LUCASMEYER COSMETICS

DELIVERY SYSTEMS

CAPT-SYSTEM™

VECTORIZATION TECHNOLOGY

Creative phospholipid-based systems

-

Activity enhancement

-

Perfect solubilization

-

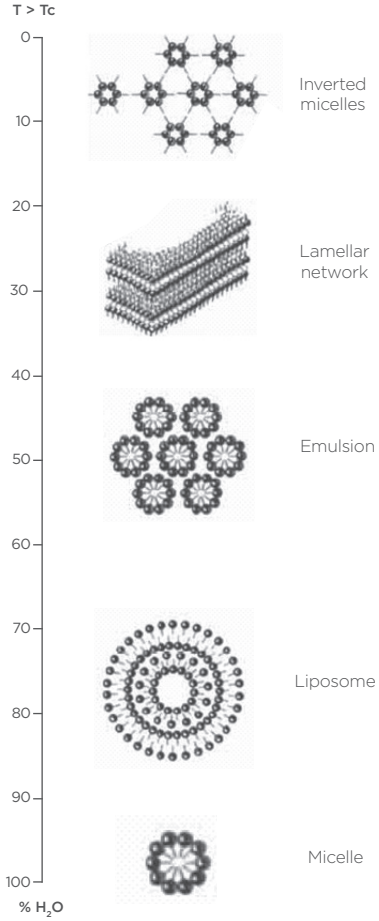
Technical solution

PRESERVATIVE FREE

ECOCERT REGISTERED

VECTORIZATION TECHNOLOGY

The skin, mainly composed of lipids, serves as a barrier against the environment influences - mechanical, chemical, physical and microbial - and protects the body from water loss. Consequently, the transport of active molecules across the skin is slowed down due to the resistance of the *stratum corneum*. Over the last years, the use of vectorization systems has gained a lot of interest to protect, carry and target active molecules, or simply to change their solubility. Thus, phospholipid-based carrier systems inspired from different technologies were developed to deliver active molecules to the deeper skin layers enhancing their bioavailability and their activity in cosmetic preparations.



Phospholipids - Properties & structure

Phospholipids are essential components of the membrane of all living cells. Due to their amphiphilic nature, they are organized in bi-layers forming the protective barrier of the cells and regulating the exchanges with the environment.
Principally extracted from soybeans or sunflower seeds, phospholipids are widely used in cosmetic products for their emulsifying properties.
In an aqueous phase, they are able to form specific structures depending on their type, the lipid-to-water ratio and the temperature (inverted micelles, lamellar network, emulsion, liposome, micelle...).

Each phospholipid-based structure has different physico-chemical properties through the skin and can be considered as a vectorization system able to encapsulate active molecules. Formed with natural components of cell membranes, they have a high affinity to the *stratum corneum* and can therefore enhance the bioavailability of entrapped molecules to the epidermis.
These vectorization systems also benefit from phospholipids biological properties: moisturizing, restructuring and protective effects.
Some well-known interesting active molecules are underemployed due to their difficulties in being stabilized and formulated. The selection of the most appropriate system to resolve these problems.
The new range of Capt-System™ innovates with technically advanced natural solutions in order to improve solubility, penetration and activity of molecules to make the formulator's life easier!

CAPT-SYSTEM™ RANGE

Vesicular system
Capt-System™ B9: liposomes of folic acid
Capt-System™ E: pro-liposome of tocopherol
Capt-System™ E-Q10: miniemulsion of tocopherol and coenzyme Q10

Lamellar system
Capt-System™ PS: lamellar network with phytosphingosine

Phospholipid gel system
Capt-System™ Es-M: gel with escin and menthol
Capt-System™ Es-M Nature: the Ecocert version

PRODUCT DESCRIPTION

INCI NAME
Water (and) Lecithin (and) Alcohol (and) Glycerin (and) Folic Acid

APPEARANCE
Yellow to orange opaque liquid

FORMULATION
Introduce during the cooling process < 40°C

OPTIMUM pH
6.5-9

DOSAGE
2-5%

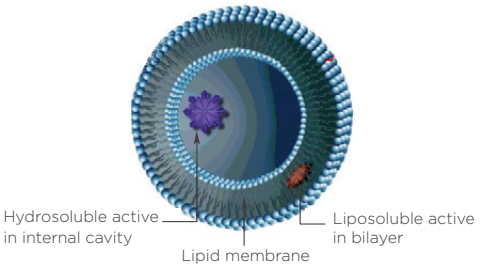
APPLICATIONS
- Anti-aging care
- Hair care

VESICULAR SYSTEM

Vesicular systems group all the small and spherical vehicles: liposomes and miniemulsions. The small size induces a better diffusion and increases the surface contact for a higher activity.

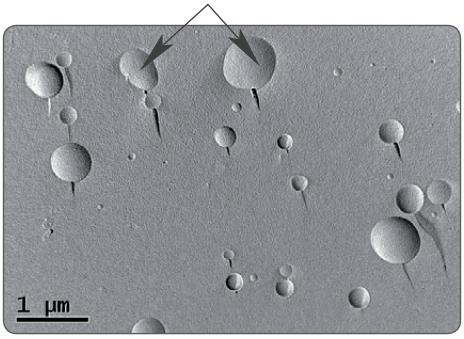
Liposomes - Protecting delivery system

Under specific conditions, some phospholipids, and particularly phosphatidylcholine, are able to form bilayers which close on themselves in presence of water to create liposomes.
Liposomes are spherical vesicles from 20 nm to several thousand nm composed of one or several phospholipid bilayers. The bilayers separate an aqueous medium (intravesicular cavity) and a lipophilic medium (membrane), that allows the encapsulation of hydrosoluble and liposoluble ingredients with an increased stability.
Due to their small size and composition, liposomes are able to largely diffuse through the skin layers. They carry the encapsulated ingredients to reach deep targets and merge with cell membranes to release their content.
Liposomes are biomimetic carriers also able to transport high amount of water (about 10 g of water per gram of phospholipids).
Together with their content of essential fatty acids, they are powerful hydrating agents. **Liposomes are particularly adapted to stabilize, protect and drive molecules in order to improve their efficacy.**



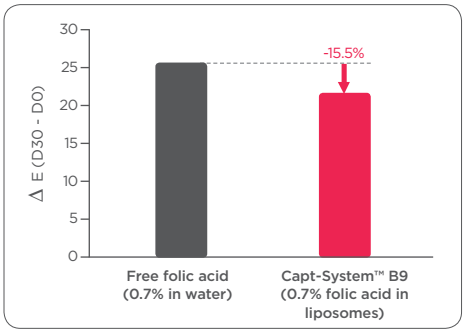
Cross section of an unilamellar liposome

Liposomes of vitamin B9



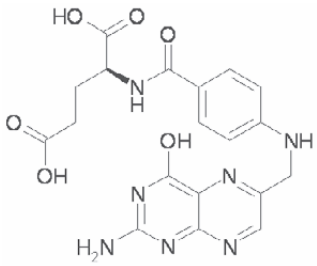
Cryofracture of Capt-System ™ B9 in 30% glycerin

COLOR PHOTODEGRADATION AFTER 1 MONTH



λ UV= 365 nm - Power 8W
Measurement of the reflected color by spectrocolorimeter

CAPT-SYSTEM™ B9



Vitamin B9

Folic acid, also called vitamin B9, is naturally present in green vegetables, some fruits and cereals. Folic acid is an essential vitamin which is not produced in human organism. However, it is necessary for the production and maintenance of new cells. It plays an important role in the protection and reparation of cellular DNA faced with UV damage[1,2]. It has therefore very interesting cosmetic applications as an anti-photo-aging and regenerating ingredient. Nevertheless, folic acid is not commonly used in cosmetics due to the difficulties to stabilize it as it is light and heat sensitive. Photo degradation induces a markable darker color, correlated with a loss of activity.

Capt-System™ B9 is a suspension of liposomes of folic acid (~0.7%). Isolated in the internal cavity, the vitamin is protected from photodegradation[3].
According to an *in vitro* test, the photo-induced degradation of the folic acid is less marked in the liposomal form of Capt-System™ B9 compared to free folic acid.

References
1- G. P. Basten *et al.* Sensitivity of markers of DNA stability and DNA repair activity to folate supplementation in healthy volunteers. *Br. J. Cancer.* 2006; 94(12): 1942-7
2- R. Debowska *et al.* Folic acid – New application of a cosmetic ingredient. *Kosmetische Medizin.* 2005; 16-22
3- G. Iole *et al.* Accelerated photostability study of tretinoin and isotretinoin in liposome formulations. *Int. J. Pharm.* 2005; 293: 251-260

CAPT-SYSTEM™ B9 MAXIMIZES ALL BENEFITS OF FOLIC ACID AND PREVENTS THE SKIN FROM AGING.

PRODUCT DESCRIPTION

INCI NAME
Lecithin (and) Glycerin (and) Alcohol (and) Tocopherol

ECOCERT / COSMOS STATUS
Registered

APPEARANCE
Amber liquid gel

FORMULATION
Mix with water at room temperature
Or introduce in the water phase before emulsification

OPTIMUM pH
4-9

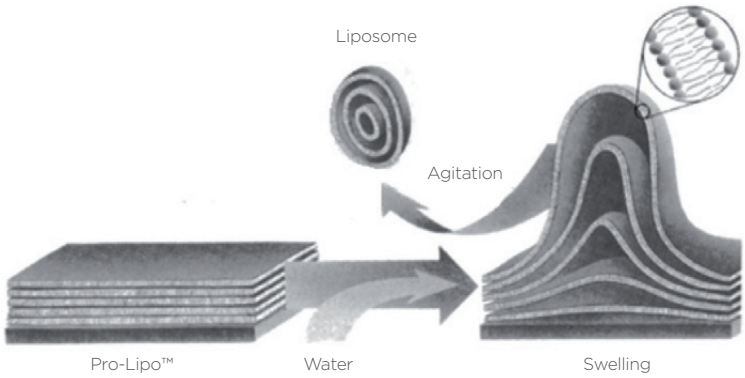
DOSAGE
0.5-2%

APPLICATION
Anti-aging care

Pro-Lipo™ system - Preparation for liposomes

The Pro-Lipo™ patented technology allows high-stability liposomes to be made easily by adding water.

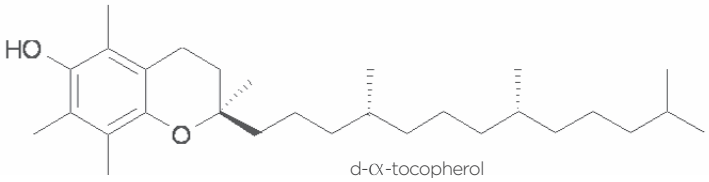
Pro-Lipo™ are composed of successive bilayers of concentrated phospholipids, mainly phosphatidylcholine, which close on themselves in presence of water to form liposomes. Compared to ready-to-use liposome suspensions, Pro-Lipo™ avoid the need of preservatives and allow the incorporation of higher amount of lipophilic molecules. In the liposomal form, the active molecule is stabilized, protected and penetrates more deeply compared to the free form. The active level is reduced.



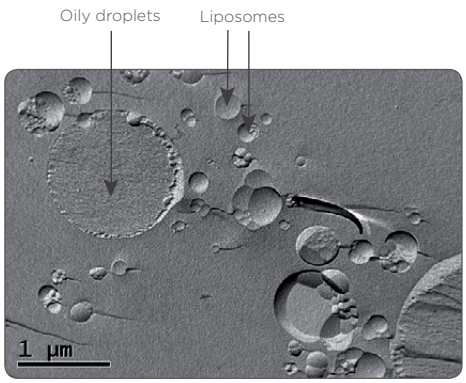
The Pro-Lipo™ system is the easiest method to encapsulate molecules in liposomes in order to have the maximum efficacy.

CAPT-SYSTEM™ E

Free radicals occur naturally in the body as a result of normal metabolic processes but their number can be increased by exposure to UV light, cigarette smoke or air pollution. Vitamin E, or tocopherol, is a well-known peroxyl radical scavenger in lipophilic medium. It is mainly used as an anti-aging agent to fight against cell lipid peroxidation caused by free radicals[1]. However, vitamin E is unstable, sensitive to heat and has difficulties in penetrating the skin.



d-α-tocopherol



Cryofracture of liposomes of tocopherol (3% Capt-System™ E) in a gel-cream in 30% glycerin

Capt-System™ E is a pro-liposome containing a hydrophilic medium and d-α-tocopherol (~4%), the only form of vitamin E which is actively maintained in the human body. In presence of water and at room temperature, Capt-System™ E spontaneously forms liposomes of vitamin E. The liposomal form protects and stabilizes tocopherol, and increases its skin diffusion and bioavailability.
Efficacy of active principles is closely linked to the exchange surface with the skin. When conventional emulsions of vitamin E exhibit a contact surface of few square meters per gram of substance, liposomes of Capt-System™ E show more than 800 m^2 per gram!

Reference
1- M.G. Traber *et al.* Vitamin E, antioxidant and nothing more. *Free Radic. Biol. Med.* 2007 Jul 1; 43(1): 4-15

CAPT-SYSTEM™ E ALLOWS THE FORMATION OF LIPOSOME OF HIGHLY CONCENTRATED TOCOPHEROL AND OPTIMIZES ITS EFFICACY.

PRODUCT DESCRIPTION

INCI NAME
Glycerin (and) Lecithin (and) Dicaprylyl Ether (and) Water (and) Tocopherol (and) Ubiquinone (and) Sodium Stearoyl Lactylate

APPEARANCE
Orange translucent viscous liquid

FORMULATION
Introduce during the cooling process

OPTIMUM pH
4-9

DOSAGE
1-3%

APPLICATION
Anti-aging care

Miniemulsion - Carrier system for oily actives

Miniemulsions are O/W emulsions with a small droplet size (<500 nm) compared to conventional emulsions (1-10 µm). The small droplet size increases the efficacy because of higher transcutaneous diffusion of lipophilic active molecules and contact surface. Consequently, their bioavailability, absorption and activity are enhanced. The polydispersity of mini-droplets assures a homogeneous distribution in all skin layers. The biggest droplets treat the upper layers while the finest reach the deepest cells.

The miniemulsion system is an interesting method for incorporating a high quantity of oily components and improves their efficacy.

CAPT-SYSTEM™ E-Q10

Coenzyme Q10 (CoQ10), also known as ubiquinone, is a nutrient found in every cell of the body. In addition to its vital role as an energy carrier in the mitochondria, CoQ10 plays another essential role in cellular function as an antioxidant[1]. Located in membranes close to the unsaturated lipid chains, CoQ10 is a primary scavenger of free radicals. CoQ10 acts in a synergistic way with vitamin E in cell membrane protection against oxidative stress. CoQ10, in its reduced form, is able to regenerate the active form of vitamin E from tocopheryl radical[2].

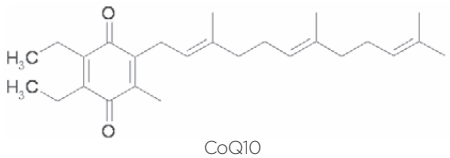
The intrinsic concentration of CoQ10 and vitamin E in the skin decreases considerably over time, making the skin more sensitive to influence damage. It is thus necessary to supplement the skin with these two essential nutrients.

Capt-System™ E-Q10 is a miniemulsion combining CoQ10 (~1%) with d-α-tocopherol (~2%) which fights against free radicals in a synergistic way, thus offering a high antioxidant protection to the skin. Concentrated in very small oily droplets, the antioxidant efficacy of both molecules is improved.

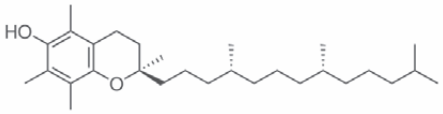
Used alone, CoQ10 presents formulation limits which could alter its efficacy. It has a poor solubility in water and oils and therefore a very low bioavailability. It may recrystallize at room temperature and presents a risk of sedimentation over time.

Dispersed in the miniemulsion, CoQ10 is perfectly solubilized and easier to use.

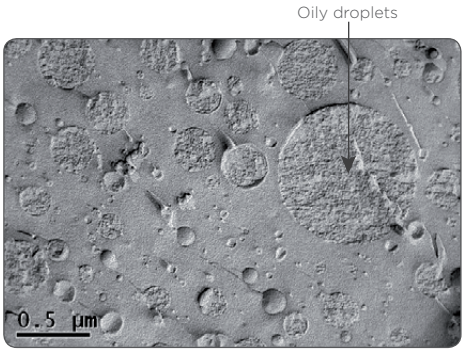
The miniemulsion system offers a solubilized form of CoQ10 and tocopherol molecules which improves their bioavailability and thus their efficacy. Their complementary actions make this combination the perfect synergistic cocktail for an optimal result.



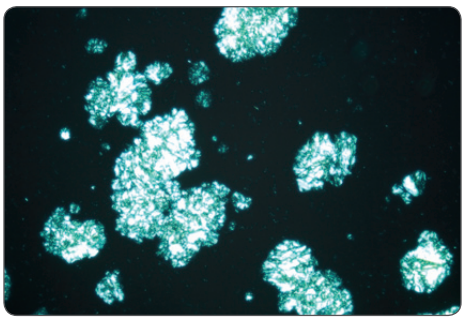
CoQ10

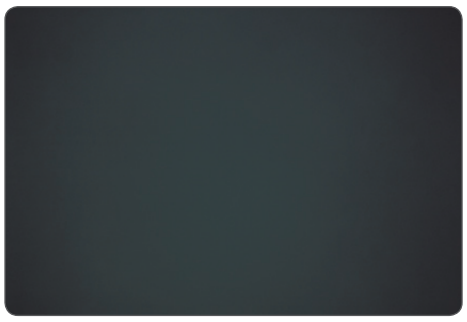


Vitamin E



Cryofracture of Capt-System™ E-Q10


Crystallized CoQ10
1% CoQ10 in dicaprylyl ether


Totally solubilized CoQ10
Capt-System™ E-Q10 (1% CoQ10)

References
1- U. Hoppe *et al.* Coenzyme Q10, a cutaneous antioxidant and energizer. *Biofactors*. 1999; 9(2-4): 371-8
2- F. L. Crane. Biochemical functions of coenzyme Q10. *J. Am. Coll. Nutr.* 2001; 20: 591-8

CAPT-SYSTEM™ E-Q10 REJUVENATES THE SKIN BY PROTECTING CELLS AGAINST OXIDATIVE STRESS.

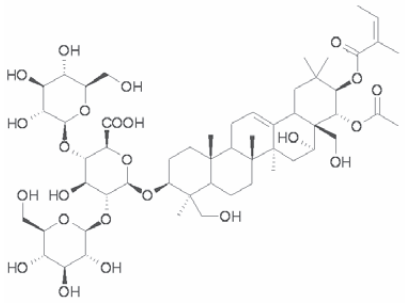
PHOSPHOLIPID GEL SYSTEM - DIFFUSION ENHANCER

The lipid lamellar structure of the *stratum corneum* serves as an impermeable barrier particularly for hydrophilic molecules. Phospholipid gels are concentrated in phosphatidylcholine, a phospholipid well-known as a penetration enhancer[1] by increasing the fluidity of the *stratum corneum*. This increase in permeability is attributed to a lipid disruption or protein conformational changes.

Phospholipid gel systems are particularly recommended for large hydrophilic molecules which have difficulties in crossing the cutaneous barrier.

CAPT-SYSTEM™ ES-M

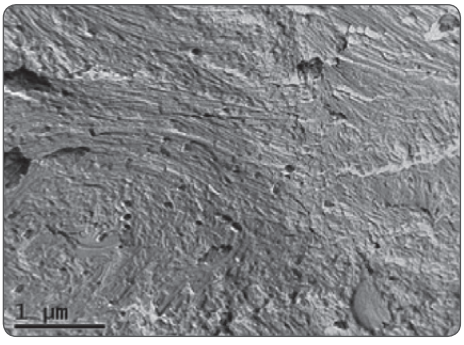
- Escin is a saponoside obtained from the seeds of the horse chestnut tree (*Aesculus hippocastanum*), cultivated in many areas of Europe and North America. It is mainly used for its draining properties maintaining healthy blood circulation and strengthening the capillaries and veins[2,3]. Besides, it has a poor solubility in water and is generally dissolved in glycols or alcohol which provide a poor skin feel and dryness. Its overall steric effect limits its capacity to penetrate the skin barrier.
- Menthol is obtained from mint leaves and is largely used for its vasoconstrictor properties which promote the draining and for its cooling effect. It also enhances the percutaneous penetration of hydrophilic and lipophilic molecules[4].



Escin

Capt-System™ Es-M is a phospholipid gel with escin and menthol. Menthol amplifies the penetration effect of phosphatidylcholine, reorganizing the *stratum corneum* and consequently improving escin penetration.

Capt-System™ Es-M exists in 2 versions: the standard hydrosoluble version containing 5% escin and the Ecocert liposoluble version (Capt-System™ Es-M Nature) containing 2% escin.



Cryofracture of Capt-System™ Es-M

References
1- Y. Yokomizo. Effect of phosphatidylcholine on the percutaneous penetration of drugs through the dorsal skin of guinea pigs in vitro; and analysis of the molecular mechanism, using attenuated total reflectance Fourier transform infrared (ATR-FTIR) spectroscopy. *J. Control. Release.* 1996; vol 42: 249-262
2- C. Sirtori. Aescin: pharmacology, pharmacokinetics and therapeutic profile. *Pharmacol. Res.* 2001 Sept; 44(3): 183-193
3- M.H. Pittler. Horse-chestnut seed extract for chronic venous insuff iciency. A criteria-based systematic review. *Arch. Dermatol.* 1998 Nov; 134(11): 1356-1360
4- Y.S.R. Krishnaiah *et al.* Penetration enhancing effect of menthol on the percutaneous flux of nicardipine hydrochloride through excised rat epidermis from hydroxypropyl cellulose gels. *Pharm. Dev. Techno.* 2002; 7(3): 305-315

PRODUCT DESCRIPTION

INCI NAME
Capt-System™ Es-M:
Glycerin (and) Lecithin (and) Dicaprylyl Ether (and) Escin (and) Water (and) Menthol
Capt-System™ Es-M Nature:
Glycerin (and) Lecithin (and) Dicaprylyl Ether (and) Aesculus Hippocastanum (Horse Chestnut) Seed Extract (and) Water (and) Menthol

ECOCERT / COSMOS STATUS
Capt-System™ Es-M Nature Registered

APPEARANCE
Capt-System™ Es-M:
Yellow to dark yellow translucent gel
Capt-System™ Es-M Nature:
Amber to brown gel

FORMULATION
Capt-System™ Es-M:
Add at the end of the process
Capt-System™ Es-M Nature:
Disperse in oil phase

OPTIMUM pH
3-8

DOSAGE
3-5%

APPLICATIONS
- Slimming products
- Heavy legs products

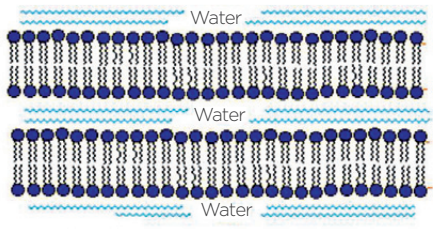
DUE TO CAPT-SYSTEM™ ES-M, THE DRAINING EFFECT OF ESCIN IS OPTIMIZED WHILE MENTHOL BRINGS A COOLING EFFECT.

LAMELLAR SYSTEM - PROLONGED RELEASE

At an optimized lipid-to-water ratio, phospholipids organize in bi-layers separating aqueous and lipophilic media. The superposition of these bi-layers forms a lamellar structure which enables the entrapment of either hydrosoluble actives in the water space or liposoluble actives inside the bi-layers.

Active ingredients entrapped into the lamellar network are isolated from non-compatible compounds of the formula or from skin enzymes, avoiding their degradation. Moreover, poorly soluble molecules become hydrodispersible and easier to formulate.

Upon the application, the lamellar system forms a film on the surface of the skin which has a structure and a composition similar to the *stratum corneum*. This "second skin" barrier decreases the transepidermal water loss (TEWL) and progressively releases the entrapped active molecules.



Phospholipid-based lamellar system

Lamellar systems can build up a natural reservoir of actives with a long-lasting effect.

The biomimetism of the bi-layers offers a high compatibility and tolerance to the skin.

Due to their high stability and skin-similar structure, a lamellar network is the best candidate for the development of controlled active delivery systems.

PRODUCT DESCRIPTION

INCI NAME
Glycerin (and) Water (and) Dicaprylyl Ether (and) Lecithin (and) Phytosphingosine

ECOCERT STATUS
Registered

APPEARANCE
Beige translucent compact gel

FORMULATION
Disperse the product in water before introducing it during the cooling process

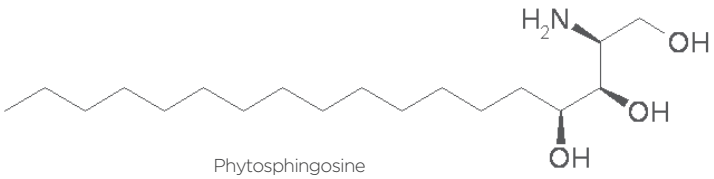
OPTIMUM pH
4-8

DOSAGE
3-5%

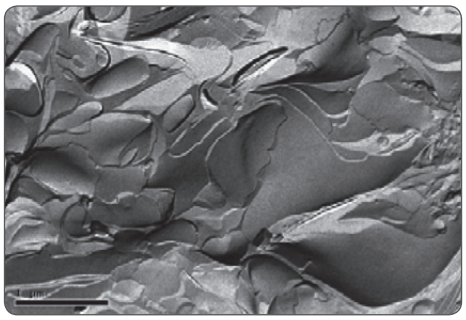
APPLICATIONS
- Smoothing care
- Anti-acne care
- Anti-aging care

CAPT-SYSTEM™ PS

Phytosphingosine is a lipid naturally occurring in the *stratum corneum*, both in its free form and as a part of the major fraction of ceramides which assure the cohesion of skin cells. Known for its soothing[1], anti-acne[1], anti-dandruff and anti-aging properties, phytosphingosine can be used in a large range of applications.
However, it is underemployed due to its difficulties in being processed: poor solubility in water and oils, high temperature process for solubilization (>90°C), risk of recrystallization at room temperature, risk of sedimentation over time.



Phytosphingosine



Cryofracture of Capt-System™ PS

Capt-System™ PS is a phospholipid-based lamellar network entrapping phytosphingosine, dispersed in an aqueous phase. Its biomimetic lipid composition brings all essential elements to increase skin barrier function and improve skin beauty. Phytosphingosine is stabilized into the phospholipid bi-layers and exhibits a high water solubility.

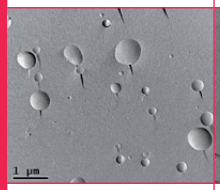
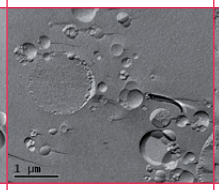
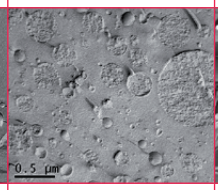
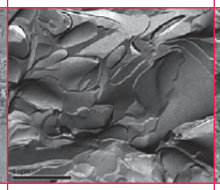
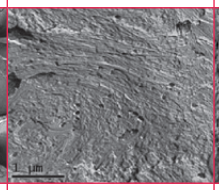
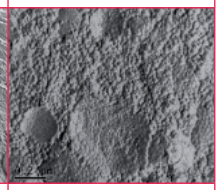
Reference
1- T. Pavicic *et al.* Anti-microbial and -inflammatory activity and efficacy of phytosphingosine: an *in vitro* and *in vivo* study addressing acne vulgaris. *Int. J. Cosmet. Sci.* 2007 Jun; 29(3): 81-90

STABILIZED IN CAPT-SYSTEM™ PS, PHYTOSPHINGOSINE IS EASY-TO-USE AND IS PROGRESSIVELY RELEASED WITH A LONG-LASTING EFFECT.

Features and Benefits

FEATURES	BENEFITS
Phospholipid-based vectorization technology	Adapted systems offering smart solution to improve solubility, penetration and activity of molecules
Possibility of tailor-made product	Selected system according to customer's problems

Summary

	Capt-System™ B9	Capt-System™ E	Capt-System™ E-Q10	Capt-System™ PS	Capt-System™ Es-M	Capt-System™ Es-M Nature
Description	Liposome of folic acid (0.7%)	Pro-liposome of tocopherol (4%)	Miniemulsion of tocopherol (2%) and CoQ10 (1%)	Lamellar network with phytosphingosine (2%)	Phospholipid gel with escin (5%) and menthol (2%)	Phospholipid gel with horse chestnut extract (2% escin) and menthol (2%)
Structure						
INCI Name (US)	Water (and) Lecithin (and) Alcohol (and) Glycerin (and) Folic Acid	Lecithin (and) Glycerin (and) Alcohol (and) Tocopherol	Glycerin (and) Lecithin (and) Dicaprylyl Ether (and) Water (and) Tocopherol (and) Ubiquinone (and) Sodium Stearoyl Lactylate	Glycerin (and) Water (and) Dicaprylyl Ether (and) Lecithin (and) Phytosphingosine	Glycerin (and) Lecithin (and) Dicaprylyl Ether (and) Escin (and) Water (and) Menthol	Glycerin (and) Lecithin (and) Dicaprylyl Ether (and) Aesculus Hippocastanum (Horse Chestnut) Seed Extract (and) Water (and) Menthol
Solubility	Water-dispersible	Water-dispersible	Water-dispersible	Water-dispersible	Water-dispersible	Oil-dispersible
Properties	Anti-photo-aging Regenerating	Anti-oxidant Anti-aging	Anti-oxidant Anti-aging	Soothing Anti-aging Anti-acne	Draining with a cooling effect	Draining with a cooling effect
Ecocert status	Non compliant	Registered	Non compliant	Registered	Non compliant	Registered

Litho Canada | Lucas Meyer Cosmetics® July 2012

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