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Formulation and characterization of ionotropic cross-linked chitosan microspheres for controlled release of ascorbic acid

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Background and Aims: Water soluble antioxidants such as ascorbic acid could be used for prevention or early treatment of stroke. But the main problem with this kind of drugs is low blood brain barrier (BBB) transport. For overcoming the problem, we prepared and evaluated the ionotropic cross-linked chitosan nanospheres.
Methods: Ascorbic acid was dissolved directly in diluted acetic acid/chitosan solution (2%, w/v). This solution was added under vigorous stirring into different concentration of pentasodium tripolyphosphate (TPP). The milky mixture then was analyzed by Zetasizer for measuring the Zeta potential and Z-average diameter of nanoparticles. The entrapment efficiency of ascorbic acid and stability during 6 months storage at refrigerator was also evaluated. The cytotoxicity of nanospheres was studied in PC12 cell line by MTT assay.
Results: The size of the nanospheres was less than 400 nm with a narrow size distribution. The entrapment of drug in the nanospheres was more than 60%. The release of the drug was affected by the concentration of TPP. The cytotoxicity of the nanoparticles was as low as control ascorbic acid solution.
Conclusions: The results clearly demonstrated that ion cross-linked chitosan nanospheres are potential systems for systemic drug delivery. The BBB transport of these nanoparticles should be evaluated in future studies.

Keywords: Chitosan; Ascorbic acid; Zeta potential