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— with international search report (Art. 21(3))
- (88) **Date of publication of the international search report:**
17 January 2013

(54) **Title:** ACONITINE COMPOUNDS, COMPOSITIONS, USES, AND PREPARATION THEREOF

(57) **Abstract:** Compound derivatives of aconitine are provided, in particular derivatives that modulate the activity of sodium channels. Also provided are pharmaceutical compositions comprising compounds of the invention and a pharmaceutically acceptable carrier. The subject compounds are useful in treatments, including treatments to modulate neuronal activity or to bring about muscular relaxation. The compounds also find use in the treatment of subjects suffering from a voltage-gated sodium channel-enhanced ailment or from pain. Further methods are provided for the preparation of the aconitine derivatives.



WO 2012/125871 A3

A. CLASSIFICATION OF SUBJECT MATTER*C07D 221/22(2006.01)i, A61K 31/435(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)

C07D 221/22; A61K 31/435; A61K 31/439; 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.
X	US 05770604 A (MITSUO MURAYAMA) 23 June 1998 See formulas (I)-(III); examples 1-20; table 1, 7-8; claims 1-18; abstract.	1-12
X	US 05496825 A (MITSUO MURAYAMA et al.) 05 March 1996 See formulas (I)-(X); examples 1-5; table 1; claims 1-19; abstract.	1-12
X	EP 0739882 A1 (SANWA SHOYAKU KABUSHIKI KAISHA) 30 October 1996 See formulas (I)-(X); experimental example 1; table 1; claims 1-3; abstract.	1-12
X	EP 1790341 A1 (WANG, JIANSHENG) 30 May 2007 See formulas (I)-(IV); paragraphs [0010]-[0012]; tables 3-5 and 7-14; claim 1; abstract.	1-12



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

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Date of mailing of the international search report

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Name and mailing address of the ISA/KR

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INTERNATIONAL SEARCH REPORT

International application No.
PCT/US2012/029302

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.: 24-30
because they relate to subject matter not required to be searched by this Authority, namely:
The claims 24-30 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.: 14-21, 23, 25-41, 43-48
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 14-21, 23, 25-30, and 43-48 are unsearchable because they are worded in reference to multiple dependent claims.
Claims 31-41 are unsearchable because they attempt to define the compound merely as 'an aconitine derivative' or 'aconitine congener' instead of definite the chemical structure or reference to the number of claim expressly stated in the claims.
3. ☒ Claims Nos.: 13, 22, 24, 42
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/US2012/029302

Patent document cited in search report	Publication date	Patent family member(s)	Publication date
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