

05/11/04
2004064630



ACTION

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

(19) World Intellectual Property Organization
International Bureau



(43) International Publication Date
11 December 2003 (11.12.2003)

PCT

(10) International Publication Number
WO 03/101957 A1

(51) International Patent Classification⁷: **C07D 205/04**,
A61K 31/396

(21) International Application Number: PCT/SE03/00859

(22) International Filing Date: 27 May 2003 (27.05.2003)

(25) Filing Language: English

(26) Publication Language: English

(30) Priority Data:
0201661-6 31 May 2002 (31.05.2002) SE

(71) Applicant (for all designated States except US): **ASTRAZENECA AB** [SE/SE]; S-151 85 Södertälje (SE).

(72) Inventors; and

(75) Inventors/Applicants (for US only): **AHLQVIST, Matti** [SE/SE]; AstraZeneca R & D Mölndal, S-431 83 Mölndal (SE). **BOHLIN, Martin** [SE/SE]; AstraZeneca R & D Södertälje, S-151 85 Södertälje (SE). **INGHARDT, Tord** [SE/SE]; AstraZeneca R & D Mölndal, S-431 83 Mölndal (SE). **LUNDBLAD, Anita** [SE/SE]; AstraZeneca R & D Mölndal, S-431 83 Mölndal (SE). **SIGFRIDSSON, Carl-Gustaf** [SE/SE]; AstraZeneca R & D Mölndal, s-431 83 Mölndal (SE).

(74) Agent: **ASTRAZENCA AB**; Global Intellectual Property, S-151 85 Södertälje (SE).

(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, NI, NO, NZ, OM, PH, PL, PT, RO, RU, SC, 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, RO, SE, SI, SK, TR), OAPI patent (BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG).

Declaration 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, NI, NO, NZ, OM, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, TJ, TM, TN, TR, TT, TZ, UA, UG, UZ, VC, 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, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IT, LU, MC, NL, PT, RO, 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

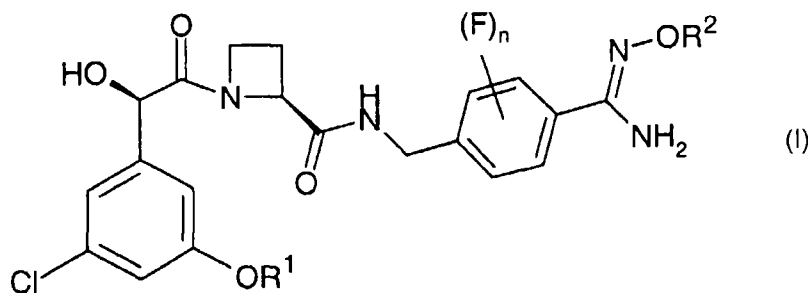
For two-letter codes and other abbreviations, refer to the "Guidance Notes on Codes and Abbreviations" appearing at the beginning of each regular issue of the PCT Gazette.

(54) Title: NEW SALTS



162162

WO 03/101957 A1



(I)

(e.g. thrombosis) or as anticoagulants.

(57) Abstract: There is provided pharmaceutically-acceptable acid addition salts of compounds of formula (I), wherein R¹ represents C₁₋₂ alkyl substituted by one or more fluoro substituents; R² represents C₁₋₂ alkyl; and n represents 0, 1 or 2, which salts are useful as prodrugs of competitive inhibitors of trypsin-like proteases, such as thrombin, and thus, in particular, in the treatment of conditions where inhibition of thrombin is required