

(19) World Intellectual Property Organization
International Bureau



(43) International Publication Date
8 July 2010 (08.07.2010)

PCT

(10) International Publication Number
WO 2010/077882 A3

(51) International Patent Classification:

C07D 413/10 (2006.01) A61P 11/06 (2006.01)
C07D 261/06 (2006.01) A61K 31/553 (2006.01)
C07D 413/06 (2006.01)

(21) International Application Number:

PCT/US2009/068105

(22) International Filing Date:

15 December 2009 (15.12.2009)

(25) Filing Language:

English

(26) Publication Language:

English

(30) Priority Data:

61/122,568 15 December 2008 (15.12.2008) US

(71) Applicant (for all designated States except US): **AMIRA PHARMACEUTICALS, INC.** [US/US]; 9535 Waples Street, Suite 100, San Diego, California 92121 (US).

(72) Inventors; and

(75) Inventors/Applicants (for US only): **HUTCHINSON, John, Howard** [US/US]; 5948 Via Zurita, La Jolla, California 92037 (US). **SEIDERS, Thomas, Jon** [US/US]; 5257 Soledad Mountain Road, San Diego, California 92109 (US). **WANG, Bowei** [US/US]; 10 Kirkview Circle, Westfield, New Jersey 07090 (US). **ARRUDA, Jeanie, M.** [US/US]; 3611 Florida St. #4, San Diego, California 92104 (US). **ROPPE, Jeffrey, Roger** [US/US]; 32182 Camino Guarda, Temecula, California 92592 (US). **PARR, Timothy** [US/US]; 8504 Lemon Avenue, La Mesa, California 91941 (US). **ZHAO, Lucy** [US/US]; 11254 Caminito Corriente, San Diego, California 92128 (US).

(74) Agents: **AKHAVAN, Ramin** et al.; Wilson Sonsini Goodrich & Rosati, 650 Page Mill Road, Palo Alto, California 94304 (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:

28 October 2010

(54) Title: ANTAGONISTS OF LYSOPHOSPHATIDIC ACID RECEPTORS

(57) Abstract: Described herein are compounds that are antagonists of lysophosphatidic receptor(s). Also described are pharmaceutical compositions and medicaments that include the compounds described herein, as well as methods of using such antagonists, alone and in combination with other compounds, for treating LPA-dependent or LPA-mediated conditions or diseases.



WO 2010/077882 A3

A. CLASSIFICATION OF SUBJECT MATTER*C07D 413/10(2006.01)i, C07D 261/06(2006.01)i, C07D 413/06(2006.01)i, A61P 11/06(2006.01)i, A61K 31/553(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 413/10; A61K 31/16; A61K 45/00; C07D 261/14; C07D 271/04; C07D 413/12

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)

STN(Registry, CA), eKOMPASS(KIPO internal)

C. DOCUMENTS CONSIDERED TO BE RELEVANT

Category*	Citation of document, with indication, where appropriate, of the relevant passages	Relevant to claim No.
A	WO 01-60819 A1 (KIRIN BEER KABUSHIKI KAISHA) 23 August 2001 See Examples	1-27
A	YAMAMOTO, T., et al., `Synthesis and evaluation of isoxazole derivatives as lysophosphatidic acid(LPA) antagonists`, Bioorganic&Medicinal Chemistry Letters, 2007, Vol.17, No.13, pages 3736-3740 See Table 2 and 3	1-27
A	JP 2006-096712 A (SENJU PHARMACEUT CO LTD et al.) 13 April 2006 See claim 7	1-27
A	WO 2005-012269 A1 (AJINOMOTO CO., INC. et al.) 10 February 2005 See claims	1-27

 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

11 AUGUST 2010 (11.08.2010)

Date of mailing of the international search report

13 AUGUST 2010 (13.08.2010)

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

KIM, Hee Jin

Telephone No. 82-42-481-8162



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.: 29-46
because they relate to subject matter not required to be searched by this Authority, namely:
Claims 29-46 pertain to methods for treatment of the human body by therapy and thus relate to a subject matter which this International Search 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.: 28
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/US2009/068105

Patent document cited in search report	Publication date	Patent family member(s)	Publication date
WO 01-60819 A1	23.08.2001	AT 420878 T	15.01.2009
		AU 2001-32340 A1	27.08.2001
		AU 3234001 A	27.08.2001
		DE 60137405 D1	05.03.2009
		DK1258484T3	20.04.2009
		EP 1258484 A1	20.11.2002
		EP 1258484 A4	23.04.2003
		EP 1258484 B1	14.01.2009
		ES 2320320 T3	21.05.2009
		JP W001-060819 A1	23.08.2001
		TW 288136 A	11.10.2007
		TW 288136 B	11.10.2007
		US 2003-0114505 A1	19.06.2003
		US 2003-114505 A1	19.06.2003
		US 6964975 B2	15.11.2005
		WO 01-60819A1	23.08.2001
		JP 2006-096712 A	13.04.2006
WO 2005-012269 A1	10.02.2005	JP W020-050122 69A1	10.02.2005
		US 2006-0194850 A1	31.08.2006
		US 2009-0170911 A1	02.07.2009
		US 2009-170911 A1	02.07.2009
		US 7517996 B2	14.04.2009
		WO 2005-012269 A8	07.04.2005