The clinical efficacy of cosmeceutical application of liquid crystalline nanostructured dispersions of alpha lipoic acid as anti-wrinkle

Ehab Rasmy, aly Sherif, Sabry Badawy

Professor

Abstract

Topical 5% alpha lipoic acid (ALA) has shown efficacy in treatment of photodamaged skin. The aim of this work was to evaluate the potential of poloxamer (P407) gel as a vehicle for the novel lipid base particulate system (cubosome dispersions) of ALA. Cubosome dispersions were formulated by two different approaches, emulsification of glyceryl monoolein (GMO) and poloxamer (P407) in water followed by ultrasonication, and the dilution method using a hydrotrope. Three different concentrations of GMO were used to formulate the cubosome dispersions using the first method, 5% (D1), 10% (D2) and 15% w/w (D3). In the second technique an isotropic liquid was produced by combining GMO with ethanol, and this isotropic liquid was then diluted with a P407 solution (D4). The dispersions were characterized by zeta potential, light scattering techniques, optical and transmission electron microscopy, encapsulation efficiency and in vitro drug release. Results showed that D4 was not a uniform dispersion and that D1, D2 and D3 were uniform dispersions, in which by increasing the GMO content in the dispersion, the size of the cubosomes decreased, zeta potential became more negative, encapsulation efficiency increased up to 86.48% and the drug release rate was slower. P407 gels were prepared using the cold method. Two concentrations of P407 gel were fabricated, 20 and 30% w/w. P407 gels were loaded with either ALA or dispersions containing ALA cubosomes. P407 gels were characterized by critical gelation temperature, rheological measurements and in vitro drug release studies. Results suggested that by increasing P407 concentration, the gelation temperature decreases and viscosity increases. Drug release in both cases was found to follow the Higuchi square root model. Gel loaded with ALA cubosomes provided a significantly lower release rate than the gel loaded with the un-encapsulated ALA. A double blinded placebo controlled clinical study was conducted, aiming to evaluate the efficacy as an anti-wrinkle agent and volunteer’s satisfaction upon application of topical 30% P407 gel loaded with ALA cubosomes. Results indicated reduction in facial lines, almost complete resolution of fine lines in the periorbital region and upper lip area and overall improvement in skin color and texture in most volunteers. There were no instances of irritation, peeling or other apparent adverse side effects.

European Journal of Pharmaceutics and Biopharmaceutics - 2014, February