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(19) **United States**(12) **Patent Application Publication** (10) **Pub. No.: US 2004/0014951 A1****Dumic et al.**(43) **Pub. Date: Jan. 22, 2004**(54) **ISOSTRUCTURAL PSEUDOPOLYMORPHS OF 9-DEOXO-9A-AZA-9A-METHYL-9A-HOMOERYTHROMYCIN A**(75) Inventors: **Miljenko Dumic**, Zagreb (HR); **Mladen Vinkovic**, Cakovec (HR); **Marina Oresic**, Sesvete (HR); **Ernest Mestrovic**, Bjelovar (HR); **Aleksandar Danilovski**, Rijeka (HR); **Alojz Dumbovic**, Zagreb (HR); **Zdravka Knezevic**, Zagreb (HR); **Gorjana Lazarevski**, Zagreb (HR); **Dominik Cincic**, Zagreb (HR); **Darko Filic**, Zagreb (HR); **Katica Lazaric**, Zagreb (HR); **Dejan Kresimir Bucar**, Pusca (HR)Correspondence Address:  
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**NEW YORK, NY 10150-5257 (US)**(73) Assignee: **PLIVA, d.d.**(21) Appl. No.: **10/390,573**(22) Filed: **Mar. 17, 2003****Related U.S. Application Data**

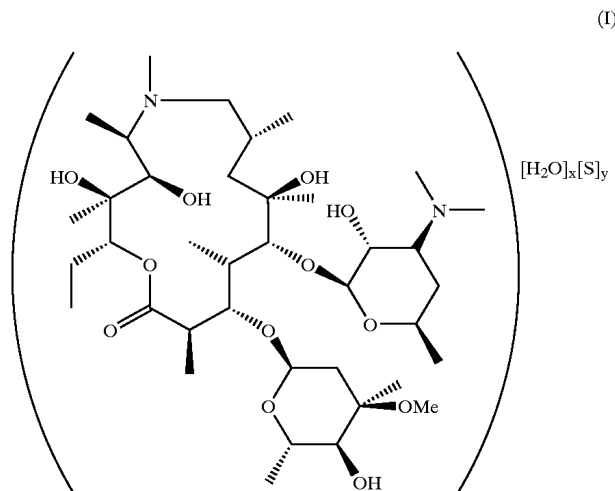
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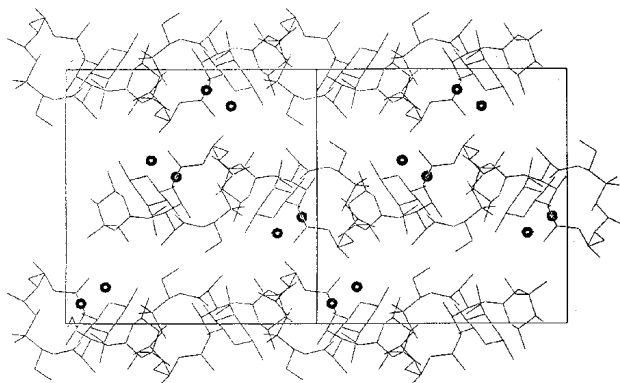
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Substantially pure isostructural pseudopolymorphs of 9-deoxo-9a-aza-9a-methyl-9a-homoerythromycin A having the Formula I:



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.



Crystal packing of 9-deoxo-9a-aza-9a-methyl-9a-homoerythromycin A dihydrate (structure coded GEGJAD described in Cambridge Crystallographic Data Base)





























































































