

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

(19) World Intellectual Property Organization
International Bureau



(43) International Publication Date
1 April 2010 (01.04.2010)

(10) International Publication Number
WO 2010/036629 A3

PCT

(51) International Patent Classification:

C07D 403/12 (2006.01) **A61K 31/4365** (2006.01)
C07D 401/12 (2006.01) **A61P 35/00** (2006.01)

(21) International Application Number:

PCT/US2009/057768

(22) International Filing Date:

22 September 2009 (22.09.2009)

(25) Filing Language:

English

(26) Publication Language:

English

(30) Priority Data:

61/100,490 26 September 2008 (26.09.2008) US

(71) Applicant (for all designated States except US): **NATIONAL HEALTH RESEARCH INSTITUTES**; No. 35, Keyan Road, Zhunan Town, Miaoli County, 350 (TW).

(72) Inventors; and

(75) Inventors/Applicants (for US only): **HSIEH, Hsing-Pang**; No. 35, Keyan Road, Zhunan Town, Miaoli County, 35053 (TW). **COUMAR, Selvaraj Mohane** [IN/IN]; #239, 9th Cross, Dr. Radhakrishnan Nagar, Teacher's Colony, Pondicherry 605010 (IN). **CHAO, Yu-Sheng** [US/US]; 28 Drinking Brook Road, Monmouth Junction, New Jersey 08852 (US).

(74) Agent: **TSAO, Y. Rocky**; Occhiuti Rohlicek & Tsao LLP, 10 Fawcett Street, Cambridge, Massachusetts 02138 (US).

(81) Designated States (unless otherwise indicated, for every kind of national protection available): AE, AG, AL, AM, AO, AT, AU, AZ, BA, BB, BG, BH, BR, BW, BY, BZ,

CA, CH, CL, 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, PE, PG, PH, PL, PT, RO, RS, RU, SC, SD, SE, SG, SK, SL, SM, ST, 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, HR, HU, IE, IS, IT, LT, LU, LV, MC, MK, MT, NL, NO, PL, PT, RO, SE, SI, SK, SM, TR), OAPI (BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG).

Declarations under Rule 4.17:

- as to applicant's entitlement to apply for and be granted a patent (Rule 4.17(ii))
- as to the applicant's entitlement to claim the priority of the earlier application (Rule 4.17(iii))

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:

22 July 2010

(54) Title: FUSED MULTICYCLIC COMPOUNDS AS PROTEIN KINASE INHIBITORS

(57) Abstract: Fused multicyclic compounds of formula (I) defined herein are disclosed. Also disclosed are a method for inhibiting protein kinase (e.g., Aurora kinase) activity and a method for treating a protein kinase mediated disorder (e.g., cancer) with these compounds.



WO 2010/036629 A3

A. CLASSIFICATION OF SUBJECT MATTER*C07D 403/12(2006.01)i, C07D 401/12(2006.01)i, A61K 31/4365(2006.01)i, A61P 35/00(2006.01)i*

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 403/12; A61K 31/4743; C07D 409/12; C07D 498/02

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

Korean utility models and applications for utility models

Japanese utility models and applications for utility models

(Chinese Patents and application for patent)

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

eKOMPASS(KIPO internal), PubMed, NCBI, Esp@snet, PAJ, USPTO, Google

C. DOCUMENTS CONSIDERED TO BE RELEVANT

Category*	Citation of document, with indication, where appropriate, of the relevant passages	Relevant to claim No.
X	M. Bauser et al., "Discovery and optimization of 2-aryl oxazolo-pyrimidines as adenosine kinase inhibitors using liquid phase parallel synthesis", Bioorganic Med. Chem. Lett. 14 (2004) 1997-2000	1-4, 16
A	See scheme 1	8, 14-15
X	WO 2006-080043 A2 (ALMA MATER STUDIORUM- UNIVERSITA' DI BOLOGNA et al.) 03 August 2006	1-4, 8, 16
A	See examples 19-20	14-15
X	US 2004-0242883 A1 (BOSCHELLI DIANE HARRIS et al.) 02 December 2004	1-4, 14-16
A	See claims 1-17, and formula I a	8



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 application or patent 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 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

10 MAY 2010 (10.05.2010)

Date of mailing of the international search report

11 MAY 2010 (11.05.2010)

Name and mailing address of the ISA/KR

Korean Intellectual Property Office
Government Complex-Daejeon, 139 Seonsa-ro, Seo-gu,
Daejeon 302-701, Republic of Korea

Facsimile No. 82-42-472-7140

Authorized officer

YANG, In Soo

Telephone No. 82-42-481-5049



INTERNATIONAL SEARCH REPORTInternational application No.
PCT/US2009/057768**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.: 18-19
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:
Claims 18-19 are unclear, since they eventually refer to the claims which are not drafted with the third sentence of Rule 6.4(a).
3. ☒ Claims Nos.: 5-7, 9-13, 17, 20-23
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:

1. ☐ As all required additional search fees were timely paid by the applicant, this international search report covers all searchable claims.
2. ☐ As all searchable claims could be searched without effort justifying an additional fee, this Authority did not invite payment of any additional fee.
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

Information on patent family members

International application No.

PCT/US2009/057768

Patent document cited in search report	Publication date	Patent family member(s)	Publication date
WO 2006-080043 A2	03.08.2006	AU 2006-209079 A1 CA 2595994-A1 CN 101146800 A0 EA200701584A1 EP 1853594 A2 IL 184849D0 JP 2008-528573 A US 2007-0299093 A1 WO 2006-080043 A3	03.08.2006 03.08.2006 19.03.2008 28.02.2008 14.11.2007 03.12.2007 31.07.2008 27.12.2007 08.09.2006
US 2004-0242883 A1	02.12.2004	AU 2005-245820 A1 CN 1950379 A EP 1756117 A2 JP 2007-537262 A KR 10-2007-0015575 A US 2004-138251 A1 US 2008-0070913 A1 US 6987116 B2 US 7276519 B2 WO 2005-113560 A2	01.12.2005 18.04.2007 28.02.2007 20.12.2007 05.02.2007 15.07.2004 20.03.2008 17.01.2006 02.10.2007 01.12.2005