

05 AUG 2002

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

(19) World Intellectual Property Organization
International Bureau

162162

(43) International Publication Date
16 August 2001 (16.08.2001)

PCT

(10) International Publication Number
WO 01/58852 A3(51) International Patent Classification⁷: C07C 233/51,
233/52, 235/34, 233/22, C07D 213/75, 241/20, 239/42,
C07C 233/11, A61K 31/165, 31/395, C07K 5/062, C07C
237/20, 235/78Via Campo di Pile, I-67100 L'Aquila (IT). **GANDOLFI, Carmelo** [IT/IT]; Via Campo di Pile, I-67100 L'Aquila (IT). **COLOTTA, Francesco** [IT/IT]; Via Campo di Pile, I-67100 L'Aquila (IT).

(21) International Application Number: PCT/EP01/01285

(74) Agent: **PIERACCIOLI, Daniele**; Dompé S.p.A., Via San Martino, 12, I-20122 Milano (IT).

(22) International Filing Date: 6 February 2001 (06.02.2001)

(25) Filing Language: English

(26) Publication Language: English

(30) Priority Data:
M12000A000227 11 February 2000 (11.02.2000) IT(81) Designated States (*national*): AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CR, CU, CZ, DE, DK, DM, DZ, 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, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, TZ, UA, UG, US, UZ, VN, YU, ZA, ZW.(71) Applicant (*for all designated States except US*): **DOMPÉ S.P.A.**, [IT/IT]; Via Campo di Pile, I-67100 L'Aquila (IT).(84) Designated States (*regional*): ARIPO patent (GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, 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, GW, ML, MR, NE, SN, TD, TG).

(72) Inventors; and

(75) Inventors/Applicants (*for US only*): **ALLEGRETTI, Marcello** [IT/IT]; Via Campo di Pile, I-67100 L'Aquila (IT). **BERTINI, Riccardo** [IT/IT]; Via Campo di Pile, I-67100 L'Aquila (IT). **CINZIA, Bizzarri** [IT/IT]; Via Campo di Pile, I-67100 L'Aquila (IT). **SABBATINI, Vilma** [IT/IT]; Via Campo di Pile, I-67100 L'Aquila (IT). **CASELLI, Gianfranco** [IT/IT]; Via Campo di Pile, I-67100 L'Aquila (IT). **CESTA, Maria, Candida** [IT/IT];

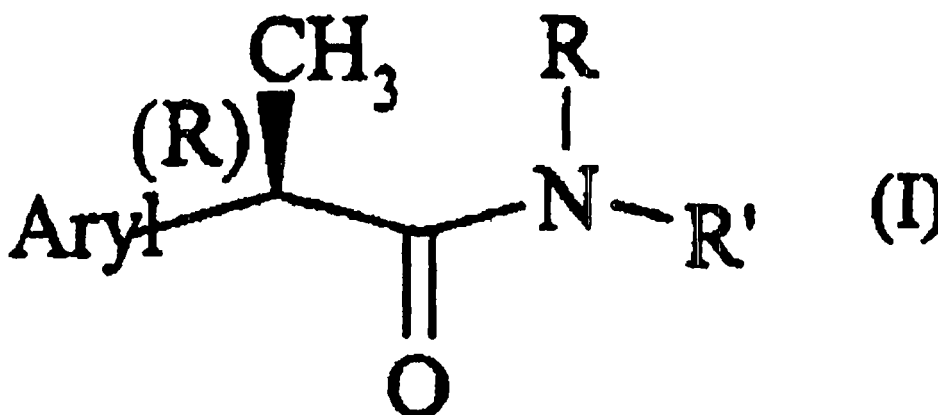
Published:

— with international search report

(88) Date of publication of the international search report:
14 March 2002

[Continued on next page]

(54) Title: (R)-2-ARYL-PROPIONAMIDES, USEFUL IN THE INHIBITION OF IL-8-INDUCED CHEMIOTAXIS OF NEUTROPHILS



(57) Abstract: N-(2-aryl-propionyl)-amides of formula (I) are described. The process for their preparation and pharmaceutical preparations thereof are also described. The amides of the invention are useful in the prevention and treatment of tissue damage due to the exacerbate recruitment of polymorphonuclear neutrophils (leukocytes PMN) at the inflammatory sites. In particular, the invention relates to the R enantiomers of N-(2-aryl-propionyl)amides of formula (I) for use in the inhibition of the chemotaxis of neutrophils induced by IL-8. The compounds of the invention are used in the treatment of psoriasis, ulcerative colitis, glomerular nephritis, acute respiratory insufficiency, idiopathic fibrosis, and rheumatoid arthritis.

WO 01/58852 A3



ACTION

WO 01/58852 A3

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.