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Preparation and optimization of Lacidipine nanosuspensions by antisolvent sonoprecipitation technique using Box-Behnken design

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Lacidipine (LCDP) is a calcium channel blocker used in the treatment of hypertension. However, LCDP is a highly lipophilic drug of poor aqueous solubility which leads to poor absorption upon oral administration. The aim of this study was to prepare and optimize LCDP nanosuspensions using the antisolvent sonoprecipitation technique to enhance the solubility, dissolution and oral absorption of LCDP. A three factor, three level Box-Behnken design (BBD) was employed to optimize the formulation variables to obtain LCDP nanosuspension of small and uniform particle size. Formulation variables included in the design were: stabilizer to drug ratio (A), sodium deoxycholate (SDC) percentage [a mixture of SDC /Pluronic F127] (B) and sonication time (C). LCDP nanosuspensions were assessed for particle size (PS), zeta potential (ZP) and polydispersity index (PDI). The formula with the highest desirability (0.969) was chosen as the optimized formula. The values of the formulation variables (A, B and C) in the optimized nanosuspension were 1.5, 100% and 8 min, respectively. The optimal LCDP nanosuspension was lyophilized using 2% mannitol as cryoprotectant. The X-ray powder diffraction (XRPD) and differential scanning calorimetry (DSC) studies showed amorphous LCDP nanoparticles. Transmission electron microscopy (TEM) demonstrated spherical particle morphology. LCDP nanosuspension showed significantly enhanced saturated solubility and dissolution rate in comparison to raw LCDP due to particle size reduction and amorphous state. These results suggest that the optimized LCDP nanosuspension could be promising to improve oral absorption of LCDP.

Biography

Ahmed R Fares has completed his Master degree in Pharmaceutical Sciences from Faculty of Pharmacy, Cairo University. He is now a PhD student in the same University. He is a Teaching Assistant in the pharmaceutics and industrial pharmacy department. He has published 2 papers in reputed journals.

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