03 SEP 2003

20030490I2

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

(19) World Intellectual Property Organization
International Bureau

(43) International Publication Date
10 October 2002 (10.10.2002)

PCT

(10) International Publication Number
WO 02/079194 A1

(51) International Patent Classification[7]: C07D 403/06, 417/14, 401/14, A61K 31/506, A61P 31/18

(21) International Application Number: PCT/US02/09491

(22) International Filing Date: 27 March 2002 (27.03.2002)

(25) Filing Language: English

(26) Publication Language: English

(30) Priority Data:
60/279,938 29 March 2001 (29.03.2001) US

(71) Applicant: SCHERING CORPORATION [US/US]; Patent Department - K-6-1 1990, 2000 Galloping Hill Road, Kenilworth, NJ 07033-0530 (US).

(72) Inventor: MILLER, Michael, W.; 1017 South Avenue, Westfield, NJ 07090 (US).

(74) Agent: MAGATTI, Anita, W.; Schering-Plough Corporation, Patent Department -K-6-1 1990, 2000 Galloping Hill Road, Kenilworth, NJ 07033-0530 (US).

(81) Designated States *(national)*: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, HR, HU, ID, IL, IN, IS, JP, KG, KR, KZ, LC, LK, LR, LT, LU, LV, MA, MD, MG, MK, MN, MX, MZ, NO, NZ, PH, PL, PT, RO, RU, SE, SG, SI, SK, SL, TJ, TM, TN, TR, TT, TZ, UA, UZ, VN, YU, ZA, ZM.

(84) Designated States *(regional)*: ARIPO patent (GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, 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, GQ, GW, ML, MR, NE, SN, TD, TG).

Declaration under Rule 4.17:
— *as to the applicant's entitlement to claim the priority of the earlier application (Rule 4.17(iii)) for all designations*

Published:
— *with international search report*
— *before the expiration of the time limit for amending the claims and to be republished in the event of receipt of amendments*

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

WO 02/079194 A1

(54) Title: CCR5 ANTAGONISTS USEFUL FOR TREATING AIDS

(57) Abstract: Compounds of the formula (I) or a pharmaceutically acceptable salt or isomer thereof, wherein: Q, X and Z are CH or N; R, R^4-R^7 and R^{13} are H or alkyl; R^1 is H, alkyl, fluoroalkyl, R^9-arylalkyl, R^9-heteroarylalkyl, alkyl-SO_2-, cycloalkyl-SO_2-, fluoroalkyl-SO_2-, R^9-aryl-SO_2-, R^9-heteroaryl-SO_2-, $N(R^{22})(R^{23})$-SO_2-, alkyl-C(O)-, cycloalkyl-C(O)-, fluoroalkyl-C(O)-, R^9-aryl-C(O)-, NH-alkyl-C(O)- or R^9-aryl-NH-C(O)-; R^2 is H and R^3 is H, alkyl, alkoxyalkyl, cycloalkyl, cycloalkylalkyl, R^9-aryl, R^9-arylalkyl, R^9-heteroaryl, or R^9-heteroarylalkyl, and when X and Z are each CH, R^3 is alkoxy, R^9-aryloxy, R^9-heteroaryloxy, alkylC(O)O-, alkylaminoC(O)O-, alkylC(O)NR^{13}-, alkylOC(O)NR^{13}- or alkylaminoC(O)NR^{13}-; or R^2 and R^3 together are = O, = NOR^{10}, = N-$NR^{11}R^{12}$ or = CH-alkyl; R^8 is substituted phenyl, substituted heteroaryl, naphthyl, fluorenyl, diphenymethyl, alpha-substituted benzyl or alpha-substituted heteroarylmethyl; R^9-R^{12} are as defined; are disclosed for the treatment of HIV, solid organ transplant rejection, graft v. host disease, inflammatory diseases, atopic dermatitis, asthma, allergies or multiple sclerosis, as well as pharmaceutical compositions and combinations with antiviral or anti-inflammatory agents.