Abstract
Context: Development of carvedilol-loaded transfersomes for intranasal administration to overcome poor nasal permeability and hepatic first pass effect so as to enhance its bioavailability.
Objective: The purpose of this study was to develop carvedilol-loaded transfersomes containing different edge activators (EAs) then evaluating the in vivo behavior of the optimized formula in rabbits.
Methods: The vesicles were prepared by incorporating different EAs including Span 20, Span 60, Tween 20, Tween 80, and sodium deoxycholate (SDC) in the lipid bilayer and each EA was used in three different ratios with respect to phosphatidylcholine (PC) including 95:5%, 85:15%, and 75:25% w/w (PC:EA). Evaluation of transfersomes was carried out in terms of shape, size, entrapment efficiency (EE), in vitro release, ex vivo permeation, confocal laser scanning microscopy (CLSM), and stability studies. The pharmacokinetic study of the optimized formula was conducted in rabbits.
Results: The mean diameter of the vesicles was in the range of 295–443 nm. Transfersomes prepared with 95:5% (w/w) (PC:EA) ratio showed highest EE% where Span 60 gave the highest values. Whereas those prepared using 85:15% w/w ratio showed highest percentages of drug release where SDC was superior to other EAs. The developed transfersomes exhibited significantly higher amounts of carvedilol permeated through nasal mucosa. CLSM of formula T14 containing SDC with 85:15% (w/w) (PC:EA) ratio revealed high permeation across the nasal mucosa.
Conclusion: The nanotransfersomal vesicles were significantly more efficient in nasal delivery of carvedilol with absolute bioavailability of 63.4%.