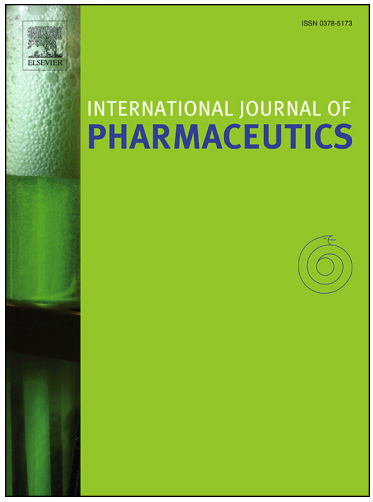

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Pollen grains as a novel microcarrier for oral delivery of proteins

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Abstract

Oral delivery of proteins and peptides is a challenge due to their degradation in the stomach. To overcome this challenge, ragweed (*Ambrosia elatior*) pollen grains were engineered to serve as protective microcapsules. A matrix comprising of Eudragit L100-55, an enteric polymer was deposited on the inner surfaces of ragweed pollens to protect the encapsulated protein from gastric degradation and to achieve pH-dependent release in the intestine. The Eudragit L100-55 matrix was formed without use of organic solvents so that solvent-induced damage to protein molecules could be prevented. To demonstrate the concept, bovine serum albumin (BSA) a model protein was used. A matrix of Eudragit L100-55 embedded with BSA was prepared in ragweed pollens by optimizing their respective concentrations for maximizing BSA loading in the matrix. The ability of this optimized formulation to protect BSA in simulated gastric acid fluid was evaluated. Release studies in simulated gastric fluid (pH 1.2) showed minimal BSA release from the ragweed-Eudragit L100-55 formulation. Analysis of BSA retained in the formulation after its exposure to gastric fluid confirmed that the residual BSA had not denatured. Release studies in the simulated intestinal fluid (pH 6.8) showed that ragweed pollen offered additional controlled release mechanism within the first few hours of release by virtue of their solid wall. In conclusion, upon use of a protein-friendly solvent for Eudragit L100-55, proteins could be encapsulated in ragweed pollen without denaturing them, and the resulting formulation exhibited selective release of the proteins at intestinal pH suggesting that the ragweed pollen grain-based formulation could be promising for oral delivery of proteins.

Keywords- Eudragit L100-55 matrix, Glycofurol, Oral protein delivery, Pollen drug delivery, Protein stability, Sporopollenin

1. Introduction