ABSTRACT

Captopril is an angiotensin converting enzyme inhibitor, widely used in management of hypertension. It has very short half life of 2 h and oral bioavailability of 70%. The present investigation is concerned with the development of the floating microspheres of Captopril to target the drug to its absorption site by increasing the residence time of drug in stomach and to control drug release in therapeutic range for longer period of time.

Floating microspheres of Captopril were prepared by Non-aqueous solvent evaporation technique using 3^2- Full factorial design. In this dosage form, hydrophobic water impermeable polymer (EC) for controlling the release of drug and hydrophobic water permeable polymer (Eudragit RL-100) were used for initial release of drug. Optimization process was carried out with respect to various dependent variables like $T_{50\%}$(h),$T_{80\%}$ (h),'n' of Higuchi eq., Pappas eq., release at 6 h. release at 12 h, release at 18 h etc. and optimized formulations were developed. Among three optimized formulations, results of OF1 and OF2 closely met to targeted data while OF3 was found to be best formulation as per cost-effectiveness which also showed significant results to targeted data. Two months of stability study was carried out at room temperature for all three optimized formulations and results showed no significant changes in percentage drug entrapment efficiency and *in-vitro* drug release study after stability study. So the all three optimized formulation containing 50 mg of Captopril, released drug for 24 h within desired therapeutic concentration.

Key words: Captopril, Floating drug delivery system, Floating microspheres, Optimization process, Stability study.