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DIVISION DE NUEVAS CREACIONES

RADICACIÓN EXPEDIENTE No 03-018884

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

PCT FUE PUBLICADO EN LA GACETA DE PROPIEDAD INDUSTRIAL No **531**

DE FECHA **29 DE AGOSTO DE 2003** EL TERMINO HABIL SEÑALADO POR LA

LEY EXPIRA EL **26 DE NOVIEMBRE DEL 2003**

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_____

Bogotá D.C, SEPTIEMBRE DE 2007

特 許 公 報

④公告 昭和48年(1973)2月1日

発明の数 4

(全4頁)

1

⑤ビペリジン誘導体の製造法

⑥特 願 昭45-35575

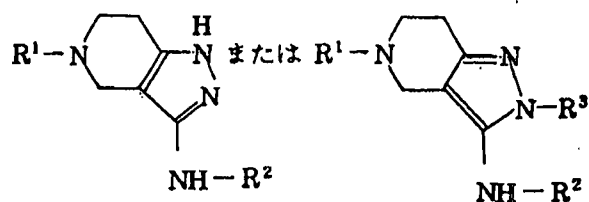
⑦出 願 昭45(1970)4月23日

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発明の詳細な説明

本発明は一般式



〔 I 〕

で表わされる化合物およびその塩類の製造法に関する。

ただし、上記式中、各信号はそれぞれ次の意味をもつ：

R^1 = 低級アルキル(メチル、エチル、プロピル、ブチルなど)、アシル(アセチル、プロピオニル、ベンゾイルなど)、アラルキル(ベンジル、フェネチルなど)、低級アルコキシカルボニル(メトキシカルボニル、エトキシカルボニル、ブトキシカルボニルなど)

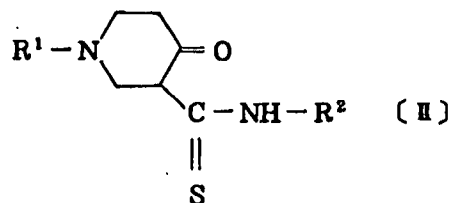
R^2 = 低級アルキル(メチル、エチル、プロピル、

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イソプロピル、ブチル、イソブチルなど)、フェニルまたはハロフェニル(たとえばクロロフェニル)

R^3 = 低級アルキル(メチル、エチル、プロピル、イソプロピル、ブチル、イソブチルなど)、フェニル、ハロフェニル(たとえばクロロフェニル)または低級アルキル置換フェニル(たとえばトリル)

本発明によれば、目的化合物である上記一般式〔 I 〕で表わされる化合物は一般式



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で表わされる化合物と一般式

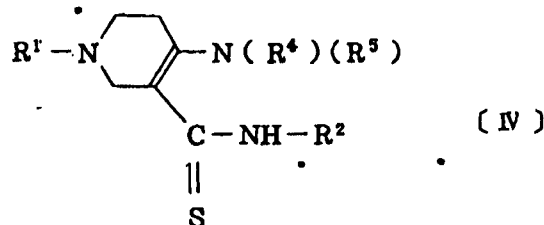


〔 III 〕

〔 R は H または R^3 〕で表わされる化合物またはその塩と反応させることにより製造される。

本発明は、また一般式

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〔 $-N(R^4)(R^5)$ 〕は複素環基、たとえばピロリジニル、ビペリジノ、モルホリノなどを示す。〕
で表わされる化合物と一般式〔 III 〕で表わされる化合物またはその塩と反応させ、一般式〔 I 〕で表わされる化合物またはその塩を製造する方法を

Country : Japan

Document No. : Sho 48-3639

Document Type : Kokoku

Language : Japanese

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Yoshiaki TSUDA

Applicant : Yoshitomi Seiyaku K.K.

IPC : C07d 57/04; A61k 27/00

Application Date : April 23, 1970

Publication Date : February 1, 1973

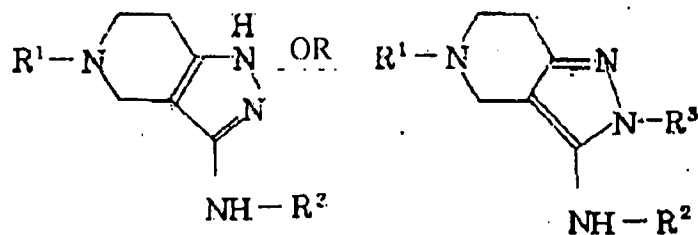
Foreign Language Title : Piperijin Yūdōtai no Seizō Hōhō

English Title : METHOD FOR MANUFACTURING
PIPERIDINE DERIVATIVE

/1¹

Detailed Description of the Invention

The present invention relates to a method for manufacturing the compound denoted by the following general equation and salts thereof:



(I)

wherein the individual symbols denote the following:

- R^1 : a lower alkyl (methyl, ethyl, propyl, butyl, or the like), acyl (acetyl, propionyl, benzoyl, or the like), aralkyl (benzyl, phenethyl, or the like), or lower alkoxycarbonyl (methoxycarbonyl, ethoxycarbonyl, butoxycarbonyl, or the like);
- R^2 : a lower alkyl (methyl, ethyl, propyl, isopropyl, butyl, isobutyl, or the like), phenyl, or halophenyl (for example, chlorophenyl);
- R^3 : a lower alkyl (methyl, ethyl, propyl, isopropyl, butyl, isobutyl, or the like), phenyl, halophenyl (for example,

¹ Numbers in the margin indicate pagination in the foreign text.

A&A Ref: 140306

PUBLICATION PARTICULARS AND ABSTRACT
(Section 32(3)(a) - Regulations 22(1)(g) and 31)

21	01	PATENT APPLICATION NO	22	LODGING DATE	43	ACCEPTANCE DATE
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9311178 7 DECEMBER 1998

51	INTERNATIONAL CLASSIFICATION	NOT FOR PUBLICATION
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C07D A61K

CLASSIFIED BY ADAMS & ADAMS

71	FULL NAME(S) OF APPLICANT(S)
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BRISTOL-MYERS SQUIBB COMPANY

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EARLIEST PRIORITY CLAIMED	COUNTRY	NUMBER	DATE
33	US	31 60/069,633	32 13 DECEMBER 1997

NOTE: The country must be indicated by its International Abbreviation - see schedule 4 of the Regulations

54	TITLE OF INVENTION
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USE OF PYRAZOLO [3, 4-b] PYRIDINE AS CYCLIN DEPENDENT KINASE INHIBITORS

57	ABSTRACT (NOT MORE THAN 150 WORDS)
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NUMBER OF SHEETS	45
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The sheet(s) containing the abstract is/are attached.

If no classification is furnished, Form P.9 should accompany this form.
~~The figure of the drawing to which the abstract refers is attached.~~

The compounds of formula I are protein kinase inhibitors and are useful in the treatment and prevention of proliferative diseases, for example, cancer, inflammation and arthritis. They may also be useful in the treatment of neurodegenerative diseases such Alzheimer's
5 disease, cardiovascular diseases, viral diseases and fungal diseases.

The present invention provides for a method of using compounds
10 of formula I as inhibitors of cyclin dependent kinases, which are active in the treatment of proliferative diseases, such as for example, but not limited to, cancer, Alzheimer's disease, arthritis, inflammation, and cardiovascular disease. The present invention also contemplates pharmaceutical compositions employing such compounds.

15 Listed below are definitions of various terms used to describe the compounds of the instant invention. These definitions apply to the terms as they are used throughout the specification (unless they are otherwise limited in specific instances) either individually or as part of a larger group.

20 It should be noted that any heteroatom with unsatisfied valances is assumed to have the hydrogen atom to satisfy the valances.

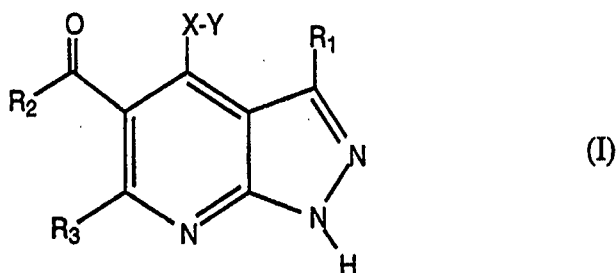
Carboxylate anion refers to a negatively charged group -COO^- .

The term "alkyl" or "alk" refers to a monovalent alkane (hydrocarbon) derived radical containing from 1 to 12 carbon atoms
25 unless otherwise defined. The term "lower alkyl" refers to an alkyl group of 1 to 6 carbon atoms. An alkyl group is an optionally substituted straight, branched or cyclic saturated hydrocarbon group. When substituted, alkyl groups may be substituted with up to four substituent groups, R as defined, at any available point of attachment. When the
30 alkyl group is said to be substituted with an alkyl group, this is used interchangeably with "branched alkyl group". Exemplary unsubstituted such groups include methyl, ethyl, propyl, isopropyl, n-butyl, t-butyl, isobutyl, pentyl, hexyl, isohexyl, heptyl, 4,4-dimethylpentyl, octyl, 2,2,4-trimethylpentyl, nonyl, decyl, undecyl, dodecyl, and the like. Exemplary

Claims

~~What we claim is:~~

1. A compound of the formula



or pharmaceutically acceptable salts thereof for use as inhibitors of cyclin dependent kinases, wherein:

X is O, S(O)_m;

Y is alkyl, aryl, arylalkyl, heteroaryl, heteroarylalkyl, cycloalkyl, cycloalkylalkyl, heterocycloalkyl, heterocycloalkylalkyl;

R₁ is hydrogen or lower alkyl;

R₂ is alkyl, aryl, arylalkyl, heteroaryl, heteroarylalkyl, cycloalkyl, cycloalkylalkyl, heterocycloalkyl, heterocycloalkylalkyl,

-O-alkyl, -O-aryl, -NR₄R₅;

R₃ is hydrogen or lower alkyl;

R₄ is hydrogen, alkyl, aryl, arylalkyl, heteroaryl, heteroarylalkyl, cycloalkyl, cycloalkylalkyl, heterocycloalkyl, heterocycloalkylalkyl, -O-alkyl, -O-aryl;

R₅ is hydrogen, alkyl, aryl, alkylaryl, heteroaryl, alkylheteroaryl, cycloalkyl, alkylcycloalkyl, heterocycloalkyl, alkylheterocycloalkyl, -O-alkyl, -O-aryl; and

m is 0, 1 or 2.

2. The compounds as recited in Claim 1, wherein

X is oxygen;

Y is alkyl, aryl, arylalkyl, heteroaryl, heteroarylalkyl, cycloalkyl, cycloalkylalkyl, heterocycloalkyl, heterocycloalkylalkyl;