ABSTRACT

Enhancement of solubility, dissolution rate and bioavailability is a challenging task in drug development, nearly 40% of the new chemical entities currently being discovered are poorly water soluble drugs. Aqueous solubility of any therapeutically active substance is a key property as it governs dissolution, absorption and thus the in vivo efficacy.

Diacerein is a nonsteroidal anti-inflammatory drug and chondroprotective agent used in the treatment of osteoarthritis. Diacerein lacks cyclooxygenase inhibitory activity and hence has no effect on prostaglandin synthesis. It is a selective inhibitor of interleukin-1 with a protective effect on granuloma-induced cartilage breakdown by its reduction of the concentration of proinflammatory cytokines.

Diacerein has a history of low bioavailability (35% to 56%), which is attributed to poor dissolution. Over the last few years, various approaches aimed to enhance diacerein solubility include complexation with cyclodextrins and solid dispersion.

The aim of work in this thesis is to compare three different techniques used to enhance solubility and bioavailability using diacerein as a model of poorly water soluble drug.

Thus the work in this thesis is divided into four chapters:

Chapter I: Preparation and Evaluation of Diacerein Solid Dispersions.

Chapter II: Preparation and Evaluation of Diacerein Loaded Niosomes.

Chapter III: Preparation and Evaluation of Diacerein Loaded Microspheres.

Chapter IV: Bioavailability Study of Some Selected Diacerein Formulae.