J Nanomed Nanotechnol 2018, Volume 9
DOI: 10.4172/2157-7439-C5-080

Amphiphilic chitosan nanocarriers, a novel platform for oral delivery of hydrophobic drugs

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Various hydrophobic drugs have problems of reduced stability, water insolubility, low selectivity and high toxicity. Any good drug carriers will need to play a significant role in resolving these problems. Chitosan due to its ability to be modified and self-assembled into nanoparticles can be used as a drug carrier with wide development potential and has the advantage of slow/controlled drug release, which improves drug solubility and stability, enhances efficacy and reduces toxicity. However, in certain cases the loss of carrier stability against biological environments induces low bioavailability of encapsulated drugs after oral administration. The objective of this work was to develop and evaluate the performance a novel self-assembled chitosan nanocarrier for oral delivery. The nanocarrier was prepared through cross-linking approach under acidic condition to enhance oral absorption of a hydrophobic model drug such as Letrozole (LTZ). Amphiphilic Chitosan Nanocarriers (ACNs) were prepared by oil-in-water emulsion/ionic gelation technique; self-assembled via electrostatic interactions between the negatively charged Palmitic Acid (PL) and the positively charged chitosan and stabilized by cross linking with sodium Tripolyphosphate solution (TPP) under ultrasonication. Firstly, various factors including crosslinking pH, crosslinker concentration, and ratio of core/shell materials were optimized to evaluate the Encapsulation Efficiency (EE), Loading Capacity (LC) and release of LTZ. The results showed that EE, LC and release behavior of LTZ were affected significantly by various factors which lead to the optimization of the chitosan nanocarrier. Finally, the drug release behavior of the optimized nanocarriers was evaluated at different pH values of the release medium (3, 6.8 and 7.4) and confirmed that the amount of drug release in the acidic medium was slightly lower than those in the other media. In this presentation, we will discuss the key factors governing the drug delivery performance of the ACNs, the optimized nanocarrier performance and the key factors impacting the drug release behavior under various conditions.

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