Volume 106, Issue 10, October 2017, Pages 3103-3112

Hexagonal Liquid Crystalline Nanodispersions Proven Superiority for Enhanced Oral Delivery of Rosuvastatin: In Vitro Characterization and In Vivo Pharmacokinetic Study

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Abstract:

This study aimed to explore the potential of tailoring the liquid crystalline structure for augmenting the oral absorption and biopharmaceutical performance of rosuvastatin. Rosuvastatin (ROS)-loaded liquid crystalline nanodispersions (LCNDs) were prepared via emulsification technique. The effect of incorporating oleic acid (OA) in various proportions in the lipid domain of the LCNDs was studied. The formulations were characterized for particle size, zeta potential, in vitro release, ex vivo intestinal permeation, in vivo oral bioavailability, and stability. All the prepared LCNDs possessed uniform nanometric size and negative zeta potential. Employing OA in the lipid domain enhanced ROS entrapment efficiency, and resulted in structural transition from cubic to hexagonal phase as proved by transmission electron microscopy. Increasing OA proportion up to a certain ratio prolonged the in vitro drug release rate, after which further increase in OA had no significant effect. The OA bearing hexagonal LCNDs provided a significant enhancement in the intestinal permeation compared to glyceryl monooleate cubical nanodispersion and demonstrated an outstanding in vivo performance by maintaining higher ROS plasma levels up to 8 h and enhancing oral bioavailability compared to commercial tablet. They proved to be promising carriers for improved oral delivery of ROS with substantial bioavailability enhancing effects, and superiority compared to cubosomes and OA emulsion.

Reference:

https://08105wtby-1104-y-https-www-scopus-com.mplbci.ekb.eg/record/display.uri?eid=2-s2.0-85021855276&origin=resultslist&sort=plf-f&src=s&nlo=&nlr=&nls=&sid=0e798d879f58df600e8576a2c5e29091&sot=aff&sdt=cl&cluster=scosubjabbr%2c%22PHAR%22%2ct%2bscopubyr%2c%222017%22%2ct&sl=49&s=AF-ID%28%22Pharos+University+in+Alexandria%22+60011287%29&relpos=5&citeCnt=7&searchTerm=