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(71) Applicant *(for all designated States except US)*: **AMOREPACIFIC CORPORATION** [KR/KR]; 181, 2-ga Hangang-ro, Yongsan-gu, Seoul 140-777 (KR).

(72) Inventors; and

(75) Inventors/Applicants *(for US only)*: **SHIN, Song Seok** [KR/KR]; SK Apt. 102-2003, #700, Seocheon-dong, Giheung-gu, Yongin-si, Gyeonggi-do 446-959 (KR). **KIM, Jin Kwan** [KR/KR]; Daewoo Prugio Apt. 102-606, #951, Omokcheon-dong, Suwon-si, Gyeonggi-do 441-765 (KR). **KIM, Sun-Young** [KR/KR]; Dooseong Villa B-302, #1562-8, Seocho 3-dong, Seocho-gu, Seoul 137-873 (KR). **LEE, Ki-Wha** [KR/KR]; Sangroksu Apt. 209-501, Ilwon-dong, Gangnam-gu, Seoul 135-947 (KR). **WOO, Byoung young** [KR/KR]; Chamsolmauel World Merdian Apt. 105-1906, Jung-dong, Giheung-gu, Yongin-si, Gyeonggi-do 446-724 (KR). **MOH, Joo-Hyun** [KR/KR]; Wooseong Apt. 304-1303, Sadang 2-dong, Dongjak-gu, Seoul 156-773 (KR). **JEONG, Yeon Su** [KR/KR]; Seongwon Apt. 118-803, #30, Sanghyun 2-dong, Suji-gu, Yongin-si, Gyeonggi-do 448-749 (KR). **LIM, Kyung Min** [KR/KR]; Posco The Sharp Apt. 320-1205, Sibumdaeunmaeul, Bansong-dong, Hwaseong-si, Gyeonggi-do 445-724 (KR). **CHOI, Jin Kyu** [KR/KR]; Hyundai Hometown 126-1601, Maetan 1-dong, Youngtong-gu, Suwon-si, Gyeonggi-do 443-710 (KR). **KOH, Hyun-Ju** [KR/KR]; Doosan Apt. 105-406, Dangdong, Gunpo-si, Gyeonggi-do 435-010 (KR). **PARK, Young-Ho** [KR/KR]; Daelim Apt. 3-1201, Sadang-dong, Seoul 156-775 (KR).

(74) Agents: **JANG, Seongku** et al.; 19th Fl., Trust Tower, #275-7, Yangjae-dong, Seocho-ku, Seoul 137-130 (KR).

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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:
24 September 2009

(54) Title: NOVEL COMPOUNDS, ISOMER THEREOF, OR PHARMACEUTICALLY ACCEPTABLE SALTS THEREOF AS VANILLOID RECEPTOR ANTAGONIST; AND PHARMACEUTICAL COMPOSITIONS CONTAINING THE SAME

(57) Abstract: This present disclosure relates to novel compounds, isomer thereof or pharmaceutically acceptable salts thereof as vanilloid receptor (Vanilloid Receptor 1; VR1; TRPV1) antagonist; and a pharmaceutical composition containing the same. The present disclosure also provides a pharmaceutical composition for preventing or treating a disease such as pain, migraine, arthralgia, neuralgia, neuropathies, nerve injury, skin disorder, urinary bladder hypersensitiveness, irritable bowel syndrome, fecal urgency, a respiratory disorder, irritation of skin, eye or mucous membrane, stomach-duodenal ulcer, inflammatory diseases, ear disease, heart disease and so on.

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INTERNATIONAL SEARCH REPORT

International application No.
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A. CLASSIFICATION OF SUBJECT MATTER

C07C 311/45(2006.01)i, C07C 311/13(2006.01)i, C07C 311/35(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)

IPC 8 C07C, 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 practicable, search terms used)

e-KOMPASS

C. DOCUMENTS CONSIDERED TO BE RELEVANT

Category*	Citation of document, with indication, where appropriate, of the relevant passages	Relevant to claim No.
X	WO 2006-101318 A1(AMOREPACIFIC CORPORATION) 28 September 2006 See abstract; scheme 1; examples 18, 28, 34, 36; claims 1-11, 14-19	1-8, 13, 14
X	WO 2006-101321 A1(AMOREPACIFIC CORPORATION) 28 September 2006 See abstract; schemes 1-4; examples 1-9; tables 1 & 2; claims 1-4, 21-25	1-8, 13, 14
A	WO 2006-098554 A1(AMOREPACIFIC CORPORATION) 21 September 2006 See abstract; schemes 7, 8; claims 1-24	1-8, 13, 14
A	WO 2005-003084 A1(DIGITALBIOTECH CO., LTD.) 13 January 2005 See techincal field; claims 1-14	1-8, 13, 14

☐ 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)
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"P" document published prior to the international filing date but later than the priority date claimed
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"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	Date of mailing of the international search report
27 JULY 2009 (27.07.2009)	**28 JULY 2009 (28.07.2009)**
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 KANG Young Jin Telephone No. 82-42-481-8391

Form PCT/ISA/210 (second sheet) (July 2008)

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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.: 17, 19, 23
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 17, 19, 23 are indicated as dependent claims of claims 16, 18, 22, respectively. However, the claims 16, 18, 22 do not comply with PCT Rule 6.4(a), and thus they are not searchable, nor are their dependent claims 17, 19, 23.

3. ☒ Claims Nos.: 9-12, 15, 16, 18, 20-22
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 addtional 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/KR2009/000407

Patent document cited in search report	Publication date	Patent family member(s)	Publication date
WO 2006-101318 A1	28.09.2006	AU 2006-225496 A1	28.09.2006
		AU 2006-225434 A1	28.09.2006
		AU 2006-225496 A1	15.03.2006
		AU 2006-225434 A1	17.03.2006
		CA 2601871 A1	28.09.2006
		CA 2601873 A1	28.09.2006
		CA 2581151 A1	30.03.2006
		CN 101163670 A0	16.04.2008
		CN 101163670 A	16.04.2008
		CN 101142174 A	12.03.2008
		CN 101061008 A	24.10.2007
		CN 101142174 A0	12.03.2008
		CN 101061008 A0	24.10.2007
		EP 1861357 A1	05.12.2007
		EP 1861358 A1	05.12.2007
		EP 1812256 A2	01.08.2007
		JP 2008-538109 A	09.10.2008
		JP 2008-537547 A	18.09.2008
		KR 10-2007-0114821 A	04.12.2007
		KR 10-2008-0007329 A	18.01.2008
		US 2008-0234383 A1	25.09.2008
		US 2007-0264924 A1	15.11.2007
		US 2009-0105258 A1	23.04.2009
		WO 2006-033019 A2	30.03.2006
		WO 2006-033019 A3	30.03.2006
WO 2006-101321 A1	28.09.2006	AU 2006-225496 A1	28.09.2006
		AU 2006-225434 A1	28.09.2006
		AU 2006-225496 A1	15.03.2006
		AU 2006-225434 A1	17.03.2006
		CA 2601871 A1	28.09.2006
		CA 2601873 A1	28.09.2006
		CA 2581151 A1	30.03.2006
		CN 101163670 A0	16.04.2008
		CN 101163670 A	16.04.2008
		CN 101142174 A	12.03.2008
		CN 101061008 A	24.10.2007
		CN 101142174 A0	12.03.2008
		CN 101061008 A0	24.10.2007
		EP 1861357 A1	05.12.2007
		EP 1861358 A1	05.12.2007
		EP 1812256 A2	01.08.2007
		JP 2008-538109 A	09.10.2008
		JP 2008-537547 A	18.09.2008
		KR 10-2007-0114821 A	04.12.2007
		KR 10-2008-0007329 A	18.01.2008
		US 2008-0234383 A1	25.09.2008
		US 2007-0264924 A1	15.11.2007
		US 2009-0105258 A1	23.04.2009
		WO 2006-033019 A2	30.03.2006
		WO 2006-033019 A3	30.03.2006

INTERNATIONAL SEARCH REPORT

Information on patent family members

International application No.

PCT/KR2009/000407

Patent document cited in search report	Publication date	Patent family member(s)	Publication date
WO 2006-098554 A1	21.09.2006	KR 10-2005-0021896 A	16.03.2005
		US 2005-0662345 P	17.03.2005
WO 2005-003084 A1	13.01.2005	AU 2004-253808 A1	02.07.2004
		AU 2004-253808 A1	13.01.2005
		CA 2533547 A1	13.01.2005
		EP 1658265 A4	29.11.2006
		EP 1658265 A1	24.05.2006
		JP 2007-521288 A	02.08.2007
		KR 10-0707123 B1	06.04.2007
		US 2006-0258884 A1	16.11.2006