

(19) World Intellectual Property Organization
International Bureau



(43) International Publication Date
5 July 2007 (05.07.2007)

PCT

(10) International Publication Number
WO 2007/076431 A1

(51) International Patent Classification:

C07D 245/02 (2006.01) C07D 417/12 (2006.01)
C07D 255/02 (2006.01) A61K 31/4709 (2006.01)
C07D 401/12 (2006.01) A61P 7/00 (2006.01)
C07D 413/12 (2006.01)

(21) International Application Number:

PCT/US2006/062469

(22) International Filing Date:

21 December 2006 (21.12.2006)

(25) Filing Language:

English

(26) Publication Language:

English

(30) Priority Data:

60/753,786 23 December 2005 (23.12.2005) US
60/865,475 13 November 2006 (13.11.2006) US

(71) Applicant (for all designated States except US): **BRISTOL-MYERS SQUIBB COMPANY** [US/US]; P.O. Box 4000, Route 206 and ProvinceLine Road, Princeton, New Jersey 08543-4000 (US).

(72) Inventors; and

(75) Inventors/Applicants (for US only): **PRIESTLEY, E. Scott** [US/US]; 311 Pennington-Rocky Hill Road, Pennington, New Jersey 08534 (US). **CHENEY, Daniel L.** [US/US]; 311 Pennington-Rocky Hill Road, Pennington,

NJ 08534 (US). **WURTZ, Nicholas R.** [FR/US]; 311 Pennington-Rocky Hill Road, Pennington, NJ 08534 (US). **GLUNZ, Peter W.** [US/US]; 311 Pennington-Rocky Hill Road, Pennington, NJ 08534 (US).

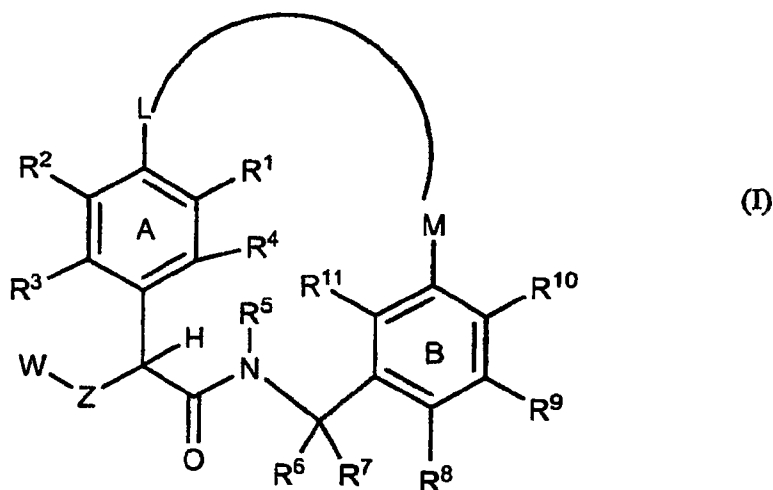
(74) Agents: **SUN, Jing, G.** et al.; Bristol-Myers Squibb Company, P.O. Box 4000, Princeton, New Jersey 08543-4000 (US).

(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, GT, HN, HR, HU, ID, IL, IN, IS, JP, KE, KG, KM, KN, KP, KR, KZ, LA, LC, LK, LR, LS, LT, LU, LV, LY, MA, MD, MG, MK, MN, MW, MX, MY, MZ, NA, NG, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RS, RU, SC, SD, SE, SG, SK, SL, SM, SV, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, 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, LV, 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).

[Continued on next page]

(54) Title: MACROCYCLIC FACTOR VIIA INHIBITORS USEFUL AS ANTICOAGULANTS



(57) Abstract: The present invention relates generally to novel macrocycles of Formula (I); or stereoisomers, tautomers, pharmaceutically acceptable salts, solvates, thereof, wherein the variables A, B, L, M, W, Z, R¹, R², R³, R⁴, R⁵, R⁶, R⁷, R⁸, R⁹, and R¹⁰ are as defined herein. These compounds are selective inhibitors of the serine protease coagulation factor VIIa which can be used as medicaments.

WO 2007/076431 A1

**Declarations under Rule 4.17:**

- *as to applicant's entitlement to apply for and be granted a patent (Rule 4.17(ii))*
- *as to the applicant's entitlement to claim the priority of the earlier application (Rule 4.17(iii))*
- *before the expiration of the time limit for amending the claims and to be republished in the event of receipt of amendments*

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.