-dimethyl-3-quinolin-4-ylmethylbutyl)phenol;
- 20 1,1,1-Trifluoro-4-methyl-2-quinolin-4-ylmethyl-4-(2-trifluoromethylphenyl)pentan-2-ol;
- 1,1,1-Trifluoro-2-(1*H*-indol-2-ylmethyl)-4-methyl-4-(4-trifluoromethylphenyl)pentan-2-ol;
- 1,1,1-Trifluoro-4-methyl-2-quinolin-4-ylmethyl-4-(4-trifluoromethylphenyl)pentan-2-ol;
- 25 4-(3-Chlorophenyl)-1,1,1-trifluoro-2-(1*H*-indol-2-ylmethyl)-4-methylpentan-2-ol;
- 4-(3-Chlorophenyl)-1,1,1-trifluoro-4-methyl-2-quinolin-4-ylmethylpentan-2-ol;
- 30 4-(4-Dimethylaminophenyl)-1,1,1-trifluoro-2-(1*H*-indol-2-ylmethyl)-4-methylpentan-2-ol;
- 4-Biphenyl-3-yl-1,1,1-trifluoro-4-methyl-2-quinolin-4-ylmethylpentan-2-ol;

- 4-(3-Bromophenyl)-1,1,1-trifluoro-2-(1*H*-indol-2-ylmethyl)-4-methylpentan-2-ol;
- 5 4-(2-Difluoromethoxy-5-fluorophenyl)-1,1,1-trifluoro-2-(1*H*-indol-2-ylmethyl)-4-methylpentan-2-ol;
- 4-Biphenyl-3-yl-1,1,1-trifluoro-2-(1*H*-indol-2-ylmethyl)-4-methylpentan-2-ol;
- 10 4-(4-Dimethylaminophenyl)-1,1,1-trifluoro-4-methyl-2-quinolin-4-ylmethylpentan-2-ol;
- 2-[4-(5-Fluoro-2-methylphenyl)-2-hydroxy-4-methyl-2-trifluoromethylpentyl]-1,6-dihydropyrrolo[2,3-*c*]pyridin-5-one;
- 15 2-[4-(5-Fluoro-2-methylphenyl)-2-hydroxy-4-methyl-2-trifluoromethylpentyl]-6-methyl-1,6-dihydropyrrolo[2,3-*c*]pyridin-5-one;
- 2-[4-(5-Fluoro-2-methylphenyl)-2-hydroxy-4-methyl-2-trifluoromethylpentyl]-4-methyl-1,4-dihydropyrrolo[3,2-*b*]pyridin-5-one;
- 20 1,1,1-Trifluoro-4-(5-fluoro-2-methylphenyl)-2-(6-methoxy-1*H*-pyrrolo[3,2-*c*]pyridin-2-ylmethyl)-4-methylpentan-2-ol;
- 2-[4-(5-Fluoro-2-methylphenyl)-2-hydroxy-4-methyl-2-trifluoromethylpentyl]-5-methyl-1,5-dihydropyrrolo[3,2-*c*]pyridin-6-one;
- 25 2-[4-(5-Fluoro-2-methylphenyl)-2-hydroxy-4-methyl-2-trifluoromethylpentyl]-1,3a-dihydropyrrolo[3,2-*c*]pyridin-6-one;
- 2-[4-(5-Fluoro-2-methylphenyl)-2-hydroxy-4-methyl-2-trifluoromethylpentyl]-1,7-dihydropyrrolo[3,2-*c*]pyridine-4,6-dione;
- 30

6-[4-(5-Fluoro-2-methylphenyl)-2-hydroxy-4-methyl-2-trifluoromethylpentyl]-3-methyl-1,7-dihydropyrrolo[2,3-*d*]pyrimidine-2,4-dione;

5 2-[4-(5-Chloro-2,3-dihydrobenzofuran-7-yl)-2-hydroxy-4-methyl-2-trifluoromethylpentyl]-1,6-dihydropyrrolo[2,3-*c*]pyridin-5-one;

2-[4-(5-Chloro-2,3-dihydrobenzofuran-7-yl)-2-hydroxy-4-methyl-2-trifluoromethylpentyl]-6-methyl-1,6-dihydropyrrolo[2,3-*c*]pyridin-5-one;

10 2-[4-(5-Chloro-2,3-dihydrobenzofuran-7-yl)-2-hydroxy-4-methyl-2-trifluoromethylpentyl]-1,4-dihydropyrrolo[3,2-*b*]pyridin-5-one;

2-[4-(5-Chloro-2,3-dihydrobenzofuran-7-yl)-2-hydroxy-4-methyl-2-trifluoromethylpentyl]-4-methyl-1,4-dihydropyrrolo[3,2-*b*]pyridin-5-one;

15 2-[4-(5-Chloro-2,3-dihydrobenzofuran-7-yl)-2-hydroxy-4-methyl-2-trifluoromethylpentyl]-1,5-dihydropyrrolo[3,2-*c*]pyridin-6-one;

20 2-[4-(5-Chloro-2,3-dihydrobenzofuran-7-yl)-2-hydroxy-4-methyl-2-trifluoromethylpentyl]-5-methyl-1,5-dihydropyrrolo[3,2-*c*]pyridin-6-one;

4-(5-Chloro-2,3-dihydrobenzofuran-7-yl)-1,1,1-trifluoro-2-(6-methoxy-5,6-dihydro-1*H*-pyrrolo[3,2-*c*]pyridin-2-ylmethyl)-4-methylpentan-2-ol;

25 2-[4-(5-Chloro-2,3-dihydrobenzofuran-7-yl)-2-hydroxy-4-methyl-2-trifluoromethylpentyl]-1,7-dihydropyrrolo[3,2-*c*]pyridine-4,6-dione;

6-[4-(5-Chloro-2,3-dihydrobenzofuran-7-yl)-2-hydroxy-4-methyl-2-trifluoromethylpentyl]-3-methyl-1,7-dihydropyrrolo[2,3-*d*]pyrimidine-2,4-dione;

30 2-[4-(3-Dimethylaminomethylphenyl)-2-hydroxy-4-methyl-2-trifluoromethylpentyl]-1*H*-indole-5-carbonitrile;

1,1,1-Trifluoro-2-(1*H*-indol-2-ylmethyl)-4-methyl-4-(3-morpholin-4-ylmethylphenyl)pentan-2-ol;

- 5 1,1,1-Trifluoro-4-methyl-4-(3-morpholin-4-ylmethylphenyl)-2-(1*H*-pyrrolo[2,3-*d*]pyridazin-2-ylmethyl)pentan-2-ol;

1,1,1-Trifluoro-4-(5-fluoro-2-methylphenyl)-4-methyl-2-(5-morpholin-4-ylmethyl-1*H*-indol-2-ylmethyl)pentan-2-ol;

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1,1,1-Trifluoro-4-(5-fluoro-2-methylphenyl)-4-methyl-2-(5-morpholin-4-ylmethyl-1*H*-pyrrolo[2,3-*c*]pyridin-2-ylmethyl)pentan-2-ol;

- 15 {2-[4-(5-Fluoro-2-methylphenyl)-2-hydroxy-4-methyl-2-trifluoromethylpentyl]-1*H*-indol-5-yl}phenylmethanone;

{2-[4-(5-Fluoro-2-methylphenyl)-2-hydroxy-4-methyl-2-trifluoromethylpentyl]-1*H*-pyrrolo[2,3-*c*]pyridin-5-yl}phenylmethanone;

- 20 {2-[4-(5-Fluoro-2-methylphenyl)-2-hydroxy-4-methyl-2-trifluoromethylpentyl]-1*H*-indol-5-yl}furan-2-ylmethanone;

{2-[4-(5-Fluoro-2-methylphenyl)-2-hydroxy-4-methyl-2-trifluoromethylpentyl]-1*H*-pyrrolo[2,3-*c*]pyridin-5-yl}furan-2-ylmethanone;

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1,1,1-Trifluoro-2-(1*H*-indol-2-ylmethyl)-4-methyl-4-pyridin-2-ylpentan-2-ol;

4-(3-Chloro-2-fluoro-5-trifluoromethylbenzyl)-5,5,5-trifluoro-4-hydroxy-2-methyl-2-phenylpentanenitrile;

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1,1,1-Trifluoro-4-methyl-4-pyridin-4-yl-2-quinolin-4-ylmethylpentan-2-ol;

2-(2,6-Dimethylpyridin-4-ylmethyl)-1,1,1-trifluoro-4-(5-fluoro-2-methoxyphenyl)-4-methylpentan-2-ol;

2-[3-(2,6-Dimethylpyridin-4-ylmethyl)-4,4,4-trifluoro-3-hydroxy-1,1-dimethylbutyl]-4-fluorophenol;

1,1,1-Trifluoro-4,4-dimethyl-5-phenyl-2-quinolin-4-ylmethylpentan-2-ol;

1,1,1-Trifluoro-4-(5-fluoro-2-methoxyphenyl)-4-methyl-2-pyridin-4-ylmethylpentan-2-ol;

4-Fluoro-2-[4,4,4-trifluoro-3-(2-fluoropyridin-4-ylmethyl)-3-hydroxy-1,1-dimethylbutyl]phenol;

2-[3-(2-Bromopyridin-4-ylmethyl)-4,4,4-trifluoro-3-hydroxy-1,1-dimethylbutyl]-4-fluorophenol;

2-(6,8-Dimethylquinolin-4-ylmethyl)-1,1,1-trifluoro-4-(5-fluoro-2-methoxyphenyl)-4-methylpentan-2-ol;

4-[4-(5-Fluoro-2-methoxyphenyl)-2-hydroxy-4-methyl-2-trifluoromethylpentyl]pyridine-2-carbonitrile;

2,6-Dichloro-4-[4-(5-fluoro-2-methoxyphenyl)-2-hydroxy-4-methyl-2-trifluoromethylpentyl]nicotinonitrile;

4-[4-(5-Fluoro-2-methoxyphenyl)-2-hydroxy-4-methyl-2-trifluoromethylpentyl]quinolin-2-ol;

2,6-Dichloro-4-[4-(5-fluoro-2-hydroxyphenyl)-2-hydroxy-4-methyl-2-trifluoromethylpentyl]nicotinonitrile;

2-(2-Chloro-8-methylquinolin-4-ylmethyl)-1,1,1-trifluoro-4-(5-fluoro-2-methoxyphenyl)-4-methylpentan-2-ol;