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Design and formulation of nano-sized spray dried efavirenz-part I: influence of formulation parameters

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Abstract

Efavirenz (EFV) is one of the first-line antiretroviral drugs recommended by the World Health Organisation for treating HIV. It is a hydrophobic drug that suffers from low aqueous solubility (4 μg/mL), which leads to a limited oral absorption and low bioavailability. In order to improve its oral bioavailability, nano-sized polymeric delivery systems are suggested. Spray dried polycaprolactone-efavirenz (PCL-EFV) nanoparticles were prepared by the double emulsion method. The Taguchi method, a statistical design with an L8 orthogonal array, was implemented to optimise the formulation parameters of PCL-EFV nanoparticles. The types of sugar (lactose or trehalose), surfactant concentration and solvent (dichloromethane and ethyl acetate) were chosen as significant parameters affecting the particle size and polydispersity index (PDI). Small nanoparticles with an average particle size of less than 254 ± 0.95 nm in the case of ethyl acetate as organic solvent were obtained as compared to more than 360 ± 19.96 nm for dichloromethane. In this study, the type of solvent and sugar were the most influencing parameters of the particle size and PDI. Taguchi method proved to be a quick, valuable tool in optimising the particle size and PDI of PCL-EFV nanoparticles. The optimised experimental values for the nanoparticle size and PDI were 217 ± 2.48 nm and 0.093 ± 0.02.

Keywords

Spray dried; Polycaprolactone; Efavirenz; Nanoparticles; Taguchi method