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Propylene glycol-embodying deformable liposomes as a novel drug delivery carrier for vaginal fibrauretine delivery applications

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Abstract

The purpose of this work was to develop and characterize the fibrauretine (FN) loaded propylene glycol-embodying deformable liposomes (FDL), and evaluate the pharmacokinetic behavior and safety of FDL for vaginal drug delivery applications. FDL was characterized for structure, particle size, zeta potential, deformability and encapsulation efficiency; the ability of FDL to deliver FN across vagina tissue in vitro and the distribution behavior of FN in rat by vaginal drug delivery were investigated, the safety of FDL to the vagina of rabbits and rats as well as human vaginal epithelial cells (VK2/E6E7) were also evaluated. Results revealed that: (i) the FDL have a closed spherical shape and lamellar structure with a homogeneous size of 185±19nm, and exhibited a negative charge of -53±2.7mV, FDL also have a good flexibility with a deformability of 92±5.6 (% phospholipids/min); (ii) the dissolving capacity of inner water phase and hydrophilicity of phospholipid bilayers of deformable liposomes were increased by the presence of propylene glycol, this may be elucidated by the fluorescent probes both lipophilic Nile red and hydrophilic calcein were filled up the entire volume of the FDL uniformly, so the FDL with a high entrapment capacity (were calculated as percentages of total drug) for FN was 78±2.14%; (iii) the permeability of FN through vaginal mucosa was