Research in Pharmaceutical Sciences, 2012;7(5)
School of Pharmacy and Pharmaceutical Sciences
Isfahan University of Medical Sciences

Preparation and Characterization of PLA-PEG-PLA Tri-block Copolymer Polymersomes as a Novel Carrier for Lisinopril

H. Danafar [1,2,*], K. Rostamizadeh[2], S. Davaran[1], M. Hamidi[3]

[1]Department of Medicinal Chemistry, School of Pharmacy, Tabriz University of Medical Sciences, Tabriz, Iran
[2]Department of Medicinal Chemistry, School of Pharmacy, Zanjan University of Medical Sciences, Zanjan, Iran
[3]Department of Pharmaceutics, School of Pharmacy, Zanjan University of Medical Sciences, Zanjan, Iran

Background and Aims: In the recent years there has been increased interest in the use of Biodegradable and biocompatible polymeric nanoparticles for drug delivery application. Polymersomes (Ps) are a class of artificial vesicles made from synthetic amphiphilic block copolymers. Ps based on their multi drug loading capacity, membrane robustness and stealth properties are highly interesting for drug delivery applications. Lisinopril is widely used in the treatment of hypertension. However, its extensive first pass metabolism results in poor bioavailability. The objective of the present research work is to design and evaluate Ps for the controlled release of lisinopril with a goal to increase the bioavailability, reduce dosing frequency and improve patient compliance.
Methods: Polylactide-Poly(ethylene glycol)-Polylactide (PLA-PEG-PLA) copolymers were synthesized by ring-opening polymerization using stannous octoate [Sn(Oct)2] as catalyst. . A double emulsion technique was used to prepare PLA-PEG-PLA tri-block copolymer polymersomes. The release behavior of obtained Polymersomes were examined by UV spectrophotometery at λmax=230. The resulting nanoparticles were characterized by various techniques such as particle size analyzer (DLS), Zeta potential, AFM and DSC.
Results and discussion: NMR, DSC, FT-IR analysis were used to confirm the structure of copolymer. The molecular weight of copolymer was found to be 29000 Da by GPC technique. The size and PDI of PLA-PEG-PLA triblock copolymer polymersomes were found to be 229.8 nm and 0.332, respectively. The drug entrapment efficiency was more than 71%. As it was obvious, these polymerosomes offered advantages over other nanocarriers with respect to the entrapment efficiency. The nanoparticles showed sustained release behavior for a long time.
Conclusions: Polymersomes are able to encapsulate hydrophilic drugs. The drug release experiments indicated that the lisinopril -loaded polymersomes possessed sustained release characteristics.

Keywords: PLA-PEG-PLA; Polymersomes; Lisinopril; Nanoparticles