

Study of the Polymorphism of 1-(4-acetamide-benzenesulfonyl)-benzimidazole a New Potential Antiprotozoal Agent †

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Abstract: The study of the crystalline polymorphism of active pharmaceutical ingredients and new chemical entities is an essential part of the development process due to performance, stability, and processability aspects. The aim of this study was to investigate the polymorphism of 1-(4-acetamide-benzenesulfonyl)-benzimidazole (PAB), a benzimidazole derivative with higher inhibitory potency than benzimidazole against *Trypanosoma cruzi*, the causative agent of Chagas disease. Crystallization experiments (using different solvents and conditions) were carried out for the polymorph screening. The samples obtained were characterized using attenuated total reflectance infrared spectroscopy (ATR), differential scanning calorimetry (DSC), thermogravimetry (TG), and X-ray powder diffraction (XRPD), and their chemical purity was assessed by thin layer chromatography (TLC). The ATR spectra of various samples exhibited shiftings in the characteristic bands of anhydrous PAB and the appearance of new bands ascribable to the presence of solvents. PXRD revealed two new crystalline phases, which were solvated forms as indicated by DSC and TG. Desolvation of solvated samples on a heating pistol or by heat-cool-heat DSC cycles showed that solvates desolvated to the anhydrous form of PAB as evidenced by PXRD and ATR. The results indicate that PAB can exist in at least three crystalline forms, one anhydrous and two solvated.

Keywords: Chagas disease; crystalline polymorphism; crystallization; new chemical entities; solvates.

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Conflicts of Interest

The authors declare no conflict of interest.