PCT

WORLD INTELLECTUAL PROPERTY ORGANIZATION
International Bureau

INTERNATIONAL APPLICATION PUBLISHED UNDER THE PATENT COOPERATION TREATY (PCT)

(51) International Patent Classification 6 :
C07D 401/12, 213/30, A61K 31/44, 31/47

A1

(11) International Publication Number: WO 96/36630

(43) International Publication Date: 21 November 1996 (21.11.96)

(21) International Application Number: PCT/US96/07094

(22) International Filing Date: 16 May 1996 (16.05.96)

(30) Priority Data:
08/444,567 19 May 1995 (19.05.95) US

(71) Applicant: VERTEX PHARMACEUTICALS INCORPORATED [US/US]; 130 Waverly Street, Cambridge, MA 02139-4211 (US).

(72) Inventor: ZELLE, Robert, E.; 67 Boon Road, Stowe, MA 01775 (US).

(74) Agents: HALEY, James, F., Jr. et al.; Fish & Neave, 1251 Avenue of the Americas, New York, NY 10020-1104 (US).

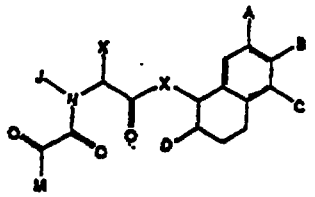
(81) Designated States: AL, AM, AT, AU, AZ, BB, BG, BR, BY, CA, CH, CN, CZ, DE, DK, EE, ES, FI, GB, GE, HU, IS, JP, KE, KG, KP, KR, KZ, LK, LR, LS, LT, LU, LV, MD, MG, MK, MN, MW, MX, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, TJ, TM, TR, TT, UA, UG, UZ, VN, ARIPO patent (KE, LS, MW, SD, SZ, UG), Eurasian patent (AM, AZ, BY, KG, KZ, MD, RU, TJ, TM), European patent (AT, BE, CH, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE), OAPI patent (BF, BJ, CF, CG, CI, CM, GA, GN, ML, MR, NE, SN, TD, TG).

Published
With international search report.

(54) Title: TETRALIN COMPOUNDS WITH MDR ACTIVITY

(57) Abstract

The present invention relates to compounds that can maintain, increase, or restore sensitivity of cells to therapeutic or prophylactic agents. This invention also relates to pharmaceutical compositions comprising these compounds. The compounds and pharmaceutical compositions of this invention are particularly well suited for treatment of multi-drug resistant cells, for prevention of the development of multi-drug resistance, and for the use in multi-drug resistant cancer. These compounds are represented by general formula (I), in which the different substitutes are defined into the description.



(I)