

New

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Health and Humanitarian Logistics Conference

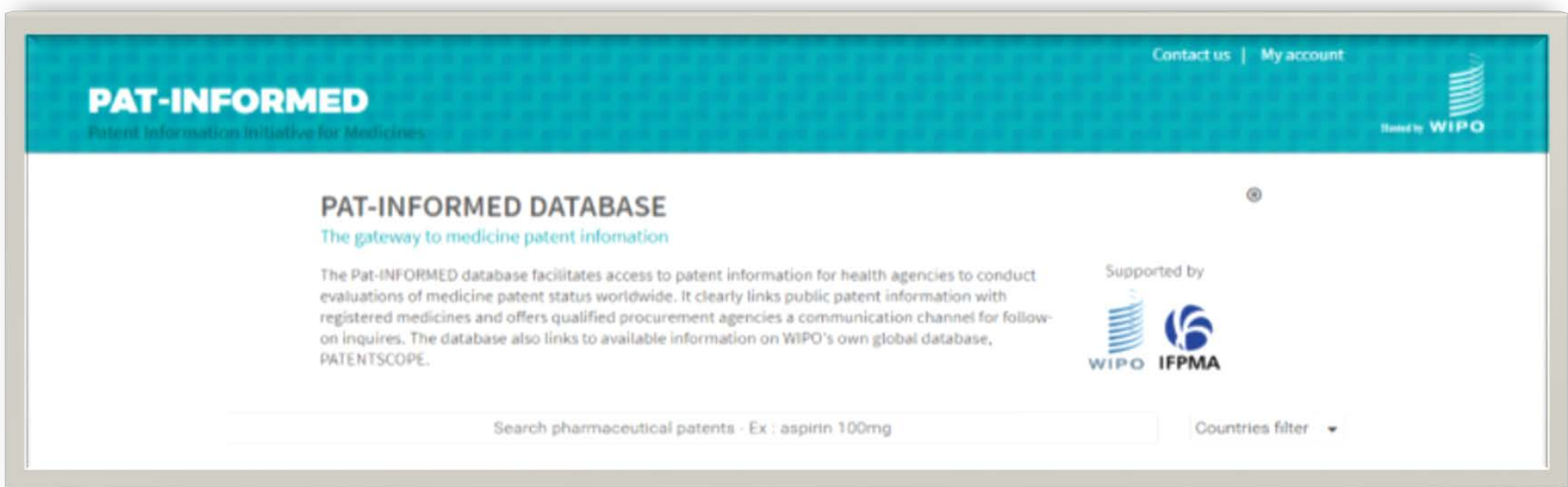
July 2018

Thomas Bombelles

Head, NGO and Industry Relations, WIPO

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- The **Patent Information Initiative for Medicines**, (Pat-INFORMED) is a search engine to help pharmaceutical procurement agencies determine the patent status of a medicine.
- It facilitates access and **availability** to pharmaceutical patent **information** and to informed procurement decisions. possible.
- Pat-INFORMED is a partnership of WIPO, IFPMA and 21 global pharma companies.



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• HIV/
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Glimepiride; Pioglitazone Hydrochloride	Tablet	Oral	All		<div>AT1174135 - Pharmaceutical Composition For Use In Treatment Of Diabetes</div> <div>EP1677792 - SOLID PREPARATION COMPRISING PIOGLITAZONE, GLIMEPIRIDE AND A POLYOXYETHYLENE</div> <div>WO2007072992 - SOLID PREPARATION</div>
Sunitinib Malate	Capsule	Oral	All		<div>WO0160814 - Pyrrole Substituted 2-Indolinone Protein Kinase Inhibitors</div>
Vildagliptin	Tablet	Oral	50mg		<div>WO2000034241 - N-SUBSTITUTED 2-CYANOPYRROLIDINES</div> <div>WO2001052825 - COMBINATIONS COMPRISING DIPEPTIDYLPEPTIDASE-IV INHIBITORS AND ANTIDIABETIC AGENTS</div> <div>WO2005067976 - DIRECT COMPRESSION FORMULATION AND PROCESS</div>
Insulin Detemir Recombinant	Solution	Subcutaneous	All		<div>AT792290 - ACYLATED INSULIN</div> <div>BR97098450 - Insulin Preparations Containing NaCl</div>
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Pioglitazone Hydrochloride	Tablet	Oral	All		<p>WO2007007659 - TABLET</p> <p>WO2007136129 - ORAL PREPARATION COMPRISING PIOGLITAZONE</p>
Glimepiride; Pioglitazone Hydrochloride	Tablet	Oral	All		<p>AT1174135 - Pharmaceutical Composition For Use In Treatment Of Diabetes</p> <p>EP1677792 - SOLID PREPARATION COMPRISING PIOGLITAZONE, GLIMEPIRIDE AND 4-POLYOXYETHYLENE</p> <p>WO2007136129 - ORAL PREPARATION</p>
Sunitinib Malate	Capsule	Oral	All		<p>WO0160814 - Pyrrole Substituted 2-Indolinone Protein Kinase Inhibitors</p>
Vildagliptin	Tablet	Oral	50mg		<p>WO2000034241 - N-SUBSTITUTED 2-CYANOPYRROLIDINES</p> <p>WO2001052825 - COMBINATIONS COMPRISING DIPEPTIDYLPEPTIDASE-IV INHIBITORS AND ANTIDIABETIC AGENTS</p> <p>WO2005067976 - DIRECT COMPRESSION FORMULATION AND PROCESS</p>
Insulin Detemir Recombinant	Solution	Subcutaneous	All		<p>AT792290 - ACYLATED INSULIN</p> <p>BR97098450 - insulin Preparations Containing NaCl</p> <p>WO2002034727 - TREATMENT OF GASTROINTESTINAL STROMAL TUMORS</p>


Pyrrole Substituted 2-Indolinone Protein Kinase Inhibitors

WO0160814 - Pyrrole Substituted 2-Indolinone Protein Kinase Inhibitors

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INN 	Dosage 	Route 	Strength 	Company	Patents
Pioglitazone Hydrochloride	Tablet	Oral	All		<p>WO2007007659 - TABLET</p> <p>WO2007136129 - ORAL PREPARATION COMPRISING PIOGLITAZONE</p>
Glimepiride; Pioglitazone Hydrochloride	Tablet	Oral	All		<p>AT1174135 - Pharmaceutical Composition For Use In Treatment Of Diabetes</p> <p>EP1677792 - SOLID PREPARATION COMPRISING PIOGLITAZONE, GLIMEPIRIDE</p> <p>WO2007072992 - SOLID PREPARATION</p>
Sunitinib Malate	Capsule	Oral	All		<p>WO0160814 - Pyrrole Substituted 2-Indolinone Protein Kinase Inhibitors</p>
Vildagliptin	Tablet	Oral	50mg		<p>WO2000034241 - N-SUBSTITUTED 2-CYANOPYRROLIDINES</p> <p>WO2001052825 - COMBINATIONS COMPRISING DIPEPTIDYLPEPTIDASE-IV</p> <p>WO2005067976 - DIRECT COMPRESSION FORMULATION AND PROCESS</p>
Insulin Detemir Recombinant	Solution	Subcutaneous	All		<p>AT792290 - ACYLATED INSULIN</p> <p>BR97098450 - Insulin Preparations Containing NaCl</p>

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Pyrrole Substituted 2-Indolinone Protein Kinase Inhibitors

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Abstract

The present invention relates to pyrrole substituted 2-indolinone compounds and their pharmaceutically acceptable salts which modulate the activity of protein kinases and therefore are expected to be useful in the prevention and treatment of protein kinase related cellular disorders such as cancer.

Jurisdiction : WO - WIPO
 Publication number WO0160814
 Publication date 2001/08/22 (16 years ago)
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Jurisdiction : AI - Anguilla
 Filing date 2010/06/30 (7 years ago)
 Grant date 2010/09/01 (7 years ago)
 Grant number AI201000089
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Jurisdiction : AL - Albania
 Publication number 1255752
 Publication date 2002/11/12 (15 years ago)
 Grant date 2007/08/07 (10 years ago)



Jurisdiction : EP - European Patent Office
 Publication number 1255752
 Publication date 2002/11/12 (15 years ago)
 Grant date 2007/08/07 (10 years ago)
 Grant number 1255752
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Jurisdiction : AI - Anguilla
 Grant date 2010/08/31 (7 years ago)
 Grant number A/2010/00089
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Jurisdiction : AM - Armenia
 Filing date 2001/02/15 (17 years ago)
 Grant date 2005/08/25 (12 years ago)
 Grant number AM5996

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INN	Dosage	Route	Strength	Company	Patents	
Pioglitazone Hydrochloride	Tablet	Oral	All		WO2007007659 - TABLET WO2007136129 - ORAL PREPARATION COMPRISING PIOGLITAZONE	Jurisdiction : CL - Chile Grant date 2008/06/08 (9 years ago) Grant number 43.486 Link to Patentscope not available.
Sunitinib Malate	Capsule	Oral	All		WO0160814 - Pyrrole Substituted 2-Indolinone Protein Kinase Inhibitors	Jurisdiction : CO - Colombia Publication number 528. Grant date 2008/02/08 (10 years ago) Grant number 528 (10 years ago)
Glimepiride, Pioglitazone Hydrochloride	Tablet					Jurisdiction : CN - China Publication number 1439005 Publication date 2003/08/26 (14 years ago) Grant date 2007/07/31 (10 years ago) Grant number ZL01807269.0 Open in PatentScope
Insulin Detemir Recombinant	Solution					Jurisdiction : CY - Cyprus Filing date 2008/02/08 (10 years ago) Grant date 2009/07/31 (8 years ago) Grant number CY2008004 Link to Patentscope not available.
						Jurisdiction : CZ - Czech Republic Publication number 20023081 Publication date 2003/02/11 (15 years ago) Grant date 2013/02/12 (5 years ago) Grant number 303705 Link to Patentscope not available.
						Jurisdiction : DE - Germany Grant date 2016/08/18 (a year ago) Grant number 303705/289 Link to Patentscope not available.
						Jurisdiction : DE - Germany Filing date 2008/01/08 (10 years ago) Grant date 2014/04/03 (3 years ago) Grant number DE12211 Link to Patentscope not available.
						Jurisdiction : DE - Germany

Machine translation

1. (CN1439005) Pyrrole substituted 2-indolinone protein kinase inhibitors


National Biblio. Data

Description

Claims

Drawings

Documents

PermaLink 


Application Number: 01807269.0 **Application Date:** 15.02.2001

Publication Number: 1439005 **Publication Date:** 27.08.2003

Grant Number: 1329390 **Grant Date:** 01.08.2007

Publication Kind : C

Prior PCT appl.: Application Number:[PCTUS2001004813](#) ; Publication Number:[2001060814](#) Click to see the data

IPC:	C07D 403/06  A61K 31/404 A61P 43/00 C07D 403/14 C07D 401/14	CPC:	C07D 233/56 C07D 207/33 C07D 209/44 C07D 231/12 C07D 249/08 C07D 401/12 C07D 401/14 C07D 403/06 C07D 403/12 C07D 403/14
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李小元
孙利
魏忠臣
萨赫扎德·舍拉齐安
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Arabic

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French

Japanese

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Portuguese

Russian

Chinese

1. (CN1439005) Pyrrole substituted 2-indole

National Biblio. Data Description Claims Drawings Documents

Note: Text based on automatic Optical Character Recognition processes. Please use the

吡咯取代的2-二氢吲哚酮蛋白激酶抑制剂

交叉参考信息

本申请根据35 U. S. C. 119(e) 提出对2000年2月15日提交的美国 专利临时申请60/120,000、2000年7月6日提交的60/216,422和2000年10月27日提交的60/243,532的优先权，它们的公合在本 申请中作为参考。

发明背景

发明领域

本发明涉及某些调节蛋白激酶 (“PK”) 活性的3-吡咯取代的 2-二氢吲哚酮。本发明化合物因此可用于治疗与PK活性反常有关的 疾病。还公开了含这些化合物的药物组合物，使用含这些化合物的药 物组合物治疗疾病的方法及它们的制备方法。

技术状况

以下只作为背景信息提供，不认为是本发明的先有技术。

PK是对蛋白质的酪氨酸、丝氨酸和苏氨酸残基上的羟基的磷酸化 起催化作用的酶。这种看起来简单的活性的后果惊人：细胞生长、分 化和增殖，即，实际上细胞生命的所有方面都以这样或那样的方式与 PK活性有关。另外，PK活性反常与许多疾病有关，包括相对无生命 威胁的疾病，如牛皮癣，到极其致命的疾病，例如成胶质细胞瘤(脑 癌)。

PK可以方便地分成两类：蛋白酪氨酸激酶(PTK)和丝氨酸-苏 氨酸激酶(STK)。

PTK活性的一个主要方面是它们与生长因子受体有关。生长因子 受体是细胞表面蛋白质。当与生长因子配体结合时，生长因子受体被 转化成活性形式，它与细胞膜内表面上的蛋白质相互作用。这导致受 体和其它蛋白质的酪氨酸残基上的磷酸化，并导致细胞内部形成与多 种胞质信号分子的复合物，这反过来又影响许多种细胞相应，例如细 胞分裂(增殖)、细胞分化、细胞生长、代谢作用对胞外微环境的表 达等。更完全的讨论请参阅Schlessinger和Ullrich, *Neuron*, 9: 303-391(1992), 该文包括所有附图都象本申请中充分陈述的一样，被引 用作为参考。

具有PTK活性的生长因子受体被称作受体酪氨酸激酶 (“RTK”)。 它们包含一大族具有不同生物活性的跨膜受体。目前，已经确定了至少10种不同的PTK亚类。一个实例是称作“HER” PTK的亚

1. (CN1439005) Pyrrole substituted 2-indolinone protein kinase inhibitors

National Biblio. Data **Description** Claims Drawings Documents

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Pyrrole-substituted 2-dihydroindol-one protein kinase inhibitor

Cross-reference information

The application is 35 U/S/C119. (e) and claims the benefit of US provisional application no. 60/182,710 filed feb. 15, 2000., 60/216 filed on jul. 6, 2000, and 60/243,532 filed oct. 27, 2000, and their disclosures are all incorporated herein by reference.

Background

Field of the invention

The invention relates to certain regulatory protein kinases ("PK") and the active 3-pyrrole substituted 2-dihydroindol-one compound can be used for treating diseases related to PK activity abnormality. Also disclosed is a pharmaceutical composition containing these compounds., the invention relates to a method for treating diseases by using a pharmaceutical composition containing the compounds and a preparation method of the pharmaceutical composition

Technical condition

The following is only provided as background information and is not considered to be a prior art of the present invention

PK is tyrosine for protein, serine and the hydroxyl on the threonine residue, so that the result of the enzyme with simple activity is surprising.: cell growth, differentiation and proliferation, in this way, all aspects of the cell life are related to the pk activity in such a way that the cell life is in the same or similar manner. In addition, the pk activity abnormality is related to a number of diseases, including a disease that is relatively free of life threats, such as psoriasis, to extremely fatal diseases, such as glioblastoma (brain cancer)

The pk can be conveniently classified into two types of protein tyrosine kinase (pTIF)) and serine-threonine kinase (sThe)

One major aspect of PTK activity is that they are related to growth factor receptors. The growth factor receptor is a cell surface protein. When combined with the growth factor ligand, the growth factor receptor is converted into an active form, with the protein on the inner surface of the cell membrane; This leads to phosphorylation on tyrosine residues of receptors and other proteins, and a compound with a plurality of cytoplasmic signal molecules is formed in the cells, which in turn affect many kinds of cells, such as cell division (proliferation), cell differentiation, cell growth、代谢作用对胞外微环境的表达等。更完全的讨论请参阅Schlessinger和Ullrich, Neuron, 9 : 303- 391(1992), 该文包括所有附图都象本申请中充分陈述的一样, 被引用作为参考。

具有PTK活性的生长因子受体被称作受体酪氨酸激酶("RTK")。它们包含一大族具有不同生物活性的跨膜受体。目前, 已经确定了至少19种不同的RTK亚族。一个实例是称作"HER"RTK的亚族, 它包括EGFR(上皮生长因子受体)、HER2、HER3和HER4。这些RTK 组成一个胞外糖基化配体结合域、一个跨膜域和一个胞内胞质催化域, 它能将蛋白质上的酪氨酸残基磷酸化。

另一个RTK亚族包括胰岛素受体(IR)、胰岛素样生长因子I受体(IGF-1R)和与胰岛素受体有关的受体(IRR)。IR和IGF-1R 与胰岛素、IGF-1和IGF-II相互作用, 形成由两个完全胞外糖基化的 α 亚基和两个穿过细胞膜并包含酪氨酸激酶域的 β 亚基构成的异四聚体。

第三个RTK亚族被称作血小板源性生长因子受体("PDGFR") 组, 其中包括PDGFR α 、PDGFR β 、CSFIR、c-kit和c-fms。这些受体由含数目不定的

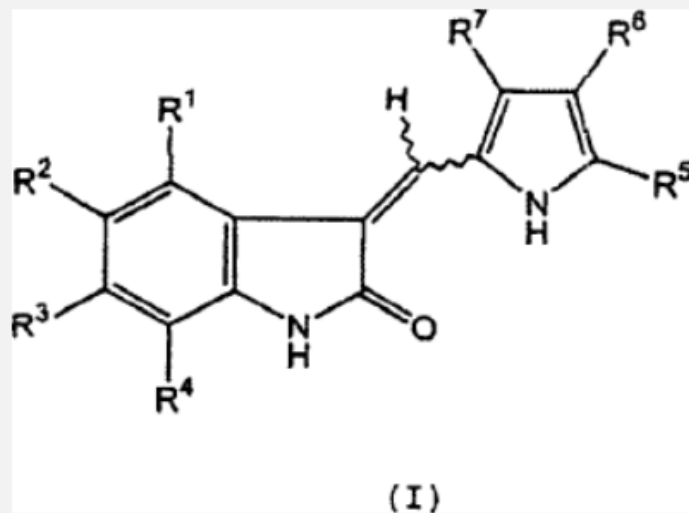
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权利要求书

1. 式(I)化合物或其可药用的盐:



其中:

R^1 选自氢, 烷基和 $-C(O)NR^8R^9$;

R^2 选自氢, 卤素, 烷氧基, 氰基, 芳基和 $-S(O)_2NR^{13}R^{14}$;

R^3 选自氢, 烷氧基, $-(CO)R^{15}$, 芳基, 杂芳基和 $-S(O)_2NR^{13}R^{14}$;

R^4 选自氢;

R^5 选自氢和烷基;

R^6 是 $-C(O)R^{10}$,

R^7 选自氢, 烷基和芳基;

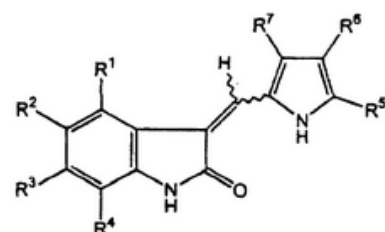
R^8 和 R^9 独立地选自氢和芳基;

R^{10} 是 $-N(R^{11})(R^{12})-R^{13}$

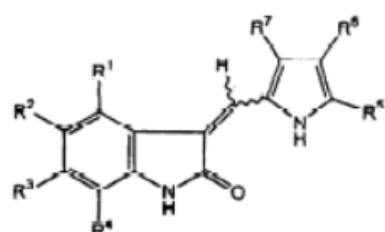


1. (CN1439005) Pyrrole substituted 2-indolinone protein kinase inhibitors

[National Biblio. Data](#) |
 [Description](#) |
 [Claims](#) |
 [Drawings](#) |
 [Documents](#)



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Patent Information Initiative for Medicines

sunitinib

ZA - South Africa, MX - Mexico

INN	Dosage	Route	Strength	Company	Patents
Sunitinib Malate	Capsule	Oral	All		W00160814 - Pyrrole Substituted 2-Indolinone Protein Kinase Inhibitors

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Pyrrole Substituted 2-Indolinone Protein Kinase Inhibitors

Participant:

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Abstract

The present invention relates to pyrrole substituted 2-indolinone compounds and their pharmaceutically acceptable salts which modulate the activity of protein kinases and therefore are expected to be useful in the prevention and treatment of protein kinase related cellular disorders such as cancer.

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Grant number MX24/860

Link to Patentscope not available.

Jurisdiction : ZA - South Africa

Publication date 2004/01/28 (14 years ago)

Filing date 2001/02/15 (17 years ago)

Grant date 2004/01/28 (14 years ago)

Grant number ZA20026469

Link to Patentscope not available.

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Jurisdiction : ZA - South Africa

Publication date 2004/01/27 (14 years ago)

Grant date 2004/01/27 (14 years ago)

Grant number 2002/6469

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INN	Dosage	Route	Strength	Company	Patents
Sunitinib Malate	Capsule	Oral	All		W00160814 - Pyrrole Substituted 2-Indolinone Protein Kinase Inhibitors

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Pyrrole Substituted 2-Indolinone Protein Kinase Inhibitors

Participant: 

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Abstract

The present invention relates to pyrrole substituted 2-indolinone compounds and their pharmaceutically acceptable salts which modulate the activity of protein kinases and therefore are expected to be useful in the prevention and treatment of protein kinase related cellular disorders such as cancer.

Jurisdiction : MX - Mexico
 Filing date 2001/02/15 (17 years ago)
 Grant date 2007/08/06 (10 years ago)
 Grant number MX247860
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Jurisdiction : MX - Mexico
 Grant date 2007/08/05 (10 years ago)
 Grant number 247860
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Jurisdiction : ZA - South Africa
 Publication date 2004/01/28 (14 years ago)
 Filing date 2001/02/15 (17 years ago)
 Grant date 2004/01/28 (14 years ago)
 Grant number ZA20026469
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Jurisdiction : ZA - South Africa
 Publication date 2004/01/27 (14 years ago)
 Grant date 2004/01/27 (14 years ago)
 Grant number 2002/6469
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INN	Dosage	Route	Strength	Company	Patents
Sunitinib Malate	Capsule	Oral	All		W00160814 - Pvrrole Substituted

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Contact Pfizer about this patent

From : tom@rxprocurement.com

Dear Pfizer,

I am interested in getting more specific information about this patent.

Thanks,

Tom

Send

Grant number MX247860

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Jurisdiction : ZA - South Africa

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Filing date 2001/02/15 (17 years ago)

Grant date 2004/01/28 (14 years ago)

Grant number ZA20026469

Link to Patentscope not available.

Jurisdiction : MX - Mexico

Grant date 2007/08/05 (10 years ago)

Grant number 247860

Link to Patentscope not available.

Jurisdiction : ZA - South Africa

Publication date 2004/01/27 (14 years ago)

Grant date 2004/01/27 (14 years ago)

Grant number 2002/6469

Link to Patentscope not available.

2-Indolinone Protein Kinase Inhibitors

able substituted 2-indolinone compounds and their
which modulate the activity of protein kinases and therefore
tion and treatment of protein kinase related cellular

For further information

Pat-INFORMED will be launched in September 2018.

It is currently being tested. If you work for an international body and/or donor body, National Pharmaceutical Service, Ministry of Health, National Patent Office and you are interested in testing the database,

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Thank you.