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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(ii))
- as to the applicant's entitlement to claim the priority of the earlier application (Rule 4.17(iii))

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[Continued on next page]

(54) Title: 6-ARYLALKYLAMINO-2,3,4,5-TETRAHYDRO-1H-BENZO[D]AZEPINES AS 5-HT2C RECEPTOR AGONISTS

(57) Abstract: The present invention provides 6-substituted 2,3,4,5-tetrahydro-IH- benzo[d]azepines of Formula (I) as selective 5-HT2c receptor agonists for the treatment of 5-HT2c associated disorders including obesity, obsessive/compulsive disorder, depression, and anxiety, where, R6 is -NR10R11, where R10 is substituted phenylalkyl or substituted pyridylalkyl and other substituents are as defined in the specification.

WO 2007/028083

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/US2006/034335

A. CLASSIFICATION OF SUBJECT MATTER INV. C07D223/16 C07D401/12 C07D403/12 C07D409/12 CO7D413/12 CO7D417/12 A61K31/55 A61P3/00 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 C. DOCUMENTS CONSIDERED TO BE RELEVANT Category' Citation of document, with indication, where appropriate, of the relevant passages Relevant to claim No. χ WO 2005/042491 A (ARENA PHARM INC [US]; 1 - 27SMITH BRIAN [US]; GILSON CHARLES III [US]; SCHUL) 12 May 2005 (2005-05-12) page 1, line 9 - line 12; claim 1; examples 1-3.5.6P,X DATABASE REGISTRY 1 Chemical Abstracts service, Columbus, Ohio, US; 30 September 2005 (2005-09-30), XP002419374 compounds 864260-82-2 P,X DATABASE REGISTRY 1 Chemical Abstracts service, Columbus, Ohio, US; 30 September 2005 (2005-09-30). XP002419375 compounds 864260-78-6 -/--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 "A" document defining the general state of the art which is not considered to be of particular relevance earlier document but published on or after the international *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 "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) "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 docu-"O" document referring to an oral disclosure, use, exhibition or ments, such combination being obvious to a person skilled document published prior to the international filing date but later than the priority date claimed "&" 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 February 2007 23/02/2007 Name and mailing address of the ISA/ Authorized officer European Patent Office, P.B. 5818 Patentiaan 2 NL - 2280 HV Rijswijk Tel. (+31-70) 340-2040, Tx. 31 651 epo nl, Fax: (+31-70) 340-3016 MORIGGI, J

INTERNATIONAL SEARCH REPORT

International application No
PCT/US2006/034335

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C(Continue	tion). DOCUMENTS CONSIDERED TO BE RELEVANT		
Category*	Citation of document, with indication, where appropriate, of the relevant passages	Relevant to claim No.	
P,X	DATABASE REGISTRY Chemical Abstracts service, Columbus, Ohio, US; 30 September 2005 (2005-09-30), XP002419376 compounds 864260-88-8, 864260-68-4	1	
Ρ,Χ	DATABASE REGISTRY Chemical Abstracts service, Columbus, Ohio, US; 30 September 2005 (2005-09-30), XP002419377 compounds 864260-66-2	1,2	
Ρ,Χ	WO 2005/082859 A (LILLY CO ELI [US]; ALLEN JOHN GORDON [US]; BRINER KARIN [US]; COHEN MI) 9 September 2005 (2005-09-09) page 1 - page 3; claims 1-37; examples 589,590,595-597	1-27	
			

International application No. PCT/US2006/034335

INTERNATIONAL SEARCH REPORT

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. X Claims Nos.: because they relate to subject matter not required to be searched by this Authority, namely: Although claims 8-15 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.						
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 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:						
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.						

INTERNATIONAL SEARCH REPORT

Information on patent family members

International application No
PCT/US2006/034335

Patent document cited in search report		Publication date	Patent family member(s)		Publication date
WO 2005042491	Α	12-05-2005	NONE		
WO 2005082859	A	09-09-2005	AR AU CA EP	048242 A1 2005217602 A1 2556390 A1 1720836 A1	12-04-2006 09-09-2005 09-09-2005 15-11-2006