Lectin-functionalized carboxymethylated kappa-carrageenan microparticles for oral insulin delivery

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Abstract

We hypothesized that pH-responsive carboxymethylated kappa-carrageenan microparticles could protect entrapped oral insulin from acidic and proteolytic degradation in the gastrointestinal tract. Therefore, the objectives of this study were to prepare and characterize insulin entrapped in lectin-functionalized carboxymethylated kappa-carrageenan microparticles and to evaluate their therapeutic efficacy in vitro and in vivo. The encapsulation of insulin was performed using an ionic gelation technique and was optimized to give an encapsulation efficiency of 94.2 ± 2.6% and a drug-loading capacity of 13.5 ± 0.4%. The microparticles were further surface-lectin-functionalized for improved
intestinal mucoadhesiveness. The oral administration of insulin entrapped in the microparticles led to a prolonged duration of the hypoglycemic effect, up to 12–24 h, in diabetic rats. From the release profile and the low toxicity of the microparticles, it can be concluded that these lectin-functionalized carboxymethylated kappa-carrageenan microparticles have the potential to be developed into an oral insulin delivery system.

Keywords

Carrageenan; Insulin; Lectin; Microparticles; Oral delivery