Preparation of Gemcitabine-Poly(L-lactic acid) Conjugate Nanoparticles for Sustained Release

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Nano-particulate drug delivery systems have widely been studied to achieve selective targeting of tumor cells through the enhanced permeability and retention (EPR) effect. The important advantages of nanoparticle-based drug delivery systems are prolonged blood circulation of drug, high carrier capacity, controlled and sustained drug release, preferentially carrying drugs to the tissues and cells of tumor, feasibility of variable administration routes such as intravenous injection, oral medication and inhalation. Conventional methods used to prepare drug-loaded polymeric nanoparticles include dialysis, emulsion/solvent evaporation method and micelle technique. However, these methods generally have some limitations such as low drug loading efficiency, low drug distribution, and broad particle size distribution. In this study, to increase the loading efficiency of gemcitabine, an anti-tumor agent, gemcitabine-poly(L-lactic acid) (PLLA) conjugates were synthesized through amide linkage reaction of N-hydroxysuccinimide with triethylamine. Then, gemcitabine-PLLA conjugate nanoparticles were prepared by the direct dialysis method and their characteristics were investigated in detail.