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Dissolution enhancement of felodipine by solid dispersion technique

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Felodipine (FLD) is an Anti-hypertensive drug, an calcium- channel blocker (CCB) of the dihydropyridine (DHP) class. Polymers used for the solid dispersion (SD) were Polyvinylpyrrolidone K-30 (PVP K-30) and Polyethyleneglycol 6000 (PEG 6000) in different ratios.

Solvent Evaporation method was used in the preparation of the solid dispersions with PVP K-30. For this, FLD and PVPK-30 in ratios of 1:2 and 1:4 were dissolved in minimum required volume of ethanol and it was kept on water bath at 40-45°C till the complete evaporation of ethanol occurred. Another technique of kneading was used for the preparation of FLD & PEG-6000 solid dispersion (1:1 & 1:2), wherein homogenized paste was prepared with ethanol and was allowed to continuous kneading for 30-45 min. The solid dispersions thus prepared were characterized by differential scanning calorimetery (DSC) and fourier transform-infrared spectroscopy (FT-IR). Physical mixtures (PM) of the same ratios were also prepared. The dissolution studies of PM and SD were conducted in phosphate buffer (pH 6.8). The samples were analyzed on UV-Visible spectrophotometer at 363 nm wavelength.

Felodipine being a poorly water soluble drug, its dissolution enhancement is crucial for the formulation development. It was observed that there was an increase in dissolution of Felodipine with the increase in the ratio of both polymers particularly greater in the case of 1:4 with PVP K-30 and 1:2 with PEG 6000.

Thus, solid dispersions could be a extremely helpful for enhancement of dissolution and thereby prospectively aid in better Bioavailability.

A solid self-microemulsifying formulation prepared by spray drying to improve the oral bioavailability of poorly water soluble drugs

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Therapeutic effectiveness of a drug depends upon the bioavailability and ultimately on solubility. Self micro emulsifying drug delivery system (SMEDDS) is promising approach to improve oral bioavailability of poorly water soluble drug. This research aimed towards formulation and evaluation of S-SMEDDS. Diacerein is drug of choice for S-SMEDDS in the treatment of osteoarthritis; it belongs to BCS class II with low oral bioavailability. It inhibits IL- 1 synthesis. The initial steps of rational development of dosage form include saturation solubility study with oil, surfactant, co-surfactant. Selection and screening of the components was done with appropriate HLB value. Liquid SMEDDS composition was established from pseudo ternary phase diagram with more micro emulsion existing area. Liquid SMEDDS then exposed to spray drying with water soluble solid carrier maltodextrin under optimized conditions. S-SMEDDS were tested for microemulsifying properties, solid state characterization such as XRD, DSC and SEM and reconstitution properties such as dilution study, globule size and zeta potential. The in-vitro dissolution studies were carried out on S-SMEDDS of diacerein and its marketed formulation. Results revealed that S-SMEDDS formulations showed spontaneous microemulsification (< 1 min) and no phase inversion. The mean droplet size of all reconstituted S-SMEDDS were found to be in the nanometric range (<100 nm). Drug release from S- SMEDDS was significantly higher as compared with conventional Diacerein tablet. This investigation has concluded that it is possible to enhance solubility and ultimately bioavailability of diacerein poorly water soluble drug from S-SMEDDS by employing maltodextrin as solid carrier to modify drug release.