

(12) INTERNATIONAL APPLICATION PUBLISHED UNDER THE PATENT COOPERATION TREATY (PCT)

(19) World Intellectual Property Organization
International Bureau



(43) International Publication Date
6 March 2008 (06.03.2008)

PCT

(10) International Publication Number
WO 2008/027220 A3

(51) International Patent Classification:
C07D 487/04 (2006.01)

(21) International Application Number:
PCT/US2007/018305

(22) International Filing Date:
17 August 2007 (17.08.2007)

(25) Filing Language: English

(26) Publication Language: English

(30) Priority Data:
60/840,648 28 August 2006 (28.08.2006) US
60/851,951 14 October 2006 (14.10.2006) US

(71) Applicant (for all designated States except US):
SCHERING CORPORATION [US/US]; 2000 Galloping Hill Road, Kenilworth, NJ 07033 (US).

(72) Inventors; and

(75) Inventors/Applicants (for US only): **CHEN, Frank, Xing** [US/US]; 12 Bradford Lane, Plainsboro, NJ 08536 (US). **KEERTIKAR, Kartik, M.** [US/US]; 24 Columbia Avenue, East Windsor, NJ 08520 (US). **KUO, Shen-Chun** [US/US]; 140 Glenwood Court, Union, NJ 07083 (US). **LEE, Hong-Chang** [US/US]; 56 Lee Road, Livingston, NJ 07039 (US). **RAGHAVAN, Ramani, R.** [IN/US]; 6103 Lexington Ridge Drive, Lexington, MA 02421 (US). **WU, George, G.** [US/US]; 88 Vanderveer Drive, Basking Ridge, NJ 07920 (US). **XIE, Ji** [CN/US]; 17 L. Reading Road, Edison, NJ 08817 (US).

(74) Agent: **FISCHER, H., Eric**; Schering Corporation, Patent Dept. K-6-1 1990, 2000 Galloping Hill Road, Kenilworth, NJ 07033 (US).

(81) Designated States (unless otherwise indicated, for every kind of national protection available): AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BH, BR, BW, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DO, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, GT, HN, HR, HU, ID, IL, IN, IS, JP, KE, KG, KM, KN, KP, KR, KZ, LA, LC, LK, LR, LS, LT, LU, LY, MA, MD, ME, MG, MK, MN, MW, MX, MY, MZ, NA, NG, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RS, RU, SC, SD, SE, SG, SK, SL, SM, SV, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, ZA, ZM, ZW.

(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, IS, IT, LT, LU, LV, MC, MT, 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 (Art. 21(3))
- before the expiration of the time limit for amending the claims and to be republished in the event of receipt of amendments (Rule 48.2(h))

(88) Date of publication of the international search report:
27 August 2009

(54) Title: PROCESS AND INTERMEDIATES FOR THE SYNTHESIS OF (3-ALKYL-5-PIPERIDIN-1-YL-3, 3A-DIHYDRO-PYRAZOLO [1, 5-A] PYRIMIDIN-7-YL) -AMINO DERIVATIVES

(57) Abstract: This application discloses a novel process to synthesize (3-alkyl-5-piperidin-1-yl-3, 3a-dihydro-pyrazolo [1, 5-a] pyrimidin-7-yl) -amino derivatives of Formula (I), and intermediates useful in the synthesis thereof. The subject (3-alkyl-5-piperidin-1-yl-3, 3a-dihydro-pyrazolo [1, 5-a] pyrimidin-7-yl) -amino derivatives are useful as cyclin-dependent kinase inhibitor compounds (CDK inhibitors) in pharmaceutical preparations.



WO 2008/027220 A3

INTERNATIONAL SEARCH REPORT

International application No
PCT/US2007/018305A. CLASSIFICATION OF SUBJECT MATTER
INV. C07D487/04

According to International Patent Classification (IPC) or to both national classification and IPC

B. FIELDS SEARCHED

Minimum documentation searched (classification system followed by classification symbols)
C07D

Documentation searched other than minimum documentation to the extent that such documents are included in the fields searched

Electronic data base consulted during the international search (name of data base and, where practical, search terms used)

EPO-Internal, CHEM ABS Data

C. DOCUMENTS CONSIDERED TO BE RELEVANT

Category*	Citation of document, with indication, where appropriate, of the relevant passages	Relevant to claim No.
A	US 2004/209878 A1 (T.J. GUZI ET AL) 21 October 2004 (2004-10-21) cited in the application [0164]; preparative examples 1-3, 75-78, 123-126, 508-510; examples 1000, 1002 -----	1, 4-15, 18-20, 24, 25, 27, 31-35, 37-39

 Further documents are listed in the continuation of Box C. See patent family annex.

* Special categories of cited documents:

- *A* document defining the general state of the art which is not considered to be of particular relevance
- *E* earlier document but published on or after the international filing date
- *L* document which may throw doubts on priority claim(s) or which is cited to establish the publication date of another citation or other special reason (as specified)
- *O* document referring to an oral disclosure, use, exhibition or other means
- *P* document published prior to the international filing date but later than the priority date claimed

- *T* later document published after the international filing date or priority date and not in conflict with the application but cited to understand the principle or theory underlying the invention
- *X* document of particular relevance; the claimed invention cannot be considered novel or cannot be considered to involve an inventive step when the document is taken alone
- *Y* document of particular relevance; the claimed invention cannot be considered to involve an inventive step when the document is combined with one or more other such documents, such combination being obvious to a person skilled in the art.
- * & * document member of the same patent family

Date of the actual completion of the international search

8 July 2009

Date of mailing of the international search report

15/07/2009

Name and mailing address of the ISA/

European Patent Office, P.B. 5818 Patentlaan 2
NL - 2280 HV Rijswijk
Tel. (+31-70) 340-2040,
Fax: (+31-70) 340-3016

Authorized officer

Van Amsterdam, Leen

INTERNATIONAL SEARCH REPORT

International application No.
PCT/US2007/018305

Box No. II Observations where certain claims were found unsearchable (Continuation of item 2 of first sheet)

This international search report has not been established in respect of certain claims under Article 17(2)(a) for the following reasons:

1. Claims Nos.:
because they relate to subject matter not required to be searched by this Authority, namely:

2. Claims Nos.:
because they relate to parts of the international application that do not comply with the prescribed requirements to such an extent that no meaningful international search can be carried out, specifically:

3. Claims Nos.:
because they are dependent claims and are not drafted in accordance with the second and third sentences of Rule 6.4(a).

Box No. III Observations where unity of invention is lacking (Continuation of item 3 of first sheet)

This International Searching Authority found multiple inventions in this international application, as follows:

see additional sheet

1. As all required additional search fees were timely paid by the applicant, this international search report covers allsearchable claims.
2. As all searchable claims could be searched without effort justifying an additional fees, this Authority did not invite payment of additional fees.
3. As only some of the required additional search fees were timely paid by the applicant, this international search report covers only those claims for which fees were paid, specifically claims Nos.:
4. No required additional search fees were timely paid by the applicant. Consequently, this international search report is restricted to the invention first mentioned in the claims; it is covered by claims Nos.:

Remark on Protest

- The additional search fees were accompanied by the applicant's protest and, where applicable, the payment of a protest fee.
- The additional search fees were accompanied by the applicant's protest but the applicable protest fee was not paid within the time limit specified in the invitation.
- No protest accompanied the payment of additional search fees.

INTERNATIONAL SEARCH REPORT

International Application No. PCT/US2007 /018305

FURTHER INFORMATION CONTINUED FROM PCT/ISA/ 210

This International Searching Authority found multiple (groups of) inventions in this international application, as follows:

1. claims: 1-34 and 40-41

An alternative process for the preparation of the known compounds of formula I.

2. claims: 35-39

An alternative process for the preparation of the known compounds of formula E1.

INTERNATIONAL SEARCH REPORT

Information on patent family members

International application No

PCT/US2007/018305

Patent document cited in search report	Publication date	Patent family member(s)	Publication date
US 2004209878 A1	21-10-2004	US 2007054906 A1	08-03-2007
		US 7161003 B1	09-01-2007
