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Hydrophobicly modified PEGylated chitosan for encapsulating of paclitaxel

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Background and Aims: In this study chitosan modified by methoxy polyethylene glycol 5000 (mPEG) and palmitic acid (PA) groups. It is expected that in optimum ratio of m-PEG and palmitic acid to chitosan the modified polymer (mPEG-CS-PA) is able to construct micelle-like structures in order to encapsulate paclitaxel as a water insoluble drug.
Methods: The different molar ratio of mPEG-aldehyde was first reacted to chitosan (Schiff-base reaction) and then reacted to N-hydroxysuccinimidyl ester of PA. The purified products were characterized by FTIR, elemental analysis and DSC. Critical aggregation concentration (CAC) was determined by fluorescent assay test, particle size analysis and paclitaxel loading and encapsulating efficacy were determined by HPLC.
Results: FTIR spectroscopy and Elemental analysis showed successful substitution of mPEG and PA groups in mPEG-CS-PA. DSC analysis of copolymers and micelle loading paclitaxel confirmed successful copolymer synthesis and loading of drug. Pyrene fluorescent emission test and dilution method showed a CAC around 50-100µg/mL. Particle size analysis showed a mean particle size of 78-112 nm for the best derivatives. The best derivatives had drug loading and encapsulating efficacy about 11.19-13% and 88.82-93.32%, respectively.
Conclusions: Different ratios of mPEG and Palmitic acid were successfully introduced to chitosan polymer. The nanoaggregates formed from these copolymers showed excellent properties confirming them as a potentiate carrier of paclitaxel.

Keywords: Chitosan; mPEG; Palmitic acid; Micelle; CMC