

ABSTRACT

Diacerein is a slow acting drug having anti inflammatory anti osteoarthritic action. It is a drug under the class of anthraquinone derivative; It is believed to act via inhibition of 1-L-1 Beta, a protein responsible for pain, inflammation and cartilage destruction in osteoarthritis. Drug action is relatively short, almost insoluble in aqueous medium. Via oral route the drug is poorly bioavailable (approx 35 to 56 %).

Diacerein microsphere was prepared by two different methods e.g. spray coating (wurster method) and double emulsion solvent evaporation method with an objective to manufacture sustained release formulation. Assay of microsphere was done by HPLC method and drug loading and drug entrapment efficiency were also calculated. Surface topography of the microspheres were determined by Scanning Electron Microscopy (SEM study).

Particle size, Size distribution, Zeta potential and poly disparity index of Diacerein microspheres were measured by Dynamic Light Scattering technique.

FTIR study, X-Ray diffraction and Differential Scanning Calorimetry (DSC study) of the microspheres were done to establish drug polymer and other excipients compatibility and stability.

In-vitro dissolution rate study of Diacerein microsphere was done in phosphate buffer (pH6.8) and citrate buffer (pH 6.0) and 0.1N Hcl to establish its sustained release action and compared with drug release from conventional Diacerein capsule available in the market.

Release kinetics were also studied by different mathematical model like zero order, first order, Higuchi, Korsmeyer-Peppas and Hickson- Crowell model. Study of regression-coefficient of different models showed best fit model for release of drug from microsphere

Pharmacokinetic parameters like C_{max} , T_{max} , AUC_{0-t} , $AUC_{0-\infty}$, $T_{1/2}$, k_{el} of the Diacerein microspheres were determined in rabbit plasma and compared with marketed capsule formulation. This in-vivo study also showed sustained release drug delivery from the microsphere.

Good in-vitro and in-vivo correlation was seen.