

Crystallization of the Azithromycin 11,12-hydrogenborate. Can we have the Control?

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Azithromycin is the most important macrolide of *azalide* class (derived from erythromycin A by insertion of an N-methyl group in the lactone ring), and shows higher antibiotic effect than the parent compound, particularly against Gram-negative bacteria. Its synthesis is based on the Beckmann rearrangement of erythromycin A oxime to yield the imino ether, and several ways have been reported to reduce the imino ether and finally achieve the azithromycin. One of these routes involve the synthesis of a precursor of the azithromycin, the azithromycin 11,12-hydrogen borate [1], whose acid hidrolisis affords azithromycin.

This structure was studied in solution state through NMR spectroscopy [2], but no study was done so far in solid state, to know the accurate structure and the molecular conformation

In the present communication, we show the results of the analysis by x-ray diffraction of the crystals obtained in different conditions of crystallization: solving the borate in hot acetone and slowly cooling, or solving the borate in acetone and changing the polarity by adding water.

Both solids are crystalline, as is shown on their powder patterns, with different structural parameters, and including the second sample a percentage of amorphous material.

Controlling the conditions of crystallization, we can decide what crystal obtain. The knowledge of its crystal structure and composition can give us information about the role of the solvent in controlling the final crystal form.

[1] Bayod-Jasanada M, Carbajo R.J., López-Ortiz F., *J. Org. Chem.*, 1997, **62**, 7479-7481. [2] Bayod-Jasanada M, Carbajo R.J., López-Ortiz F., *Magn. Reson. Chem.*, 1998, **36**, 217- 225.

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