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

(19) World Intellectual Property Organization
International Bureau

(43) International Publication Date
27 June 2013 (27.06.2013)

WIPO | PCT

(10) International Publication Number
WO 2013/093484 A1

(51) International Patent Classification:
C07D 401/14 (2006.01) *A61K 31/437* (2006.01)
C07D 471/04 (2006.01) *A61K 31/519* (2006.01)
C07D 487/04 (2006.01) *A61P 9/00* (2006.01)

(21) International Application Number:
PCT/GB2012/053217

(22) International Filing Date:
20 December 2012 (20.12.2012)

(25) Filing Language: English

(26) Publication Language: English

(30) Priority Data:
1122139.7 21 December 2011 (21.12.2011) GB
1217290.4 27 September 2012 (27.09.2012) GB

(71) Applicant *(for all designated States except US)*: **ONO PHARMACEUTICAL CO., LTD.** [JP/JP]; 1-5 Doshomachi 2-chome, Chuo-ku, Osaka-shi, Osaka 541-8526 (JP).

(72) Inventors; and
(71) Applicants *(for US only)*: **COURTNEY, Steve** [GB/GB]; c/o Evotec (UK) Ltd, 114 Milton Park, Abingdon, Oxfordshire OX14 4SA (GB). **YARNOLD, Chris** [GB/GB]; c/o Evotec (UK) Ltd, 114 Milton Park, Abingdon, Oxfordshire OX14 4SA (GB). **FLANAGAN, Stuart** [GB/GB]; c/o Evotec (UK) Ltd, 114 Milton Park, Abingdon, Oxfordshire OX14 4SA (GB). **BRACE, Gareth** [GB/GB]; c/o Evotec (UK) Ltd, 114 Milton Park, Abingdon, Oxfordshire OX14 4SA (GB). **BARKER, John** [GB/GB]; c/o Evotec (UK) Ltd, 114 Milton Park, Abingdon, Oxfordshire OX14 4SA (GB). **ICHIHARA, Osamu** [JP/GB]; c/o Evotec (UK) Ltd, 114 Milton Park, Abingdon, Oxfordshire (GB). **GADOULEAU, Elise** [GB/GB]; c/o Evotec (UK) Ltd, 114 Milton Park, Abingdon, Oxfordshire OX14 4SA (GB). **RICHARDSON, Anthony** [GB/GB]; c/o Evotec (UK) Ltd, 114 Milton Park, Abingdon, Oxfordshire (GB). **KONDO, Takashi** [JP/JP]; c/o Ono Pharmaceutical Co., Ltd., 1-5 Doshomachi 2-chome, Chuo-ku, Osaka-shi, Osaka 541-8526 (JP). **IMAGAWA, Akira** [JP/JP]; c/o Ono Pharmaceutical Co., Ltd., 1-5 Doshomachi 2-chome, Chuo-ku, Osaka-shi, Osaka 541-8526 (JP). **NAKATANI, Shingo** [JP/JP]; c/o Ono Pharmaceutical Co., Ltd., 1-5 Doshomachi 2-chome, Chuo-ku, Osaka-shi, Osaka 541-8526 (JP). **SUZUKI, Ryo** [JP/JP]; c/o Ono Pharmaceutical Co., Ltd., 1-5 Doshomachi 2-chome, Chuo-ku, Osaka-shi, Osaka 541 8526 (JP). **KOUYAMA, Sho** [JP/JP]; c/o Ono Pharmaceutical Co., Ltd., 1-5 Doshomachi 2-chome, Chuo-ku, Osaka-shi, Osaka 541 8526 (JP).

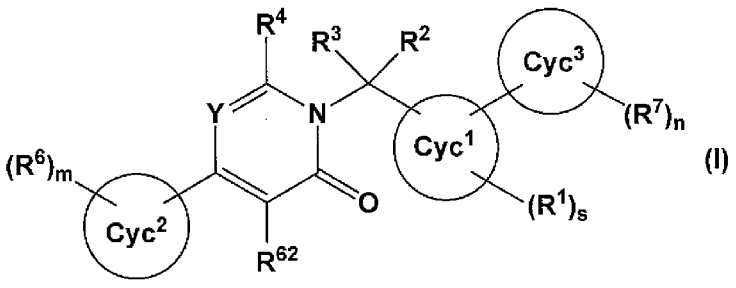
(74) Agent: **WRIGHT, Simon Mark**; J.A. Kemp & Co., 14 South Square, Gray's Inn, London, Greater London WC1R 5JJ (GB).

(81) Designated States *(unless otherwise indicated, for every kind of national protection available)*: AE, AG, AL, AM, AO, AT, AU, AZ, BA, BB, BG, BH, BN, BR, BW, BY, BZ, CA, CH, CL, CN, CO, CR, CU, CZ, DE, DK, DM, DO, 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, LY, MA, MD, ME, MG, MK, MN, MW, MX, MY, MZ, NA, NG, NI, NO, NZ, OM, PA, PE, PG, PH, PL, PT, QA, RO, RS, RU, RW, SC, SD, SE, SG, SK, SL, SM, ST, SV, SY, TH, 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, LR, LS, MW, MZ, NA, RW, SD, SL, SZ, TZ, UG, ZM, ZW), Eurasian (AM, AZ, BY, KG, KZ, RU, TJ, TM), European (AL, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HR, HU, IE, IS, IT, LT, LU, LV, MC, MK, MT, NL, NO, PL, PT, RO, RS, SE, SI, SK, SM, TR), OAPI (BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG).

Published:
— *with international search report (Art. 21(3))*

(54) **Title**: PYRIDINONE AND PYRIMIDINONE DERIVATIVES AS FACTOR XIA INHIBITORS



(I)

(57) **Abstract**: The present invention provides compounds of the general formula (I), their salts and N- oxides, and solvates and prodrugs thereof (wherein the characters are as defined in the description). The compounds of the general formula (I) are inhibitors of Factor XIa, so that they are useful in the prevention of and/or therapy for thromboembolic diseases.

WO 2013/093484 A1