PROLIPOSOMES FOR ORAL DRUG ADMINISTRATION

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The oral route of drug administration is generally preferred because of its versatility, safety and relative patient comfort. Liposomes have received much attention for their usefulness in reducing toxicity and improving therapeutic effectiveness. Although liposomes are a most promising, broadly applicable, and highly researched novel delivery system, they suffer from serious stability problems. The stability problems associated with the aqueous suspension of liposomes such as aggregation, fusion, and phospholipid hydrolysis limit their shelf life. However, these stability problems can be avoided by formulating liposomes as proliposomes. Proliposomes are dry, free-flowing products, which, on addition of water, disperse to form a multilamellar liposomal suspension. The stability problems associated with the conventional liposomes in vivo, such as aggregation, susceptibility to hydrolysis and/or oxidation can be avoided by using proliposomes. Liposomes were successfully prepared for oral administration in the form of enteric-coated tablets, which should increase their in vivo stability. The purpose of this study was to design proliposomal formulations of glyburide and halofantrine as model drugs. Among the various formulations studied, positively charged liposomes showed increased transport across Caco-2 cells. The proliposomal formulation of halofantrine, administered to rats displayed a higher bioavailability for both enantiomers compared to the control formulation. The area under the curve (AUC) and the Cmax of halofantrine enantiomers increased by over 40% and 80%, respectively. These findings indicate that coated proliposomal formulations constitute an efficient platform for oral drug delivery.

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