

Solid Dispersion Approach for Optimized Bioavailability of Sulpiride

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SUMMARY. The low dissolution and limited solubility of sulpiride (SUL) resulted in a slow and incomplete absorption after oral administration with bioavailability not exceeding 30%. The aim of the present study was to improve the dissolution of SUL by solid dispersion (SD) technology using solvent evaporation technique. Different water soluble carriers namely tartaric acid, polyethylene glycol (PEG) 4000, polyvinylpyrrolidone (PVP) K30, and glucose were used. The prepared dispersions as well as the corresponding physical mixtures (PM) were evaluated for chemical and physical interactions by Fourier transform infrared (FTIR), differential scanning calorimetry (DSC) and X-ray diffraction (XRD). The effect of changing the pH of the medium on drug solubility, SD's drug potency and dissolution rate were studied. Moreover, the pharmacokinetics following the administration of either the raw drug or its tartaric acid SD into male rabbits were studied. SD showed improvement in SUL dissolution compared to the raw drug and PM, whereas SD prepared by tartaric acid showed the highest dissolution efficiency. FTIR, DSC and XRD diffraction revealed an interaction between SUL and the selected carriers, with possibility of a SUL polymorphic transition that resulted in an enhancement of its dissolution characteristics. Compared to the raw drug, higher C_{max} and AUC values were obtained for its dispersion with tartaric acid with an increase in SUL bioavailability by about two folds. Hence, the proposed study offered a new solid state of SUL with an improved dissolution and *in vivo* performance for oral administration.

KEY WORDS: Polyvinylpyrrolidone k-30, Solid dispersion, Sulpiride, Tartaric acid.

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