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Preparation and characterization of nanostructured lipid carrier loaded with Saquinavir Mesylate

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Saquinavir Mesylate (SM) is a protease inhibitor with activity against Human Immunodeficiency Virus Type 1 (HIV-1) and is available in tablet form, which has three major problems. First, the drug undergoes extensive first pass metabolism. Second, the drug has a poor aqueous solubility. And third, it has low GIT permeability and absorption. These constrains lead to decrease oral bioavailability (4% only) and administration of large doses which increase the incidence of occurrence of the side effects. The aim of this research was to utilise nanotechnology to formulate (SM) into nanostructured lipid carriers (SM-NLC) to provide a solution for the previously mentioned problems. Different formulations of (SM-NLC) were prepared using solid and liquid lipids with cremophore and Soya lecithin in different concentration by melt dispersion ultrasonication method. Properties of (SM-NLC) as Particle size, Zeta potential, scanning electron microscope, entrapment efficiency, *in vitro* release, *in vitro* permeation, and *in vivo* pharmacokinetic study were conducted. The formulated (SM-NLC) was spherical in shape, with average particle size range from 26 nm to 49 nm, zeta potential of 22.12mV, and entrapment efficiency of 89%. The drug release behaviour from (SM-NLC) displayed controlled release manner, and the bioavailability enhanced more than 7-folds compared to tablet. It can be concluded that SM-NLC is a promising novel formula for (SM) that has higher permeability and enhanced systemic bioavailability.