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Enhancement of Solubility, Dissolution and Absorption Rate of Tenofovir

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by using Solid Dispersion Technique with Different Carriers

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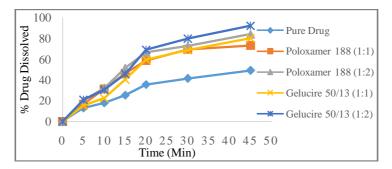
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ABSTRACT

The solid dispersion has become an established solubilization technology for poorly water-soluble drugs to enhance drug absorption ability. A solid dispersion generally composed of two componentsthe drug and the polymer matrix. Numerous methods are existing to prepare the solid dispersions such as melting method, solvent evaporation method, fusion method, kneading method etc. A variety of solubility enhancement carriers have been investigated for enhancement of dissolution characteristics and bioavailability of poorly aqueous-soluble drugs. The objective of this investigation was to formulate solid dispersions of poorly water-soluble drug tenofovir using a water-soluble or hydrophilic carriers like Soluplus, Kollidon VA 64 using solvent evaporation method in various ratios of drug and carrier such as 1:1 and 1:2 and carriers like Poloxamer188 and Gelucire 50/13using fusion method in various ratios of drug and carrier such as 1:1 and 1:2 to improve the solubility and dissolution rate of tenofovir. The prepared solid dispersions were evaluated for pre-formulation characteristics, drug content, solubility study, and dissolution behavior. Based on the results, all the physical characteristics evaluated were found to be satisfactory and formulation having carrier Gelucire 50/13 with drug to carrier ratio of 1:2 was found to be showing enhanced solubility results when compared to other formulations using fusion method. There is a significant increase in drug release with increase in drug to polymer ratio. Finally, solid dispersion was formulated into controlled release dosage forms such as tablets with rate limiting natural polymers.

Graphical Abstract





Keywords: Tenofovir, Soluplus, Kollidon VA 64, Poloxamer P188, Gelucire 50/13, Fusion, Solvent evaporation, Solubility, Dissolution, Solid Dispersion, Tablets.