Synopsis of Original Research Paper

Development of photofusogenic liposomes for delivery system

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Liposomes are microscopic spheroidal capsules composed of phospholipids, and they have been extensively used as drug nano-carriers. Ideal drug delivery systems contain interacting groups that can respond to environmental stimuli. Light can be remotely applied with high spatial and temporal precision and we have therefore designed a triphenylmethane derivative as a photoresponsive amphiphile which undergoes photoionization to become an amphiphilic compound consisting of a hydrophilic triphenylmethyl cationic head group and a hydrophobic long alkyl chain tail. Under dark conditions, the head group of the triphenylmethane derivative is less polar than after irradiation, and it is thus sufficiently lipophilic to be solubilized in the lipid membrane. We have found that photoionization of the triphenylmethane derivative induced fusion between liposome membranes. Fusion between lipid membranes is one of the most important events in living cells for fertilization, cell fusion, endo- and exocytosis, reconstruction of damaged organelles, and cell division. The purpose of this work is to prepare photofusogenic liposomes containing the triphenylmethane derivative and to investigate the liposomes for transdermal delivery sensitive to UVB light. The liposomes encapsulating calcein were prepared for characterization. The encapsulation efficiency and photoinduced release were evaluated from the fluorescence of calcein. The morphology of the liposomes was observed by using transmission electron microscopy. The morphological changes in the liposomes indicated that UVB irradiation induced fusion between the liposomes. NR assay using HaCaT cells showed that the triphenylmethane derivative in the liposomes was almost noncytotoxic up to a concentration of 220 μM. From the observation of LabCyte EPI-Model treated with the liposomes encapsulating calcein, liposomes were permeated into the human skin model. We also found that the UVB irradiation promoted the permeation of the liposomes.