Preliminary formulation and characterization of solid lipid nanoparticles containing chloroquine and a P-glycoprotein inhibitor: Influences of lipid-surfactant ratios

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Abstract

Chloroquine, a once useful therapy, currently faces problems of plasmodial resistance mediated through a number of mechanisms, such as P-glycoprotein (P-gp) mediated drug efflux, which makes attainment of adequate drug levels impossible. In this work, the inclusion of a P-gp inhibitor, chlorpheniramine, and chloroquine in a lipid-based nanoparticle carrier is proposed, with the aim of ensuring that adequate drug levels are attained, so as to overcome drug resistance. Methods: The nanoparticles were prepared by a simple method based on hot pre-emulsion. Physicochemical characterization involved determination of particle size and zeta potential, drug loading, entrapment efficiency and in vitro drug release. Results: The particle sizes varied with ratio of surfactant to lipid and also total excipients concentration. Drug encapsulation was higher than 50 % in all cases. Equal lipidsurfactant systems achieved higher loading than unequal ratios. The nanoparticle dispersion exhibited biphasic drug release in buffer. Conclusions: We conclude that, pending the outcome of in vivo trials and toxicological tests, co-formulation of choroquine and chlorpheniramine in lipid-based nanoparticles is feasible using a simple hot emulsion-dilution method.