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Bioceramic based functionalized biodegradable nanoparticles of bisphosphonates for synergistic targeting to bone

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Among various classes of drugs bisphosphonates (alendronate and risedronate) offers the most potent types of molecules to treat osteoporosis. The major obstacle that limits the successful use of orally administered risedronate includes low permeability and more importantly, insufficient and fluctuating bioavailability. This poor bioavailability (<1%) of risedronate results in the supplementation of high doses that may perhaps lead to severe side effects like osteonecrosis of the jaws, fever, vein irritation, general aches and pains and kidney dysfunction. Therefore, in the present study, bioceramic (hydroxyapatite) based Poly(D,L-Lactide-Co-Glycolide) (PLGA) and Polyethylene Glycol (PEG) nanoparticles of risedronate, was prepared by dialysis method for bioavailability enhancement. The structure of prepared diblock copolymers were characterized by FT-IR and NMR spectrometry. The formation of surface-modified PLGA nanoparticle prepared with various ratios of risedronate as well as hydroxyapatite and mPEG was confirmed by 1H NMR and FT-IR spectrometry. Pharmacokinetic study was also performed in male Wistar rats in order to evaluate the efficiency of prepared nanoparticles on existing marketed preparation (rosofos tablet). The size and % entrapment of the prepared nanoparticle was found to be 79.3±2.3 nm and 93±3.1%. Transmission Electron Microscopy (TEM) revealed that mPEG-PLGA-RIS-HA nanoparticles possess smooth and uniform surface. Pharmacokinetic study showed a significant enhancement in bioavailability (2 fold) when compared to marketed preparation. The results strongly implicated that mPEG-PLGA-RIS-HA has a therapeutic benefits over risedronate sodium monotherapy for the treatment of osteoporosis in a rat model. This research is likely to be helpful in the design of functional nanoparticles for the site-specific drug delivery in the treatment of bone diseases.

Biography

Sushama Talegaonkar has completed her PhD from Sagar University. She is working as Assistant Professor in Department of Pharmaceutics, Faculty of Pharmacy, Jamia Hamdard, since September 2000. She has about 15 years experience in teaching and research. She has filed 8 Indian patents and published more than 150 research papers in high impact factor international journals and has been serving as an Editorial Board Member of some reputed journals.

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