CERASOMES – LIPOSOMES WITH MEMBRANES FORMED FROM STRATUM CORNEUM LIPIDS

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Summary

The permeability barrier of the stratum corneum is mostly formed by saturated lipids such as ceramides, cholesterol and free fatty acids. It is an efficient obstacle to material exchange and avoids the skin’s drying out.

Cerasomes are liposomes, the membranes of which are composed of the most important stratum corneum lipids. Cerasomes can be considered as a source of skin-similar membranes, which contribute to an accelerated regeneration of a damaged barrier layer and a reduction of skin irritation. Moreover, Cerasomes offer the user a simple way of introducing stratum corneum lipids into cosmetics.

On the basis of their composition Cerasomes are the ideal carrier system for stratum corneum lipids, which thus not only meet the needs of the permeability barrier but also give the skin an attractive appearance.

Introduction

The objective of cosmetic skin care has always been the improvement of the external appearance of the skin. This can be achieved by various strategies, for example by increasing the moisture content of the skin, by stimulating the metabolism or by improving skin protection. Recently, the latter gains in importance because an intact skin, especially an intact stratum corneum, prevents drying out and protects against external influences. The idea is to provide the stressed skin with stratum corneum substances – optimally in a structured form (membrane-organised).

Today, the structure of the stratum corneum is very well known. A unique association of corneocytes and lipids is responsible for the barrier properties of the stratum corneum (1).

Electron microscopic studies have shown that intercellular lipids of the stratum corneum are available in the form of densely packed double layered membranes.

The corneocytes are embedded in these lipid lamellae. It has been shown that there are coherent interactions between the lipid phase and the corneocytes (2-6).

The lipid lamellae of the stratum corneum are mainly formed from a complex mixture of ceramides (approx. 40 - 50 %), cholesterol (approx. 25 %), free fatty acids (approx. 25 %) and cholesterol sulfate (approx. 5 %). There were only traces of phospholipids.

The free fatty acids are mainly oleic and palmitic acid (approx. 50 - 70 %) next to smaller quantities of stearic and linoleic acid (approx. 10-30 %) (7).

Thus, the intercellular lipid membranes of the stratum corneum are essentially composed of saturated lipids. Consequently, at physiological temperature the membranes of the lipid lamellae are in the gel state in which the material exchange across a membrane is at its lowest.

Liposomes of Stratum Corneum lipids

First attempts to prepare liposomes from epidermal lipids were already made in 1979 by Gray and White (8). They showed that a mixture of cholesterol and oleic acid together with glycolsyl ceramides and ceramides obtained from pigs hide spontaneously forms liposomes in an aqueous milieu.

Other working groups dealing with studies on the lipid barrier confirmed these findings (9-14).

Under appropriate test conditions, a mixture of ceramides, cholesterol, free fatty acids and cholesterol sulfate also spontaneously forms liposomes. These studies showed inter alia the following:

1. Liposomes prepared from stratum corneum lipids show in vitro a high affinity to corneocytes. Stratum corneum liposomes are adsorbed at the surface of the corneocytes and subsequently fuse to lamellar layer structures (14). In vivo similar processes are presumably responsible for the formation of the permeability barrier: small membrane disks extruded by Odlund bodies spontaneously reassemble in the intercellular space between the upper granular layer and the horny layer into lamellar sheets.

2. The most efficient way to regenerate a barrier layer damaged by solvents or surfactants is the use of a mixture of cholesterol, ceramides and free fatty acids. An optimal result was always obtained when the mentioned lipids were applied together, while one stratum corneum lipid applied alone always produces less efficient results (15-17).

On the basis of these studies we have developed a liposomal pre-formulation which consists of the main stratum corneum lipids in concentrated form in a system easy to handle and to apply, in structured form and free of synthetic emulsifiers and preservatives.

Cerasome

Cerasomes (CERamid LIPO SOME) are liposomes, the membranes of which are composed of ceramides, cholesterol, palmitic acid, oleic acid and hydrogenated lecithin. The use of cholesterol sulfate has been deliberately avoided as literature is rather critical of the use of this substance (18-19). After topical application cholesterol sulfate causes a thickening of the stratum corneum as well as increased desquamation and increased TEWL values. Hydrogenated lecithin is a substance found in nature (20-23) and is an excellent substitute for cholesterol sulfate.

Fig. 1 illustrates its high correspondence with the membranes of the stratum corneum.

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Stratum Corneum Membranes
Ceramase Membranes

Ceramide (1-6)
Cholesterol
Free fatty acids (Palmitic, Oleic, Stearic, Linoleic Acid, …)
Cholesterol Sulfate

Due to their high degree of saturation Ceramase membranes show a high surfactant resistance (> 1 year in 1.5 % SDS). This emphasizes the capacity to optimally support the protective mechanism of the natural barrier layer. Ceramas enable the user to process ceramide and other important stratum corneum lipids in a simple way. This is especially true when formulating ceramide containing transparent hydrogels, which can only be formulated with ceramases.

**Literatur**

2. Dowling DT. Lipids: Their role in epidermal structure and function. Cosmetics & Toiletries. 1992; 106: 63-69

**Result**

An intact barrier layer is the key for optimal skin protection. The Ceramase membranes show a high correspondence with the permeability barrier of the stratum corneum, support the protective effect of a stressed barrier layer (high SDS-resistance), decrease TEWL, reduce skin irritation and facilitate the introduction of stratum corneum lipids in cosmetics.

Due to their composition Ceramases are the ideal - most natural - carrier system for stratum corneum lipids, which do not only meet the needs of the lipid barrier but also give the skin an attractive appearance.

**Fig. 2:** The application of Ceramase and its dilutions cause an accelerated reduction of the transepidermal water loss (TEWL) of a SDS damaged lipid barrier

**Fig. 3:** The application of Ceramase and its dilutions cause an accelerated reduction of SDS-induced skin irritation

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