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J Pharm Drug Deliv Res 2015, 3:3
http://dx.doi.org/10.4172/2325-9604.S1.003

World Drug Delivery Summit

August 17-19, 2015 Houston, USA

Formulation and evaluation of nano-suspensions of Cyclosporine

Ravikumar Patel, J K Patel and **Y K Patel**
Jodhpur National University, India

Background: Cyclosporine (CsA), a neutral hydrophobic cyclic peptide composed of 11 amino acid residues, is a 3rd generation immunosuppressant that has been used successfully in organ transplantation in humans since 1981. The aqueous solubility of CsA is very low i.e. 27.67 µg/ml at 25^0C because of which its oral bioavailability from its conventional dosage forms is very low. It also displays a considerable inter and intra-patient variability presumably due its poor and highly bile-dependent absorption as well as intestinal metabolism. Hence, it requires careful monitoring of blood levels.

Aim: To formulate and evaluate the nano-suspensions of cyclosporine.

Method: Formulation development of nano-suspension was done by trial and error method by different methods viz. solvent evaporation, high pressure homogenization and media milling.

Results: Solvent evaporation method gave a particle size of 1120 nm with 2.52% w/w of polyethylene glycol in dichloromethane, high pressure homogenizer method resulted a particle size of 1026 nm with 2.52% w/w of polyethylene glycol while media milling method gave a best particle size of 269.7 nm with 2.52% w/w of propylene glycol. Based on these results, media milling method was selected for further studies.

Discussion: The problem that occurred in solvent evaporation method was that after the evaporation of the solvent and during the addition of wetting agent in the drug solution, the separation of particles was observed while stirring and small clumps were seen. In the case of high pressure homogenization, it was observed that after completion of the two cycles there is blockage of the suspension in the piston gap. In order to avoid damage to the instrument and due to blockage of suspension, this method was not considered. Media milling method was selected. With the help of different wetting agents (polyethylene glycol 4000, polyethylene glycol 6000) and the stabilizers (poloxomer 407, poloxomer 188), different trials were made and the nano-suspensions were prepared. However, in these methods, the problem of sedimentation was observed. To avoid this problem, the particle size was reduced, but after 24 hours it showed sedimentation of 20-30% solids at the bottom. In order to reduce this, two more trials were made with the help of propylene glycol and different wetting agents and surfactants. In these trials, there was no sedimentation observed and the desired particle size was also achieved.

Conclusions: The nano-suspensions prepared with polaxomer 407 (which acts as a stabilizer) and propylene glycol (which is a surfactant) provided the particle size below 500 nm.

ravipharma84@gmail.com

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