Phytosphingosine / Phytosphingosine Hydrochloride

A natural, skin-identical active ingredient for Personal Care products

Intended use

Active for skin care

Benefits at a glance

- · Naturally present in the skin
- · Effectively reduces the signs of acne
- Inhibits the growth of microorganisms on the skin
- · Reduces redness and inflamed skin
- · Active at very low concentrations

INCI (PCPC name)

Phytosphingosine Phytosphingosine HCl

Chemical and physical properties (not part of specifications)

Form	powder	
Active matter	approx. 95%	

Properties

During the last decade, an increasing number of scientific articles report the importance of the biological role of breakdown products of Stratum Corneum lipids.

Free sphingoid bases, such as Phytosphingosine are a recent addition to this family of active lipids, which emerged from studies of breakdown products from Ceramides. Free sphingoid bases are naturally found

in the human body, and are present at high levels in the Stratum Corneum.

Most of the published research on free sphingoid bases deals with the inhibition of micro organisms and their "second messenger" function. Because of these properties, free sphingoid bases are considered to be part of the skin's natural defence system.

Recently performed *in vitro* and *in vivo* studies show that Phytosphingosine has anti-microbial properties against *Propionibacterium acnes* and *Staphylococcus aureus* for example. Furthermore, additional studies confirm the biological role Phytosphingosine has as a natural anti-inflammatory agent.

Consequently, free sphingoid bases seem to have a wide range of product applications, especially those addressing microbial imbalances with associated inflammation, such as Acne.

In addition to the in-vitro and in-vivo studies, clinical studies have been performed on acne patients by a group of dermatologists in France, which demonstrates the potential of Phytosphingosine to enhance or complement existing acne therapies.

Efficacy studies

Effect of Phytosphingosine on the Release of IL-1α by UV-B Irradiated Human Skin on Culture

Ex vivo anti-inflammation study (fig.1)

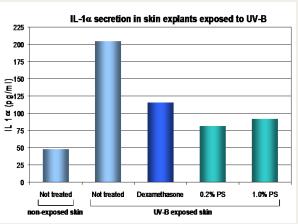
Introduction: Increased levels of interleukins (cytokines) are an indication of inflamed skin. UV irradiation is known to stress the skin, which in turn releases inflammatory cytokines, notably interleukin

 1α (IL- 1α). IL- 1α is the primary mediator of inflammation. It was investigated whether application of Phytosphingosine (PS) could reduce the inflammation of the skin caused by excessive exposure to UV-B irradiation. By reducing UV-B induced inflammation, cytokine secretion is also inhibited.

Study: The study was performed by Biopredic (France).

Methods: The effect of UV-B was investigated using human skin explants in culture as a model. Phytosphingosine and Dexamethasone (a potent anti-inflammatory reference drug) were applied to the skin to test their anti-inflammatory potential. The products were applied one hour before the skin was irradiated (20 minutes of UV-B (2 J/cm²)), and immediately after irradiation. Just before irradiation, the products were rinsed off the skin to prevent a possible filtering effect during irradiation. The amount of IL-1 α , mainly released from damaged keratinocytes, was measured using an ELISA kit at 24 hours. Results were expressed as pg/ml of IL-1 α released in each sample.

The IL-1 α secretion in the non-treated non-exposed skin was defined as baseline IL-1 α production, where the amount in the non-treated UV-B exposed skin was defined as maximal IL-1 α production. The inhibitory effect of two concentrations of Phytosphingosine (0.2% and 1.0%) and of Dexamethasone (10-6 M) was statistically compared to the non-treated UV-B exposed control according to a One-Way Analysis of Variance followed by Dunnett's



T-test (p<0.05).

Fig. 1: IL-1 α secretion in skin explants exposed to UV-B

Results: The graph (fig. 1) shows the increase in IL- 1α release for non-treated UV-B exposed skin by a factor 4.2 compared to non-irradiated skin. This result validated the effect of UV-B rays. Compared to the non-treated UV-B exposed skin, Dexamethasone, 0.2% PS and 1.0% PS inhibited the release of IL- 1α significantly, respectively with 5%, 78% and 72%. In the graph this is shown as a substantial decrease in IL- 1α secretion.

Conclusion: Under the conditions of this study, Phytosphingosine effectively inhibited the activity of the inflammatory cytokine interleukin 1α . It can be concluded that PS revealed significant protective properties that are relevant for skin anti-inflammatory claims.

Preparation

O/W emulsions

In general, Phytosphingosine is nearly insoluble in common cosmetic oils <u>at room temperature</u>. It can be clearly solved in most cosmetic oils <u>by heating up to 90 °C</u>. The oils differ in the temperature at which the mixture becomes turbid again while cooling. The solubility in the oil phase seems not to be crucial for the stability of the formulation with respect to recrystallisation of Phytosphingosine.

It is very important, however, that the Phytosphingosine is clearly and completely solved in the oil phase at the beginning of and during the homogenization step.

Towards this end both the water phase and the oil phase should have a temperature of at least 90 °C. Oils with a good solvency for Phytosphingosine should be chosen, e. g. TEGOSOFT° APM (PPG-3 Myristyl Ether), TEGOSOFT° TN (C12-15 Alkyl Benzoate) and TEGOSOFT° CT (Caprylic/Capric Triglyceride).

To obtain a pleasant skin feel it is suggested to combine those oils with low viscosity oils such as TEGOSOFT® OP (Ethylhexyl Palmitate), TEGOSOFT® DC (Decyl Cocoate) and TEGOSOFT® P (Isopropyl Palmitate).

Phytosphingosine Hydrochloride is incompatible with thickener like Carbomer and Xanthan Gum.
Therefore Phytosphingosine itself should be preferred for hydrocolloid containing formulations.

Application

Phytosphingosine and Phytosphingosine Hydrochloride are especially suitable for O/W creams and lotions of the segments:

- Eye Care products
- Irritated Skin products
- Skin Suffering from Acne
- Blemished Skin
- Atopic Skin

Recommended usage concentration

0.05 - 0.2% Phytosphingosine

0.05 - 0.2% Phytosphingosine Hydrochloride

Packaging

0.25 kg package

2.50 kg bag

Hazardous goods classification

Information concerning

- classification and labelling according to regulations for transport and for dangerous substances
- · protective measures for storage and handling
- · measures in accidents and fires
- · toxicity and ecological effects

is given in our material safety data sheets.

Guideline formulations

Teen pure - Facial Anti-acne lotion WR 16/00-7		
Phase A		
TEGOSOFT® TN	6.0%	
(C12-15 Alkyl Benzoate)		
TEGOSOFT® APM	6.0%	
(PPG-3 Myristyl Ether)		
Stearic Acid	1.0%	
Phytosphingosine (Phytosphingosine)	0.2%	
Phase B		
Glycerin	3.0%	
TEGO® Care CG 90	1.0%	
(Cetearyl Glucoside)		
Water	81.4%	
Phase C		
TEGO® Carbomer 141 (Carbomer)	0.2%	
TEGOSOFT® OS (Ethlyhexyl Stearate)	0.8%	
Phase D		
NaOH (10% in water)	0.4%	
Phase Z		
Preservative, perfume	q.s.	

Preparation:

- 1. Heat phase A and B separately to approx. 90 °C.
- 2. Add phase A to phase B with stirring.1)
- 3. Homogenize.
- 4. Cool with gentle stirring to approx. 70 °C and add phase C.
- 5. Homogenize for a short time.
- 6. Cool with gentle stirring and add phase D below $40\,^{\circ}\text{C}$.
- ¹⁾ Important: If phase A has to be charged into the vessel first, phase B must be added **without** stirring.

Cleansing Tonic for blemished skin - also for Teens SG 896/13		
Phase A		
TEGOSOFT® PC 41	1.00%	
(Polyglyceryl-4-Caprate)		
Phytosphingosine Hydrochloride	0.05%	
Phase B		
Ethanol	10.00%	
Parfum	0.20%	
Water	87.65%	
LACTIL®	1.00%	
(Sodium Lactate; Sodium PCA; Glycine;		
Fructose; Urea; Niacinamide; Inositol;		
Sodium Benzoate; Lactic Acid)		
Panthenol	0.10%	
Phase Z		
Preservative	q.s.	
Preparation:		

Preparation:

- 1. Mix phase A homogeneously at 80°C.
- 2. Cool down to approx. 40°C and add the in the given order premixed phase B.

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Evonik Nutrition & Care GmbH

Goldschmidtstraße 100 45127 Essen, Germany P.O.BOX 45116 Essen PHONE + 49 201 173-2854 FAX +49 173-1828

personal-care@evonik.com www.evonik.com/personal-care

