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

(19) World Intellectual Property Organization
International Bureau

(43) International Publication Date
7 October 2004 (07.10.2004)

PCT

(10) International Publication Number
WO 2004/085406 A1

(51) International Patent Classification[7]: C07D 237/14, 237/22, 405/06, 401/12, 409/06, A61K 31/50, 31/501, A61P 31/18

(21) International Application Number: PCT/EP2004/002736

(22) International Filing Date: 17 March 2004 (17.03.2004)

(25) Filing Language: English

(26) Publication Language: English

(30) Priority Data:
60/457,144 24 March 2003 (24.03.2003) US

(71) Applicant *(for all designated States except US)*: **F.HOFFMANN-LA ROCHE AG** [CH/CH]; Grenzacherstrasse 124, CH-4070 Basel (CH).

(72) Inventors: **DUNN, James, Patrick**; 270 Portola Court, Los Altos, CA 94022 (US). **DYMOCK, Brian, William**; 15 Vesta Avenue, St. Albans, Hertfordshire AL1 2PG (GB). **MIRZADEGAN, Taraneh**; 989 Terrace Drive, Los Altos, CA 94024 (US). **SJOGREN, Eric, Brian**; 442 Dell Avenue, Mountain View, CA 94043 (US). **SWALLOW, Steven**; 637 Palm Avenue, Los Altos, CA 94022 (US). **SWEENEY, Zachary, Kevin**; 143 King Street, Redwood City, CA 94062 (US).

(74) Agent: **SCHAGER, Frank**; Grenzacherstrasse 124, CH-4070 Basel (CH).

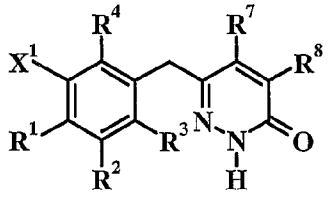
(81) Designated States *(unless otherwise indicated, for every kind of national protection available)*: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BW, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NA, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW.

(84) Designated States *(unless otherwise indicated, for every kind of regional protection available)*: ARIPO (BW, GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW), Eurasian (AM, AZ, BY, KG, KZ, MD, RU, TJ, TM), European (AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IT, LU, MC, NL, PL, PT, RO, SE, SI, SK, TR), OAPI (BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG).

Published:
— *with international search report*

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.

(54) Title: BENZYL-PYRIDAZINONS AS REVERSE TRANSCRIPTASE INHIBITORS



(I)

(57) Abstract: This invention relates to novel pyridazinone derivatives of formula (I) wherein R^1-R^4, R^7, R^8 and X^1 are as defined in the summary and pharmaceutically acceptable salts and solvates thereof, methods to inhibit or modulate Human Immunodeficiency Virus (HIV) reverse transcriptase with compounds of formula (I), pharmaceutical compositions containing of formula (I) admixed with at least one solvent, carrier or excipient and processes to prepare compounds of formula (I). The compounds are useful for treating disorders in which HIV and genetically related viruses are implicated.

WO 2004/085406 A1