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Short Communication On Lercanidipin Formulation for Improved Bioavailability

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ABSTRACT:

Lercanidipine Hydrochloride is very effective hypertension treatment remedy but due to its poor physico-chemical properties, the therapy is compromised. In current Research work the attempt was made to improve solubility and dissolution of the same by lipid formulation. The formulation was tested for various preformulation and post formulation test along with in invivo test on rats. The results showed there is definitely chance of improvement in bioavailability of Lercanidipine Hydrochloride in human also.

KEY WORDS: Lercanidipine Hydrochloride, SEDDS, SMEDDS, Lipid formulation.

Lercanidipine Hydrochloride is used for treatment of hypertension, organization of angina pectoris & Raynaud's issue. But in light of poor solubility (BCS class-II), exceptionally lipophilicity and result in poor absorption inspite of it is having good permeability, It gives poor bioavailability (~10%)[1]. Thus change in solubility of lercanidipine hydrochloride & enumerating that overhauled ingestion is searched for better patient consistence.

The research was conducted on Lipid based solid dosage formulation development and characterization of lercanidipine hydrochloride for better patient compliance. Here in that work done for drug solubility enhancement and improvements in oral bioavailability of antihypertensive drug like lercanidipine hydrochloride. Analytical method development was carried out by the use of 0.1N HCL and phosphate buffer PH6.8 in UV spectrophotometer. In the study technique used to improve solubility was self emulsification drug delivery system. The drug was firstly solubilized in various oils for the selection of oil, surfactant and cosurfactant to make the SEDDS. In that captex 200 was found maximum solubility and selected as an oil, tween 20 as a surfactant and PEG was selected as a cosurfactant. Pseudo ternary phase diagram was constructed and find the better emulsion region was selected. Further by using design of experiments preliminary trial batches was optimized based on pseudo ternary phase diagram. From the statistical analysis validate the batch from the optimized batch and evaluation was carried out like % transmission, %CDR, viscosity, zeta potential etc[2]. Prepared microemulsion bead size obtained 45.72 nm and zeta potential was found -23.2 mV. and after that SMEDDS was converted to S-SMEDDS through solidification of

prepared SMEDDS by the use of carrier adsorbents to prepared solid dosage form like tablets. Carrier selection was done and from the different kinds of adsorbents aeropearl 300 was selected as a better adsorbent for the further process. Various batches of solid SMEDDS lercanidipine HCL was prepared and evaluated with various evaluation parameters. 3^2 full factorial design was occupied and select final batch from the different batches. After selecting suitable batch, it was compared and evaluated with marketed product LERVASC 10 mg with different evaluation parameters. The obtained results were, prepared tablet showed LERVASC 10 mg dissolution around 60% in 60 min while prepared tablet showed 90% drug dissolution in 10 min. also stability study was done like real time stability study and accelerated stability study for the prepared formulation. also, to find out the % decrease in systolic blood pressure animal study was carried out by taking DOCA rats for 21 days with formulated S-SMEDDS lercanidipine HCL and marketed formulations. From that formulated S-SMEDDS lercanidipine HCL suspension found 1.78 fold increase in bioavailability in contrast with marketed formulation. Thus, from the obtained results concluded that from this techniques of SMEDDS increase in solubility and dissolution with extended in bioavailability of the drug.

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