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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:
11 March 2010

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(54) Title: 3- OR 4-SUBSTITUTED PIPERIDINE COMPOUNDS

(57) Abstract: There are disclosed racemic or enantiomerically enriched 3- or 4-substituted piperidine compounds represented by the following structural formula (I) or any of their isomers, or pharmaceutically acceptable salts thereof. Also disclosed are pharmaceutical compositions containing the subject compounds. The subject compounds are useful for the treatment of diseases of the central nervous system, particularly depression, anxiety and pain disorder.

INTERNATIONAL SEARCH REPORT

International application No.
PCT/KR2009/003042

A. CLASSIFICATION OF SUBJECT MATTER

C07D 257/04(2006.01)i, C07D 257/02(2006.01)i, A61K 31/445(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)
IPC8: C07D 211; C07D 257; C07D 401; A61K 31

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), FPD, PAJ, PUBMED

C. DOCUMENTS CONSIDERED TO BE RELEVANT

Category*	Citation of document, with indication, where appropriate, of the relevant passages	Relevant to claim No.
A	US 2007-0010516 A1 (HAMED AISSAOUI et al.) 11 January 2007 See whole document.	1-10
A	US 2007-0167487 A1 (DAN PETERS et al.) 19 July 2007 See whole document.	1-10
A	WO 2007-020213 A2 (F. HOFFMANN-LA ROCHE AG et al.) 22 February 2007 See whole document.	1-10
A	Edward K. Dziadulewicz et al. Naphthalen-1-yl-(4-pentyloxynaphthalen-1-yl)me thanone: A Potent, Orally Bioavailable Human CB1/CB2 Dual Agonist with Antihyperalgesic Properties and Restricted Central Nervous System Penetration. J. Med. Chem. Available online on 14 July 2007, Volume 50(16), Pages 3851-3856. See whole document.	1-10

☐ 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	Date of mailing of the international search report
13 JANUARY 2010 (13.01.2010)	**14 JANUARY 2010 (14.01.2010)**

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INTERNATIONAL SEARCH REPORT

International application No.
PCT/KR2009/003042

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.: 11-14
because they relate to subject matter not required to be searched by this Authority, namely:
Claims 11-14 pertain to methods for treatment of the human 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 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/KR2009/003042

Patent document cited in search report	Publication date	Patent family member(s)	Publication date
US 2007-0010516 A1	11.01.2007	CA 2523568 A1	18.11.2004
		EP 1641776 A1	05.04.2006
		JP 2006-525274 A	09.11.2006
		WO 2004-099180 A1	18.11.2004
US 2007-0167487 A1	19.07.2007	CA 2570075 A1	29.12.2005
		EP 1761493 A2	14.03.2007
		JP 2008-502651 A	31.01.2008
		US 2009-0215820 A1	27.08.2009
		US 7547788 B2	16.06.2009
		WO 2005-123679 A2	29.12.2005
		WO 2005-123679 A3	29.12.2005
WO 2007-020213 A2	22.02.2007	AU 2006-281435 A1	22.02.2007
		CA 2618568-A1	22.02.2007
		CN 101243078 A	13.08.2008
		EP 1945635 A2	23.07.2008
		EP 1945635 B1	06.05.2009
		JP 2009-504707 A	05.02.2009
		KR 10-2008-0035008 A	22.04.2008
		MX 2008002061 A	16.04.2008
		US 2007-043083 A1	22.02.2007
		WO 2007-020213 A3	22.02.2007