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28 February 2013

(54) Title: HETEROCYCLIC COMPOUNDS FOR THE INHIBITION OF PASK

(57) Abstract: Disclosed herein are new heterocyclic compounds and compositions and their application as pharmaceuticals for the treatment of disease. Methods of inhibiting PAS Kinase (PASK) activity in a human or animal subject are also provided for the treatment of diseases such as diabetes mellitus.



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A. CLASSIFICATION OF SUBJECT MATTER*C07D 487/04(2006.01)i, C07D 407/04(2006.01)i, C07D 401/04(2006.01)i, A61K 31/437(2006.01)i, A61P 3/00(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 487/04; C07D 471/02; C07D 209/00; A61K 31/4745; A61K 31/519; C07D 471/14; C07D 403/04; C07D 401/14

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

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

eKOMPASS(KIPO internal), Google Scholar & Keywords: pyrazolopyrimidine, PASK, pas kinase

C. DOCUMENTS CONSIDERED TO BE RELEVANT

Category*	Citation of document, with indication, where appropriate, of the relevant passages	Relevant to claim No.
X A	WO 98-08847 A1 (PFIZER INC.) 05 March 1998 See page 48, line 4	1,3-5,41-42,44 2,6-40,43
X A	US 6995163 B2 (SHIGEKI HIBI et al.) 07 February 2006 See column 70, reference example 2	1,3-5,41-42,44 2,6-40,43
X A	US 2006-0178371 A1 (TIMOTHY J. GUZI et al.) 10 August 2006 See table 5, preparation examples 15-32	1,3-5,41-42,44 2,6-40,43
X A	US 2005-0004159 A1 (HIBI SHIGEKI et al.) 06 January 2005 See pages 39-40, reference examples 2-18; pages 41-42, reference examples 30, 32-33; page 44, reference example 49	1,3-5,41-42,44 2,6-40,43
A	WO 2011-028947 A2 (BIOENERGENIX et al.) 10 March 2011 See the whole document	1-44



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

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"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

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INTERNATIONAL SEARCH REPORT

International application No.

PCT/US2012/035209**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.: 45-59
because they relate to subject matter not required to be searched by this Authority, namely:
Claims 45-59 pertains to methods for treatment of the human body by therapy, and thus relate to a subject matter which this International Searching Authority is not required, under Article 17(2)(a)(i) of the PCT and Rule 39.1(iv) of the Regulations under the PCT, to search.
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:

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/US2012/035209

Patent document cited in search report	Publication date	Patent family member(s)	Publication date
WO 98-08847 A1	05.03.1998	AP762A	13.09.1999
		AP9701077D0	31.10.1997
		AR015103A1	18.04.2001
		AT 340176 T	15.10.2006
		AU 1997-34561 B2	05.07.2001
		AU 735401 B2	05.07.2001
		BR 9711970 A	24.08.1999
		CA 2263566 A1	05.03.1998
		CN 1227554 A0	01.09.1999
		DE 69736711 T2	20.09.2007
		EP 0923582 A1	23.06.1999
		JP 2000-502723 A	07.03.2000
		JP 2000-502723 T	07.03.2000
		JP 2005-047930 A	24.02.2005
		KR 10-2000-0035934 A	26.06.2000
		TR 9900389T2	21.06.2000
		US 2001-0007867 A1	12.07.2001
		US 2002-0151713 A1	17.10.2002
		US 2005-0009823 A1	13.01.2005
		US 6900217 B2	31.05.2005
		WO 98-08847A1	05.03.1998
		ZA 9707687A	01.03.1999
US 6995163 B2	07.02.2006	AU 2001-20235 A1	18.06.2001
		AU 2001-20235 B2	20.05.2004
		CA 2394120 A1	14.06.2001
		CA 2394120 C	18.03.2008
		CN 1289501 C0	13.12.2006
		CN 1409713 A0	09.04.2003
		EP 1238979 A1	11.09.2002
		EP 1238979 B1	02.03.2005
		EP 1408040 A1	14.04.2004
		EP 1408040 B1	27.07.2005
		JP 04-100865 B2	11.06.2008
		JP 2001-233876 A	28.08.2001
		KR 10-0710402 B1	24.04.2007
		TW 1271406B	21.01.2007
		TW 271406 A	21.01.2007
		TW 271406 B	21.01.2007
		US 2003-0078277 A1	24.04.2003
		US 2005-0004147 A1	06.01.2005
		US 2005-0004159 A1	06.01.2005
		US 6927221 B2	09.08.2005
		US 6951865 B2	04.10.2005
		WO 01-42247 A1	14.06.2001
US 2006-0178371 A1	10.08.2006	AU 2003-298571 A1	08.04.2004
		AU 2003-298571 B2	19.10.2006
		CA 2497544 A1	01.04.2004

INTERNATIONAL SEARCH REPORT

Information on patent family members

International application No.

PCT/US2012/035209

Patent document cited in search report	Publication date	Patent family member(s)	Publication date
		CA 2497544 C	02.11.2010
		CA 2624822 A1	19.04.2007
		CA 2665543 A1	17.04.2008
		CA 2665543 C	27.04.2010
		CN 101321757 A	10.12.2008
		CN 101541803 A	23.09.2009
		CN 1701073 C0	23.11.2005
		EP 1534712 A2	01.06.2005
		EP 1534712 B1	16.05.2007
		EP 1934224 A1	25.06.2008
		EP 1934224 B1	09.06.2010
		EP 2069350 A2	17.06.2009
		JP 04-790265 B2	29.07.2011
		JP 2006-502184 A	19.01.2006
		JP 2009-511483 A	19.03.2009
		JP 2010-180235 A	19.08.2010
		JP 2010-505840 A	25.02.2010
		JP 4790265 B2	12.10.2011
		KR 10-2005-0033659 A	12.04.2005
		TW 1329645A	01.09.2010
		TW 1329645B	01.09.2010
		US 2004-0106624 A1	03.06.2004
		US 2006-0041131 A1	23.02.2006
		US 2007-0072880 A1	29.03.2007
		US 7067661 B2	27.06.2006
		US 7205308 B2	17.04.2007
		US 7514442 B2	07.04.2009
		US 7601724 B2	13.10.2009
		WO 2004-026229 A2	01.04.2004
		WO 2004-026229 A3	01.04.2004
		WO 2007-044420 A1	19.04.2007
		WO 2008-045268 A2	17.04.2008
		WO 2008-045268 A3	17.04.2008
US 2005-0004159 A1	06.01.2005	AU 2001-20235 A1	18.06.2001
		AU 2001-20235 B2	20.05.2004
		CA 2394120 A1	14.06.2001
		CA 2394120 C	18.03.2008
		CN 1289501 C0	13.12.2006
		CN 1409713 A0	09.04.2003
		EP 1238979 A1	11.09.2002
		EP 1238979 B1	02.03.2005
		EP 1408040 A1	14.04.2004
		EP 1408040 B1	27.07.2005
		JP 04-100865 B2	11.06.2008
		JP 2001-233876 A	28.08.2001
		KR 10-0710402 B1	24.04.2007
		TW 1271406B	21.01.2007
		TW 271406 A	21.01.2007
		TW 271406 B	21.01.2007

INTERNATIONAL SEARCH REPORT

Information on patent family members

International application No.

PCT/US2012/035209

Patent document cited in search report	Publication date	Patent family member(s)	Publication date
		US 2003-0078277 A1	24.04.2003
		US 2005-0004147 A1	06.01.2005
		US 6927221 B2	09.08.2005
		US 6951865 B2	04.10.2005
		US 6995163 B2	07.02.2006
		WO 01-42247 A1	14.06.2001
WO 2011-028947 A2	10.03.2011	CA 2772714 A1	10.03.2011
		EP 2473504 A2	11.07.2012
		KR 10-2012-0095356 A	28.08.2012
		WO 2011-028947 A3	04.08.2011