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(21) International Application Number: PCT/EP99/09115 (22) International Filing Date: 19 November 1999 (19.11.99) (30) Priority Data: 9825552.4 20 November 1998 (20.11.98) GB 9825553.2 20 November 1998 (20.11.98) GB (71) Applicants (for all designated States except US): SMITHKLINE BEECHAM S.P.A. [IT/IT]; Via Zambelletti, I-20021 Baranzate di Bollate (IT). SMITHKLINE BEECHAM LABORATOIRES PHARMACEUTIQUES [FR/FR]; 6, Esplanade Charles de Gaulle, F-92731 Nanterre Cedex (FR). (72) Inventors; and (75) Inventors/Applicants (for US only): FARINA, Carlo [IT/IT]; SmithKline Beecham S.p.A., Via Zambelletti, I-20021 Baranzate di Bollate (IT). GIARDINA, Giuseppe [IT/IT]; SmithKline Beecham S.p.A., Via Zambelletti, I-20021 Baranzate di Bollate (IT). GRUGNI, Mario [IT/IT];		SmithKline Beecham S.p.A., Via Zambelletti, I-20021 Baranzate di Bollate (IT). MORVAN, Marcel [FR/FR]; SmithKline Beecham Laboratoires Pharmaceutiques, Unité de Recherche, 4, rue du Chesnay-Beauregard, Boîte Postale 58, F-35762 St-Grégoire (FR). NADLER, Guy, Margueritte, Marie, Gérard [FR/FR]; SmithKline Beecham Laboratoires Pharmaceutiques, Unité de Recherche, 4, rue du Chesnay-Beauregard, Boîte Postale 58, F-35762 St-Grégoire (FR). RAVEGLIA, Luca, Francesco [IT/IT]; SmithKline Beecham S.p.A., Via Zambelletti, I-20021 Baranzate di Bollate (IT). (74) Agent: RUTTER, Keith; Corporate Intellectual Property, SmithKline Beecham, Two New Horizons Court, Brentford, Middlesex TW8 9EP (GB). (81) Designated States: AE, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, CA, CH, CN, CR, CU, CZ, DE, DK, DM, 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, 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, ARIPO patent (GH, GM, KE, LS, MW, 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), OAPI patent (BF, BJ, CF, CG, CI, CM, GA, GN, 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 the receipt of amendments.
(54) Title: QUINOLINE-4-CARBOXAMIDE DERIVATIVES AS NK-3 AND NK-2 RECEPTOR ANTAGONISTS (57) Abstract A compound, or a solvate or a salt thereof, of formula (I): wherein, Ar is an optionally substituted aryl or a C₅₋₇ cycloalkdienyl group, or an optionally substituted C₅₋₇ cycloalkyl group, or an optionally substituted single or fused ring aromatic heterocyclic group; R is hydrogen, linear or branched C₁₋₆ alkyl, C₃₋₇ cycloalkyl, C₃₋₇ cycloalkylalkyl, R₁ represents hydrogen or up to three optional substituents selected from the list consisting of: C₁₋₆ alkyl, C₁₋₆ alkenyl, aryl, C₁₋₆ alkoxy, hydroxy, halogen, nitro, cyano, carboxy, carboxamido, sulphonamido, C₁₋₆ alkoxy carbonyl, trifluoromethyl, acyloxy, amino or mono- and di-C₁₋₆ alkylamino; R₂ represents a moiety -(CH₂)_n-NY₁Y₂ wherein n is an integer in the range of from 1 to 9, Y₁ and Y₂ are independently selected from C₁₋₆ alkyl; C₁₋₆ alkyl substituted with hydroxy, alkoxy, C₁₋₆ alkylamino or bis (C₁₋₆ alkyl)amino; C₃₋₆ cycloalkyl; C₄₋₆ azacycloalkyl; C₁₋₆-alkenyl; aryl or aryl-C₁₋₆-alkyl or Y₁ and Y₂ together with the nitrogen atom to which they are attached represent an optionally substituted N-linked single or fused ring heterocyclic group; R₃ is branched or linear C₁₋₆ alkyl, C₃₋₇ cycloalkyl, C₄₋₇ cycloalkylalkyl, optionally substituted aryl, or an optionally substituted single or fused ring aromatic heterocyclic group; and R₄ represents hydrogen or C₁₋₆ alkyl, R₅ represents hydrogen or halogen; a process for preparing such compounds, a pharmaceutical composition comprising such compounds and the use of such compounds and composition in medicine. (I)		