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[Continued on next page]

(54) Title: ANTAGONISTS OF TRPV1 RECEPTOR

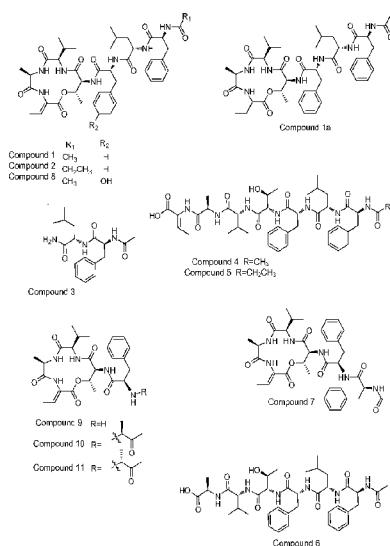
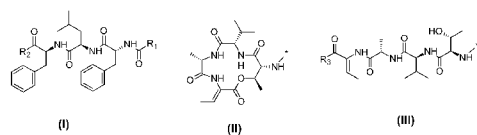


FIG. 1



(57) Abstract: TRPV1 antagonists and associated methods are provided. A TRPV1 channel antagonist can have the structure: Formula (I) wherein R_1 can be $-CH_3$, $-(CH_2)_x(CH)_yCH_3$ where $x + y = 1-20$, an aromatic, a $(CH_2)_n$ aromatic where n can be less than or equal to 6, a lipid, or a linker, and wherein R_2 can be either Formula (II) or Formula (III). Additionally, R_3 can be $-O-R_4$ or $-NH-R_4$ and R_4 can be $-H$, $-CH_3$, an ester, a cyclic ester, or an amide.



GM, KE, LR, LS, MW, MZ, NA, RW, SD, SL, SZ, TZ, UG, ZM, ZW), Eurasian (AM, AZ, BY, KG, KZ, RU, TJ, TM), European (AL, 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, RS, SE, SI, SK, SM, TR), OAPI (BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG).

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A. CLASSIFICATION OF SUBJECT MATTER*A61K 31/41(2006.01)i, A61K 31/22(2006.01)i, A61K 31/195(2006.01)i, A61P 29/00(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)

A61K 31/41; A61K 31/416; A61K 31/444; A61K 31/502; A61K 31/47; A61P 29/00

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)

eKOMPASS (KIPO internal), NCBI (PubMed, MeSH), Google

C. DOCUMENTS CONSIDERED TO BE RELEVANT

Category*	Citation of document, with indication, where appropriate, of the relevant passages	Relevant to claim No.
PX	ZHENJIAN LIN et al., 'Nobilamides A-H, Long-Acting Transient Receptor Potential Vanilloid-1 (TRPV1) Antagonists from Mollusk-Associated Bacteria', Journal of Medicinal Chemistry, 27 April 2011, Vol. 54, No. 11, pp. 3746-3755, ISSN 0022-2623 See p. 3747, lines 24-36; compounds 1-2 and 4-8; p. 3752, lines 23-34; abstract.	1-8
A	US 2010-0130552 A1 (LAYKEA TAFESSE) 27 May 2010 See the whole document.	1-8
A	US 2010-0130499 A1 (LAYKEA TAFESSE) 27 May 2010 See the whole document.	1-8
A	US 2010-0120846 A1 (ARTHUR R. GOMTSYAN et al.) 13 May 2010 See the whole document.	1-8



Further documents are listed in the continuation of Box C.



See patent family annex.

* Special categories of cited documents:

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"E" earlier application or patent but published on or after the international filing date

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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

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Date of mailing of the international search report

09 NOVEMBER 2012 (09.11.2012)

Name and mailing address of the ISA/KR

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INTERNATIONAL SEARCH REPORT

International application No.

PCT/US2012/035294**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.: 9-20
because they relate to subject matter not required to be searched by this Authority, namely:
The claims 9-20 pertain 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/US2012/035294

Patent document cited in search report	Publication date	Patent family member(s)	Publication date
US 2010-0130552 A1	27.05.2010	AU 2008-246202 A1 AU 2008-246202 B2 CA 2685121 A1 CN 101668755 A EP 2091936 A1 EP 2479173 A1 IL 201422 D0 JP 2010-525064 A KR 10-2009-0130422 A MX 2009011601 A NZ 579820 A WO 2008-133973 A1	06.11.2008 01.12.2011 06.11.2008 10.03.2010 26.08.2009 25.07.2012 31.05.2010 22.07.2010 23.12.2009 10.11.2009 28.01.2011 06.11.2008
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US 2010-0120846 A1	13.05.2010	CA 2737775 A1 CN 102245587 A EP 2352727 A1 JP 2012-505908 A MX 2011004090 A WO 2010-045402 A1	22.04.2010 16.11.2011 10.08.2011 08.03.2012 31.05.2011 22.04.2010