

(19) World Intellectual Property Organization
International Bureau(43) International Publication Date
22 August 2002 (22.08.2002)

PCT

(10) International Publication Number
WO 02/064572 A1(51) International Patent Classification⁷: C07D 239/96,
401/12, 405/12, 409/12, 405/14, 409/14, 471/04, 403/12,
403/10, 410/10, 413/10, 401/06, A61K 31/5025, 31/505

(21) International Application Number: PCT/EP02/01979

(22) International Filing Date: 11 February 2002 (11.02.2002)

(25) Filing Language: English

(26) Publication Language: English

(30) Priority Data:
60/268,661 14 February 2001 (14.02.2001) US(71) Applicant (for all designated States except US):
WARNER-LAMBERT COMPANY [US/US]; 201
Tabor Road, Morris Plains, NJ 07950 (US).

(72) Inventors; and

(75) Inventors/Applicants (for US only): **ANDRIANJARA,**
Charles [FR/FR]; 3, rue Auguste Daix, F-94260 Fresnes
(FR). **CHANTEL-BARVIAN, Nicole** [US/US]; 1225
Olivia Avenue, Ann Arbor, MI 48104 (US). **GAUDIL-**
LIERE, Bernard [FR/FR]; 28, rue de Zilina, F-92000
Nanterre (FR). **JACOBELLI, Henri** [FR/FR]; 65, av-
enue du Général de Gaulle, F-91550 Paray Vieille Poste
(FR). **ORTWINE, Daniel, Fred** [—/—]; 3594 Oak Park
Drive, Saline, MI 48176 (US). **PATT, William, Chester**
[US/US]; 160 East Summit, Chelsea, MI 48118 (US).
PHAM, Ly [US/US]; 1609 Buchanana SW, Grand Rapids,
MI 49507 (US). **KOSTLAN, Catherine, Rose** [US/US];
9876 Moon Road, Saline, MI 48176 (US). **WILSON,**
Michael, William [US/US]; 3520 Charter Place, Ann
Arbor, MI 48105 (US).(74) Agents: **HIRSCH, Denise** et al.; Pfizer Global Research
and Development, Fresnes Laboratories, 3-9, rue de la
Loge, B.P. 100, F-94265 Fresnes Cedex (FR).(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,
SI, SK, SL, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ,
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, 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).

Declarations under Rule 4.17:

- as to applicant's entitlement to apply for and be granted a patent (Rule 4.17(ii)) for the following designations 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, SI, SK, SL, TJ, TM, TN, TR, TT, TZ, UA, UG, UZ, VN, YU, ZA, ZM, ZW, 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)
- as to the applicant's entitlement to claim the priority of the earlier application (Rule 4.17(iii)) for the following designations 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, SI, SK, SL, TJ, TM, TN, TR, TT, TZ, UA, UG, UZ, VN, YU, ZA, ZM, ZW, 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,

[Continued on next page]

(54) Title: QUINAZOLINES AS MMP-13 INHIBITORS

(57) Abstract: A compound selected from those of formula (I): in which: R₁ represents a group selected from hydrogen, amino, alkyl, alkenyl, aminoalkyl, aryl, arylalkyl, heterocycle, and cycloalkylalkyl, optionally substituted, W represents oxygen, sulphur, or =N-R', in which R' is as defined in the description, X₁, X₂ and X₃ represent nitrogen or -C-R₆ in which R₆ is as defined in the description, Y represents oxygen, sulphur, -NH, or -N(C₁-C₆)alkyl, Z represents oxygen, sulphur, -NR₇ in which R₇ is as defined in the description, and optionally carbon atom, n is an integer from 1 to 8 inclusive, Z₁ represents -CR₈R₉ wherein R₈ and R₉ are as defined in the description, A represents aromatic or non-aromatic, heterocyclic or non-heterocyclic ring system, m is an integer from 0 to 7 inclusive, the group(s) R₂ is (are) is as defined in the description, R₃ represents hydrogen, alkyl, alkenyl, alkynyl, or a group of formula: in which Z₂, B, R₅, P and q are as defined in the description, optionally, the racemic forms thereof, isomers thereof, N-oxides thereof, and the pharmaceutically acceptable salts thereof, and medicinal products containing the same are useful as specific inhibitors of type-13 matrix metalloprotease.



WO 02/064572 A1



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)

— *of inventorship (Rule 4.17(iv)) for US only*

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.