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KG, KM, KN, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV,
LY, MA, MD, MG, MK, MN, MW, MX, MZ, NA, NG, NI,
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For two-letter codes and other abbreviations, refer to the "Guid-
ance Notes on Codes and Abbreviations" appearing at the begin-
ning of each regular issue of the PCT Gazette.

(54) Title: NICOTINIC ALPHA-7 RECEPTOR LIGANDS AND PREPARATION AND USES THEREOF

(57) Abstract: The present invention relates generally to the field of ligands for nicotinic acetylcholine receptors (nAChR), activation of nAChRs, and the treatment of disease conditions associated with defective or malfunctioning nicotinic acetylcholine receptors, especially of the brain. Further, this invention relates to novel compounds which act as ligands for the $\alpha 7$ nAChR subtype, methods of preparing such compounds, compositions containing such compounds, and methods of use thereof.



WO 2006/069097 A3

INTERNATIONAL SEARCH REPORT

International application No
PCT/US2005/046256

A. CLASSIFICATION OF SUBJECT MATTER
INV. C07D453/02 A61K31/439 A61P25/00

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 A61K A61P

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 practical, search terms used)

EPO-Internal, WPI Data, PAJ, BEILSTEIN Data, CHEM ABS Data

C. DOCUMENTS CONSIDERED TO BE RELEVANT

Category*	Citation of document, with indication, where appropriate, of the relevant passages	Relevant to claim No.
Y	WO 2004/029050 A (MEMORY PHARMACEUTICALS CORPORATION; XIE, WENGE; HERBERT, BRIAN; NGUYEN) 8 April 2004 (2004-04-08) Claims, examples -----	1-3, 5-20, 22-53
Y	TATSUMI R ET AL: "(+)-3-[2-(benzo[b]thiophen-2-yl)-2-oxoethyl]-1-azabicyclo[2.2.2]-octane as potent agonists for the alpha-7 nicotinic acetylcholine receptor" BIOORGANIC & MEDICINAL CHEMISTRY LETTERS, OXFORD, GB, vol. 14, no. 14, 2004, pages 3781-3784, XP002327499 ISSN: 0960-894X figure 2; compound 4 ----- -/--	1-3, 5-20, 22-53

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 document 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 another 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

26 April 2006

Date of mailing of the international search report

11. 08. 2006

Name and mailing address of the ISA/

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INTERNATIONAL SEARCH REPORT

International application No

PCT/US2005/046256

C(Continuation). DOCUMENTS CONSIDERED TO BE RELEVANT		
Category*	Citation of document, with indication, where appropriate, of the relevant passages	Relevant to claim No.
Y	<p>MACOR J E ET AL: "THE 5-HT₃ ANTAGONIST TROPISETRON NICS 205-930) IS A POTENT AND SELECTIVE ALPHA₇ NICOTINIC RECEPTOR PARTIAL AGONIST" BIOORGANIC & MEDICINAL CHEMISTRY LETTERS, OXFORD, GB, vol. 11, 2001, pages 319-321, XP001120243 ISSN: 0960-894X compound 1</p> <p style="text-align: center;">-----</p>	1-3, 5-20, 22-53

INTERNATIONAL SEARCH REPORT

Information on patent family members

International application No

PCT/US2005/046256

Patent document cited in search report	Publication date	Patent family member(s)	Publication date
WO 2004029050 A	08-04-2004	AU 2003276919 A1	19-04-2004
		BR 0314485 A	26-07-2005
		CA 2499128 A1	08-04-2004
		CN 1684962 A	19-10-2005
		EE 200500011 A	15-06-2005
		EP 1543000 A1	22-06-2005
		HR 20050266 A2	31-10-2005
		HU 0500610 A2	28-11-2005
		JP 2006503851 T	02-02-2006
		MA 27453 A1	01-07-2005
		MX PA05003317 A	05-07-2005

INTERNATIONAL SEARCH REPORT

International application No.
PCT/US2005/046256

Box 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:

Although claims 31-53 are directed to a method of treatment of the human/animal body, the search has been carried out and based on the alleged effects of the compound/composition.
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:

see FURTHER INFORMATION sheet PCT/ISA/210
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 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:

see additional sheet

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.:

1, 2, 5-19, 22-26, 30-53 (each partial) and claims 3, 20, 27-29 (complete)

Remark on Protest

- The additional search fees were accompanied by the applicant's protest.
- No protest accompanied the payment of additional search fees.

FURTHER INFORMATION CONTINUED FROM PCT/ISA/ 210

This International Searching Authority found multiple (groups of) inventions in this international application, as follows:

1. claims: 1, 2, 5-19, 22-26, 30-53 (each partial) and claims 3, 20, 27-29 (complete)

compounds (and subject-matter referring to these compounds) of formula (I), wherein B is C(=O)O

2. claims: 1, 2, 5-19, 22-26, 30-53 (each partial) and claims 4, 21 (complete)

compounds (and subject-matter referring to these compounds) of formula (I), wherein B is C(=O)NH-CH₂

FURTHER INFORMATION CONTINUED FROM PCT/ISA/ 210

Continuation of Box II.1

Although claims 31-53 are directed to a method of treatment of the human/animal body, the search has been carried out and based on the alleged effects of the compound/composition.

Continuation of Box II.2

Claims Nos.:

The applicant's attention is drawn to the fact that claims relating to inventions in respect of which no international search report has been established need not be the subject of an international preliminary examination (Rule 66.1(e) PCT). The applicant is advised that the EPO policy when acting as an International Preliminary Examining Authority is normally not to carry out a preliminary examination on matter which has not been searched. This is the case irrespective of whether or not the claims are amended following receipt of the search report or during any Chapter II procedure. If the application proceeds into the regional phase before the EPO, the applicant is reminded that a search may be carried out during examination before the EPO (see EPO Guideline C-VI, 8.5), should the problems which led to the Article 17(2) declaration be overcome.