20th International Conference and Exhibition on Pharmaceutics & Novel Drug Delivery Systems

March 18-20, 2019 | Edinburgh, Scotland

Thiolated pectin-axitinib conjugate: Synthesis, characterization and in vitro cytotoxicity study

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A sitinib (AXT) had low bioavailability about 58% due to low aqueous solubility (0.2 µg/mL). The objective of the present investigation is to develop thiolated pectin-AXT- conjugate to improve solubility and bioavailability with site specific (lymphatic) drug delivery. Thiolated pectin was prepared by coupling reaction of pectin with thioglycolic acid. The prepared thiolated pectin was characterized and conformed by FTIR and DSC. The obtained dried thiolated pectin was used to synthesize thiolated pectin-AXT-conjugate by disulfide bond formation reaction. It was characterized through particle size, zeta potential, PDI, SEM, DSC FTIR, XRPD, percent drug loading (% DL), percent entrapment efficiency (%EE), *in vitro* drug release, *in vitro* cytotoxicity and stability study. The mean particle size of formulation (F3) was found to be 429.5 nm after lyophilisation with zeta potential -27.1 mv. The %DL and %EE of formulation (F3) had 4.93 and 95.50, respectively. The *in vitro* drug release of batch (F3) was selected as optimized thiolated pectin drug conjugate. The % drug release of the optimized formulation before and after stability was 89.12 and 82.32, respectively. Hence, it was stable formulation during stability study. Thiolated pectin drug conjugate for low molecular weight drugs are unique passive targeted drug delivery systems which can be administered orally. This conjugate can be demonstrated as potential carrier for improving solubility of axitinib.