Solid-state characterization of mesalazine bromide monohydrate

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Salt formation is often employed when one wants to alter the physico-chemical properties of a drug. The salt form can influence a number of properties, such as aqueous solubility, melting point, hygroscopicity and drug stability, which can effect the formulation characteristics and availability of the drug (1).

Mesalazine (5-aminosalicylic acid) is the active component of sulfasalazine (2), which has been used for a long time in the treatment of ulcerative colitis and Crohn's disease, either orally in different controlled-release forms or rectally in the form of suppositories, enemas and foams (3).

Very little attention was given in the literature on aminosalicylic salt preparation (4), thus bromide salts of mesalazine was prepared by crystallization from bromide solution.

Salt was identified and characterized by means of X-ray powder diffraction (XRPD), Fourier transform near infrared spectroscopy (FTNIR), differential scanning calorimetry (DSC), thermogravimetry (TGA), hot-stage microscopy (HSM) and intrinsic dissolution (IDR). Prepared salt exhibits different spectroscopic and thermal properties compared with mesalazine, which is correlated with the structure of these molecules and counterion present in the salt.

References

1. P. L. Gould, Salt selection for basic drugs, Int. J. Pharm. 33 (1986) 210-217.

- 2. U. Klotz, K. Maier, C. Fisher, K. Heinkel, Therapeutic efficacy of sulfasalazine and its metabolites in patients with ulcerative colitis and Chron's disease, *N. Engl. J. Med.* 303 (1980) 1499-1502.
- 3. U. Klotz, The role of aminosalicylates at the beginning of the new millennium in the treatment of chronic inflammatory bowel disease, *Eur. J. Clin. Pharmacol.* 56 (2000) 353-362.
- 4. R. T. Forbes, P. York, J. R. Davidson, Dissolution kinetics and solubilities of p-aminosalicylic acid and its salts, *Int. J. Pharm.* 126 (1995) 199-208.