200004076-6

PCT WORLD INTELLECTUAL PROPERTY ORGANIZATION
International Bureau

INTERNATIONAL APPLICATION PUBLISHED UNDER THE PATENT COOPERATION TREATY (PCT)

(51) International Patent Classification 6 : C07D 401/06, 401/14, 409/14, 407/14, 413/14, 403/06, A61K 31/44, 31/47 — A1

(11) International Publication Number: **WO 99/50263**

(43) International Publication Date: 7 October 1999 (07.10.99)

(21) International Application Number: PCT/US98/26709

(22) International Filing Date: 15 December 1998 (15.12.98)

(30) Priority Data:
60/080,090 31 March 1998 (31.03.98) US

(71) Applicant *(for all designated States except US)*: WARNER-LAMBERT COMPANY [US/US]; 201 Tabor Road, Morris Plains, NJ 07950 (US).

(72) Inventors; and
(75) Inventors/Applicants *(for US only)*: DUDLEY, Danette, Andrea [US/US]; 290 Village Green Boulevard #201, Ann Arbor, MI 48105 (US). EDMUNDS, Jeremy, John [GB/US]; 3957 Beech Drive, Ypsilanti, MI 48197 (US).

(74) Agents: RYAN, M., Andrea; Warner-Lambert Company, 201 Tabor Road, Morris Plains, NJ 07950 (US) et al.

(81) Designated States: AL, AU, BA, BB, BG, BR, CA, CN, CU, CZ, EE, GE, HR, HU, ID, IL, IS, JP, KP, KR, LC, LK, LR, LT, LV, MG, MK, MN, MX, NO, NZ, PL, RO, SG, SI, SK, SL, TR, TT, UA, US, UZ, VN, YU, ARIPO patent (GH, GM, KE, LS, MW, SD, SZ, 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), OAPI patent (BF, BJ, CF, CG, CI, CM, GA, GN, GW, ML, MR, NE, SN, TD, TG).

Published
With international search report.

(54) Title: QUINOLONES AS SERINE PROTEASE INHIBITORS

(57) Abstract

This invention discloses quinolinones which display inhibitory effects on serine proteases such as factor Xa, thrombin and/or factor VIIa. The invention also discloses pharmaceutically acceptable salts and prodrugs of the compounds, pharmaceutically acceptable compositions comprising the compounds, their salts or prodrugs, and methods of using them as therapeutic agents for treating or preventing disease states in mammals characterized by abnormal thrombosis.