

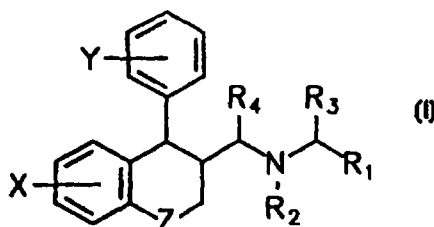


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International Bureau

INTERNATIONAL APPLICATION PUBLISHED UNDER THE PATENT COOPERATION TREATY (PCT)

(51) International Patent Classification ⁷: C07C 229/14, A61K 31/195	A1	(11) International Publication Number: WO 00/07978 (43) International Publication Date: 17 February 2000 (17.02.00)
(21) International Application Number: PCT/EP99/05477 (22) International Filing Date: 26 July 1999 (26.07.99) (30) Priority Data: 98306149.0 31 July 1998 (31.07.98) EP (71) Applicant (for all designated States except US): AKZO NOBEL N.V. [NL/NL]; Velperweg 76, NL-6824 BM Arnhem (NL). (72) Inventors; and (75) Inventors/Applicants (for US only): GIBSON, Samuel, George [GB/GB]; 19 Ross Crescent, Motherwell, Lanarkshire ML1 3AU (GB). JAAP, David, Robert [GB/GB]; 55A Baldorran Crescent, Balloch, Cumbernauld, Glasgow G68 9IX (GB). THORN, Simon, Nicholas [GB/GB]; 5 Carmichael Court, Lanark ML11 7BE (GB). GILFILLAN, Robert [GB/GB]; 125 Broad Street, Cowdenbeath, Fife KY4 8JS (GB). (74) Agent: KRAAK, H.; P.O. Box 20, NL-5340 BH Oss (NL).		(81) Designated States: AL, AU, BA, BB, BG, BR, CA, CN, CU, CZ, EE, GE, HU, ID, IL, IN, IS, JP, KP, KR, LC, LK, LR, LT, LV, MG, MK, MN, MX, NO, NZ, PL, RO, RU, SG, SI, SK, SL, TR, TT, UA, US, UZ, VN, YU, ZA, 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.  *G00002*

(54) Title: AMINOMETHYLCARBOXYLIC ACID DERIVATIVES

162162

(57) Abstract

The present invention relates to aminomethylcarboxylic acid derivatives having general formula (I), wherein Z is (CH₂)_n, O, S, SO, SO₂ or N-R₅; n is 0, 1 or 2; X represents 1-3 substituents independently selected from hydrogen, halogen, (C₁₋₆)alkyloxy, (C₃₋₆)cycloalkyloxy, (C₆₋₁₂)aryloxy, (C₆₋₁₂)aryl, thienyl, SR₆, SO₂R₆, NR₆R₆, NHR₆, NH₂, NHCOR₆, NSO₂R₆, CN, COOR₆ and (C₁₋₄)alkyl, optionally substituted with halogen, (C₆₋₁₂)aryl, (C₁₋₆)alkyloxy or (C₆₋₁₂)aryloxy; or 2 substituents at adjacent positions together represent a fused (C₅₋₆)aryl group, a fused (C₅₋₆)cycloalkyl ring or O-(CH₂)_m-O; m is 1 or 2; Y represents 1-3 substituents independently selected from hydrogen, halogen, (C₁₋₄)alkyloxy, SR₆, NR₆R₆ and (C₁₋₄)alkyl, optionally substituted with halogen; R₁ is COOR₇ or CONR₈R₉; R₂ and R₆ are (C₁₋₄)alkyl; R₃, R₄ and R₅ are independently hydrogen or (C₁₋₄)alkyl; R₇, R₈ and R₉ are independently hydrogen, (C₁₋₄)alkyl, (C₆₋₁₂)aryl or arylalkyl; or a pharmaceutically acceptable salt thereof. The invention also relates to pharmaceutical compositions comprising said derivatives, as well as to the use of these aminomethylcarboxylic acid derivatives in therapy, more specifically for the treatment of CNS disorders.