Abstract

Background

Solid dispersion (SD) is an established approach to increase the solubility and dissolution of BCS class II drugs. The selection of a suitable method of preparation and the suitable polymeric carrier are the two most important parameters for a successful SD. The present research is aimed to evaluate the effect of preparation method on drug crystallinity, drug-polymer interaction, ex vivo permeability, and SD stability.

Method

A ternary SD containing nisoldipine as drug and PVP K30, poloxamer 188 as the carrier was prepared by hot melt mixing, solvent evaporation by rotary vacuum evaporator, and lyophilization. The prepared samples were analyzed in comparison in order to meet the objectives.

Results

All three methods yielded a mixed system of the crystalline and amorphous phase with a significant increase in saturation solubility compared to the raw drug. Infra-red spectroscopy study showed the highest degree of H bonding between drug and carrier in the freeze-dried formulation. X-ray diffraction study showed maximum loss of drug crystallinity from the rotary vacuum evaporated SD (3.70% at 11.3, 2*θ* angle). Ex vivo permeability study showed the maximum drug permeation by freeze-dried product. But freeze-dried product was shown to be the least stable in stability analysis. In terms of product stability, melt mixing is the best out of the three methods tested.

Conclusion

Different methods of preparation have different impacts on functional group interaction, loss of crystallinity, ex vivo permeation, and stability of ternary SD.