Development and Optimization of Aceclofenac Nanoparticles Utilizing a Full Factorial Design

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Extended Abstract

Aceclofenac loaded PLGA nanoparticles were prepared by spontaneous emulsification solvent diffusion method (SESD). The composition of the organic phase was varied to study the effect of acetone to dichloromethane ratio on nanoparticle formation, particle size and entrapment efficiency. Results indicated that 1:1 ratio of acetone:dichloromethane was the optimum organic solvent mixture for nanoparticle preparation. A 2^4 full factorial design based on the selected organic solvent mixture was applied. The independent variables were the amount of drug, the concentration of PVA in the aqueous phase as well as the type of PLGA and its concentration. The effects of these parameters on entrapment efficiency, particle size and drug release were investigated. Differential scanning calorimetric (DSC) studies and measurement of zeta potential were performed on the optimized aceclofenac-loaded nanoparticles formulation to investigate the crystalline nature of the drug after entrapment and the surface charge of the prepared nanoparticles. Results revealed that increasing PLGA concentration results in higher entrapment efficiency, while the use of 5% PVA in the aqueous external phase results in smaller particle size of the prepared nanoparticles. In-vitro release studies in phosphate buffer (pH 7.4) showed extended drug release and fitted the theoretical target release profile. Most formulations exhibited Fickian diffusion drug release profiles. The optimized formulation, prepared using 94.07 mg aceclofenac, 400mg PLGA-RH and 4.72 % PVA, had entrapment efficiency 67.72 %, a mean particle size of 593 nm and exhibited a prolonged release (t50%=5.23hrs). Aceclofenac loaded PLGA nanoparticles seem to be a promising ocular delivery system for extending the ocular anti-inflammatory action of aceclofenac.