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

# (19) World Intellectual Property Organization

21 January 2010 (21.01.2010)

International Bureau (43) International Publication Date





## (10) International Publication Number WO 2010/008831 A3

#### (51) International Patent Classification:

**C07D 249/06** (2006.01) A61K 31/4245 (2006.01) A61K 31/4192 (2006.01) **C07D 271/06** (2006.01)

**C07D 277/38** (2006.01) A61P 3/00 (2006.01)

A61K 31/426 (2006.01)

(21) International Application Number:

PCT/US2009/048265

(22) International Filing Date:

23 June 2009 (23.06.2009)

(25) Filing Language:

English

(26) Publication Language:

English

(30) Priority Data:

61/075,094

24 June 2008 (24.06.2008)

US

(71) Applicant (for all designated States except US): IRM LLC [US/US]; 131 Front Street, P.O. Box 2899, Hamilton, HM LX (BM).

(72) Inventors: and

- (75) Inventors/Applicants (for US only): HE, Xiaohui [CN/US]; 12461 Dormouse Road, San Diego, CA 92129 (US). ZHU, Xuefeng [US/US]; 6546 Paseo Frontera, Apt. C, Carlsbad, CA 92009 (US). YANG, Kunyong [CN/US]; 11861 Spruce Run Drive, #C, San Diego, CA 92131 (US). EPPLE, Robert [AT/US]; 8045 Via San Saba, San Diego, CA 92122 (US). LIU, Hong [CN/US]; 6348 Oleander Way, San Diego, CA 92130 (US).
- Agents: RAYMOND, Daniel, E. et al.; Genomics Institute of the Novartis Research Foundation, 10675 John Jay Hopkins Drive, San Diego, CA 92121 (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, TR), OAPI (BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG).

#### **Declarations under Rule 4.17**:

of inventorship (Rule 4.17(iv))

#### 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: 30 September 2010



#### INTERNATIONAL SEARCH REPORT

International application No PCT/US2009/048265

A. CLASSIFICATION OF SUBJECT MATTER
INV. C07D249/06 C07D271/06 C07D277/38 A61K31/426 A61K31/4245 A61K31/4192 A61P3/00 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) CO7D 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, BEILSTEIN Data, CHEM ABS Data C. DOCUMENTS CONSIDERED TO BE RELEVANT Citation of document, with indication, where appropriate, of the relevant passages Category' Relevant to claim No. WO 2006/122011 A2 (ACHILLION 1 PHARMACEUTICALS INC [US]; ZHANG SUOMING [US]; PHADKE AVINASH) 16 November 2006 (2006-11-16) page 130, compound 426; claim 1 Α WO 2004/110350 A2 (NEUROGENETICS INC [US]; 1 CHENG SOAN [US]; COMER DANIEL D [US]; MAO LONG) 23 December 2004 (2004-12-23) page 122, compound 915 paragraph [0007] Α WO 03/062215 A1 (KYLIX PHARMACEUTICALS B V 1 [NL]; STIEBER FRANK [DE]; HELLMUTH KLAUS [DE) 31 July 2003 (2003-07-31) page 34, compound SP5760; page 42, compound SP5330 Further documents are listed in the continuation of Box C. See patent family annex. Special categories of cited documents: "T" later document published after the international filing date or priority date and not in conflict with the application but "A" document defining the general state of the art which is not considered to be of particular relevance cited to understand the principle or theory underlying the invention earlier document but published on or after the international "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 "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) 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 docudocument referring to an oral disclosure, use, exhibition or ments, such combination being obvious to a person skilled in the art. other means document published prior to the international filing date but later than the priority date claimed "&" document member of the same patent family Date of the actual completion of the international search Date of mailing of the international search report 2 June 2010 13/08/2010 Name and mailing address of the ISA/ Authorized officer European Patent Office, P.B. 5818 Patentlaan 2 NL – 2280 HV Rijswijk Tel. (+31–70) 340–2040, Fax: (+31–70) 340–3016 Beyss-Kahana, Ellen

## INTERNATIONAL SEARCH REPORT

International application No
PCT/US2009/048265

C(Cc-*'-	DOCUMENTS CONCIDENTS TO BE RELEVANT	PCT/US2009/048265								
C(Continuation). DOCUMENTS CONSIDERED TO BE RELEVANT										
X	Citation of document, with indication, where appropriate, of the relevant passages	Relevant to claim No.								
	WO 2006/044456 Al (PTC THERAPEUTICS INC [US]; ALMSTEAD NEIL [US]; CHEN GUANGMING [US]; KA) 27 April 2006 (2006-04-27) table X, compounds 141-578; claims; examples H-K	1								

International application No. PCT/US2009/048265

## INTERNATIONAL SEARCH REPORT

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:
Claims Nos.: because they relate to subject matter not required to be searched by this Authority, namely:
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:
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
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 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.:
A. 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.:  2-5(completely); 1, 8-22(partially)
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: 2-5(completely); 1, 8-22(partially)

Compound according to formula (I) wherein A means amino-thiazolyliden  $\ \ \,$ 

2. claims: 6(completely); 1, 8-22(partially)

Compound according to formula (I) wherein A means oxazolyl

3. claims: 7(completely); 1, 8-22(partially)

Compound according to formula (I) wherein A means triazolyl

## **INTERNATIONAL SEARCH REPORT**

Information on patent family members

International application No
PCT/US2009/048265

	atent document I in search report		Publication date		Patent family member(s)		Publication date
WO	2006122011	A2	16-11-2006	AU	2006244203		16-11-2006
				BR	PI0608910		17-02-2010
				CA	2607617		16-11-2006
				CN	101247807		20-08-2008
				EΑ	200702445	A1	28-04-2008
				EP	1879575	A2	23-01-2008
				JP	2008540537	T	20-11-2008
				KR	20080019213	Α	03-03-2008
				SG	159561	<b>A</b> 1	30-03-2010
				ZA	200709751	Α	26-11-2008
WO	2004110350	A2	23-12-2004	AU	2004247013	A1	23-12-2004
				BR	PI0410348	Α	30-05-2006
				CA	2525547		23-12-2004
				EP	1628666		01-03-2006
				ĴΡ	2007504282		01-03-2007
				KR	20060023529		14-03-2006
				MX	PA05012281		19-05-2006
WO	03062215	A1	31-07-2003	CA	2474322	A1	31-07-2003
WO	2006044456	A1	27-04-2006	AU	2005295727	A1	 27-04-2006
	<del>-</del>	-		ΑŪ	2005295730		27-04-2006
				ΑU	2005295778		27-04-2006
				BR	PI0515995		19-08-2008
				BR		Ä	26-08-2008
				CA	2582885		27-04-2006
				CA	2583159		27-04-2006
				CA	2583177		27-04-2006
				CA		A1	27-04-2006
				CA	2583976		27-04-2006
				EP		A2	01-08-2007
				ĒΡ	1799207		27-06-2007
				ĒΡ	1799212		27-06-2007
				ĔΡ	1799659		27-06-2007
				ĒΡ	1815206		08-08-2007
				JP	2008515985		15-05-2008
				JP	2008515985		15-05-2008
				JP	2008515992		15-05-2008
				KR	20070067165		27-06-2007
				KR	20070067103		27-06-2007
				KR	20070065429		22-06-2007
		•		SG	156640		26-11-2009
				SG	156641 2008269191		26-11-2009
				US			30-10-2008
				US	2008280869		13-11-2008
				US	2008119473		22-05-2008
				US	2009253699		08-10-2009
				US	2009203752		13-08-2009
				WO	2006044502		27-04-2006
				WO	2006044503		27-04-2006
				WO	2006044505		27-04-2006
				WO	2006044682	A1	27-04-2006