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Formulation and evaluation of linagliptin loaded solid lipid Nanoparticles for the treatment of type-2 Diabetes

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The present work aimed to develop and characterize Linagliptin loaded SLNs for treatment of hyperglycemia. It shows poor oral bioavailability due to P-gp efflux from intestine. The SLNs were prepared using high speed homogenization technique. Palmitic acid, Poloxamer 188 and Tween 80 were employed as lipid carrier, surfactant and co-surfactant respectively. A two factor, three level (32) full factorial design was applied to study the effect of independent variables i.e. amount of Palmitic acid (X1) and amount of Poloxamer 188 (X2) on dependent variables i.e. Particle size (Y1), % entrapment efficiency (Y2) and % Cumulative drug release at 24 hour (Y3). Particles size, % entrapment efficiency (%EE), zeta potential, drug content,

in vitro drug release were evaluated for SLNs. Contour plots and response surface plots showed visual representation of relationship between the experimental responses (dependent variables) and the set of input (independent) variables. The optimized batch contained 109.76 mg of Palmitic acid and 50 mg of Poloxamer 188. Optimized Batch exhibited particle size of 193.8 nm; polydispersity index (PDI) of 0.282; zeta potential of -0.346 mV, %EE 94.82 \pm 0.315 % , Drug content 90.87 \pm 0.227% and %CDR at 24 hour of 85.73 \pm 2.209. The developed formulation may be inhibiting P-gp efflux. This may lead to improvement in bioavailability.

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