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

(19) World Intellectual Property Organization
International Bureau

(43) International Publication Date
7 August 2003 (07.08.2003)

PCT

(10) International Publication Number
WO 03/064416 A1

(51) International Patent Classification[7]: C07D 417/14, A61K 31/47, A61P 31/00, C07K 4/00

(21) International Application Number: PCT/CA03/00091

(22) International Filing Date: 24 January 2003 (24.01.2003)

(25) Filing Language: English

(26) Publication Language: English

(30) Priority Data:
2,369,970 1 February 2002 (01.02.2002) CA

(71) Applicant *(for all designated States except US)*: **BOEHRINGER INGELHEIM INTERNATIONAL GMBH** [DE/DE]; Binger Strasse 173, D-55216 Ingelheim (DE).

(72) Inventors; and

(75) Inventors/Applicants *(for US only)*: **LLINAS-BRUNET, Montse** [CA/CA]; 2100 Cunard Street, Laval, Québec H7S 2G5 (CA). **BAILEY, Murray, D.** [CA/CA]; 2100 Cunard Street, Laval, Québec H7S 2G5 (CA). **GHIRO, Elise** [CA/CA]; 2100 Cunard Street, Laval, Québec H7S 2G5 (CA).

(74) Agent: **BERNIER, Louise, G.**; Boehringer Ingelheim (Canada) Ltd., 2100 Cunard Street, Laval, Québec H7S 2G5 (CA).

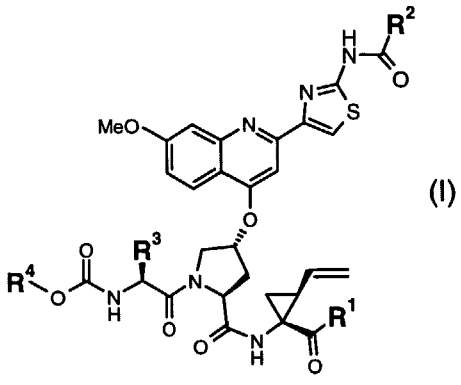
(81) Designated States *(national)*: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, OM, PH, PL, PT,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

(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, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IT, LU, MC, NL, PT, SE, SI, SK, TR), OAPI patent (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: HETEROCYCLIC TRIPEPTIDES AS HEPATITIS C INHIBITORS



(I)

(57) Abstract: Compounds of formula (I):wherein R^1 is hydroxyl or sulfonamide derivative; R^2 is t-butyl or $-CH_2-C(CH_3)_3$ or $-CH_2$-cyclopentyl; $R^3$is t-butyl or cyclohexil and R^4 is cyclobutyl, cyclopentyl or cyclohexyl; or a pharmaceutically acceptable salt thereof, are described as useful as inhibitor of the HCV NS3 protease.

WO 03/064416 A1