

Cocrystal of Mesalamine for Enhancement of Its Biopharmaceutical Properties, Utilizing Supramolecular Chemistry Approach

Authors : Akshita Jindal, Renu Chadha, Maninder Karan

Abstract : Supramolecular chemistry has gained recent eminence in a flurry of research documents demonstrating the formation of new crystalline forms with potentially advantageous characteristics. Mesalamine (5-amino salicylic acid) belongs to anti-inflammatory class of drugs, is used to treat ulcerative colitis and Crohn's disease. Unfortunately, mesalamine suffer from poor solubility and therefore very low bioavailability. This work is focused on preparation and characterization of cocrystal of mesalamine with nicotinamide (MNIC) a cofomer of GRAS status. Cocrystallisation was achieved by solvent drop grinding in stoichiometric ratio of 1:1 using acetonitrile as solvent and was characterized by various techniques including DSC (Differential Scanning Calorimetry), PXRD (X-ray Powder Diffraction), and FTIR (Fourier Transform Infrared Spectrometer). The co-crystal depicted single endothermic transitions (254°C) which were different from the melting peaks of both drug (288°C) and cofomer (128°C) indicating the formation of a new solid phase. Different XRPD patterns and FTIR spectrums for the co-crystals from those of individual components confirms the formation of new phase. Enhancement in apparent solubility study and intrinsic dissolution study showed effectiveness of this cocrystal. Further improvement in pharmacokinetic profile has also been observed with 2 folds increase in bioavailability. To conclude, our results show that application of nicotinamide as a cofomer is a viable approach towards the preparation of cocrystals of potential drug molecule having limited solubility.

Keywords : cocrystal, mesalamine, nicotinamide, solvent drop grinding

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