

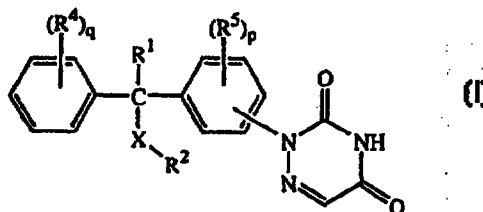


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(21) International Application Number: PCT/EP99/10169 (22) International Filing Date: 16 December 1999 (16.12.99) (30) Priority Data: 98204336.6 18 December 1998 (18.12.98) EP (71) Applicant (for all designated States except US): JANSSEN PHARMACEUTICA N.V. [BE/BE]; Patent Department, Turnhoutseweg 30, B-2340 Beerse (BE). (72) Inventors; and (75) Inventors/Applicants (for US only): FREYNE, Eddy, Jean, Edgard [BE/BE]; Janssen Pharmaceutica N.V., Turnhoutseweg 30, B-2340 Beerse (BE). DEROOSE, Frederik, Dirk [BE/BE]; Janssen Pharmaceutica N.V., Turnhoutseweg 30, B-2340 Beerse (BE). LACRAMPE, Jean, Fernand, Armand [FR/FR]; Janssen-Cilag S.A., 1, rue Camille Desmoulins, TSA 91003, F-92787 Issy-les-Moulineaux Cedex 9 (FR). EMBRECHTS, Werner, Constant, Johan [BE/BE]; Janssen Pharmaceutica N.V., Turnhoutseweg 30, B-2340 Beerse (BE). FORTIN, Jerome, Michel, Claude [FR/FR]; Janssen-Cilag S.A., 1, rue Camille Desmoulins, TSA 91003, F-92787 Issy-les-Moulineaux Cedex 9 (FR).	(74) Agent: LEAPER, Lyn; Janssen Pharmaceutica N.V., Patent Department - ext. 6424, Turnhoutseweg 30, B-2340 Beerse (BE). (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 <i>With international search report.</i>	

(54) Title: IL-5 INHIBITING 6-AZAUACIL DERIVATIVES**(57) Abstract**

The present invention is concerned with the compounds of formula (I), a *N*-oxide, a pharmaceutically acceptable addition salt, a quaternary amine or a stereochemically isomeric form thereof, wherein *p* is 0 to 4; *q* is 0 to 5; *X* is O, S, NR³ or a direct bond; or -X-R² is CN; R¹ is H, OH, halo, NH₂, mono- or



di(C₁₋₄alkyl)NH₂, C₁₋₆alkyl, C₁₋₆alkylo, C₃₋₇cycloalkyl, aryl, arylC₁₋₆alkyl, NH₂C₁₋₄alkyl, mono- or di(C₁₋₄alkyl)NH₂C₁₋₄alkyl or mono- or di(C₁₋₄alkyl)NH₂C₁₋₄alkylNH₂; R² is aryl, Het¹, optionally substituted C₃₋₇cycloalkyl, optionally substituted C₁₋₆alkyl; R³ is H or C₁₋₄alkyl; R⁴ and R⁵ are -C(=O)-Z-R¹⁴, C₁₋₆alkyl, halo, polyhaloC₁₋₆alkyl, OH, mercapto, C₁₋₆alkylo, C₁₋₆alkylthio, C₁₋₆alkylC(=O)O, aryl, cyano, nitro, Het³, R⁶, NR⁷R⁸ or C₁₋₄alkyl substituted with -C(=O)-Z-R¹⁴, Het³, R⁶ or NR⁷R⁸; Z is O, S, NH, -CH₂-O- or -CH₂-S-; R¹⁴ is H, C₁₋₂₀acyl, optionally substituted C₁₋₂₀alkyl, optionally substituted C₃₋₂₀alkenyl, C₃₋₂₀alkynyl, C₃₋₇cycloalkyl, polyhaloC₁₋₂₀alkyl, Het⁵, phenyl; or R¹⁴ is an oxygen containing radical; aryl is optionally substituted phenyl; Het¹, Het², Het³ and Het⁵ are optionally substituted heterocycles; Het⁴ is a monocyclic heterocycle; provided however that R² is other than NH₂C(=O), C₁₋₆alkylOC(=O)C₁₋₆alkyl; and R¹¹ is other than COOH, C₁₋₄alkylOC(=O), NH₂C(=O), C₁₋₄alkylNH₂C(=O), OHC₁₋₄alkylNH₂C(=O), C₁₋₄alkylC(=O)NH₂C(=O), C₃₋₇cycloalkylNH₂C(=O); and R⁷, R⁸, R⁹, R¹⁰, R¹², R¹³, R¹⁵ and R¹⁶ are other than C₁₋₄alkylC(=O)OC₁₋₄alkylC(=O), OHC₁₋₄alkylC(=O); and Het³ is other than a monocyclic heterocycle substituted with COOH or C₁₋₄alkylOC(=O); and the compounds of formula (I) contain at least one -C(=O)-Z-R¹⁴ moiety; to processes for their preparation and compositions comprising them. It further relates to their use as a medicine.