



Poly (Ethylene Glycol)-Block-Poly(ϵ -Caprolactone) Nanomicelles for the Solubilization and Enhancement of Antifungal Activity of Sertaconazole

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Abstract:

Sertaconazole nitrate is a broad spectrum imidazole antifungal agent with antibacterial and anti-inflammatory properties. However, its lipophilic nature and very poor aqueous solubility limit its use in the clinic. The aim of this study was to develop and characterize poly(ethylene glycol)-block-poly(ϵ -caprolactone) (PEG-b-PCL) polymeric nanomicelles for the solubilization and enhancement of sertaconazole antifungal activity. Sertaconazole was incorporated into PEG-b-PCL polymeric nanomicelles by a co-solvent evaporation method and micelle size, drug loading capacity and drug release properties were determined. The antifungal properties of nanomicelle-loaded drug were evaluated in *Fusariummisanthi*, *Microsporumcanis*, and *Trichophytonmentagrophytes* isolated, respectively from fungal keratitis, ringworm, and tineacorporis. PEG-b-PCL formed nanomicelles in aqueous solution with a diameter ranging from 40-80 nm, depending on the polymer composition and level of drug loading. Drug loading properties of the nanomicelles were dependent on the PCL block molecular weight and drug/polymer weight feed ratio. Drug encapsulation efficiency of up to 85% was achieved and this resulted in more than 80-fold enhancement in sertaconazole aqueous solubility at polymer concentration of 0.2%. Drug release studies showed an initial burst release followed by sustained drug release for 72 hours. In vitro antimycotic studies showed that nanomicelle-incorporated sertaconazole inhibited fungal growth in a concentration dependent manner. Further, it was more effective than the free drug in inhibiting the growth of *Fusariummisanthi* and *Microsporumcanis*. These results confirm the utility of PEG-b-PCL nanomicelles in enhancing the aqueous solubility and antifungal activity of sertaconazole or other similar antifungal drugs.

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