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Enhancement of Solubility, Dissolution and Absorption Rate of Tenofovir by using Solid Dispersion Technique with Different Carriers

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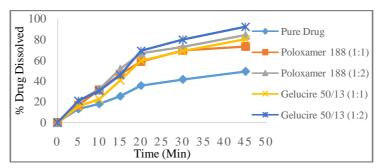
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ABSTRACT

21 The solid dispersion has become an established solubilization technology for poorly water-soluble 22 drugs to enhance drug absorption ability. A solid dispersion generally composed of two components-23 the drug and the polymer matrix. Numerous methods are existing to prepare the solid dispersions 24 such as melting method, solvent evaporation method, fusion method, kneading method etc. A variety 25 of solubility enhancement carriers have been investigated for enhancement of dissolution 26 characteristics and bioavailability of poorly aqueous-soluble drugs. The objective of this investigation 27 was to formulate solid dispersions of poorly water-soluble drug tenofovir using a water-soluble or 28 hydrophilic carriers like Soluplus, Kollidon VA 64 using solvent evaporation method in various ratios 29 of drug and carrier such as 1:1 and 1:2 and carriers like Poloxamer188 and Gelucire 50/13using 30 fusion method in various ratios of drug and carrier such as 1:1 and 1:2 to improve the solubility and 31 dissolution rate of tenofovir. The prepared solid dispersions were evaluated for pre-formulation 32 characteristics, drug content, solubility study, and dissolution behavior. Based on the results, all the 33 physical characteristics evaluated were found to be satisfactory and formulation having carrier 34 Gelucire 50/13 with drug to carrier ratio of 1:2 was found to be showing enhanced solubility results 35 when compared to other formulations using fusion method. There is a significant increase in drug 36 release with increase in drug to polymer ratio. Finally, solid dispersion was formulated into 37 38 controlled release dosage forms such as tablets with rate limiting natural polymers.

39 Graphical Abstract40



Dissolution comparison of tenofovir solid dispersions using Poloxamer 188 and Gelucire 50/13, 1:1 and 1:2 ratio.

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7 8 Keywords: Tenofovir, Soluplus, Kollidon VA 64, Poloxamer P188, Gelucire 50/13, Fusion, Solvent
evaporation, Solubility, Dissolution, Solid Dispersion, Tablets.

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