

Preparation and evaluation of naproxen solid dispersions using spray drying method

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Background and Aims: Naproxen, a propionic acid derivative, is extensively used in non-steroidal anti-inflammatory cures. The enhancement of oral bioavailability of poor water soluble drugs remains one of the most challenging aspects of drug development. Together with permeability, solubility behavior of a drug is a key determinant of its oral bioavailability. Although salt formation, solubilization and particle size reduction have commonly been used to increase dissolution rate and thereby oral absorption and bioavailability of low water soluble drugs, there are practical limitation of these techniques. However, the most attractive option for increasing the release rate is improvement of solubility through formulation approaches.

Methods: Naproxen is poor water soluble and may show dissolution limited absorption. In this study, solid dispersions (SD) of Naproxen by spray drying method (which is known to produce predominantly amorphous material because of rapid solidification) were prepared using 1:0.5, 1:1 and 1:2 ratios of drug to polymers (crospovidone and a low viscose grade of HPMC) separately after evaluation and optimization of drying parameters, and characterized for physical appearance, solubility, IR, DSC, X-Ray crystallography, electronic microscopy visualization, and in-vitro dissolution studies.

Results: FTIR study revealed that drug was stable in SDs, and great state of amorphous formed particles was proofed by DSC analyze. The drug content was found to be high and uniformly distributed in throughout the formulations. Of the two carriers used, loading of the drug was more in HPMC-based SDs. The in vitro dissolution studies were carried using USP type II (paddle) dissolution apparatus at medium (pH=3) and (pH=7/4). Solubility of naproxen from SDs increased in dissolution media. The prepared dispersion showed increase in the dissolution rate of naproxen comparing to that of physical mixtures of drug and polymers and pure drug. Percent of drug released in 60 minutes was 39.89% for pure naproxen witch is increased in SDs and reached to 87.24% and 91.65% for best formulations of crospovidone and HPMC based SDs respectively, considering ratio of drug to polymers.

Conclusions: It is concluded that dissolution of the naproxen could be improved by the solid dispersion and also is affected by pH. Although physical mixtures have increased rate of dissolution but dissolution shows faster release from SDs which would therefore estimated due to formation of amorphous particles through the spray drying process witch was also revealed by DSC analysis and XRD

Keywords: Naproxen; Release rate; Spray drying; Solid dispersion; Amorphous