PREPARATION AND CHARACTERIZATION OF LIPOSOMES OF ANTIMALARIAL AGENTS

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Abstract:

Malaria remains a major health problem associated with the population of the developing countries of Asia and Africa. The World Health Organization (WHO) has recommended the use of the Artemisinin Combination therapies (ACTs), as a primary tool for the treatment of Malaria. However, the complexity of the Dosage regimen of the conventional formulations involving ACTs, makes them non-compliant. The Lower stability of the Dihydroartemisinin in the gastric fluids as well as the first- pass metabolism results in a poor oral bioavailability of the drug, also the drug is rapidly metabolized in-vivo, resulting in frequent and higher dose, thus leading to an increase in the cost. So, to overcome these problems, liposomes of the two antimalarial agents, Dihydroartemisinin and Piperaquine Phosphate were prepared and characterized in the present investigation. The liposomes were prepared using the thin film hydration method using Phospholipon 90G and Cholesterol as lipids. FTIR and DSC studies were carried out to determine the compatibility between the two drugs as well as between the drugs and the lipids. The liposomes were characterized for their vesicle size, zeta potential, drug entrapment and *in-vitro* drug release. The optimized batch of liposomes was lyophilized using different cryoprotectants in different concentrations. The results obtained from FTIR and DSC studies, indicated absence of any drug-drug or drug- lipid interactions. The optimized batch of liposomes had the drug: lipid ratio of 1:15 and Phospholipon 90G: cholesterol ratio of 7:3. The

vesicle size and zeta potential of the optimized batch were found to be 47.86 nm ad 15.4 mV respectively. The drug entrapment of the batch was found to be 95.75% and 70.23% for DHA and PQP respectively. The *in-vitro* drug release studies revealed that the release of both the drugs was extended up to 72 hours. 2% sucrose was found to give good cryoprotection. Hence, it can be said that the liposomal formulation of the antimalarial drugs, DHA and PQP may prove to be a better alternative than the conventional formulation.

Keywords: Malaria, antimalarial agents, Dihydroartemisinin, Piperaquine Phophate, Antimalarial liposomes, thin film hydration method, lyophilization of liposomes.