

Irbesartan crystal forms: thermodynamic and dissolution properties study

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Irbesartan is an anti-hypertensive drug practically insoluble in water and belongs to class II of the BCS. Solubility is a key factor in anti-hypertensive drugs because a rapid onset and increased extent of bioavailability after administration are desirable [1]. It presents two crystal forms called A and B. However, in the Cambridge Structural Data Base only crystallographic data NOZWII: form B is reported [2]. Although commercial available products of this drug are formulated with polymorph A there are no reports related to its solubility properties and thermodynamic stability. Hence, in this work the crystal structure of form A, the intrinsic dissolution rate and stability relationship between irbesartan polymorphs were established. Several crystallization methods and solid-state characterization techniques were applied to this drug.

Crystal structure of irbesartan form A was determined through X-ray powder diffraction using synchrotron radiation. Forms A and B are related monotropically and form A showed more soluble than form B with an intrinsic dissolution rate of 0.017 compared to 0.004 mg cm⁻² min⁻¹ of form B.

[1] Nijhawan, M. et al. (2015). Indo American Journal of Pharmaceutical Research 5 (4), 1323–1329.

[2] Böcskei, Z. et al. (1998). Acta Cryst C 54 (6), 808–810.

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