

(19) World Intellectual Property Organization
International Bureau



(43) International Publication Date
25 September 2003 (25.09.2003)

PCT

(10) International Publication Number
WO 03/078417 A1

(51) International Patent Classification⁷: **C07D 319/18**,
405/12

(21) International Application Number: PCT/US03/07078

(22) International Filing Date: 10 March 2003 (10.03.2003)

(25) Filing Language: English

(26) Publication Language: English

(30) Priority Data:
60/363,431 12 March 2002 (12.03.2002) US

(71) Applicant (for all designated States except US): **WYETH,
A CORPORATION OF THE STATE OF DELAWARE**
[US/US]; Five Giralda Farms, Madison, NJ 07940-0874
(US).

(72) Inventors; and

(75) Inventors/Applicants (for US only): **FEIGELSON,
Gregg, Brian** [US/US]; One Independence Lane, Monsey,
NY 10952 (US). **ZELDIS, Joseph** [US/US]; 195 Long
Clove Road, New City, NY 10956 (US). **JIRKOVSKY,
Ivo** [CA/US]; P.O. Box 1209, Waitsfield, VT 05673 (US).

(74) Agents: **BARRETT, Rebecca, R.**; Wyeth, Patent Law De-
partment, Five Giralda Farms, Madison, NJ 07940-0874 et
al. (US).

(81) Designated States (*national*): AE, AG, AL, AM, AT, AU,
AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU,
CZ, DE, DK, DM, DZ, EC, EE, 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, NI, NO, NZ, OM, PH, PL, PT, RO, RU, SC, SD,
SE, SG, SK, SL, TJ, TM, TN, TR, TT, TZ, UA, UG, US,
UZ, VC, VN, YU, ZA, ZM, ZW.

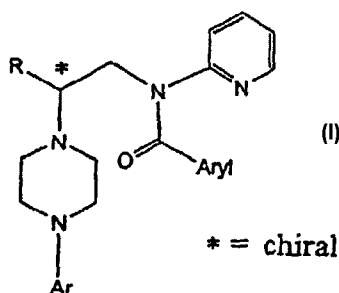
(84) Designated States (*regional*): ARIPO patent (GH, GM,
KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW),
Eurasian patent (AM, AZ, BY, KG, KZ, MD, RU, TJ, TM),
European patent (AT, BE, BG, CH, CY, CZ, DE, DK, EE,
ES, FI, FR, GB, GR, HU, IE, IT, LU, MC, NL, PT, RO,
SE, SI, SK, TR), OAPI patent (BF, BJ, 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

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: PROCESS FOR SYNTHESIZING CHIRAL N-ARYL PIPERAZINES



(57) Abstract: A process for formation of N-aryl piperazines with chiral side-chains having the structure shown in formula below, and for making intermediate compounds therefor: (Formula I). In this process, chirality is introduced at the piperazine ring formation step and 2-aminopyridyl substitution is incorporated via displacement. The resulting N,N'-disubstituted piperazines act on the central nervous system at 5HT receptors.