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Dissolution enhancement of glybenclamide by solid dispersion technique

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Background and Aims: Glybenclamide, an oral hypoglycemic belonging to sulphonylurea group, is a poorly soluble drug with oral absorption dependency on its formulation. Therefore, we attempted in this study to improve drug dissolution rate by preparing drug solid dispersions in different polymer system.
Methods: Preliminary studies using Taguchi design were conducted to assess the influence of types of HPMC (K4M, E5), PEG (4000, 6000) and surfactant (poloxamer, lecithin) on glybenclamide dissolution. A D-optimal mixture design was further used to investigate the effects of varied ratios of HPMCE5 (50-100%), PEG6000 (0-40%), and Poloxamer407 (0-20%) on drug dissolution from different solid dispersion formulations. Glybenclamide, polymer and surfactant were dissolved in dichloromethane: ethanol (1:1), rotary-evaporated at 70 □ C, and finally dried in an oven at 40 □ C for 48 h. The powder obtained was characterized using FTIR spectroscopy, differential scanning calorimetry (DSC) and X-ray diffraction (XRD). Drug dissolution studies were performed in compendia-recommended media and various parameters including the drug mean dissolution time (MDT), dissolution efficiency (DE2%), and percent release in 45 min were calculated.
Results: FTIR spectra indicated chemical integrity of glybenclamide. Little or no change in endotherms at 64.9 C confirmed FTIR results. The differences observed in XRD patterns of glybenclamide and glybenclamide solid dispersions were, however, suggestive of less crystallinity of the latter due to partial transformation of drug crystals to amorphs. The analysis of dissolution data indicated that enhanced drug dissolution can be achieved where the solid dispersions were consisted of lower HPMC (50-60%), higher PEG (40%), and low to medium (0-10%) poloxamer concentrations.
Conclusions: The current study indicated that the dissolution enhancement of poorly-soluble drugs can be achieved by solid dispersion of drugs and polymers and ingredients commonly-used in pharmaceutical industry.

Keywords: Glybenclamide; Dissolution enhancement; Solid dispersion; Poorly-soluble drug