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Superintendencia de Industria y Comercio  
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7052  
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SUPERINTENDENCIA DE INDUSTRIA Y COMERCIO  
No. 07-007764- -00003-0000

SUPERINTENDENCIA DE INDUSTRIA Y COMERCIO  
No. 07-007764- -00003-0000  
Fecha: 2008-12-09 11:12:07 Dep. 2020 NUECREACIONE  
Tra. 11 PATENTEIYII Eve: 378 FASENACIONALI  
Act. 538 PETICION Folios: 2

Evento : 378  
Actuación : 538

Referencia : Expediente No. 07.007.764  
Asunto : Solicitud de Patente para **DERIVADOS DE UREA  
CÍCLICOS SUSTITUIDOS CON HETEROCICLICO, SU  
PREPARACIÓN Y SU USO FARMACÉUTICO COMO  
INHIBIDORES DE QUINASA**  
Solicitante : **AVENTIS PHARMA S.A.**  
Clase : A61K 031/4178, A61K 031/513, A61K 031/551  
Publicada en : La Gaceta No. **593** Del 28 de junio de 2008  
Fecha de Solicitud : 26 de enero de 2007

1. Yo, Emilio FERRERO, identificado como aparece al pie de mi firma, actuando como apoderado en el asunto de la referencia, atentamente, solicito se efectúe el examen de patentabilidad de la solicitud en referencia presentada de acuerdo con el Capítulo I del PCT y según lo establecido en el artículo 44 de la Decisión 486 de la Comisión de la Comunidad Andina. Para tal efecto presento junto con este escrito el recibo por valor de \$420.000.00, que corresponde a la tasa fijada según lo dispuesto en la resolución No. 44372 de 26 de diciembre de 2007.

2. Ruego atentamente a la Señora Jefe se sirva ordenar que se anexe este recibo para que se efectúe dicho examen y se continúe con el trámite de la solicitud.

Señora Jefe,



**EMILIO FERRERO**  
T.P.A. No. 31.929

COLO-12457-841-013-4  
ASG\JMS\ID-139976\WPC12457  
No. M-2008-139976\ASG

NIT 860.041.367-3

708  
SUPERINTENDENCIA DE INDUSTRIA Y COMERCIO



No. 07-007764- -00003-0000

Fecha: 2008-12-09 11:12:07 Dep. 2020 NUECREACIONE  
Tra. 11 PATENTEIYII Eve: 378 FASENACIONALI  
Act. 467 SOLICIPRORROGA Folios: 2

SUPERINTENDENCIA DE INDUSTRIA Y COMERCIO

NIT : 800176089-2

RECIBO OFICIAL DE CAJA : 08 - 91,628  
FECHA : NOVIEMBRE 25 DE 2008

\*\*\*\*\* CONSIGNACION \*\*\*\*\*

| DEPOSITANTE       | TIPO PAGO    | BANCO           | CUENTA    | No. PAGO | FECHA PGO  | Vr. PAGO      |
|-------------------|--------------|-----------------|-----------|----------|------------|---------------|
| CAVELIER ABOGADOS | CONSIGNACION | BANCO DE BOGOTA | 062754387 | 10528372 | 24/11/2008 | 43,905,000.00 |

\*\*\*\*\* CONCEPTO \*\*\*\*\*

| CANT. | RENTISTICO              | CONCEPTO                             | TOTAL CONCEPTO |
|-------|-------------------------|--------------------------------------|----------------|
| 1     | 50005-01-01 SOLICITUDES | 85 EXAMEN DE PATENTABILIDAD DE INVEN | 420,000.00     |
|       |                         | TOTAL :                              | \$ 420,000.00  |

SON: CUATROCIENTOS VEINTE MIL PESOS

RESPONSABLE : \_\_\_\_\_

RECIBO DE CAJA APLICADO AL EXPEDIENTE No. \_\_\_\_\_

Cdo 02457 841.0134

**DIVISIÓN DE NUEVAS CREACIONES**

RADICACIÓN EXPEDIENTE No. 07-07764

EL EXTRACTO DE LA PRESENTE SOLICITUD DE **PATENTE DE INVENCION**

FUE PUBLICADO EN LA GACETA DE PROPIEDAD INDUSTRIAL No **593** DE

FECHA **27 DE JUNIO DE 2008** EL TÉRMINO HÁBIL SEÑALADO POR LA LEY

EXPIRA EL **24 DE SEPTIEMBRE DE 2008**

NOTA: Dentro del plazo de los seis (6) meses siguientes a partir de la fecha de publicación en la gaceta de Propiedad Industrial, deberá solicitar y cancelar el examen de patentabilidad so pena de que la solicitud caiga en abandono, de acuerdo con lo establecido en el Artículo 44 de la Decisión 486/2000.

SE PRESENTARON OPOSICIONES POR PARTE DE TERCEROS.

SI \_\_\_\_\_

NO       X

(19) World Intellectual Property  
Organization  
International Bureau



(43) International Publication Date  
18 March 2004 (18.03.2004)

PCT

(10) International Publication Number  
**WO 2004/022572 A1**

(51) International Patent Classification<sup>7</sup>: **C07H 17/02**,  
17/08, A61K 31/7056, 31/7076, 31/4184, A61P 9/00,  
29/00

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(21) International Application Number:

PCT/AU2003/001146

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(22) International Filing Date:

5 September 2003 (05.09.2003)

(25) Filing Language:

English

(26) Publication Language:

English

(30) Priority Data:

2002951247

6 September 2002 (06.09.2002) AU

(81) Designated States (*national*): AE, AG, AL, AM, AT, AU,  
AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU,  
CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH,  
GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC,  
LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW,  
MX, MZ, 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.

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

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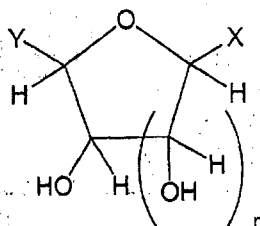
Published:

— with international search report

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

WO 2004/022572 A1

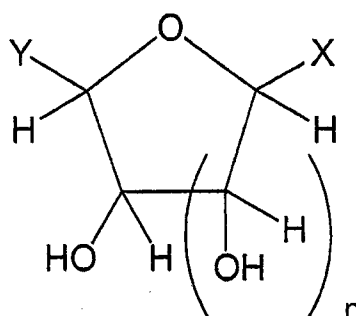
(54) Title: COMPOUNDS THAT INTERACT WITH KINASES



(57) Abstract: A method of inhibiting or effecting the activity of protein kinase activity which comprises contacting a protein ki-  
nase with a compound of formula (I) being a derivative of a furanose or pyranose form of a monosaccharide, or a pharmaceutically  
acceptable salt thereof.

## Claims:

1. A method of inhibiting or effecting protein kinase activity which comprises contacting a protein kinase with a compound of formula I being a derivative of a furanose or pyranose form of a monosaccharide, or a pharmaceutically acceptable salt thereof



formula I

Wherein;

n is 1 or 2,

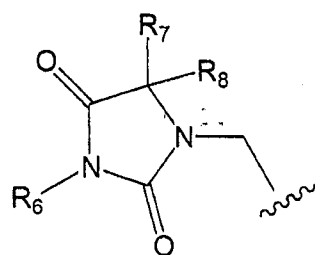
X is selected from the group consisting of : OR<sub>1</sub>, an unsubstituted 5 or 6 membered heterocyclic moiety, a substituted 5 or 6 membered heterocyclic moiety, an unsubstituted 9 or 10 membered heterobicyclic moiety and a substituted 9 or 10 membered heterobicyclic moiety ,

R<sub>1</sub> is selected from the group consisting of: C1 to C7 alkyl, C1 to C7 alkenyl, C1 to C7 alkynyl, C1 to C7 heteroalkyl, C6 to C14 aryl, C3 to C14 heteroaryl, C6 to C14 arylalkyl and C3 to C14 heteroarylalkyl,

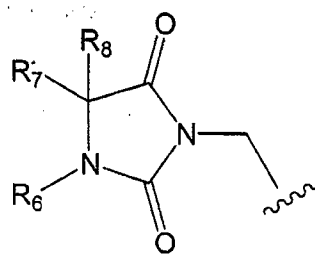
Y is selected from the group consisting of an unsubstituted 5 or 6 membered heterocyclic moiety; a substituted 5 or 6 membered heterocyclic moiety, an unsubstituted 9 or 10 membered heterobicyclic moiety and a substituted 9 or 10 membered heterobicyclic moiety; an amino acid, a dipeptide, and

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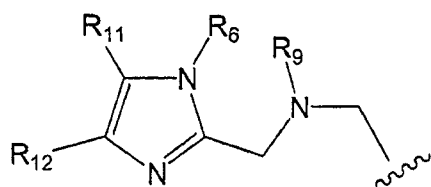
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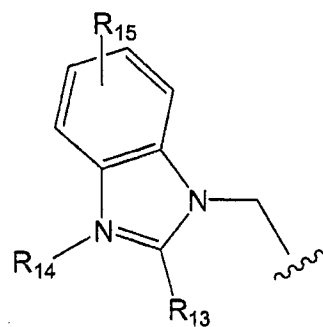
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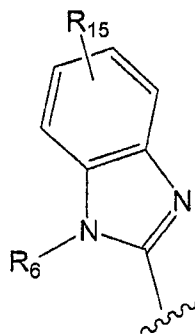
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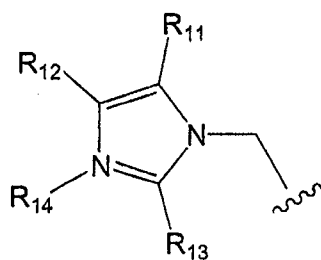
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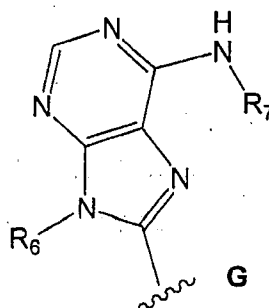
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E



F



G

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5 R6 is selected from the group consisting of: H, C1 to C7 alkyl, C1 to C7 alkenyl, C1 to C7 alkynyl, C1 to C7 heteroalkyl, C6 to C14 aryl, C3 to C14 heteroaryl, C6 to C14 arylalkyl or C3 to C14 heteroarylalkyl,

with the proviso that R6, R7 and R8 are not all H,

R9 is selected from H, or  $-(CO)-R6$ ,

10 R7, R8, R11, R12, R14, are independently selected from the group consisting of: H, C1 to C7 alkyl, C1 to C7 alkenyl, C1 to C7 alkynyl, C1 to C7 acyl, C1 to C7 heteroalkyl, C6 to C14 aryl, C6 to C14 arylacyl, C6 to C14 heteroaryl, C6 to C14 heteroarylacyl, C6 to C14 arylalkyl and C6 to C14 heteroarylalkyl,

15 R13 is selected from the group consisting of :unsubstituted phenyl unsubstituted benzyl, substituted phenyl, substituted benzyl, H, C1 to C7 alkyl, C1 to C7 alkenyl, C1 to C7 alkynyl, C1 to C7 acyl, C1 to C7 heteroalkyl, C6 to C14 aryl, C6 to C14 arylacyl, C6 to C14 heteroaryl, C6 to C14 heteroarylacyl, C6 to C14 arylalkyl or C6 to C14 heteroarylalkyl,  $-S-R6$  and  $-O-R6$ ,

20 R15 is absent or is at least one substituent on the aromatic ring which are independently selected from the group consisting of: OH, NO, NO<sub>2</sub>, NH<sub>2</sub>, N<sub>3</sub>, halogen, CF<sub>3</sub>, CHF<sub>2</sub>, CH<sub>2</sub>F, nitrile, alkoxy, aryloxy, amidine, guanidiniums, carboxylic acid, carboxylic acid ester, carboxylic acid amide, aryl, cycloalkyl, heteroalkyl, 25 heteroaryl, aminoalkyl, aminodialkyl, aminotrialkyl, aminoacyl, carbonyl, substituted or unsubstituted imine, sulfate, sulfonamide, phosphate, phosphoramidate, hydrazide, hydroxamate, hydroxamic acid, heteroaryloxy, alkyl, aminoaryl, aminoheteroaryl, thioalkyl, thioaryl and thioheteroaryl.

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2. The method of claim 1, wherein R1 is substituted, cyclic or acyclic, branched and/or linear.

## Compounds that Interact with Kinases

### FIELD OF THE INVENTION

The invention is directed to classes of biologically active compounds that  
5 interact in a pharmaceutically significant manner with protein kinases, and  
particularly to provide compounds suitable for the treatment of disorders  
mediated by protein kinase activity. The invention is also directed to treatment  
of the above mentioned disorders. The invention is also directed to the  
preparation of novel compounds per se.

10

### BACKGROUND OF THE INVENTION

The drug discovery landscape has been transformed by the genomics  
revolution. Advances in the understanding of biomolecular pathways and the  
roles they play in disease is generating vast numbers of targets for  
15 therapeutic intervention. Protein kinases now represent an extensive and  
important class of therapeutic targets.

Kinases are key components in almost all signal transduction  
pathways, modulating extracellular and intracellular signalling processes that  
mediate events such as cell growth and differentiation, metabolism and  
20 apoptosis. Kinases do this by catalysing the transfer of a phosphate group  
from ATP to protein substrates. The pivotal role of kinases is emphasized by  
the fact that kinases represent the third most populous domain in the  
proteome.

Kinases have been implicated in many diseases. Twenty percent of  
25 oncogenes code for tyrosine kinases. Kinases play pivotal roles in many  
leukemias, tumours and other proliferative disorders. Other states involving  
kinases include inflammatory disorders such as psoriasis, cardiovascular  
diseases such as restenosis, viral induced diseases such as Kaposi's  
sarcoma, circulatory diseases such as atherosclerosis and fibroproliferative  
30 diseases. Specific kinases are often implicated in particular disease states  
and therefore present themselves as potential targets for therapeutic  
intervention.

The kinase family includes serine/threonine kinases and tyrosine