Rutin-loaded chitosan microparticles: physico-chemical characterization and evaluation of anti-inflammatory properties

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Many investigations have demonstrated that flavonoids in herbs such as rutin, luteolin, and apigenin possess anti-inflammatory activities via scavenging ROS and reducing proinflammatory cytokines. Rutin (RUT) is a flavone glycoside that is abundantly present in herbs and foods. RUT is a powerful antioxidant with pharmacological benefits including antitumor, anti-inflammatory, antidiarrheal, antimutagenic, as well as myocardial protection and an immunomodulator and exerts renal protective effects against the ischemia-reperfusion-induced renal injury (Sharma et al., 2013). RUT increases the antioxidant capacity in the kidney of normal rats. Therefore, the aim of this investigation is the encapsulation of RUT in chitosan-microparticles in order to increase its pharmacological activity against inflammation-related phenomena. The choice of chitosan microparticles is driven by the peculiar physico-chemical properties of the polysaccharide that is extremely biocompatible and possesses mucoadhesive characteristics (Ventura et al., 2011; Cosco et al., 2014).
The microparticles were obtained by spray-drying technique, co-solubilizing chitosan and RUT (different amounts) in the same aqueous solution. The morphological characterization of systems, performed by SEM, evidenced a spherical shape, while the particle mean sizes, investigated by laser diffraction technique, showed a mean diameter of 1-5 μm.
The drug loading capacity and its release profile at different pH will be investigated by a suitable spectrophotometric method. In particular, it was obtained an entrapment efficiency value of ~60% when 0.5 g of RUT were initially added, while a constant release of the active compound was obtained up to 24 h.
The anti-oxidant and anti-inflammatory properties of Micro-RUT on different cells will be evaluated with respect to the free drug. The microencapsulation of the bioactive compound increased the protective efficacy of the drug in cells incubated with hydrogen peroxide (700 μM) and evidenced a significant decrease of IL-1 and IL-6 levels when they were exposed to LPS. Confocal laser scanning microscopy showed a great interaction between the fluorescent microsystems and the cells, thus providing the rationale of the increased pharmacological action of the drug.
Finally, the in vivo efficacy of this formulation was investigated through the paw test in rats treated with carrageenan (1% p/v). In particular, the inoculation of Micro-RUT (10 mg/kg) in the paw of Wistar rats, then treated with carrageenan, allowed to significantly decrease the edema with respect to the free drug. The obtained results demonstrate the efficacy of Micro-RUT as innovative formulation in the prevention of inflammation.

References

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