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Declarations under Rule 4.17:

- as to applicant's entitlement to apply for and be granted a patent (Rule 4.17(U))
- as to the applicant's entitlement to claim the priority of the earlier application (Rule 4.17(Ui))
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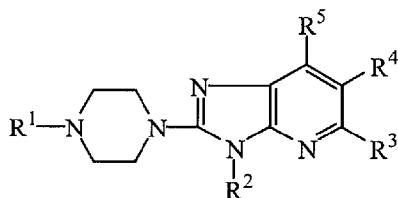
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(54) Title: 2- PIPERAZIN-I-YL-SH-IMIDAZOL, S-B]PYRIDINE DERIVATIVES



(I)

(57) Abstract: The present invention is concerned with novel compounds of formula (I) having fundic relaxing activity. The invention further relates to methods for preparing such compounds, pharmaceutical compositions comprising said compounds as well as the use as a medicine of said compounds.

INTERNATIONAL SEARCH REPORT

International application No

PCT/EP2008/050818

A. CLASSIFICATION OF SUBJECT MATTER

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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)
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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, 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 01/46189 A (JANSSEN PHARMACEUTICA NV [BE]; JANSSENS FRANS EDUARD [BE]; GUILLEMONT) 28 June 2001 (2001-06-28) see the compounds of claim 1 and their pharmaceutical activity	1-10
Y	WO 01/37824 A (JANSSEN PHARMACEUTICA NV [BE]; MEULEMANS ANN LOUISE GABRIELLE [BE]; SC) 31 May 2001 (2001-05-31) 5HT3-antagonists show fundic relaxating properties	1-10
Y	EP 0 512 939 A (ESPANOLA PROD QUIMICOS [ES]) 11 November 1992 (1992-11-11) see 2-piperazine-benzimidazoles of claim 1 showing 5HT3 antagonistic activity	1-10

D

Further documents are listed in the continuation of Box C.



See patent family annex.

* Special categories of cited documents :

¹A' document defining the general state of the art which is not considered to be of particular relevance¹E" earlier document but published on or after the international filing date¹L" 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)¹O' document referring to an oral disclosure, use, exhibition or other means¹P" document published prior to the international filing date but later than the priority date claimed¹T" 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 invention¹X" 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 alone¹Y" 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.¹&" document member of the same patent family

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INTERNATIONAL SEARCH REPORT

Information on patent family members

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Patent document cited in search report	Publication date	Patent family member(s)	Publication date
WO 0146189	A	28-06-2001	AU 781144 B2 05-05-2005
			AU 2167301 A 03-07-2001
			BG 106749 A 31-01-2003
			BR 0016638 A 01-10-2002
			CA 2393158 AI 28-06-2001
			CN 1411460 A 16-04-2003
			CZ 20022055 A3 - 18-06-2003
			EA 5851 BI 30-06-2005
			EE 200200326 A 15-10-2003
			HR 20020518 A2 31-08-2004
			HU 0203847 A2 28-03-2003
			JP 2003518118 T 03-06-2003
			MX PA02006346 A 13-12-2002
			NO 20022977 A 08-08-2002
			NZ 518816 A 31-01-2003
			PL 355442 AI 19-04-2004
			SK 8542002 A3 01-07-2003
			TW 225488 B 21-12-2004
			UA 73963 C2 15-10-2002
			US 2003139393 AI 24-07-2003
			ZA 200204983 A 22-09-2003
WO 0137824	A	31-05-2001	AT 314058 T 15-01-2006
			AU 782648 B2 18-08-2005
			AU 2507301 A 04-06-2001
			CA 2391497 AI 31-05-2001
			DE 60025261 T2 24-08-2006
			ES 2256085 T3 16-07-2006
			JP 2003514853 T 22-04-2003
			NZ 518579 A 26-09-2003
			US 2005119297 AI 02-06-2005
			US 2005209270 AI 22-09-2005
EP 0512939	A	11-11-1992	AT 183741 T 15-09-1999
			AU 666477 B2 15-02-1996
			AU 1597092 A 12-11-1992
			CA 2068292 AI 11-11-1992
			DE 69229845 DI 30-09-1999
			DE 69229845 TZ 27-04-2000
			DK 512939 T3 13-03-2000
			ES 2038532 AI 16-07-1993
			GR 3031930 T3 31-03-2000
			JP 7002795 A 06-01-1995
			MX 9202178 AI 01-11-1992
			PT 97882 A 30-04-1993
			ZA 9203319 A 07-02-1994