BENEFICIAL USE OF PHOSPHOLIPIDS

Phospholipids are attractive components in cosmetic products because of their natural origin and multifunctional properties. In the following study the beneficial cosmetic effects of a phospholipid-based liposomal formulation of ascorbyl glucoside are demonstrated.

Phospholipids number among the body's own building blocks and are essential constituents of the human cell membrane. It is widely documented that phospholipids (unsaturated as well as hydrogenated) are well-tolerated when administered to the skin[1]. Also, the US Cosmetic Ingredient Review (CIR) organisation qualifies practically all types of phospholipids (unsaturated, hydrogenated, and lysophospholipids) as "safe as used"[2]. In this safety assessment, the applied concentrations of phospholipids in various commercially available products and cosmetic uses are described.

Phospholipids are used technically as surface-active compounds. Owing to their amphiphilic nature and their ability to interact with human skin, phospholipids can serve as active ingredients for skin protection and skin rejuvenation, as carrier systems for cosmetic active ingredients, and as skin-friendly emulsifier systems. Three classes of phospholipids are used in cosmetics: hydrogenated and unsaturated diacyl-phospholipids as well as monoacyl-phospholipids (lysophospholipids). The possible cosmetic application of phospholipids is broad. Saturated (hydrogenated) soybean phosphatidylcholine, the predominant phospholipid for cosmetic use, possesses a skin protective function. It is able to restore and stabilise the skin barrier layers. Unsaturated phospholipids are suitable to enhance skin penetration of cosmetic actives.[3]

Phospholipids further possess the unique property of spontaneously forming liposomes upon contact with an aqueous phase. The resulting encapsulation of cosmetic active ingredients in these skin-friendly particles potentiates their skin interaction and results in a superior and long-lasting cosmetic effect.

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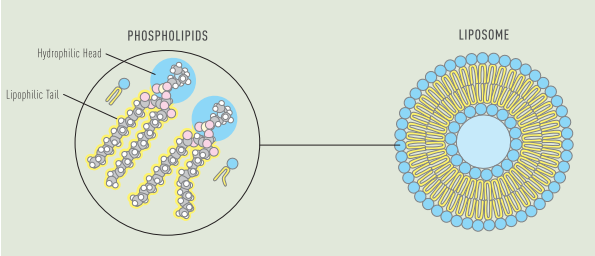
FIGURE 1

Schematic illustration of phospholipids and a liposome



LIPOSOMAL ASCORBYL GLUCOSIDE

In the following study, the beneficial cosmetic effects of a phospholipid-based liposomal formulation of ascorbyl glucoside (defined as cosmetic active) are demonstrated. Ascorbyl glucoside (2-O-alpha-D-glucopyranosyl-L-ascorbic acid) is a derivative of Vitamin C. Vitamin C is a powerful antioxidant, neutralising and removing harmful free radical molecules and enhancing collagen formation. It inhibits the enzyme tyrosinase, thereby reducing melanogenesis and counteracting skin hyperpigmentation[4] Ascorbyl glucoside is converted into ascorbic acid (Vitamin C) in the skin, providing a long-lasting cosmetic effect.[5]

The phospholipid-based liposomal formulation is a ready-to-use liquid concentrate of pre-formulated liposomes from soybean phospholipids (non-GMO) in glycerol with encapsulated ascorbyl glucoside. The formulation contains only COSMOS-approved raw materials and is free of preservatives. The ascorbyl glucoside content of the formulation is 10 %, the particle size of the liposomes is around 40 – 120 nm, and the pH value is 5.0 to 6.5. The formulation was stored in closed containers at 2 – 8 °C and appeared to be chemically and physically stable for at least 15 months.

For clinical testing, the phospholipid-based liposomal formulation of ascorbyl glucoside has been formulated into a hydrogel in a concentration of 10 %, resulting in a final ascorbyl glucoside concentration of 1 %.

CLINICAL STUDIES

The cosmetic effects of the phospholipid-based ascorbyl glucoside formulation on female skin were investigated regarding brightening of age spots and skin firmness.

- **Brightening of age spots**

The objective of the study was to examine the efficacy of the phospholipid-based ascorbyl glucoside formulation in brightening of age spots compared to placebo and initial conditions. A Chroma Meter was used to measure the brightening of the age spots and skin colour by means of light reflection. Higher L* values indicate a brightening of the skin. The study details are provided in the following Table 1. After 28 days of treatment of age spots with the phospholipid-based ascorbyl glucoside formulation the skin brightness increased significantly ($p < 0.05$) compared to the initial conditions. Moreover, the age spot brightening effect of the phospholipid-based ascorbyl glucoside formulation was significantly greater compared to the placebo ($p < 0.05$) after 56 days (Figure 2).

It can be concluded that the beneficial cosmetic effects of the phospholipid-based ascorbyl glucoside formulation as cosmetic ingredient were successfully demonstrated in this clinical study. Skin brightness measurement with a Chroma Meter showed a significant brightening effect on age spots.

- **Increase of skin firmness**

The objective of the study was to measure the effect of the phospholipid-based ascorbyl glucoside formulation on the biomechanical properties of the skin compared to untreated

TABLE 1

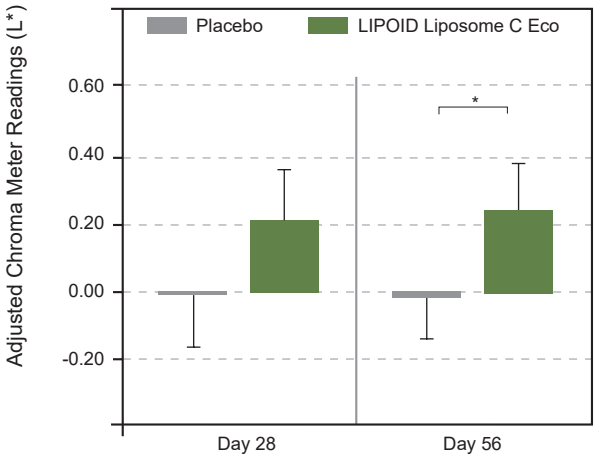
Details of the clinical study on the brightening effect of phospholipid-based ascorbyl glucoside formulation on age spots

Design	Open, placebo-controlled, randomised in vivo study
Test Panel	20 female volunteers with healthy skin, aged between 54 and 83 years
Test Substances	Verum formulation: hydrogel with the phospholipid-based ascorbyl glucoside formulation Placebo: hydrogel formulation without active
Application Procedure	Back of the hand/forearm (half-side trial); twice daily (morning, evening) ca. 2 mg/cm² for 56 days
Measuring Method	Determination of brightening of age spots and surrounding tissue by means of a Minolta Chroma Meter CR-400 (Minolta, Japan)
Measuring Time Points	Day 0, 28, and 56

FIGURE 2

Net age spot brightening effect of the phospholipid-based ascorbyl glucoside formulation (verum) in comparison to placebo. n = 20, Mean + SEM; * = $p < 0.05$.

Results



graphics: Lipoid GmbH

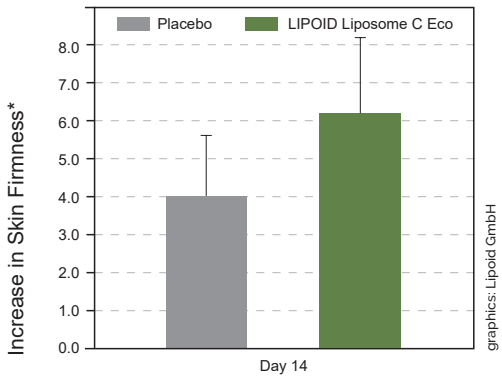
TABLE 2

Details of the clinical study on the effect of the phospholipid-based ascorbyl glucoside formulation on skin firmness

Design	Open, placebo-controlled, randomised in vivo study
Test Panel	20 female volunteers with healthy skin, age between 35 and 65 years
Test Substances	Verum formulation: hydrogel with the phospholipid-based ascorbyl glucoside formulation Placebo: hydrogel formulation without active
Application Procedure	Inner side of forearms; twice daily (morning, evening) ca. 2 mg/cm² for 14 days
Measuring Method	Cutometer MPA 580 (Courage and Khazaka, Cologne, Germany)
Measuring Time Points	Day 0 and 14

FIGURE 3

Relative increase of skin firmness after treatment with the phospholipid-based ascorbyl glucoside formulation (verum) compared to placebo, n = 20, Mean + SEM. * Mean Increase in Skin Firmness relative to initial conditions and to untreated [%]



Results

skin and placebo using a Cutometer®. The measurement principle of the Cutometer® is based on the suction method. Negative pressure is produced with a pump in the device and pulls the skin into the opening of the measuring probe. After the pressure is released, the skin tries to return to its original state, and this process is recorded optically. The study details are provided in Table 2.

After 14 days of treatment with the phospholipid-based ascorbyl glucoside formulation, a statistically significant decrease ($p < 0.05$) in Cutometer® readings was observed compared to untreated conditions, indicating an increase in skin firmness.

This increase was substantially higher compared to the placebo formulation (Figure 3).

TABLE 3

Frame formulation: Refine serum with hydrogenated sunflower phosphatidylcholine, sunflower phosphatidylcholine, phospholipid-based ascorbyl glucoside, and Herbaglow® NRG

Phase	Ingredients	INCI	Function	Supplier	% w/w
A	Deionized Water	Aqua (Water)			ad 100
	Solagum AX	Acacia Senegal Gum, Xanthan Gum	Thickener	Seppic	0.20
	Corn Starch	Zea Mays (Corn) Starch	Thickener		2.00
	Glycerin 86.5 %	Glycerin, Aqua (Water)	Humectant		5.00
	Lipoid H 100-3	Hydrogenated Phosphatidylcholine	Emulsifier	Lipoid Kosmetik	1.50
	Cosphaderm Dicapo natural	Caprylyl Glycol, Propanediol, Glyceryl Caprylate	Preservative	Cosphatec	0.70
	Cosphaderm Pentio	Pentylene Glycol	Humectant	Cosphatec	3.75
B	Behenyl Alcohol	Behenyl Alcohol	Consistency enhancer		1.00
	Almond Oil	Prunus Amygdalus Dulcis (Sweet Almond) Oil	Emollient		3.00
	Castor Oil	Ricinus Communis (Castor) Seed Oil	Emollient		2.50
	MCT	Caprylic/Capric Triglyceride	Emollient		3.00
	Baumwoll Soft Butter	Gossypium Herbaceum (Cotton) Seed Oil, Hydrogenated Vegetable Oil, Tocopherol Phosphatidylcholine, Tocopherol	Emollient	Greentech	1.50
	Lipoid H 100	Tocopherol	Active ingredient	Lipoid Kosmetik	1.00
	Covi-ox T-90 EU C		Antioxidant	BASF	0.20
C	Lipoid Liposome C Eco	Glycerol, Aqua (Water), Ascorbyl Glucoside, Lecithin, Sodium Hydroxide, Tocopherol	Active ingredient	Lipoid Kosmetik	5.00
	HerbaGlow NRG	Propanediol, Aqua (Water), Capparis Spinosa Bud Extract, Rhodiola Rosea Root Extract, Morus Nigra Leaf Extract	Active Ingredient	Lipoid Kosmetik	1.00
	PÖ Luxury Cocoa Butter P0241528	Parfum (Fragrance), Hexyl Cinnamal, Geraniol	Fragrance	Frey & Lau	0.20
D	Sodium Hydroxide	Sodium hydroxide	Neutralising agent		q.s.

Procedure; • Mix components of A at 75 °C • Mix components of B at 70 °C • Add LIPOID H 100 and Covi-ox T-90 EU C, and stir until homogeneous • Add B to A and homogenize • Cool down while stirring • Add C to AB • Adjust pH with D to 6.5 if necessary

FORMULATION

Table 3 shows an example of a practical preparation of a refine serum containing the liposomal phospholipid-based ascorbyl glucoside product as component and simultaneously using other phospholipids (hydrogenated sunflower phosphatidylcholine and sunflower phosphatidylcholine) either as emulsifier or as active ingredient, respectively (Table 3).

In general, the phospholipid-based ascorbyl glucoside formulation can be added easily during the cooling phase. The recommended use level is 1 – 5 % in a pH range of 5 – 8. When using the phospholipid-based ascorbyl glucoside formulation only deionised water should be used.

CONCLUSION

The benefits of the liposomal phospholipid-based ascorbyl glucoside as cosmetic ingredient were successfully demonstrated in clinical studies on the skin of female volunteers. Measurement with a Chroma Meter showed a clear brightening of age spots treated with the phospholipid-based ascorbyl glucoside formulation compared to a placebo. Measurement with a Cutometer® revealed an increase in skin firmness.

Overall, the phospholipid-based ascorbyl glucoside formulation is an ideal brightening ingredient in anti-aging products, due to an improved performance of ascorbyl glucoside co-formulated with liposomes comprising unsaturated phospholipids able to condition the stratum corneum for obtaining optimal cosmetic effects. Since the phospholipid-based ascorbyl glucoside formulation can be easily combined with any other formulation, this cosmetic ingredient is an ideal component for advanced skin care products.

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