

(19) World Intellectual Property
Organization
International Bureau



(43) International Publication Date
24 February 2005 (24.02.2005)

PCT

(10) International Publication Number
WO 2005/016276 A3

(51) International Patent Classification⁷: **A61K 31/56**

(21) International Application Number:
PCT/US2004/025295

(22) International Filing Date: 5 August 2004 (05.08.2004)

(25) Filing Language: English

(26) Publication Language: English

(30) Priority Data:
60/492,769 5 August 2003 (05.08.2003) US

(71) Applicants (for all designated States except US):
SAMARITAN PHARMACEUTICALS INC. [US/US];
101 Convention Center Drive, Suite 301, Las Vegas, NV
89109 (US). **GEORGETOWN UNIVERSITY** [US/US];
Office of Technology Licensing, Box 571408, Washington,
DC 20057-1408 (US).

(71) Applicants and

(72) Inventors: **PAPADOPOULOS, Vassilios** [US/US];
15417 Peach Leaf Drive, North Potomac, MD 20878
(US). **LECANU, Laurent** [FR/US]; 8370 Greensboro
Drive, Building #4, Apt. 706, McLean, VA 22102 (US).
GREESON, Janet [US/US]; 2877 Paradise Road, Suite
801, Las Vegas, NV 89109 (US).

(74) Agents: **STEFFEY, Charles, E.** et al.; Schwegman, Lund-
berg, Woessner & Kluth, P.A., P.O. Box 2938, Minneapolis,
MN 55402 (US).

(81) Designated States (unless otherwise indicated, for every
kind of national protection available): AE, AG, AL, AM,
AT, AU, AZ, BA, BB, BG, BR, BW, BY, BZ, CA, CH, CN,
CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI,
GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE,
KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD,
MG, MK, MN, MW, MX, MZ, NA, NI, NO, NZ, OM, PG,
PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, SY, TJ, TM,
TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM,
ZW.

(84) Designated States (unless otherwise indicated, for every
kind of regional protection available): ARIPO (BW, GH,
GM, KE, LS, MW, MZ, NA, SD, SL, SZ, TZ, UG, ZM,
ZW), Eurasian (AM, AZ, BY, KG, KZ, MD, RU, TJ, TM),
European (AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI,
FR, GB, GR, HU, IE, IT, LU, MC, NL, PL, PT, RO, SE, SI,
SK, TR), OAPI (BF, BJ, CF, CG, CI, CM, GA, GN, GQ,
GW, ML, MR, NE, SN, TD, TG).

Published:

- with international search report
- before the expiration of the time limit for amending the
claims and to be republished in the event of receipt of
amendments

(88) Date of publication of the international search report:
7 July 2005

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: SIGMA-1 RECEPTOR LIGAND WITH ACETYLCHOLINESTERASE INHIBITION PROPERTIES

(57) Abstract: A novel compound and method for preventing or treating neurodegenerative diseases by inhibiting acetylcholinesterase and binding the sigma-1 receptor are disclosed. Dimethylcarbamic acid 2,3-bis-dimethylcarbamoxy-6-[4-(4-ethyl-piperazin-yl)-butyryl]-phenyl ester and its derivatives represent a novel therapeutic strategy against β -amyloid peptide induced neurotoxicity, in inhibiting acetylcholinesterase, in improving cholinergic transmission, in binding the sigma-1 receptor, and in releasing a metabolite that is active both as a sigma-1 receptor ligand and an antioxidant.



WO 2005/016276 A3

INTERNATIONAL SEARCH REPORT

International application No.

PCT/US04/25295

A. CLASSIFICATION OF SUBJECT MATTER

IPC(7) : A61K 31/56
US CL : 514/169, 177, 178

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)
U.S. : 514/169, 177, 178

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)
Search Terms: SP-004, neurodegenerative disease or disorder, AchE inhibitor, sigma-receptor agonist

C. DOCUMENTS CONSIDERED TO BE RELEVANT

Category *	Citation of document, with indication, where appropriate, of the relevant passages	Relevant to claim No.
A	WO 2004/108076 A2 (SAMARTIAN PHARMACEUTICALS) 16 December 2004 (16.12.2004), see entire documents.	1-22
A	US 2004/0072806 A1 (YAO et al) 15 April 2004 (15.04.2004), see entire documents.	1-22

☐ Further documents are listed in the continuation of Box C.

☐ See patent family annex.

* Special categories of cited documents:		"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
"A"	document defining the general state of the art which is not considered to be of particular relevance	"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
"E"	earlier application or patent published on or after the international filing date	"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
"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)	"&"	document member of the same patent family
"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		

Date of the actual completion of the international search

04 April 2005 (04.04.2005)

Date of mailing of the international search report

10 MAY 2005

Name and mailing address of the ISA/US

Mail Stop PCT, Attn: ISA/US
Commissioner of Patents
P.O. Box 1450
Alexandria, Virginia 22313-1450

Facsimile No. (703) 305-3230

Authorized officer

Brian Kwon

Telephone No. 703-308-1235