

(19) World Intellectual Property
Organization
International Bureau



(43) International Publication Date
20 October 2005 (20.10.2005)

PCT

(10) International Publication Number
WO 2005/097133 A1

- (51) International Patent Classification⁷: **A61K 31/515**, 31/724, A61P 11/00
- (21) International Application Number: PCT/EP2005/003345
- (22) International Filing Date: 31 March 2005 (31.03.2005)
- (25) Filing Language: English
- (26) Publication Language: English
- (30) Priority Data: 04007920.4 1 April 2004 (01.04.2004) EP
- (71) Applicants (for all designated States except US): **F. HOFFMANN-LA ROCHE AG** [CH/CH]; Grenzacherstrasse 124, CH-4070 Basel (CH). **UNIVERSITE DE LIEGE** [BE/BE]; Quai Van Beneden 25, B-4020 Liège (BE).
- (72) Inventors; and
- (75) Inventors/Applicants (for US only): **CATALDO, Didier** [BE/BE]; Rue Vaux, 363, B-4870 Trooz (BE). **DELATRE, Luc** [BE/BE]; Rue de l'Enclos, 12, B-4680 Hermee (BE). **EVARD, Brigitte** [BE/BE]; Grand-Route, 94, B-4537 Verlaine (BE). **FOIDART, Jean-Michel** [BE/BE]; sur la Heid, 3, B-4870 Trooz (BE). **KRELL, Hans-Willi** [AT/DE]; Zugspitzstrasse 14a, 82377 Penzberg (DE).
- (74) Agent: **SCHREINER, Siegfried**; Roche Diagnostics GmbH, Patent Department (TR-E), Postfach 11 52, 82372 Penzberg (DE).
- (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, SM, 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, NA, 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, IS, IT, LT, 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).

Declaration under Rule 4.17:

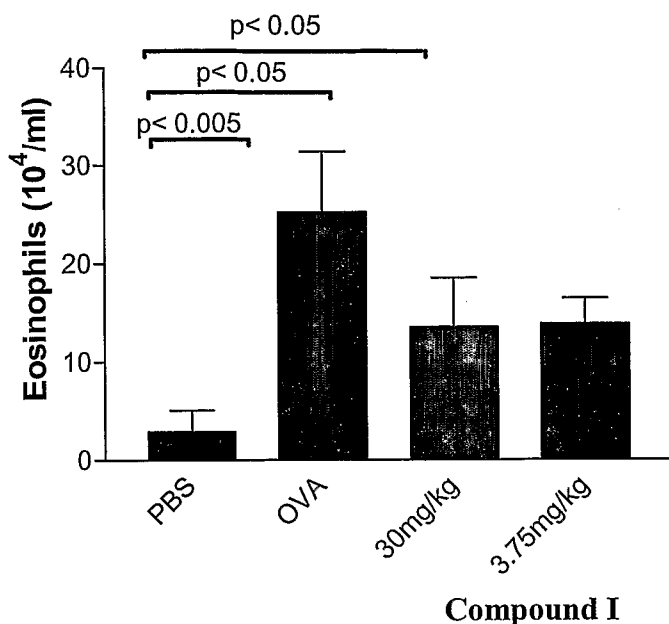
— of inventorship (Rule 4.17(iv)) for US only

Published:

— with international search report

[Continued on next page]

(54) Title: USE OF A TRIOXOPYRIMIDINE FOR THE TREATMENT AND PREVENTION OF BRONCHIAL INFLAMMATORY DISEASES



(57) Abstract: The invention provides the use of a trioxypyrimidine compound having an inhibitory activity against MMP-1, MMP-2, MMP-3, MMP-9 and MMP-14 defined as a) an IC₅₀ value of less than 5 μM for MMP-2, MMP-9 and MMP-14 each; b) a ratio of more than 100 for the IC₅₀ values of MMP-1:MMP-2, MMP-1:MMP-9, MMP-1:MMP-14; and c) a ratio of more than 10 for the IC₅₀ values of MMP-3:MMP-2, MMP-3:MMP-9, MMP-3:MMP-14, for the manufacturing of a medicament for the treatment or prevention of bronchial inflammatory diseases.



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.