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Enhanced oral bioavailability of the antiretroviral efavirenz encapsulated in poly(epsilon-caprolactone) nanoparticles by a spray-drying method

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Abstract

Aim: To encapsulate efavirenz (EFV) within poly(epsilon-caprolactone) (PCL) nanoparticles (NPs) and compare the oral pharmacokinetics with that of EFV-loaded micelles and pure EFV NPs. Materials & methods: EFV-loaded PCL NPs were produced by a double-emulsion/spray-drying method. Results: NPs displayed a hydrodynamic diameter of 200–250 nm. The encapsulation efficiency was 86–93% and the mass recovery was above 60%. X-ray diffraction indicated that drug and PCL underwent amorphization during the spray-drying process. Encapsulation within NPs significantly increased the maximum concentration in plasma and the bioavailability. Conclusion: EFV-loaded PCL NPs represent a promising platform to develop scalable pharmaceuticals with improved (bio)pharmaceutic performance.

Keywords:
Efavirenz; HIV; in vitro drug release; oral bioavailability enhancement; poly(epsilon-caprolactone) nanoparticle; spray drying