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.
 DATABASE that helps pharmaceutical procurement experts around the world check patent information by country.

It offers experts the FACILITY TO REQUEST patent information directly from the patent (or rights) holders.
After the launch in September 2018, the database will be expanded to other therapeutic areas.

Which therapeutic areas does Pat-INFORMED cover?

- HIV/AIDS
- Cardiovascular diseases
- Diabetes
- Hepatitis C
- Oncology
- Respiratory conditions

All products on the WHO EML that are not within this therapy areas

→ After the launch in September 2018, the database will be expanded to other therapeutic areas
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Pat-INFORMED is accessible to everybody, but it is specifically aimed at pharmaceutical procurement services and related specialists of:

- International bodies and donors
- National Pharmaceutical Services
- Ministries of Health
- National Patent Offices
How does Pat-INFORMED Work?
PAT-INFORMED DATABASE
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The Pat-INFORMED database facilitates access to patent information for health agencies to conduct evaluations of medicine patent status worldwide. It clearly links public patent information with registered medicines and offers qualified procurement agencies a communication channel for follow-up inquiries. The database also links to available information on WIPO's own global database, PATENTSCOPE.
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Pyrrole Substituted 2-Indolinone Protein Kinase Inhibitors

Participant: Pfizer

In order to contact Pfizer about this patent, you need to log in or create an account.

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: WO20160814
Publication date: 2001/08/22 (16 years ago)

Publication number: 1255752
Publication date: 2001/11/12 (15 years ago)
Grant date: 2007/08/07 (10 years ago)
Grant number: 1255752

Jurisdiction: AL - Anguilla
Filing date: 2010/06/30 (7 years ago)
Grant date: 2010/09/01 (7 years ago)
Grant number: AI201000089
Link to Patentscope not available.

Jurisdiction: AM - Armenia
Filing date: 2001/02/15 (17 years ago)
Grant date: 2005/08/25 (12 years ago)
Grant number: AM5956
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**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


**Jurisdiction : CY - Cyprus**

**Publication number**: 1439005
**Publication date**: 2003/08/26 (14 years ago)
**Grant date**: 2007/07/31 (10 years ago)
**Grant number**: ZL01807269.0

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

**Application Number:** 01807269.0  **Application Date:** 15.02.2001
**Publication Number:** 1439005  **Publication Date:** 27.08.2003
**Grant Number:** 1326390  **Grant Date:** 01.08.2007

**Publication Kind:** C

**Prior PCT appl.:** Application Number: PCT/US2001/004813  Publication Number: 2001008014  Click to see the data

**IPC:**
- C07D 403/06
- A81K 31/404
- A61P 43/00
- C07D 403/14
- C07D 401/14

**CPC:**
- C07D 233/66
- C07D 207/33
- C07D 209/44
- C07D 231/12
- C07D 240/68
- C07D 401/12
- C07D 401/14
- C07D 403/06
- C07D 403/12
- C07D 403/14

**Applicants:**
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- 苏根公司
- 法马西亚及赛普瑞公司

**Inventors:**
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- Todd Miller
- 托德 米勒
- Li Xiaoyuan
- 李小元
- 卢利
- 高忠军
- 萨赫扎德·舍拉齐安
- 梁景新
吡咯取代的2-二氢吲哚酶蛋白激酶抑制剂

交叉参考信息

本申请根据35 U.S.C. 119 (c) 提出对2000年2月15日提交的美国 专利临时申请60/12000年7月6日提交的60/216,242和2000年10月27日提交的60/243,532的优先权，它们的公

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2-二氢吲哚酶。本发明化合物因此可用于治疗与PK活性有关的疾病。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，例如成肌型细胞瘤(脑 瘤)。

PK可以方便地分成两类：蛋白酪氨酸激酶(PTK)和苏氨酸-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，反过来又影响许多种细胞反应，例如细 胞分裂(增殖)、细胞分化、细胞生长、代谢作 用及细胞外环境的表 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 生物活性的酶，分离。目前已包含数不常有相同的RTK亚单位，它实例是称为“NIP”的RTK的开

Machine translation

English

Spanish
French
Japanese
Korean
Portuguese
Russian
Chinese
1. (CN1439005) Pyrrole substituted 2-indolinone protein kinase inhibitors

Note: Text based on automatic Optical Character Recognition processes. Please use the PDF version for legal matters

Pyrrole-substituted 2-dihydropyridinone protein kinase inhibitor

Cross-reference information
The application is 35 US/C119. (c) and claims the benefit of US provisional application no. 60/182,710 filed Feb. 15, 2000, 60/216 filed on Jul. 6, 2000, and 60/243,332 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 ("PKC") and the active 3-pyrrole substituted 2-dihydropyridinone 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 (pTK) and serine-threonine kinase (sTK).

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 with a compound with a plurality of cytoplasmic signal molecules in the cells, which in turn affect many kinds of cells, such as cell division (proliferation), cell differentiation, cell growth, and the interaction of tissue growth environment.

具有PTK活性的生长因子受体被统称为受体酪氨酸激酶(RTK)。它们包含一大类具有不同生物学活性的跨膜受体。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的RTK亚族，它包括EGFR(上皮生长因子受体)、HER2、HER3和HER4。这些RTK组成了一个胞外糖基化配体结合域、一个胞内域和一个胞内激酶域。这些受体的胞内激酶域上含有酪氨酸残基的磷酸化。

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-I和IGF-II相互作用，形成由两个完全跨膜结构域的α亚基和两个贯穿细胞膜并包含糖-酪氨酸激酶的β亚基组成的异四聚体。

第三个RTK亚族称为血小板生长因子受体(PDGFR)组，其中包括PDGFRα、PDGFRβ、CSF-R、c-kit和c-fms，这些受体由数目不定的
权利要求书

1. 式(I)化合物或其可药用的盐:

![化学结构式](image)

其中:

R₁选自氢，烷基或-C(O)NR₈R₉；
R₂选自氢，卤素，烷氧基，氯基，芳基和-S(O)₂NR₁₃R₁₄；
R₃选自氢，烷氧基，-CO₂R₁₆，芳基，杂芳基和-S(O)₂NR₁₃R₁₄；
R₄选自氢；
R₅选自氢和烷基；
R₆是-C(O)R₁₀；
R₇选自氢，烷基和芳基；
R₈和R₉独立地选自氢和芳基；
R₁₀选自(OH)₈(C₆H₅)₅-C₆H₅；
1. (CN1439005) Pyrrole substituted 2-indolone protein kinase inhibitors

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(I) and (II)
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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.
Create an account

Email: tom@rxprocurement.com

Your account will allow you to contact companies and engage in a dialog about their products and patents.

Password: ******

Displayed name: Tom

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Create account
## Pyrrole Substituted 2-Indolinone Protein Kinase Inhibitors

**Participant:** Pfizer

### Contact Pfizer about this patent

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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
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.