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Preparation of rifampicin/poly(d,l-lactice) nanoparticles for sustained release by supercritical assisted atomization technique

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Abstract

In this work supercritical assisted atomization (SAA) process was used for the co-precipitation of poly(d,l-lactide) (PDLLA) and rifampicin (RIF) as nanoparticles for sustained release applications. The effect of the variation of PDLLA/RIF ratio on co-precipitate characteristics was mainly investigated. The precipitated particles were analyzed in terms of their morphological, thermodynamic and crystallographic properties. In addition, loading efficiency and in-vitro release studies were conducted. Spherical PDLLA/RIF nanoparticles with mean diameter ranging from 123 to 148 nm were prepared. Loading efficiency was greater than 100% resulting in RIF loadings of 28.8 to 50.5%. X-ray diffraction revealed that the encapsulated RIF is in an amorphous state, while NMR spectra indicated no structural modifications after the SAA process. In-vitro release studies showed an initial burst release of 80–87% of total RIF loaded, necessary to suppress the generation of resistance by the microorganism, followed by first-order sustained release between 0.4 and 0.8 mg/L RIF per day over a period of 17 days.

Keywords

Rifampicin; Poly(D,L-lactide); Supercritical assisted atomization (SAA); Nano-encapsulation