Glycerol-based Liposomal Systems

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Abstract

Lecithins, especially phosphatidylcholines, spontaneously form lipid bilayers of spherical (liposomes) or lamellar structures in an aqueous surrounding. Amongst other things, liposomes can be used to encapsulate cosmetic actives to support their penetration into the epidermis. Due to their high water content, liposomal systems need to be preserved by using either conventional preservatives or a self preserving solvent system. As glycerol is the second most used raw material in the cosmetic industry, we have chosen to study a glycerol/water mixture for a new self-preserving liposome-like system. We examined several variations of glycerol-based liposomal preparations regarding their use in formulations, their particle size as well as their physical and microbiological stability. The results show that our novel glycerol-based liposomal preparations have similar properties and functionality as conventional water-based liposomal systems but do not require the addition of any preservative. As a conclusion, we find that glycerol can overtake the role of water for the formation of liposomal products being the foundation for a new generation of liposomes.

Introduction

Liposomal systems have been used in cosmetic applications for decades. Liposomes are defined as "closed vesicles of polar lipid bilayers or lamellae with enclosed aqueous layers and cores, which are able to encapsulate hydrophobic, ambiphilic and hydrophilic substances into their structure."[1] They are used in pharmaceutical, dietetic and cosmetic applications. The main purpose of most of the present applications is the incorporation of substances in order to enable the transportation through biological barriers and to enhance the delivery to certain regions targeted by the application [2, 3, 4]. In a cosmetic context, the epidermis and dermis are the target regions and the *stratum corneum* is the biological barrier that has to be penetrated.

Classical liposomal systems are water-based, which is why they need to be preserved. In order to avoid microbiological spoilage, preservation can, for example, be provided by using either conventional preservatives or self preserving solvent systems. The regulation (EU) 1223/2009 on cosmetic products[5] lists the preservatives currently allowed in cosmetic products. For instance, benzoic acid and its salts, phenoxyethanol, sorbates, parabens or sulphites are used as low dosed additives to the solution that needs to be preserved. As the term implies, self-preserving solvent systems are based on a mixture of two or more solvents, which require no further addition of preservatives. The most prominent example for a self-preserving solvent system would be water/ethanol. Other self-preserving solvent systems are water/propanediol or water/glycerol. In most cases self-preserving solvent systems are characterised by a low water activity (aw) [6, 7].

Following water, glycerol is the second most widely used raw material in the cosmetic industry. It shows excellent solubility in polar solvents, has numerous skin care benefits and, due to its GRAS status, it is very safe to use[8]. In the past, there have been several successful combinations of water, glycerol and lecithins which led to the formation of liposome-like structures but none of the resulting commercialised products were claimed as self preserving[9]. In our attempt to create a self-preserving glycerol-based liposomal system, lecithin/solvent combinations with high amounts of glycerol and low water content led to the desired results.

In order to characterise our novel glycerol-based liposomal system, we have used the following techniques. First, the existence of liposome-like structures was investigated using freeze