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Phospholipid-based emulsifiers give much more

The base formula of a cosmetic product contributes greatly to its success, not only in terms of the pleasure it provides upon application but also in terms of efficacy. The base must not be considered only as the sensorial part of a formula but also as a key element to improve the clinical results.

Used first in the cosmetic industry for their emulsifying properties and sophisticated skin feel, phospholipid-based emulsifiers offer much more than that. Thanks to the different chemical and biological properties of phospholipids, phospholipid-based formulas can also be considered to provide active properties due to their moisturising action, and act as a bioavailability enhancer due to their ability to improve the skin penetration of the active ingredients they contain.

Phospholipids are essential constituents of the cell membranes of all living organisms. Organised in bilayers, they form a selective and protective barrier which regulates cell life.[1] In a topical application, phospholipids have therefore a strong affinity with the *stratum corneum* and are able to reinforce it. Then, they help to maintain the skin healthy condition by improving its hydration. The moisturising effect provided by phospholipid-based emulsifiers allows a 100% active cosmetic product with a complementary action from both the base and the active ingredients it contains.

On the other hand, the improvement of the efficacy of a cosmetic product in terms of rapidity and performance is requested by cosmetic brands to better meet consumers' expectations. This topic is more and more scientifically investigated in order to better understand the behaviour of a cosmetic product on the skin and its related consequences on efficacy. Due to their biomimetism and skin-identical composition, phospholipid-based emulsions improve active ingredient skin penetration and bioavailability in order to get better and faster results.

To illustrate these three complementary performances (emulsifying, moisturising and penetration enhancer properties), two emulsifiers from Lucas Meyer Cosmetics were studied:

The first one (INCI name: Hydrogenated Lecithin (and) C12-16 Alcohols (and) Palmitic Acid) forms a natural lamellar structure due to the bilayer network organised progressively in the aqueous phase stabilising oil droplets (Fig. 1). This rigid lamellar network emulsifies up to 30% of oil and is particularly recommended to formulate rich cream textures or butter textures.

The second one (INCI name: Sodium Acrylates Copolymer (and) Lecithin) is a versatile ingredient which combines emulsifying properties with thickening and texturising effects. It can be formulated with all types of oil phases up to 10% and is particularly recommended to formulate sensorial gel cream textures.

Phospholipids as emulsifiers

Extracted from various vegetable sources (soybean, sunflower seeds, etc.), phospholipids have been used in the cosmetic industry for several decades mainly as a natural and skin friendly emulsifier. Their molecular structure is composed of a hydrophilic part (polar head) and a lipophilic part (two fatty acid tails). This amphiphilic character explains their emulsifying properties.

In order to improve the natural emulsifying properties of phospholipids, optimised phospholipid-based mixtures have been developed to create highly efficient emulsifiers also able to provide a sophisticated skin feel. This range of phospholipid-based emulsifiers offers the possibility to formulate different types of sophisticated textures with various viscosities and richness, from light fluid to butter, with aqueous to nutritive sensation. However, their common point is the specific and highly recognisable skin feel they provide upon application and afterwards, characteristic of the presence of phospholipids.

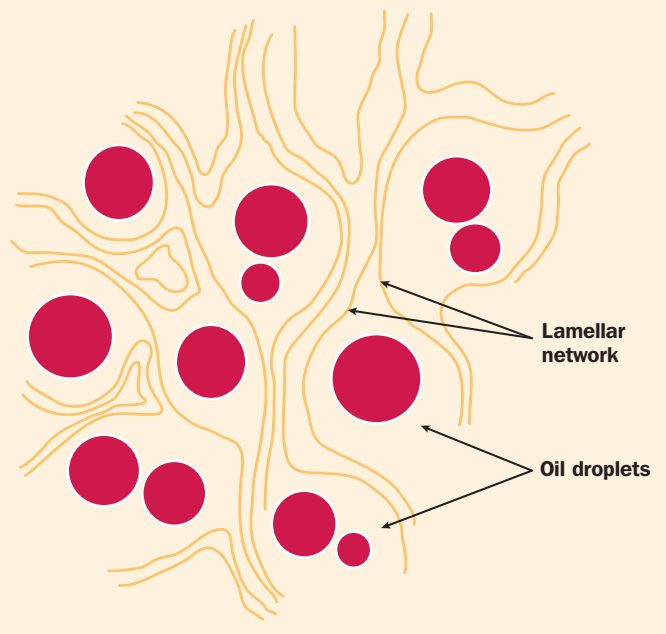

Figure 1: *Oil in water lamellar emulsion where oil droplets are stabilised in the phospholipid bilayers.*

Methods

Sensorial characteristics of both selected emulsifiers were evaluated by 27 expert panellists. Evaluation of the sensory profile was based on handling (slipping, picking), application (spreadability, coolness, and whitening) and skin feel after 1 minute (greasiness, tackiness, and softness) and 2 minutes (film-forming, penetration).

Each descriptor was evaluated on a scale from 0 to 10, 0 being the absence of the descriptor in the product.

Results and discussion

As shown on Figure 2 and Figure 3, the sensorial profile of both emulsifiers shows similar items identified as specific to phospholipids. Phospholipids

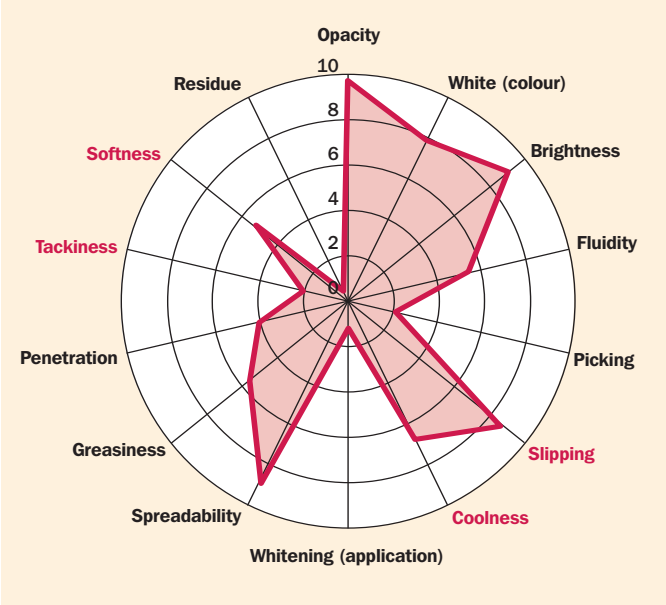

Figure 2: *Sensory profile of a cream with 4% phospholipid-based lamellar emulsifier.*

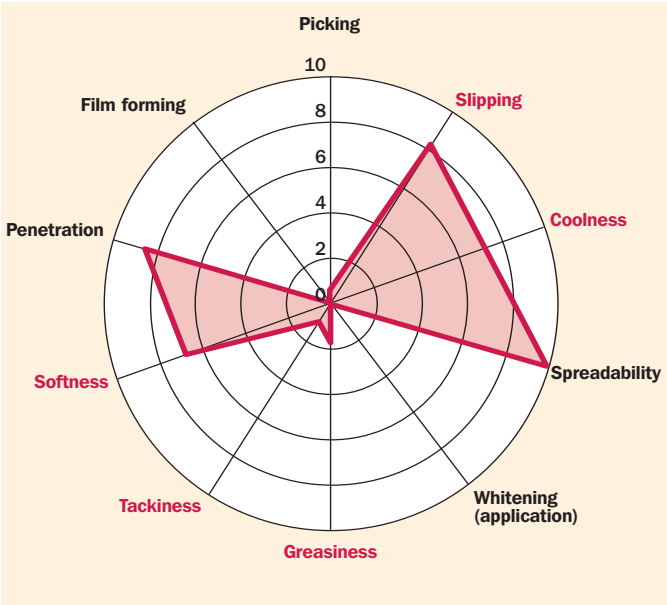

Figure 3: *Sensory profile of an aqueous gel with 1.75% phospholipid-based gelling agent/emulsifier.*

provide a unique skin feel characterised as the Phospholipid Touch. Defined by the expert panel as cool, slippery, non-tacky and soft, this unique and luxurious skin feel offers an ultra-sophisticated signature for intense pleasure upon application.

Hydration properties

Hydration is a fundamental parameter for skin beauty. A water gradient exists through the different skin layers, from the water reserve of the dermis up to the *stratum corneum* where water evaporates slowly.

The *stratum corneum* acts as a protective barrier which controls a gradual evaporation and limits excessive transepidermal water loss (TEWL). Skin hydration and TEWL have an inverse relationship. Low TEWL values are closely linked to a high skin hydration.

As skin-identical molecules, phospholipids present a strong affinity with the *stratum corneum* and can reinforce its barrier function to decrease TEWL and promote long-lasting skin hydration. Also, the polar head groups of phospholipids are capable of binding 15 to 25 molecules of water per molecule of phospholipids,[2] thus helping the maintenance of the water reserve in the skin.

Methods

A clinical study was performed during 8 hours to evaluate the hydration effect of the lamellar emulsifier and of the gelling agent/emulsifier (10 volunteers with dry skin on their legs, 20 to 60 years old).

Hydration and/or TEWL were evaluated by corneometry and tewameter respectively at T0 and after 1, 4, and 8 hours of application.

Results and discussion

Results are expressed in term of variation of hydration and/or TEWL compared to T0.

As presented in Figure 4, the gel formulated with the lamellar emulsifier induces a significant decrease in TEWL 1, 4, and 8 hours after the application (up to 23%). By decreasing TEWL and driving water molecules into the skin, the lamellar emulsifier provides an immediate and significant persisting moisturising power 1, 4, and 8 hours after the application, by 14%, 16%, and 17%, respectively.

As shown in Figure 5, the gelling agent/emulsifier provides an immediate and significant moisturising effect 1, 4, and 8 hours after the application, by 13%, 12%, and 9% respectively. Combined with a copolymer, phospholipids form a protective film on the skin surface that contributes to the preservation of hydration.

The use of a phospholipid-based emulsifier allows to obtain an active base of the formula which contributes to the moisturising effect of the finished product.

By reinforcing the *stratum corneum* and the skin barrier function on the one hand, and by bringing water molecules on the other hand, phospholipid-based emulsifiers prevent water loss and improve skin hydration.

Skin bioavailability improvement

The efficacy of an active ingredient depends on its properties, its concentration but also on its bioavailability (= ability to be in contact with living skin cells). This bioavailability is correlated with the skin penetration ability of the active ingredients depending on their physicochemical properties.

The penetration kinetic of ingredients can be modulated by the formulation in which they are introduced, related to its capacity to be absorbed by the skin.

The human skin protects the organism from damages and dehydration, and provides a multifunctional interface between it and its surroundings. It avoids

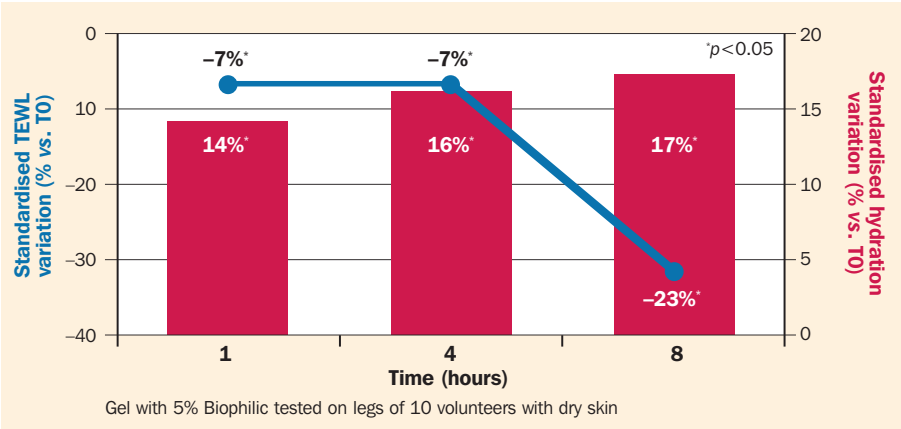

Figure 4: *Evaluation of a gel impact (5% lamellar emulsifier) on TEWL and skin hydration.*

or limits the passage of most organisms or harmful molecules to preserve other tissues' health and integrity.

As the upper skin layer, the *stratum corneum* (SC or horny layer) is the most difficult layer to penetrate. Its rigid lipid lamellar structure is a remarkably efficient barrier against undesirable compounds but therefore also limits the penetration of the active molecules of a cosmetic product. The *stratum corneum* polarity is lipophilic and does not allow hydrophilic compounds to penetrate easily. The type of formula where active ingredients are formulated is therefore crucial to improve their penetration through this protective envelope and to increase the bioavailable concentration in the living skin layers, in order to improve efficacy. The more skin affinity the formula has, the more active ingredient penetration is enhanced. Once the *stratum corneum* is passed, active ingredients can diffuse to the epidermis and the dermis, where they reach the cells they can react with.

Emulsions formulated with phospholipid-based emulsifiers present a high bioaffinity with the skin. Similar to the skin composition and organisation, these emulsions merge better with the *stratum corneum* and are assimilated in a gentle way as a second skin, preserving skin integrity (contrary to some other ingredients used to destabilise the *stratum corneum* structure in order to make the penetration easier). The active ingredients of the formula are therefore carried simultaneously, vehiculated more easily, and their penetration is then improved.

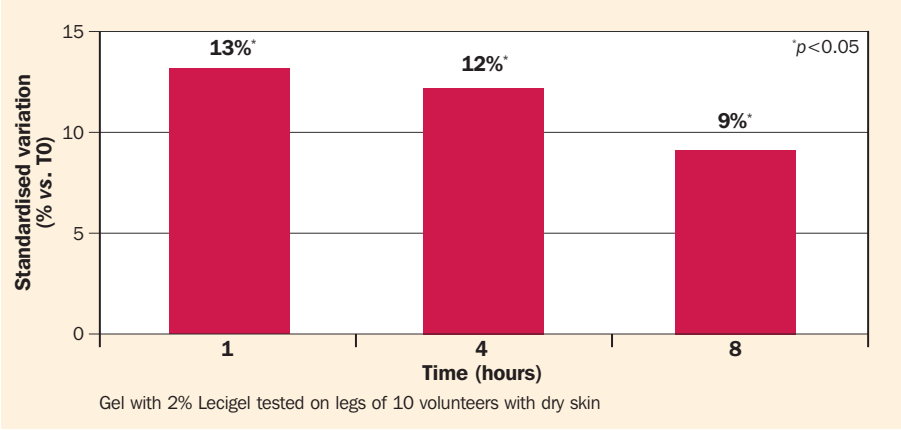

Figure 5: *Evaluation of a gel impact (2% gelling agent/emulsifier) on skin hydration.*

The cutaneous absorption of molecules depends on many parameters such as skin condition, age, skin hydration, site of application, but more particularly on their physico-chemical properties (molecular weight, solubility, polarity, and compatibility with skin surface).[3]

The ability of molecules to cross this barrier is directly linked to their molecular weight and to a value called partition coefficient.

The partition coefficient (Log K_{ow}) is the ratio of concentrations of a compound in a mixture of two immiscible phases (octanol/water) at equilibrium. It is a measurement of the polarity of the compound by following its repartition between these two phases.

Molecules with a molecular weight <500 g/mol[4] and presenting a partition coefficient between –1 to 4 are favoured to pass through the skin.[5] Below –1 and above 4, molecules can penetrate the skin but at a lower amount. Designing the galenic to optimise the absorption impact

can be appropriate to improve the bioavailability of a hydrophilic molecule.

This way, we have evaluated both emulsifiers for their efficacy as skin penetration enhancers. Caffeine (molecule A) with high dermal absorption potency (Log K_{ow} = –0.07; MW = 194.19 g/mol) and hexapeptide (molecule B) with low dermal absorption potency (Log K_{ow} = –1.13; MW = 870 g/mol) were used in this study.

Methods

The percutaneous absorption through human skin explants was evaluated using Franz modified diffusion cells with an effective diffusion area of 2.02 cm^2. Formulations containing 1.5% of caffeine or 0.03% of hexapeptide were deposited on human explants and incubated for 24 h. Samples of the receptor fluid (corresponding to the hypodermis layer) and skin compartments were collected after 24 h of incubation.

After 24 hours of exposure time, the quantification of the used molecules was performed using HPLC (molecule A) and LC-MS multiple reaction monitoring (molecule B) in the washing liquids, the receptor fluid and the different separated skin compartments: *stratum corneum* (non-viable part of epidermis), viable epidermis, and dermis.

Results and discussion

● *Lamellar emulsifier*

The global quantification of molecules A and B content showed that a higher amount of the formulated form was found in both *stratum corneum* and other skin layers (viable epidermis + dermis + receptor fluid) compared to the control solutions (Fig. 6). The *stratum corneum* acts as a reservoir and enables the diffusion to continue after 24 h.

After 24 h of treatment, the lamellar emulsifier increased the skin bioavailability of molecules A and B 2.3 times and 8.5 times respectively compared to the control solutions.

● *Gelling agent/emulsifier*

The global quantification of the molecule B content showed that a higher amount of the formulated form was found in both *stratum corneum* and other skin layers (viable epidermis only) compared to the control solution (Fig. 7).

After 24h of treatment, the gelling agent/emulsifier increased the bioavailability of this hexapeptide 4.3 times in the living compartments of the skin compared to the control solution.

The *stratum corneum* acts as a reservoir of active molecules delivered by the formulation and enables the diffusion to continue after 24 h (long-lasting effect).

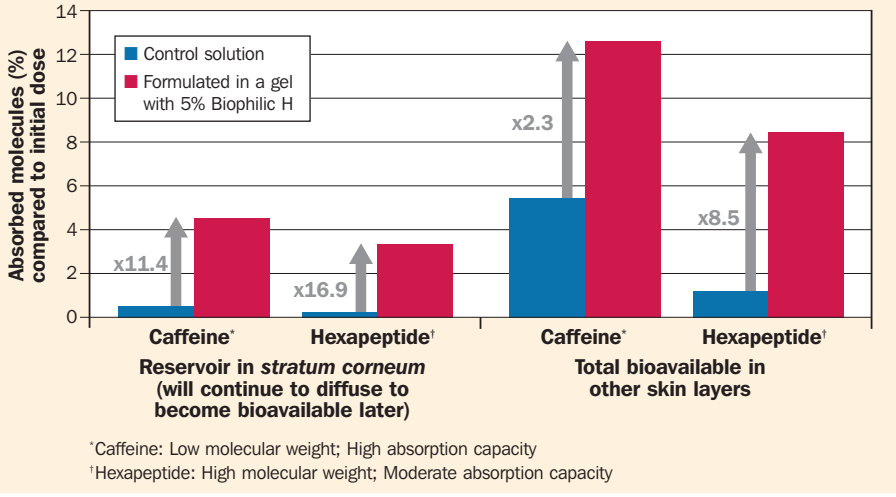

Figure 6: *Diffusion of active molecules in human skin after 24 h (Franz cell method).*

Phospholipid-based emulsifiers are strong and gentle penetration enhancers for the cutaneous delivery of active molecules whereas a vast range of permeation enhancers facilitate the absorption of molecules by delipidation of the *stratum corneum*, which can induce skin irritation.

Conclusion

Texture, skin feel and efficacy are some of the main criteria in the selection of a cosmetic product by a consumer. Phospholipid-based emulsifiers are therefore the perfect ingredients to master formulation and provide all these interesting characteristics to products. Various viscosities, improved skin feel, moisturising action, penetration boosting effect are the many advantages these emulsifiers can offer thanks to the presence of phospholipids.

Phospholipids are unique compounds for formulators. Already well-known and widely used for their performance in formulation in terms of texture and skin feel, phospholipid-based emulsifiers are now proved to offer other added values. Their contribution to the reinforcement of the cutaneous barrier to improve skin hydration enables the claim of a 100% active base for an optimal efficacy. Also, due to their high affinity with the *stratum corneum*, the skin penetration and the bioavailability of active ingredients is optimised to guarantee a maximum efficacy to get better and faster clinical results.

The presence of phospholipids also helps restructure and repair the skin to preserve its health and beauty with a guaranteed safety profile. PC

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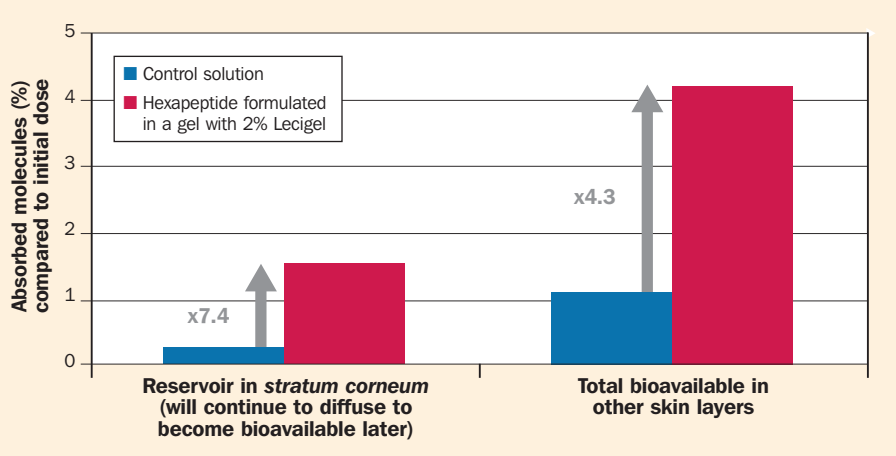

Figure 7: *Diffusion of active molecules in human skin after 24 h (Franz cell method).*