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Eprosartan mesylate cocrystals and their enhanced oral bioavailability studies

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Co-crystallization is a useful technique for the enhancement of the physicochemical properties of the molecules. The poor physiochemical properties of the API's tend to show low oral bioavailability which leads to enhanced dosage and consequent adverse profiles of the drugs to the patients. Eprosartan mesylate (EM), an angiotensin II antagonist, is used in the treatment of hypertension exhibits poor bioavailability (13%) due to low absorption window. Hence we recently reported pharmaceutical co-crystals of EM (EM-SUC, EM-SAL & EM- PABA) with improved solubility and dissolution profiles. The present abstract focuses on the study of enhanced oral bioavailability of the EM co-crystals. Pharmacokinetic studies of EM co-crystals were performed using animal models (male Wister rats) to evaluate bioavailability of the drug. Four groups (n=6) of rodents were orally administered with pure EM (API suspended in water) and EM co-crystals (12.3 mg/ kg body weight in aq. solution) respectively. Serial blood samples were collected at predetermined time points and were analyzed for EM plasma concentration using a validated HPLC assay method. Pharmacokinetic and statistical data analysis was performed using Kinetica 5.0 and GraphPad Prism software. The results suggested that the EM co-crystals showed enhanced AUC and C_{max} than the pure drug. Mean retention time of the cocrystals was observed to be high with low clearance values which suggest the enhanced absorption window of the prepared co-crystals. EM-SUC showed more than 3 times (3.4 fold) enhancement in the relative oral bioavailability than the pure EM suggesting that the succinic acid as preferred coformer for the preparation of the EM co-crystals.

Biography

Jaswanth Santosh Bhandaru has completed his Master's degree in Pharmacy from Panjab University and has a 1.5 yr of industrial experience. He is currently doing his Doctoral research work on multi- component systems and supramolecular chemistry, particularly pharmaceutical cocrystals. He developed co-crystals of few antihypertensive drugs and proved their improved physicochemical properties. He is very enthusiastic in developing systems for improving the oral bioavailability of the molecules and studies their industrial applicability. He also has good publications to his credit.

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