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Development of Darunavir proliposome powder for oral delivery by using Box–Bhenken design

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Abstract

The aim of this study is to develop Darunavir (DRV) proliposome powder for oral delivery. Darunavir-loaded oral proliposome powder (OPP) was prepared by a solvent evaporation technique with varying independent variables at three different levels. Based on different levels, proliposome powder formulation was optimized by using Box–Behnken design. The formulations were analyzed for its size distribution, entrapment efficiency, and surface morphology. Optimized proliposome batch A was evaluated for physical parameter, morphological parameters, entrapment efficiency, followed by *in vitro*, *ex vivo*, and *in vivo* studies. Oral proliposome powder showed

good micromeritic properties with angle of repose was less than 30°, Carr's index and Hausner's ratio were also less than 21 and 1.25, respectively. The mean size of the vesicles was in the range of 180–290 nm. The assay and entrapment efficiency of proliposome powder formulations were 79.00 ± 0.2 and 93.46 ± 0.2%, respectively. *In vitro* release of DRV proliposome powder was 78.17 ± 0.1% after 24 h which shows good release from the vesicle of proliposome. *Ex vivo* permeation study shows 58.11% enhancement which shows good permeation. The optimize batch A of proliposome powder indicated 50% enhancement in the relative bioavailability as compared to the DRV suspension. The results showed that proliposome powder containing DRV can efficiently deliver in to the blood stream. This drug delivery system has been designed as a novel platform for potential oral delivery of drugs having poor water solubility and high first-pass metabolism.

Keywords: Darunavir bioavailability permeation phosphatidylcholine Box–Behnken design

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Disclosure Statement

No potential conflict of interest was reported by the author(s).

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