Formulation and characterisation of phloridzin-loaded chitosan nano- and submicron-sized particles

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Chitosan (CS) is known to form particles through ionic cross-linking with polyanions, e.g. tripolyphosphate (TPP). The resulting particles are suitable as delivery systems for bioactive compounds. Phloridzin is a polyphenol that appears in apples and is currently under investigation because of its potential anti-diabetic effect. Since its low bioavailability is a major drawback, the aim of this work was to develop phloridzin-loaded chitosan nano- and submicron-sized particles as delivery systems for phloridzin. The focus of the work was the design of delivery of identical composition and similar zeta potential but different particle sizes. After creating a suitable statistical trial design the CS:TPP ratio, pH of the chitosan and the TPP solutions, speed of mixing and stirring were varied in order to optimize the particle size. The encapsulation efficiency was determined by ultrafiltration and HPLC analysis or by direct photometric measurements. The encapsulation rate of the particles was linear over a wide range of initial concentrations of phloridzin. The loading capacity reached a maximum of 0.08 mg/mg chitosan. After lyophilisation and resuspension in 0.5 % acetic acid, the particles had particle sizes of about 180 nm and 890 nm (z-average) and zeta potentials of 61 mV and 66 mV, respectively. It was further demonstrated that encapsulated phloridzin was able to efficiently reduce the ABTS radical irrespective of the particle size.
This study showed that it is possible to obtain carrier systems containing an active compound that only differ in particle size but not surface charge using the same materials.

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