

22 May 02
2002030468

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

(19) World Intellectual Property Organization
International Bureau



(43) International Publication Date
14 June 2001 (14.06.2001)

PCT

(10) International Publication Number
WO 01/41743 A1

- (51) International Patent Classification⁷: A61K 9/30, 31/662 (74) Agent: ASTRAZENECA AB; Global Intellectual Property, S-151 85 Södertälje (SE).
- (21) International Application Number: PCT/SE00/02427 (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.
- (22) International Filing Date: 4 December 2000 (04.12.2000)
- (25) Filing Language: English
- (26) Publication Language: English
- (30) Priority Data: 9904507-2 9 December 1999 (09.12.1999) SE (84) Designated States (*regional*): ARIPO patent (GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZW), Eurasian 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, TR), OAPI patent (BF, BJ, CF, CG, CI, CM, GA, GN, GW, ML, MR, NE, SN, TD, TG).
- (71) Applicant (*for all designated States except US*): ASTRAZENECA AB [SE/SE]; S-151 85 Södertälje (SE).
- (72) Inventors; and
- (75) Inventors/Applicants (*for US only*): AMIN, Kosrat [SE/SE]; AstraZeneca R & D Mölndal, S-431 83 Mölndal (SE). ELEBRING, Thomas [SE/SE]; AstraZeneca R & D Mölndal, S-431 83 Mölndal (SE). GUZZO, Peter [US/US]; Albany Molecular Research Inc., 21 Corporate Circle, Albany, NY 12212-5098 (US). OLSSON, Thomas [SE/SE]; AstraZeneca R & D Mölndal, S-431 83 Mölndal (SE). SWANSON, Marianne [SE/SE]; AstraZeneca R & D Mölndal, S-431 83 Mölndal (SE). VON UNGE, Sverker [SE/SE]; AstraZeneca R & D Mölndal, S-431 83 Mölndal (SE).

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 "Guidance Notes on Codes and Abbreviations" appearing at the beginning of each regular issue of the PCT Gazette.



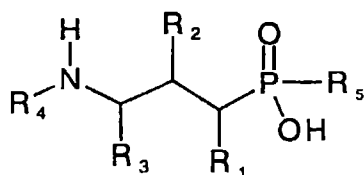
162162



ACTION

WO 01/41743 A1

(54) Title: NEW (AMINOPROPYL)METHYLPHOSPHINIC ACIDS



(57) Abstract: Novel compounds of formula (I), with the exception of i) the racemate of (3-amino-2-hydroxypropyl)methylphosphinic acid; ii) *S*-(3-amino-2-hydroxypropyl)methylphosphinic acid; iii) *R*-(3-amino-2-hydroxypropyl)methylphosphinic acid; iv) (3-amino-2-hydroxypropyl)difluoromethylphosphinic acid; and v) (3-amino-2-oxopropyl)methylphosphinic acid, having affinity to one or more GABA_B receptors, their pharmaceutically

acceptable salts, solvates and stereoisomers, as well as a process for their preparation, pharmaceutical compositions containing said therapeutically active compounds and the use of said active compounds in therapy.