(12) INTERNATIONAL APPLICATION PUBLISHED UNDER THE PATENT COOPERATION TREATY (PCT)

(19) World Intellectual Property Organization
International Bureau

(43) International Publication Date
11 January 2001 (11.01.2001)

PCT

(10) International Publication Number
WO 01/01973 A2

(51) International Patent Classification[7]: A61K 31/00

(21) International Application Number: PCT/US00/17256

(22) International Filing Date: 22 June 2000 (22.06.2000)

(25) Filing Language: English

(26) Publication Language: English

(30) Priority Data:

60/141,968	1 July 1999 (01.07.1999)	US
60/144,131	16 July 1999 (16.07.1999)	US
60/158,256	6 October 1999 (06.10.1999)	US
60/170,381	13 December 1999 (13.12.1999)	US

(71) Applicant *(for all designated States except US)*: PHARMACIA & UPJOHN COMPANY [US/US]; 301 Henrietta Street, Kalamazoo, MI 49007 (US).

(72) Inventors; and

(75) Inventors/Applicants *(for US only)*: WONG, Erik, H., F. [US/US]; 7352 Hampstead Lane, Portage, MI 49024 (US). AHMED, Saeeduddin [US/US]; 9889 Defoe Court, Portage, MI 49002 (US). MARSHALL, Robert, Clyde [GB/US]; 7560 Fieldwood Circle, Mattawan, MI 49071 (US). McARTHUR, Robert [GB/US]; 531 Carrington Court, Kalamazoo, MI 49009 (US). TAYLOR, Duncan, P. [US/US]; 8722 West F Avenue, Kalamazoo, MI 49009 (US). BIRGERSON, Lars [US/US]; 54 Hillcrest Road, Martinsville, NJ 08836 (US). CETERA, Pasquale [IT/US]; 5 Pine Place, Annandale, NJ 08801 (US).

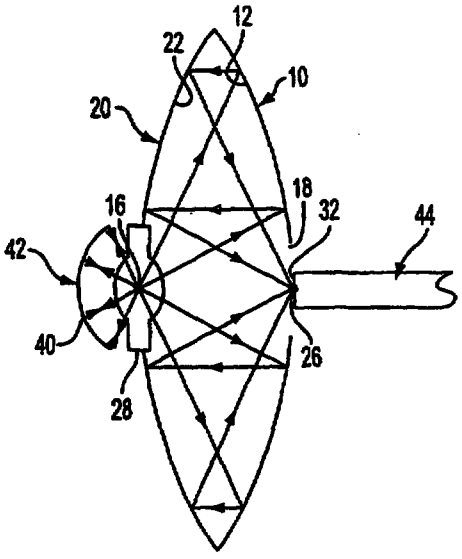
(74) Agent: NAPOLI, James, J.; Marshall, O'Toole, Gerstein, Murray & Borun, 6300 Sears Tower, 233 South Wacker Drive, Chicago, IL 60606 (US).

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

(84) Designated States *(regional)*: ARIPO patent (GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZW), Eurasian

[Continued on next page]

(54) Title: HIGHLY SELECTIVE NOREPINEPHRINE REUPTAKE INHIBITORS AND METHODS OF USING THE SAME



(57) Abstract: Methods and compositions for treating humans suffering from, or preventing a human from suffering, a physiological or psychiatric disease, disorder, or a condition where inhibiting reuptake of norepinephrine is a benefit are disclosed. The compositions comprise a compound having a pharmacological selectivity of serotonin (K_i)/norepinephrine (K_i) of at least about 5000. Examples of such compounds include reboxetine, and more preferably optically pure (S,S) enantiomer of reboxetine. The methods generally include administration of a therapeutic amount of such compositions. Also disclosed are preparations of a medicament from the composition, and uses of the composition in a manufacture of the medicament to treat a human suffering from, or preventing a human from suffering, a physiological or psychiatric disease, disorder, or condition.

WO 01/01973 A2



patent (AM, AZ, BY, KG, KZ, MD, RU, TJ, TM), European patent (AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE), OAPI patent (BF, BJ, CF, CG, CI, CM, GA, GN, GW, ML, MR, NE, SN, TD, TG).

Published:

— *Without international search report and to be republished upon receipt of that report.*

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.