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Carboxylate cross-linked cyclodextrin: A nanoporous scaffold for enhancement of rosuvastatin oral bioavailability

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Abstract:

Cyclodextrins play an important role in supramolecular chemistry acting as building blocks than can be cross-linked by various linker molecules forming nano-porous structures called nanosponges (NS). NS have the ability to enhance the stability, solubility and bioavailability of various actives. This work aimed at elaborating rosuvastatin (ROS) loaded NS to improve its oral bioavailability. Carboxylate-linked NS were synthesized by reacting β-CD with pyromellitic dianhydride (PDA) at different molar ratios under specific conditions. ROS-loaded NS were prepared by lyophilisation technique and characterized for particle size, zeta potential, entrapment efficiency and drug release. Occurrence of cross-linking and ROS incorporation within the NS were assessed by DSC, FT-IR and SEM micrographs. NS prepared at a molar ratio of 1:6 of β-CD: PDA demonstrated the highest entrapment efficiency (88.76%), an optimum particle size of 275 nm, a narrow size distribution (PDI of 0.392), and zeta potential of − 61.9 indicating good colloidal stability. In vivo oral pharmacokinetics study in male Sprague Dawley rats showed that ROS-NS provided an outstanding enhancement in oral bioavailability compared to drug suspension and marketed tablets besides their physicochemical stability for 3 month. Accordingly, ROS-NS represent a superior alternative to the conventional marketed formulation for effective ROS delivery.

Reference: