PREPARATION AND EVALUATION OF EUDRAGIT COATED CHITOSAN MICROPARTICLES FOR ORAL INSULIN DELIVERY

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Objectives: Diabetes mellitus was known in relic and vestiges at present a global and escalating health problem with millions of cases being diagnosed daily. Diabetes can affect numerous parts of the body and is associated with solemn complications, such as heart disease and stroke, blindness, kidney failure, and lower-limb amputation. Some complications, particularly micro vascular (e.g., eye, kidney, and nerve) disease, can be reduced with good glucose control.

Methods: The microspheres were prepared by membrane emulsification technique. The prepared microspheres were observed and characterized for physical properties like particle size distribution, surface morphology, zeta potential. Subsequently, the microspheres were employed to load model peptide of insulin. The in vitro release profile for the optimised insulin-loaded microspheres was investigated.

Results: Under the pH conditions of gastrointestinal environment, only 28% of insulin released in the gastro-intestinal simulated fluid covering the transit time of drug (2 h in the stomach and 4 h in the intestinal). While under the pH condition of blood environment, insulin release was stable and sustained for a long time (14 days). Furthermore, the chemical stability of insulin released from the microspheres was analyzed after treating with the simulated gastric fluid containing pepsin for 2 h. Finally, the blood glucose level of diabetic rats could be effectively reduced and stably kept for a long time (60 h) after oral administration of the insulin-loaded alginate–chitosan microspheres.

Conclusions: The prepared alginate coated chitosan microparticles, with mean diameter of about 1.5 μm, was suitable for oral insulin (peptide) delivery. Moreover, alginate coating onto the surface of chitosan microparticles could modulate the release behavior of insulin from alginate coated chitosan microparticles and could effectively protect its degradation in acidic medium in vitro for at least 2 h. In all, the prepared alginate coated chitosan microparticles might be an effective vehicle for oral administration of peptides.