

(19) World Intellectual Property Organization
International Bureau



(43) International Publication Date
13 September 2001 (13.09.2001)

PCT

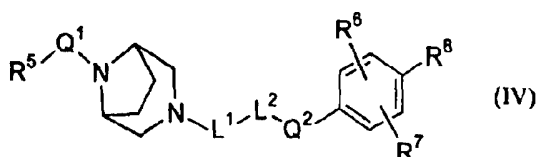
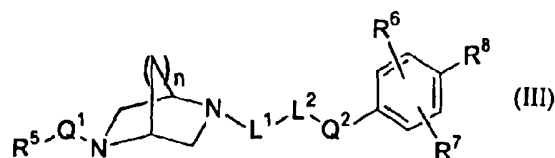
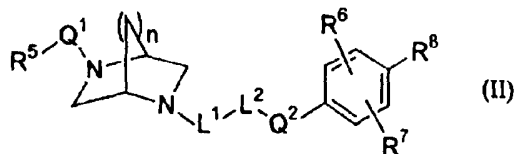
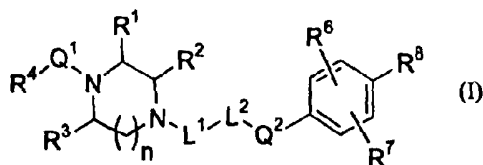
(10) International Publication Number
WO 01/066534 A3

- (51) International Patent Classification⁷: C07D 295/205, A61K 31/495, A61P 37/08, C07D 207/16, 213/56, 233/54, 243/08, 413/14, 413/12, 271/06
- (21) International Application Number: PCT/US01/06885
- (22) International Filing Date: 5 March 2001 (05.03.2001)
- (25) Filing Language: English
- (26) Publication Language: English
- (30) Priority Data:
09/521,973 9 March 2000 (09.03.2000) US
- (71) Applicant: ABBOTT LABORATORIES [US/US];
D-377 AP6D, 100 Abbott Park Road, Abbott Park, IL 60064-6050 (US).
- (72) Inventors: BENNANI, Youssef, L.; 28572 Windmere Court, Lake Bluff, IL 60044 (US). BLACK, Lawrence, A.; 1173 Tamarack Lane, Libertyville, IL 60048 (US).

- DWIGHT, Wesley, J.; 2900 Frontenac Avenue, North Chicago, IL 60060 (US). FAGHIIH, Ramin; 36 N. Washington Road, Lake Forest, IL 60045 (US). GENTLES, Robert, G.; 909 Cali Court, Libertyville, IL 60048 (US). LIU, Huaqing; 2230 Apple Hill Court, S., Buffalo Grove, IL 60089 (US). PHELAN, Kathleen, M.; 4137 Dorchester Lane, Gurnee, IL 60031 (US). VASUDEVAN, Anil; 2005 Greystem Circle #308, Gurnee, IL 60031 (US). ZHANG, Henry, Q.; 107 Suffolk Lane, Grayslake, IL 60030 (US).
- (74) Agents: COLLINS, Daniel, W. et al.; Dept. 377/AP6D-2, 100 Abbott Park Road, Abbott Park, IL 60064-6050 (US).
- (81) Designated States (*national*): CA, JP, MX.
- (84) Designated States (*regional*): European patent (AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, TR).
- Published:
— with international search report

[Continued on next page]

(54) Title: CYCLIC AND BICYCLIC DIAMINO HISTAMINE-3 RECEPTOR ANTAGONISTS



(57) Abstract: Compounds of formula (I), compounds of formula (II), compounds of formula (III), and compounds of formula (IV), or pharmaceutically acceptable salts thereof are useful as H₃ receptor antagonists. Processes to make the compounds and methods of treatment using the compounds are also disclosed.



WO 01/066534 A3



(88) Date of publication of the international search report:

16 October 2003

For two-letter codes and other abbreviations, refer to the "Guidance Notes on Codes and Abbreviations" appearing at the beginning of each regular issue of the PCT Gazette.

INTERNATIONAL SEARCH REPORT

International Application No
PCT/US 01/06885

A. CLASSIFICATION OF SUBJECT MATTER
IPC 7 C07D295/205 A61K31/495 A61P37/08 C07D207/16 C07D213/56
C07D233/54 C07D243/08 C07D413/14 C07D413/12 C07D271/06

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)
IPC 7 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)

CHEM ABS Data, EPO-Internal

C. DOCUMENTS CONSIDERED TO BE RELEVANT

Category *	Citation of document, with indication, where appropriate, of the relevant passages	Relevant to claim No.
A	EP 0 978 512 A (BIOPROJET SOC CIV) 9 February 2000 (2000-02-09) page 9 -page 23; claims ---	1-86
A	WO 00 05210 A (HATAKEYAMA SHINJI ;NIIDOME TETSUHIRO (JP); IIMURA YOICHI (JP); KAW) 3 February 2000 (2000-02-03) page 1 -& EP 1 099 692 A 16 May 2001 (2001-05-16) claims; examples ---	1-86
A	FR 2 367 067 A (KYORIN SEIYAKU KK) 5 May 1978 (1978-05-05) page 9 -page 10; claims ---	1-86
	-/--	

Further documents are listed in the continuation of box C.

Patent family members are listed in 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

11 February 2003

Date of mailing of the international search report

20/02/2003

Name and mailing address of the ISA

European Patent Office, P.B. 5818 Patentlaan 2
NL - 2280 HV Rijswijk
Tel. (+31-70) 340-2040, Tx. 31 651 epo nl,
Fax: (+31-70) 340-3016

Authorized officer

Sánchez García, J.M.

INTERNATIONAL SEARCH REPORT

International Application No
PCT/US 01/06885

C.(Continuation) DOCUMENTS CONSIDERED TO BE RELEVANT		
Category °	Citation of document, with indication, where appropriate, of the relevant passages	Relevant to claim No.
A	GANELLIN C R ET AL: "Synthesis of potent non-imidazole histamine H3-receptor antagonists" ARCHIV DER PHARMAZIE, VCH VERLAGSGESELLSCHAFT MBH, WEINHEIM, DE, 1998, pages 395-404, XP002123596 ISSN: 0365-6233 page 397	1-86
A	RYOJI KIKUMOTO ET AL: "SYNTHESIS AND ANTIDEPRESSANT ACTIVITY OF SUBSTITUTED (OMEGA-AMINOALKOXY)BENZENE DERIVATIVES" JOURNAL OF MEDICINAL CHEMISTRY, AMERICAN CHEMICAL SOCIETY. WASHINGTON, US, vol. 24, no. 2, 1 February 1981 (1981-02-01), pages 145-148, XP000565653 ISSN: 0022-2623 page 146 -page 147	1-86

INTERNATIONAL SEARCH REPORT

International application No.
PCT/US 01/06885

Box I Observations where certain claims were found unsearchable (Continuation of item 1 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 74-81 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.: 1-85 partially
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 II Observations where unity of invention is lacking (Continuation of item 2 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.
- No protest accompanied the payment of additional search fees.

FURTHER INFORMATION CONTINUED FROM PCT/ISA/ 210

Continuation of Box I.2

Claims Nos.: 1-85 partially

Present claims 1-85 relate to an extremely large number of possible compounds. In fact, the claims contain so many options, variables, possible permutations that a lack of clarity (and/or conciseness) within the meaning of Article 6 PCT arises to such an extent as to render a meaningful search of the claims impossible. Consequently, the search has been carried out for those parts of the application which do appear to be clear (and/or concise), namely compounds of pages 73-159 and claim 86.

The applicant's attention is drawn to the fact that claims, or parts of 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.

INTERNATIONAL SEARCH REPORT

Information on patent family members

International Application No

PCT/US 01/06885

Patent document cited in search report		Publication date	Patent family member(s)	Publication date
EP 0978512	A	09-02-2000	EP 0978512 A1	09-02-2000
			AU 5511999 A	21-02-2000
			CA 2321881 A1	10-02-2000
			WO 0006254 A2	10-02-2000
			EP 0982300 A2	01-03-2000
			EP 1100503 A2	23-05-2001
			JP 2002521463 T	16-07-2002

WO 0005210	A	03-02-2000	AU 4798099 A	14-02-2000
			CA 2337941 A1	03-02-2000
			CN 1310709 T	29-08-2001
			EP 1099692 A1	16-05-2001
			HU 0103530 A2	29-04-2002
			WO 0005210 A1	03-02-2000
			JP 2000169462 A	20-06-2000
			NO 20010303 A	21-03-2001

FR 2367067	A	05-05-1978	JP 53046988 A	27-04-1978
			BE 859439 A1	01-02-1978
			DE 2745073 A1	13-04-1978
			FR 2367067 A1	05-05-1978
			SE 7711246 A	08-04-1978
