

Nanoencapsulation of silibin with Eudragit RL for colon targeted delivery

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Background and Aims: Ulcerative Colitis (UC) is an inflammatory disease of colon. The aim of this study was to produce silibin nanoparticles for site specific colon delivery.

Methods: A nano-based drug delivery system was designed to increase efficacy of silibin in UC. Briefly, silibin was loaded onto polymeric nanoparticles of Eudragit RL using solvent evaporation-emulsification method. The effect of various concentrations of drug and Eudragit RL were tested on particle size, morphology, loading and release efficiency in phosphate buffer solution (pH 7.4). The selected formulation was coated by Eudragit FS30D to achieve colon drug delivery. Three different concentrations of Eudragit FS30D were tested for drug release in pHs of 1.2, 7.4 and 6.8 to simulate gastric, small intestine and colon media, respectively. To stabilize nanoparticles different cryoprotectants were added for freeze-drying the particles including dextrose (15%w/w), lactose (1.6%w/v), PVA (0.5%w/v), Avicel PH101 (5%w/w), carboxymethylcellulos (0.5 & 0.75%w/w), bovine and /or egg albumin (1 ,2 ,3 & 4 mg/ml). Freezing temperature was -70°C/24hrs and lyophilization time lasted 72 hrs at 0.001 mbar.

Results: The optimum formulation had average particle diameter of 109 nm, zeta potential of +15.4 mV, loading efficiency of 98% and release efficiency of 41% at 24 hours. Coating these nanoparticles with 20% w/w of Eudragit FS30D could protect silibin release in stomach and small intestine. A viscous and wet agglomerate obtained by dextrose and Avicel. PVA and CMC produced a fluffy dry powder, lactose and both bovine and egg albumin (in concentrations of 2-4 mg/ml) caused dry powder. Egg albumin (2%w/w) was selected as a proper cryoprotectant due to lower concentration needed.

Conclusions: Optimized nanoparticles containing 15 mg Eudragit RL, 15 mg silibin, 20 %w/w Euragit FS30D and 2 mg/ml of egg albumin are proposed for further animal studies.

Keywords: Silibin; Nanoparticle; Eudragit RL; Colon delivery