Preparation and Physicochemical Evaluation of Cochleate-Based Carriers for Insulin

Payam Khazaeli*, Abbas Pardakhty, Farid Dorkoosh, Sepideh Karimi-afshar

Abstract

Introduction: Cochleates are cylindrical lipid structures that are more stable against oxidation and temperature than liposomes. Our research is formulation of cochleates for oral delivery of insulin as a model protein drug. Protein drugs are softer from environmental degradation and poor oral absorption; therefore any carrier system for their oral delivery must have protection against enzymes and absorption enhancing ability.

Methods and Results: In this study, liposomes with different proportion of lipids (DPPC and DMPC) and cholesterol were prepared by film hydration method and converted to cochleates by hydrogel method with CaCl₂ and MgCl₂. Microscopically observation of structures was carried out by phase-contrast microscope and Scanning Electron Microscope (SEM). Physicochemical characteristics of these structures were evaluated by measuring size distribution using with laser light scattering technique, entrapment efficiency percentage, investigation of release profile, and stability of selected cochleates. HPLC method was used for analytical evaluation of entrapped and released insulin. Best formulation of liposomes contains 70% of lipid and 30% of cholesterol. According to microscopic size distribution, cochleates with CaCl₂ bridges were better. The size of vesicles was less than 6 µm. Insulin entrapment efficiency of cochleates with DPPC was more than DMPC type. Between 60-70% of encocchleated insulin released after 2-4 hours in a buffer with pH 6.8.

Conclusions: The results shows that cochleates can be suitable oral delivery systems for insulin.

Key words: Cochleate, Insulin, Oral delivery, liposome