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Development and characterization of gemcitabine lipobeads

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The entrapment of anticancer agents in drug delivery systems (DDS) for tumor targeting improves drug pharmacokinetics, toxicity, and efficacy. DDS development is a complex process which requires careful design and evaluation to ensure their safety and efficacy [1]. Lipobeads (LB) are structures consisting of a hydrogel core enclosed within a lipid bilayer [2]. LB borrow from liposomes the well-established preparation techniques, diversity of lipids to control lipid bilayer properties, biocompatibility of the lipid bilayer, ability to vary size and morphology, accessibility for attachment of various ligands, and efficacy of encapsulation of both hydrophilic and hydrophobic molecules [3]. Gemcitabine (GEM) is a chemotherapeutic agent that presents an increased hydrophilicity and short half-life, being an ideal candidate for entrapment in LB [4]. The aim of this study was the development and characterization of GEM-LB. The hydrogel core of LB was obtained using the precipitation/dispersion polymerization method and the lipid bilayer was obtained by thin film hydration method. An optimization study was performed using the Design of Experiments (DoE) methodology employed to study the impact of formulation factors (NIPA and PL concentration) on LB attributes. GEM-LB showed adequate characteristics in terms of size (< 200 nm), homogeneity (PDI<0.30), zeta potential (approx. – 30 mV) and drug encapsulation (13-67% GEM). The DoE showed the relation between the formulation factors and LB attributes. In conclusion this study reports the successful formulation and characterization of GEM-loaded LB using the DoE approach.

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