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

(19) World Intellectual Property Organization
International Bureau

(43) International Publication Date
30 May 2002 (30.05.2002)

PCT

(10) International Publication Number
WO 02/042272 A3

(51) International Patent Classification[7]: A61K 31/4418, 31/4439, A61P 7/02, C07D 213/89, 213/74, 213/77, 417/12, 213/90, 237/20, 265/02, 253/06, 241/20, 239/42, 239/36, 253/04

(21) International Application Number: PCT/US01/43280

(22) International Filing Date:
20 November 2001 (20.11.2001)

(25) Filing Language: English

(26) Publication Language: English

(30) Priority Data:

60/252,158	20 November 2000 (20.11.2000)	US
60/350,069	7 November 2001 (07.11.2001)	US

(71) Applicant *(for all designated States except US)*: **PHARMACIA CORPORATION** [US/US]; Global Patent Department, 800 North Lindbergh Boulevard, St. Louis, MO 63167 (US).

(72) Inventors; and

(75) Inventors/Applicants *(for US only)*: **SOUTH, Michael, S.** [US/US]; 11671 Chieftain Drive, St. Louis, MO 63146 (US). **CASE, Brenda** [US/US]; 8 South Tealbrook, St. Louis, MO 63141 (US). **GARLAND, Danny, J.** [US/US]; 656 Croswnest Drive, Ballwin, MO 63021 (US). **HAYES, Michael, J.** [US/US]; 668 Chelsea Avenue, St. Louis, MO 63122 (US). **HUANG, Horng-Chih** [CN/US]; 15481 Duxbury Way, Chesterfield, MO 63017 (US). **HUANG, Wei** [CN/US]; 919 Crown Pointe Estates Drive, Wildwood, MO 63021 (US). **JONES, Darin, E.** [US/US]; 408 Johanna Place Drive, Ballwin, MO 63021 (US). **NEUMANN, William, L.** [US/US]; 212 West Monroe, St. Louis, MO 63021 (US). **PARLOW, John, J.** [US/US]; 4841 Placid Hills Road, Arnold, MO 63010 (US). **REITZ, David, B.** [US/US]; 14814 Pleasant Ridge Court, Chesterfield, MO 63017 (US). **RUEPPEL, Melvin, L.** [US/US]; 1904 Grassy Ridge Road, St. Louis, MO 63122 (US). **WEBBER, Ronald, K.** [US/US]; 5379 Wolfrum Way, St. Charles, MO 63304 (US).

(74) Agents: **DOTY, Kathryn, J.** et al.; Senniger, Powers, Leavitt & Roedel, One Metropolitan Square, 16th Floor, St. Louis, MO 63102 (US).

(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, NO, NZ, OM, PH, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, TZ, UA, UG, US, UZ, 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, 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, GQ, GW, ML, MR, NE, SN, TD, TG).

Published:
— *with international search report*
— *before the expiration of the time limit for amending the claims and to be republished in the event of receipt of amendments*

(88) Date of publication of the international search report:
24 July 2003

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.

WO 02/042272 A3

(54) Title: SUBSTITUTED POLYCYCLIC ARYL AND HETEROARYL PYRIDINES USEFUL FOR SELECTIVE INHIBITION OF THE COAGULATION CASCADE

(57) Abstract: The present invention relates to compounds, and prodrugs thereof, composition and methods useful for preventing and treating thrombotic conditions in mammals. The compounds of the present invention, and prodrugs thereof, selectively inhibit certain proteases of the coagulation cascade.