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

(19) World Intellectual Property Organization
International Bureau

(43) International Publication Date
2 October 2008 (02.10.2008)

PCT

(10) International Publication Number
WO 2008/116833 A1

(51) International Patent Classification:
C07D 403/04 (2006.01) *A61K 31/404* (2006.01)
C07D 471/04 (2006.01) *A61P 25/28* (2006.01)

(21) International Application Number:
PCT/EP2008/053389

(22) International Filing Date: 20 March 2008 (20.03.2008)

(25) Filing Language: English

(26) Publication Language: English

(30) Priority Data:
07104805.2 23 March 2007 (23.03.2007) EP

(71) Applicant *(for all designated States except US)*: **ABBOTT GMBH & CO. KG** [DE/DE]; Max-Planck-Ring 2, 65205 Wiesbaden (DE).

(72) Inventors; and
(75) Inventors/Applicants *(for US only)*: **SCHULTZ, Thomas** [DE/DE]; Knollstr. 50, 67061 Ludwigshafen (DE). **BRAJE, Wilfried** [DE/DE]; Knollstr. 50, 67061 Ludwigshafen (DE). **TURNER, Sean Colm** [GB/DE]; Knollstr. 50, 67061 Ludwigshafen (DE). **HAUPT, Andreas** [DE/DE]; Knollstr. 50, 67061 Ludwigshafen (DE). **LANGE, Udo** [DE/DE]; Knollstr. 50, 67061 Ludwigshafen (DE). **DRESCHER, Karla** [DE/DE]; Knollstr. 50, 67061 Ludwigshafen (DE). **WICKE, Karsten** [DE/DE]; Knollstr. 50, 67061 Ludwigshafen (DE). **UNGER, Liliane** [DE/DE]; Knollstr. 50, 67061 Ludwigshafen (DE). **MEZLER, Mario** [DE/DE]; Knollstr. 50, 67061 Ludwigshafen (DE). **MAYRER, Matthias** [DE/DE]; Knollstr. 50, 67061 Ludwigshafen (DE).

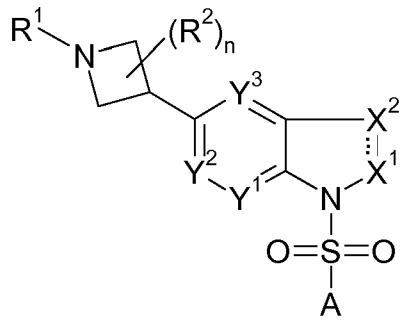
(74) Agent: **REITSTÖTTER, KINZEBACH & PARTNER**; Ludwigsplatz 4, 67059 Ludwigshafen (DE).

(81) Designated States *(unless otherwise indicated, for every kind of national protection available)*: AE, AG, AL, AM, AO, AT, AU, AZ, BA, BB, BG, BH, BR, BW, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DO, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, GT, HN, HR, HU, ID, IL, IN, IS, JP, KE, KG, KM, KN, KP, KR, KZ, LA, LC, LK, LR, LS, LT, LU, LY, MA, MD, ME, MG, MK, MN, MW, MX, MY, MZ, NA, NG, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RS, RU, SC, SD, SE, SG, SK, SL, SM, SV, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, ZA, ZM, ZW.

(84) Designated States *(unless otherwise indicated, for every kind of regional protection available)*: ARIPO (BW, GH, GM, KE, LS, MW, MZ, NA, SD, SL, SZ, TZ, UG, ZM, ZW), Eurasian (AM, AZ, BY, KG, KZ, MD, RU, TJ, TM), European (AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HR, HU, IE, IS, IT, LT, LU, LV, MC, MT, NL, NO, PL, PT, RO, SE, SI, SK, TR), OAPI (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*

(54) **Title:** AZETIDIN COMPOUNDS SUITABLE FOR TREATING DISORDERS THAT RESPOND TO MODULATION OF THE SEROTONIN 5-HT$_6$ RECEPTOR



(I)

(57) **Abstract:** The present invention relates to compounds of formula (I) wherein A is C_1-C_6-alkyl, C_1-C_6-haloalkyl, C_1-C_6-hydroxyalkyl, C_2-C_6-alkenyl, C_2-C_6-haloalkenyl, C_3-C_6-cycloalkyl, aryl-C_1-C_4-alkyl, aryl-C_2-C_4-alkenyl, aryl or hetaryl; --- is a single or double bond; X^1 and X^2 are N, CR^{x1}, NR^{x2} or $CR^{x3}R^{x4}$; R^{x1}, R^{x3} and R^{x4} are H, halogen, CN, NO_2, C_1-C_6-alkyl, C_1-C_6-alkoxy, C_1-C_6-alkylcarbonyl, etc. or two geminal radicals R^{x3} and R^{x4} together with the carbon atom to which they are bound may form a carbonyl group or a 3- to 6-membered carbocyclic or heterocyclic spiro- annulated ring; R^{x2} is hydrogen, C_1-C_6-alkyl, C_1-C_6-alkylcarbonyl, C_1-C_6-haloalkyl, etc.; or two vicinal radicals R^{x1}, R^{x2}, R^{x3} or R^{x4} together with X^1 and X^2 form a five- or six- membered carbocyclic or heterocyclic fused ring; Y^1, Y^2 and Y^3 are N or CR^y; R^y is H, halogen, CN, NO_2, C_1-C_6-alkyl, C_1-C_6-alkoxy, C_1-C_6-alkylcarbonyl, C_1-C_6-haloalkyl, etc.; wherein a maximum of 3 of the radicals X^1, X^2, Y^1, Y^2 and Y^3 are selected from NR^{x1} and N; R^1 is hydrogen, C_1-C_6-alkyl, C_1-C_6-hydroxyalkyl, C_1-C_6-haloalkyl, etc.; R^2 is C_1-C_4-alkyl or C_1-C_4-haloalkyl; n is 0, 1 or 2; physiologically tolerated acid addition salts and the N-oxides thereof, pharmaceutical composition comprising them, a method for treating medical disorders selected from diseases of the central nervous system, addiction diseases or obesity, said method comprising administering an effective amount of such compounds to a subject in need and the use of such a compound for preparing a pharmaceutical compositions.

WO 2008/116833 A1