2002034262

10 JUN 2002

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

(19) World Intellectual Property Organization
International Bureau

(43) International Publication Date
28 June 2001 (28.06.2001)

PCT

(10) International Publication Number
WO 01/45709 A1

(51) International Patent Classification[7]: A61K 31/495, 31/445, C07D 295/04, 295/108

(21) International Application Number: PCT/CA00/01557

(22) International Filing Date:
20 December 2000 (20.12.2000)

(25) Filing Language: English

(26) Publication Language: English

(30) Priority Data:

60/172,831	20 December 1999 (20.12.1999)	US
09/476,927	30 December 1999 (30.12.1999)	US

(71) Applicant: NEUROMED TECHNOLOGIES, INC. [CA/CA]; Suite 301, 2389 Health Sciences Mall, The University of British Columbia, Vancouver, British Columbia V6T 1Z4 (CA).

(72) Inventor: SNUTCH, Terrance, P.; 3963 West 24th Avenue, Vancouver, British Columbia V6S 1M1 (CA).

(74) Agents: ROBINSON, J., Christopher et al.; Fetherstonhaugh & Co., Suite 2200, 650 West Georgia Street, Box 11560, Vancouver, British Columbia V6B 4N8 (CA).

(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, UZ, VN, YU, ZA, ZW.

(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).

Published:
— *With international search 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.

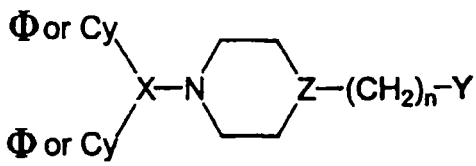


162162



ACTION

(54) Title: SUBSTITUTED PIPERAZINE AND PIPERIDINE CALCIUM CHANNEL BLOCKERS



(1)

(57) Abstract: Compounds of formula (1) and their salts, wherein Cy represents cyclohexyl; Y is CH=CHϕ L, CHϕ$_2$, ϕ or Cy, X is trivalent straight-chain alkylene (3-10C) or trivalent straight-chain 1-alkenylene (3-10C) optionally substituted by oxo at the C adjacent N when n is 0 and Y is ϕ$_2$CH; and is otherwise trivalent straight-chain alkylene (5-10C) or trivalent straight-chain 1-alkenylene (5-10C) optionally substituted by oxo at the C adjacent N; Z is N, NCO, CHNCOR^1 or CHNR^1, wherein R^1 is alkyl (1-6C); and n is 0-5; wherein each ϕ and Cy independently may optionally be substituted by alkyl (1-6C) or by halo, CF_3, OCF_3, NO_2, NR_2, OR, SR, COR, COOR, $CONR_2$, NROCR or OOCR where R is H or alkyl (1-4C), or two substituents may form a 5-7 membered ring with the proviso that the compounds of formula (1) contain at least one aromatic moiety, are useful as calcium channel blockers.

WO 01/45709 A1