

(43) International Publication Date
6 August 2009 (06.08.2009)(10) International Publication Number
WO 2009/097113 A3

PCT

(51) International Patent Classification:

A61K 31/427 (2006.01) A61P 35/00 (2006.01)
A61K 31/422 (2006.01) A61P 43/00 (2006.01)
A61K 31/426 (2006.01)

(21) International Application Number:

PCT/US2009/000542

(22) International Filing Date:

28 January 2009 (28.01.2009)

(25) Filing Language:

English

(26) Publication Language:

English

(30) Priority Data:

61/062,772 28 January 2008 (28.01.2008) US
61/084,681 30 July 2008 (30.07.2008) US
61/147,715 27 January 2009 (27.01.2009) US

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(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, 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, 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, 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))

[Continued on next page]

(54) Title: OXAZOLE AND THIAZOLE COMPOUNDS AS B-CATENIN MODULATORS AND USES THEREOF

Effect of candidate inhibitors on TOP12-LF in Clone 8 cells

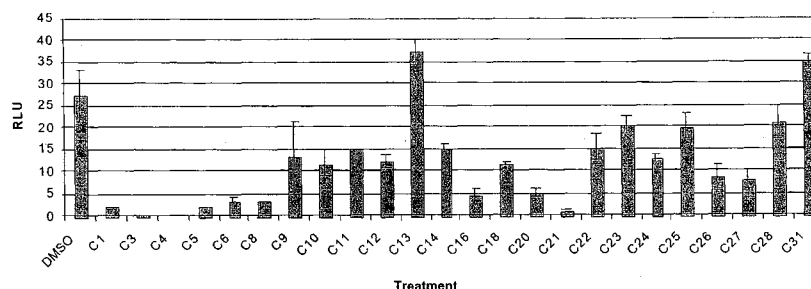
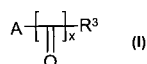


FIG. 1



(57) Abstract: A series of oxazole and thiazole compounds are shown herein to be small molecule inhibitors of the Wnt pathway that specifically target the activity of the stabilized pool of β -cat. Oxazole and thiazole compounds are disclosed that have a formula represented by the following. The compounds may be prepared as pharmaceutical compositions, and may be used for the prevention and treatment of a variety of conditions in mammals including humans, including by way of non-limiting example, cancer, and others.



— *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 October 2009

INTERNATIONAL SEARCH REPORT

International application No
PCT/US2009/000542

A. CLASSIFICATION OF SUBJECT MATTER

INV. A61K31/427 A61K31/422 A61K31/426 A61P35/00 A61P43/00

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)

A61K

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, WPI Data, EMBASE, BIOSIS, 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	WO 2006/116503 A (IRM LLC; SCRIPPS RESEARCH INST [US]; ZHANG QISHENG [US]; DING SHENG [U]) 2 November 2006 (2006-11-02) abstract page 1, paragraph 2 page 4, paragraph 15 pages 22-23, paragraph 63	1-75
A	US 6 762 185 B1 (KAHN MICHAEL [US] ET AL) 13 July 2004 (2004-07-13) column 1, line 9 - line 14 column 3, line 1 - line 15	1-75
A	US 7 067 474 B1 (BIRCHMEIER WALTER [DE] ET AL) 27 June 2006 (2006-06-27) column 1, line 12 - line 21 column 2, lines 11-13,38-49	1-75

☒ 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.
- *Z* document member of the same patent family

Date of the actual completion of the international search

14 May 2009

Date of mailing of the international search report

09/09/2009

Name and mailing address of the ISA/

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INTERNATIONAL SEARCH REPORT

International application No

PCT/US2009/000542

C(Continuation). DOCUMENTS CONSIDERED TO BE RELEVANT

Category*	Citation of document, with indication, where appropriate, of the relevant passages	Relevant to claim No.
X	JP 60 208971 A (HISAMITSU PHARMACEUTICAL CO) 21 October 1985 (1985-10-21) abstract -----	1-3, 5, 17, 22, 23, 26-29, 31, 66, 68, 70-73, 75

INTERNATIONAL SEARCH REPORT

International application No.
PCT/US2009/000542

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 reportcovers 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.:

see annex

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.

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(part), 2 (part), 3, 4, 5-7 (part), 8-11, 17-23 (part), 25 (part), 26-29 (part), 31-47 (part), 51-59, 66, 68-75 (part)

Methods/uses/pharmaceutical compositions involving compounds of formula I containing the A1 moiety for the treatment of conditions related to the aberrant activity of the Wnt signalling pathway, in particularly cancer, i.e. hepatic cancer, colorectal cancer, breast cancer and skin cancer.

2. claims: 1 (part), 2 (part), 5-7 (part), 12-16, 17-23 (part), 24, 25 (part), 26-29 (part), 30, 31-47 (part), 48-50, 60-65, 67, 68-75 (part)

Methods/uses/pharmaceutical compositions involving compounds of formula I containing the A2 moiety or the A3 moiety for the treatment of conditions related to the aberrant activity of the Wnt signalling pathway, in particularly cancer, i.e. hepatic cancer, colorectal cancer, breast cancer and skin cancer.

INTERNATIONAL SEARCH REPORT

Information on patent family members

International application No

PCT/US2009/000542

Patent document cited in search report		Publication date	Patent family member(s)	Publication date
WO 2006116503	A	02-11-2006	NONE	
US 6762185	B1	13-07-2004	NONE	
US 7067474	B1	27-06-2006	CA 2357015 A1	26-08-1999
			WO 9942481 A2	26-08-1999
			DE 19909251 A1	26-08-1999
			EP 1054899 A2	29-11-2000
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