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Isfahan University of Medical Sciences

Preparation and characterization of sub-150 nm protoporphyrin IX-loaded nanoparticles for photodynamic therapy.

H. Rouhani[1,*], N. Sepehri[1], R. Dinarvand[2]

[1]Novel Drug Delivery Systems Laboratory, Faculty of Pharmacy, Department of Pharmaceutics, Tehran University of Medical Sciences, Tehran, Iran
[2]Medical Nanotechnology Research Centre, Faculty of Pharmacy, Tehran University of Medical Sciences, Tehran, Iran

Background and Aims: Protoporphyrin IX (PpIX) is a naturally occurring porphyrin constituent of hemoglobin, cytochrome c that is used as a drug in photodynamic therapy (PDT) but its direct application is limited due to its low solubility in a physiological medium. The present study is focused on developing a biodegradable nanocarrier for entrapment of PpIX, using poly(d,l-lactide-co-glycolide) (PLGA) polymer by nanoprecipitation method to increase the solubility and effective delivery of PpIX for PDT.
Methods: The influence of the selected parameters such as polymer concentration, stirrer rate and non-solvent volume was investigated in terms of particle size and drug content by box-behnken design.
Results: Results showed that, for all type of nanoparticles (NPs), the size ranged from 110-130 nm with narrow unimodal distribution and negative zeta potential values (-30±2.29 mV) were obtained. The NPs appeared to be spherical and rather homogeneous in size under the scanning electron microscopy (SEM) and Atomic Force Microscopy (AFM). Differential scanning calorimetry (DSC) thermograms indicated that PpIX was dispersed as an amorphous state in the NPs and may have been homogeneously dispersed in the PLGA matrix. The in vitro PpIX release rate from NPs shows the biphasic release profile with an initial burst release during the first day and followed by a sustained release up to 15 days.
Conclusions: Our result suggested that the prepared nanoparticles of PpIX with the entrapment efficiency and drug loading ranged between 40-50% and 4-4.5% respectively, are promising vehicles for PTD. However, further studies are needed to confirm its performance in vitro and in vivo.

Keywords: Nanoparticle; Photodynamic therapy; Protoporphyrin