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

(19) World Intellectual Property Organization
International Bureau

(43) International Publication Date
24 December 2003 (24.12.2003)

PCT

(10) International Publication Number
WO 03/105846 A1

(51) International Patent Classification[7]: A61K 31/4439, 31/506, 31/444, C07D 401/04, 403/04, 401/14, C07C 275/64

(21) International Application Number: PCT/US03/18401

(22) International Filing Date: 11 June 2003 (11.06.2003)

(25) Filing Language: English

(26) Publication Language: English

(30) Priority Data:
60/388,665 13 June 2002 (13.06.2002) US
60/429,077 26 November 2002 (26.11.2002) US

(71) Applicant *(for all designated States except US)*: **VERTEX PHARMACEUTICALS INCORPORATED** [US/US]; 130 Waverly Street, Cambridge, MA 02139 (US).

(72) Inventors; and

(75) Inventors/Applicants *(for US only)*: **CHARIFSON, Paul** [US/US]; 7 Dartmouth Drive, Framingham, MA 02139 (US). **DEININGER, David, D.** [US/US]; 4 Frazer Road, Arlington, MA 02174 (US). **DRUMM, Joseph** [US/US]; 36 Fisher Street, Westborough, MA 01581 (US). **GRILLOT, Anne-Laure** [FR/US]; 31 Regent Street #3R, Cambridge, MA 02140 (US). **LIAO, Yusheng** [US/US]; 54 Spring Street, Lexington, MA 02421 (US). **OLIVER-SHAFFER, Patricia** [US/US]; 5 Tupelo Way, Acton, MA 01720 (US). **STAMOS, Dean** [US/US]; 12 Jay Drive, Framingham, MA 01701 (US).

(74) Agent: **ROBIDOUX, Andrea, L., C.**; Vertex Pharmaceuticals Incorporated, 130 Waverly Street, Cambridge, MA 02139 (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, SK, SL, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VN, YU, ZA, ZM, ZW.

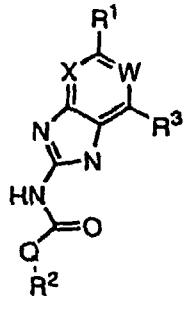
(84) Designated States *(regional)*: ARIPO patent (GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW), Eurasian patent (AM, AZ, BY, KG, KZ, MD, RU, TJ, TM), European patent (AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IT, LU, MC, NL, PT, RO, SE, SI, SK, TR), OAPI patent (BF, BJ,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

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.

WO 03/105846 A1

(54) Title: 2-UREIDO-6-HETEROARYL-3H-BENZOIMIDAZOLE-4-CARBOXYLIC ACID DERIVATIVES AND RELATED COMPOUNDS AS GYRASE AND/OR TOPOISOMERASE IV INHIBITORS FOR THE TREATMENT OF BACTERIAL INFECTIONS



(I)

(57) Abstract: The present invention relates to compounds of formula I, wherein X, Q, W, R^1, R^2 and R^3 are as defined in the claims, which inhibit bacterial gyrase and/or Topo IV and pharmaceutically acceptable compositions comprising said compounds. These compounds, and compositions thereof, are useful in treating bacterial infection. Accordingly, the present invention also relates to methods for treating bacterial infections in, mammals. The present invention also relates to a method for preparing these compounds.