ABSTRACT

Solid lipid nanoparticles have versatile potential for efficient exploitation of hydrophobic drug delivery at specific site to produce site specific action. These possible benefits can implement with controlled release of drug as well as protection of the active pharmaceutical ingredient against degradation, drug targeting activity as well as drug localization modification in topical drug delivery. The principle objective of this research work was to develop drug localization effect of tacrolimus through solid lipid nanoparticles via dermal localization in inflammatory disease. The nano formulations were developed with different process parameters which include the utilisation of various lipids and surfactant in various concentrations and most optimised formulation was implemented in gel base. Different types of formulations were prepared using factorial design approach. The effect of various parameters like homogenization speed, homogenization time, surfactant concentration and drug: lipid ratio were studied by various analytical methods. The principal outcomes in presented study was particle size, % encapsulation efficiency, zeta potential and polydispersity index. Amongst all formulations, the most satisfactory profile in formulation was obtained through optimisation approach of overlay plot using Design Expert software. The particle size, % encapsulation efficiency, polydispersity index and zeta potential was 140.36±1.32 nm, 73.12±1.09%, 0.203±0.001 and -28.2 mV respectively as characteristics in most optimised nanoparticles. Most optimised formulation was implemented in topical gel base (carbopol 934) at various concentration to study the effect on in vitro drug release profile. The % drug released in 24 hours from the optimised gel formulation was nearer about 85%. The drug localization was found improved in solid lipid nanoparticle based gel formulation. The drug localization was about 75% in most optimised gel formulation which was much higher in compare to marketed formulation. Most optimised gel formulation did not showed a sign of skin irritancy or sensitization in animal model. Thus presented formulation was found excellent for developing drug localization and provide a prolonged release of drug from nano formulation which in terms of reduces the dosing frequency and improved compliance for patients.

Keywords: Solid lipid nanoparticles, Drug localization, Tacrolimus, Topical formulation.