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Designing, Preparation and Structural Evaluation of Co-Crystals of Oxaprozin

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Abstract : The composition of pharmaceutical entities and the molecular interactions can be altered to optimize drug properties such as solubility and bioavailability by the crystal engineering technique. The present work has emphasized on the preparation, characterization, and biopharmaceutical evaluation of co-crystal of BCS Class II anti-osteoarthritis drug, Oxaprozin (OXA) with aspartic acid (ASPA) as co-former. The co-crystals were prepared through the mechanochemical solvent drop grinding method. Characterization of the prepared co-crystal (OXA-ASPA) was done by using analytical tools such as differential scanning calorimetry (DSC), Fourier transform infrared spectroscopy (FT-IR), powder X-ray diffraction (PXRD). DSC thermogram of OXA-ASPA cocrystal showed a single sharp melting endotherm at 235 ºC, which was between the melting peaks of the drug and the counter molecules suggesting the formation of a new phase which is a co-crystal that was further confirmed by using other analytical techniques. FT-IR analysis of OXA-ASPA cocrystal showed a shift in a hydroxyl, carbonyl, and amine peaks as compared to pure drugs indicating all these functional groups are participating in cocrystal formation. The appearance of new peaks in the PXRD pattern of cocrystals in comparison to individual components showed that a new crystalline entity has been formed. The Crystal structure of cocrystal was determined using material studio software (Biovia) from PXRD. The equilibrium solubility study of OXA-ASPA showed improvement in solubility as compared to pure drug. Therefore, it was envisioned to prepare the co-crystal of oxaprozin with a suitable conformer to modulate its physiochemical properties and consequently, the biopharmaceutical parameters.

Keywords : cocrystals, coformer, oxaprozin, solubility
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