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Original Article

Preparation and characterization of estradiol-loaded PLGA nanoparticles using homogenization-solvent diffusion method

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Abstract:

Abstract

Background: The inherent shortcomings of conventional drug delivery systems containing estrogens and the potential of nanoparticles (NPs) have offered tremendous scope for investigation. Although polymeric NPs have been used as drug carriers for many active agents, the use of appropriate polymer and method of NP preparation to overcome different challenges is very important.

Materials and methods: Poly lactide-co-glycolide (PLGA) NPs containing estradiol valerate were prepared by the modified spontaneous emulsification solvent diffusion method. Several parameters including the drug/polymer ratios in range of 2.5-10%, poly vinyl alcohol (PVA) in concentration of 0-4% as stabilizer and internal phase volume and composition were examined to optimize formulation. The size distribution and morphology of the NPs, encapsulation efficacy and in vitro release profile in phosphate buffer medium (pH 7.4) during 12 hrs were then investigated.

Results: The NPs prepared in this study were spherical with a relatively mono-dispersed size distribution. By adjustment of the process parameters, the size and the drug encapsulation efficacy as well as the drug release kinetics can be optimally controlled. The mean particle size of the best formula with encapsulation efficiency of 100% was 175 ± 19, in which release profile was best fitted to Higuchi's model of release which showed that release mechanism was mainly controlled by diffusion of the drug to the release medium.

Conclusion: According to the size and surface properties of the prepared particles, it may be concluded that they are a good formulation for non-parenteral routes of administration.

Keywords:

Keywords: Estradiol, Drug delivery, PLGA, emulsification solvent diffusion method

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