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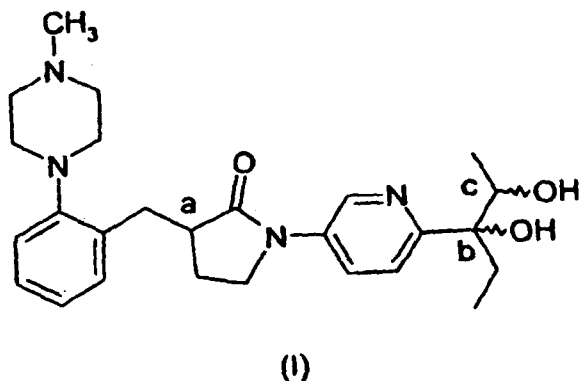
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(54) Title: STEREOSELECTIVE SYNTHESIS OF 1-[6-ETHYL-1,2-DIHYDROXY-PROPYL]-PYRIDIN-3-YL]-3-[2-(4-METHYL-PIPERAZIN-1-YL)-BENZYL]-PYRROLIDIN-2-ONE

(57) Abstract: The present invention is related
to the stereospecific preparation of 1-[6-(1-
ethyl-1,2-dihydroxy-propyl)pyridin-3-yl]-3-[2-(4-
methyl-piperazin-1-yl)-benzyl]-pyrrolidin-2-one of
structure (I), and the intermediates thereof. Another
aspect of the invention relates to 1-[6-(1-ethyl-1,2-di-
hydroxy-propyl)-pyridin-3-yl]-3-[2-(4-methyl-piper-
azin-1-yl)-benzyl]-pyrrolidin-2-one, wherein the
carbon atoms having the 1,2-dihydroxy substituents
are any of the four diastereomers selected from (R,R),
(R,S), (S,R), and (S,S), wherein the carbon three of
the pyrrolidin-2-one is (R) or (S), pharmaceutically
acceptable salts thereof, solvates thereof, pharma-
ceutical compositions containing them, and methods
using them for in treating or preventing depression,
anxiety, obsessive compulsive disorder (OCD) andother disorders for which a 5-HT₁ agonist or antagonist is indicated. Formula (I).

INTERNATIONAL SEARCH REPORT

International application No
PCT/IB2007/003890

A. CLASSIFICATION OF SUBJECT MATTER

INV. C07D213/61 C07D401/04 C07D405/06 C07D405/14 C07F7/08
C07F7/18 A61K31/496 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 C07F 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, CHEM ABS Data, WPI Data

C. DOCUMENTS CONSIDERED TO BE RELEVANT

Category*	Citation of document, with indication, where appropriate, of the relevant passages	Relevant to claim No.
P,X	WO 2006/136945 A (PFIZER PROD INC [US]; HAGEN ANNE ELIZABETH [US]; OBACH RONALD SCOTT [U] 28 December 2006 (2006-12-28) claim 3 examples 1,2	1-3
X	US 2005/245521 A1 (BRODNEY MICHAEL A [US] ET AL) 3 November 2005 (2005-11-03) cited in the application	1-5
Y	examples 61-63	6-15
Y	US 2006/030714 A1 (RUTHERFORD JENNIFER L [US] ET AL) 9 February 2006 (2006-02-09) cited in the application claims examples	6-15

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

☒ See patent family annex.

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- *&* document member of the same patent family

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US 2006030714	A1	09-02-2006	NONE			