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Fabrication and Characterization of Folic Acid-Grafted-Thiomer Enveloped Liposomes for Enhanced Oral Bioavailability of Docetaxel

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Abstract : The present study was aimed to develop a hybrid nanocarrier (NC) system with enhanced membrane permeability, bioavailability and targeted delivery of Docetaxel (DTX) in breast cancer. Hybrid NC's based on folic acid (FA) grafted thiolated chitosan (TCS) enveloped liposomes were prepared with DTX and evaluated in-vitro and in-vivo for their enhanced permeability and bioavailability. Physicochemical characterization of NC's including particle size, morphology, zeta potential, FTIR, DSC, PXRD, encapsulation efficiency and drug release from NC's was determined in vitro. Permeation enhancement and p-gp inhibition were performed through everted sac method on freshly excised rat intestine which indicated that permeation was enhanced 5 times as compared to pure DTX and the hybrid NC's were strongly able to inhibit the p-gp activity as well. In-vitro cytotoxicity and tumor targeting was done using MDA-MB-231 cell line. The stability study of the formulations performed for 3 months showed the improved stability of FA-TCS enveloped liposomes in terms of its particles size, zeta potential and encapsulation efficiency as compared to TCS NP's and liposomes. The pharmacokinetic study was performed in vivo using rabbits. The oral bioavailability and AUC0-96 was increased 10.07 folds with hybrid NC's as compared to positive control. Half-life (t1/2) was increased 4 times (58.76 hrs) as compared to positive control (17.72 hrs). Conclusively, it is suggested that FA-TCS enveloped liposomes have strong potential to enhance permeability and bioavailability of hydrophobic drugs after oral administration and tumor targeting.

Keywords : docetaxel, coated liposome, permeation enhancement, oral bioavailability
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