

(19) World Intellectual Property Organization
International Bureau(43) International Publication Date
25 September 2003 (25.09.2003)

PCT

(10) International Publication Number
WO 03/077830 A2(51) International Patent Classification⁷: A61K

(21) International Application Number: PCT/IB03/00987

(22) International Filing Date: 18 March 2003 (18.03.2003)

(25) Filing Language: English

(26) Publication Language: English

(30) Priority Data:

P20020231A	18 March 2002 (18.03.2002)	HR
60/393,612	3 July 2002 (03.07.2002)	US
60/394,705	8 July 2002 (08.07.2002)	US

(71) Applicant: PLIVA D.D. [HR/HR]; Ulica grada Vukovara 49, 10000 Zagreb (HR).

(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, SC, SD, SE, SG, SK, SL, TJ, TM, TN, TR, TT, TZ, UA, UG, UZ, VC, VN, YU, ZA, ZM, ZW.

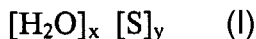
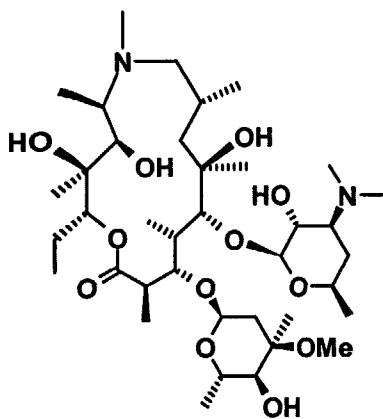
(84) Designated States (regional): ARIPO patent (GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW), Eurasian patent (AM, AZ, BY, KG, KZ, MD, RU, TJ, TM), European patent (AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IT, LU, MC, NL, PT, RO, SE, SI, SK, TR), OAPI patent (BF, BJ, CF,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

Published:

— without international search report and to be republished upon receipt of that 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.

(54) Title: ISOSTRUCTURAL PSEUDOPOLYMORPHS OF 9-DEOXO-9A-AZA-9A-METHYL-9A-HOMOERYTHROMYCIN A



(57) Abstract: Substantially pure isostructural pseudopolymorphs of 9-deoxo-9a-aza-9a-methyl-9a-homo erythromycin A having the Formula I: wherein S is an organic solvent which is at least partially miscible with water, x is 1, 1.25, 1.5 or 2, y is 0, 0.5, or 1, the pseudopolymorph being characterized by the monoclinic space group $P2_1$ and average unit cell parameters comprising: crystal axis lengths of $a = 15.5 - 17.0 \text{ \AA}$, $b = 15.5 - 17.0 \text{ \AA}$, and $c = 17.5 - 19.5 \text{ \AA}$, and angles between the crystal axes of $\alpha = \gamma = 90^\circ$ and $\beta = 106^\circ - 112^\circ$. In addition, this disclosure is directed to processes for the preparation of the substantially pure isostructural pseudopolymorphs of Formula I; to pharmaceutical compositions containing

substantially pure isostructural pseudopolymorphs of Formula I; and to a method for the treatment of bacterial and protozoan infections, and inflammation-related diseases by administration of a pharmaceutical composition containing the substantially pure isostructural pseudopolymorphs of Formula I.



WO 03/077830 A2