Effect of solvent type on retardation properties of diltiazem from liquisolid tablets

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Background and Aims: Liquisolid technique, in most cases, has been used to increase the solubility of active ingredients. It is a new approach to use liquisolid technique to make sustained release dosage forms. It seems that solubility of active ingredient in solvent plays an important role in drug release profile. The aim of present study is to investigate the effect of type of non-volatile solvent on release profile of diltiazem hydrochlorid.

Methods: To examine aforementioned idea, the drug solubility in several conventional non volatile solvents was studied. Liquisolid compacts were prepared using different non-volatile solvents and their dissolution were investigated at two pH values (1.2 and 6.8). X-ray crystallography and DSC were used to investigate the formation of any complex between drug and excipients or any crystallinity changes during the manufacturing process. The effect of aging on hardness and dissolution profile of compacts was also studied.

Results: Diltiazem HCl has lowest solubility in polysorbate 20 and highest amount was devoted to polysorbate 80 and propylen glycol. Results showed that not only solubility of active ingredient in solvent has an important role in release profile of drug, but also other characteristics of solvent such as its micelle forming ability and dielectric constant play important roles in drug release pattern. X-ray crystallography and DSC ruled out any changes in crystallinity or complex formation during the manufacturing process of liquisolid formulations. Aging had no effect on hardness and dissolution profile of drug.

Conclusions: Based on results achieved in this study it can be concluded that liquisolid technique was effectively able to sustain release of water soluble drugs and in most cases, zero order release pattern was obtained.

Keywords: Liquisolid; Solvent; Release; Zero order