In vitro and in vivo investigation for optimization of niosomal ability for sustainment and bioavailability enhancement of diltiazem after nasal administration.

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Abstract

Diltiazem hydrochloride (DTZ) is a calcium channel antagonist depicted by extensive first pass metabolism and low oral bioavailability. The aim of this work was to develop niosomes for potential nasal delivery of DTZ. Niosomes protect hydrophilic drugs inside their core while nasal route offers both rapid onset and evasion of first-pass metabolism. Niosomes were prepared using a combination of Span 60 or Brij-52 with cholesterol (CHOL) in different molar ratios followed by determination of entrapment efficiency, particle size and in vitro drug release. A parallel design was adopted to evaluate the pharmacokinetic performance of DTZ-loaded niosomes in male Wistar rats. Non-compartmental analysis was performed where Cmax, Tmax, t1/2, MRT, area under the release curve (AUC) and Ke were assessed. The prepared niosomes were spherical with mean particle size 0.82ï1.59¡ εm. Span 60-cholesterol niosomes (1:1 molar ratio) showed the highest entrapment and release efficiencies. In vivo study revealed an increase in MRT, t1/2 and AUC with a decrease in Ke. In conclusion, nasal niosomal formulation of DTZ expressed suitable pharmacokinetic parameters and bioavailability through prolonged duration of action inside the body as well as low rate of elimination depicting a promising alternate to the conventional oral route.

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