

(19) World Intellectual Property Organization
International Bureau



(43) International Publication Date
13 December 2001 (13.12.2001)

PCT

(10) International Publication Number
WO 01/94301 A2

(51) International Patent Classification⁷: **C07C 275/42**

(21) International Application Number: PCT/EP01/06029

(22) International Filing Date: 26 May 2001 (26.05.2001)

(25) Filing Language: English

(26) Publication Language: English

(30) Priority Data:
00112116.9 6 June 2000 (06.06.2000) EP

(71) Applicant: **AVENTIS PHARMA DEUTSCHLAND GMBH** [DE/DE]; Brüningsstrasse 50, 65929 Frankfurt (DE).

(72) Inventors: **KLINGLER, Otmar**; Leipziger Ring 363, 63110 Rodgau (DE). **SCHUDOK, Manfred**; Eberlestrasse 28, 65817 Eppstein/Ts. (DE). **NESTLER, Hans-Peter**; Sendelbacher Weg 46, 65779 Kelkheim (DE). **MAT-TER, Hans**; Feldbergring 37, 63505 Langenselbold (DE). **SCHREUDER, Herman**; Jahnstrasse 22, 65179 Hofheim-Lorsbach (DE).

(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, MW, MX, MZ, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, TZ, UA, UG, UZ, VN, YU, ZA, ZW.

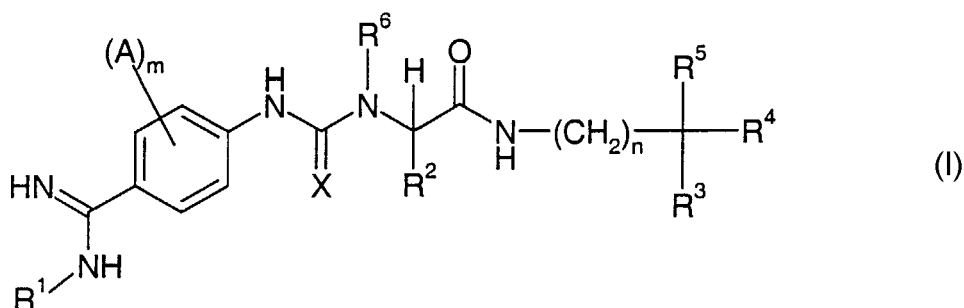
(84) Designated States (*regional*): ARIPO patent (GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZW), Eurasian patent (AM, AZ, BY, KG, KZ, MD, RU, TJ, TM), European patent (AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, TR), OAPI patent (BF, BJ, CF, CG, CI, CM, GA, GN, GW, ML, MR, NE, SN, TD, TG).

Published:

— without international search report and to be republished upon receipt of that 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: FACTOR VIIA INHIBITORY (THIO)UREA DERIVATIVES, THEIR PREPARATION AND THEIR USE



(57) Abstract: The present invention relates to compounds of formula (I), in which R¹, R², R³, R⁴, R⁵, R⁶, A, X, m and n have the meanings indicated in the claims. The compounds of the formula (I) are valuable pharmacologically active compounds. They exhibit a strong antithrombotic effect and are suitable, for example, for the therapy and prophylaxis of thromboembolic diseases and restenoses. They are reversible inhibitors of the blood clotting enzyme factor VIIa and can in general be applied in conditions in which an undesired activity of factor VIIa is present or for the cure or prevention of which an inhibition of factor VIIa is intended. The invention furthermore relates to processes for the preparation of compounds of the formula (I), their use, in particular as active ingredients in pharmaceuticals, and pharmaceutical preparations comprising them.