

Synthesis of PEG-PLGA copolymer for preparation of docetaxel nanoparticles

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Background and Aims: Poly(lactic-glycolic acid) (PLGA) is a biodegradable and biocompatible water insoluble lipophile polymer and m-poly(ethylene glycol) (m-PEG) is a water soluble biocompatible polymer. In this research, we aimed to synthesize amphiphile m-PEG-PLGA copolymer and study different nanoparticle preparation conditions on the particle size of nanoparticles prepared from PEG-PLGA copolymers.

Methods: Poly(lactic glycolic acid) was activated by NHS (N-hydroxysuccinimide) and DDC (dicyclohexylcarbodiimide). Then m-PEG, was reacted to activated polymer in order to synthesize PLGA-PEG copolymers. The copolymers were purified and characterized by FTIR, DSC, H- NMR techniques. The docetaxel-loaded Nanoparticles were prepared by different methods including single emulsion, double emulsion and precipitation solvent evaporation. In next step, the effect of some variables including organic solvents, stirring rate and surfactants were examined on produced nanoparticles size.

Results: The FTIR spectrums of PEG-PLGA showed sharp peaks at 1100 cm⁻¹ (etheric C-O of mPEG) and 1700cm⁻¹ (ester carbonyl of PLGA) indicating mPEG - PLGA linkage. ¹H NMR spectrum had the multiplets at 5.13 and 4.78 ppm corresponding to the lactic and glycolic acid protons, respectively and a large peak at 3.64 ppm resulting from mPEG ethylene oxide protons. The Particle size analysis results showed that precipitation solvent evaporation was the best method and at optimized conditions produced a mean particle size of 100 nm or less for the nanoparticles.

Conclusions: m-PEG polymers were successfully introduced to the PLGA polymer. The nanoparticles produced from mPEG-PLGA copolymers had a mean size of 100nm and could efficiently encapsulate docetaxel as an insoluble anticancer drug.

Keywords: mPEG; PLGA; mPEG-PLGA; Nanoparticle; Docetaxel