7313-0

PCT

WORLD INTELLECTUAL PROPERTY ORGANIZATION
International Bureau

INTERNATIONAL APPLICATION PUBLISHED UNDER THE PATENT COOPERATION TREATY (PCT)

(51) International Patent Classification 6 :
C07D 487/04, A61K 31/50 // (C07D 487/04, 249:00, 237:00)

A1

(11) International Publication Number: WO 99/67245

(43) International Publication Date: 29 December 1999 (29.12.99)

(21) International Application Number: PCT/GB99/01897

(22) International Filing Date: 15 June 1999 (15.06.99)

(30) Priority Data:
9813576.7 24 June 1998 (24.06.98) GB

(71) Applicant *(for all designated States except US)*: MERCK SHARP & DOHME LIMITED [GB/GB]; Hertford Road, Hoddesdon, Hertfordshire EN11 9BU (GB).

(72) Inventors; and
(75) Inventors/Applicants *(for US only)*: CARLING, William, Robert [GB/GB]; (GB). CASTRO PINEIRO, Jose, Luis [ES/GB]; (GB). COWDEN, Cameron, John [AU/GB]; M.S.D., Hertford Road, Hoddesdon, Hertfordshire EN11 9BU (GB). DAVIES, Antony, John [GB/GB]; M.S.D., Hertford Road, Hoddesdon, Hertfordshire EN11 9BU (GB). MADIN, Andrew [GB/GB]; (GB). McCABE, James, Francis [GB/GB]; M.S.D., Hertford Road, Hoddesdon, Hertfordshire EN11 9BU (GB). PEARCE, Gareth, Edward, Stephen [GB/GB]; M.S.D., Hertford Road, Hoddesdon, Hertfordshire EN11 9BU (GB). STREET, Leslie, Joseph [GB/GB]; Terlings Park, Eastwick Road, Harlow, Essex CM20 2QR (GB).

(74) Agent: THOMPSON, John; Merck & Co., Inc., European Patent Dept., Terlings Park, Eastwick Road, Harlow, Essex CM20 2QR (GB).

(81) Designated States: AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, CA, CH, CN, CU, CZ, DE, DK, 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, MD, MG, MK, MN, MW, MX, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, UA, UG, US, UZ, VN, YU, ZA, ZW, ARIPO patent (GH, GM, KE, LS, MW, SD, SL, SZ, 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), OAPI patent (BF, BJ, CF, CG, CI, CM, GA, GN, GW, ML, MR, NE, SN, TD, TG).

Published
With international search report.

(54) Title: A SUBSTITUTED TRIAZOLO–PYRIDAZINE DERIVATIVE, PHARMACEUTICAL COMPOSITIONS MADE THEREFROM

(57) Abstract

7– (1, 1– Dimethylethyl) –6 – (2–ethyl– 2*H*– 1, 2, 4– triazol– 3–ylmethoxy) –3– (2–fluorophenyl) – 1,2,4–triazolo[4,3–*b*]pyridazine, and pharmaceutically acceptable salts thereof, are selective ligands for $GABA_A$ receptors, in particular having high affinity for the $\alpha 2$ and/or $\alpha 3$ subunit thereof, and are accordingly of benefit in the treatment and/or prevention of disorders of the central nervous system, including anxiety and convulsions.