Formulation strategies for achieving high delivery efficiency of thymoquinone-containing Nigella sativa extract to the colon based on oral alginate microcapsules for treatment of inflammatory bowel disease

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Abstract:

Nigella sativa extract (NSE) was incorporated in alginate microcapsules using aerosolisation and homogenisation methods, respectively, with the aim of delivering high concentrations of the active species, thymoquinone (TQ), directly to sites of inflammation in the colon following oral administration. Encapsulation of NSE was accomplished either by direct loading or diffusion into blank microparticles. Microcapsules in the size range 40–60 µm exhibited significantly higher NSE loading up to 42% w/w and encapsulation efficiency (EE) up to 63% when the extract was entrapped by direct encapsulation compared with 4.1 w/w loading, 6.2% EE when NSE was incorporated by diffusion loading. Sequential exposure of samples to simulated intestinal fluids (SIFs) revealed that the microcapsules suppressed NSE release in simulated gastric fluid (SGF) for 2 h and SIF for 4 h and liberated most of the NSE content (80%) in simulated colonic fluid (SCF) over 18 h. NSE released in SCF at 12 h exhibited antioxidant activity, when measured using the 1,1-diphenyl-2-picryl-hydrazyl (DPPH) assay at levels comparable with the activity of unencapsulated extract. These findings demonstrate the potential of oral alginate microcapsules as highly efficient, targeted carriers for colonic delivery of NSE in the treatment of inflammatory bowel disease.

Reference:

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