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Preparation, characterization and cytotoxicity of methotrexate-loaded PLGA superparamagnetic nanoparticles for theranostics

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Background and Aims: Magnetic nanoparticles (MNPs) are of high interest due to their application in medical fields, in particular for theranostics. Various coatings were studied to overcome the intrinsic instability of magnetite particles (Fe3O4) over long periods of time and their susceptibility to the oxidization in air. Encapsulating MNPs in polymeric microparticles would overcome the rapid clearance of Methotrexate from targeted organs. The objective of this study is to prepare and characterize these dual characteristics carriers suitable for regional drug delivery.
Methods:MNPs were synthesized by co-precipitation method. The effect of coating materials of oleic acid, citric acid, polyvinyl alcohol and carboxymethyl cellulose on particles morphology, stability, paramagnetic effect and cytotoxicity were evaluated. Methotrexate loaded PLGA microparticles containing selected MNPs were prepared using double emulsion-solvent evaporation method in which the effects of drug to polymer ratio, PVA concentration and stirring speed were studied. Size, drug and magnetite encapsulation efficiency, surface morphology, magnetization saturation, in vitro release and cell toxicity of selected formulations were evaluated.
Results: The optimized MNPs were effectively encapsulated in methotrexate loaded PLGA particles. By evaluating the affective parameters, 0.4-30µm size range particles was produced. Approximately, 94.57% drug encapsulation efficiency was resulted by further optimization. These particles have magnetization saturation of about 43emu/g with no remanence time. Methotrexate released in the range of 13.36% to 88.12% within 76 h. Cell toxicity results support release profile of invested particles.
Conclusions: In general, the designed system is suitable for magnetically guided drug targeting and interesting carrier for regional Methotrexate drug delivery due to its appropriate particle size distribution, high magnetic saturation, sufficient drug loading, controlled drug release and low cell toxicity.

Keywords: Methotrexate; Regional drug delivery systems; Magnetic drug delivery systems