

Without carriers only modest effects – Functions and effects of carriers in cosmetic products

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When we talk about carriers in cosmetic products we need to distinguish between particular chemically defined substances and physical carrier bodies. In conclusion, both alternatives have the same effects: combined with active agents they increase the bioavailability. An enhanced bioavailability requires in-depth knowledge on the physiological processes in the skin and strictly contrasts with the idea of “a lot helps a lot!”

Vitamin C (ascorbic acid) and its effects discussed in technical literature as well as in product ads meanwhile have become common knowledge for cosmeticians. High concentrations have a keratolytic effect on the skin comparable to fruit acids, and cells of the horny layer are peeled away. However, if the vitamin C activity is intended to stimulate the collagen formation, these topical effects will not achieve any positive results. For this specific purpose, it is recommended to use low concentrations and derivatives as for example the esters of the phosphoric, stearic or palmitic acid. Derivatives are much more stable than the basic vitamin C and can be encapsulated in liposomes if they are water-soluble or in nanoparticles if liposoluble. The term “encapsulate” already implies the use of vesicles which generally range in size from about 50 to 200 nm (nanometer). Human and vegetable cells have a similar structure. The respective derivative is transported into the skin with the help of vesicles and then enzymatically hydrolyzed into body-identical substances at the targeted area. The so released vitamin C can now take its full effect.

Carrier substances with inherent effects and non-effective carriers

It may sound paradoxical, but the carrier itself will not penetrate into the skin. Instead, a relatively complicated process takes place starting with the fusion of the carrier material, generally consisting of physiological phosphatidylcholine (PC), with the skin barrier layers due to its chemical composition and its physical structure. Subsequently the barrier layer becomes fluidized. This fluidization process involves that the skin barrier is programmed to a passage mode. No need to worry though if intact vesicles will also pass through the skin. The same

process occurs with nanoparticles based on PC. The vesicles also fuse with the skin barrier and release their different components into the deeper skin layers. The fusion process occurs rather quickly and may be easily observed in the case of liposomes as a short termed increase of the transepidermal water loss (TEWL) can be measured. The described short-term switching into a passage mode however is not a one way road: vice versa, water vapor can dissipate through the skin. Nanodispersions compensate the increased TEWL with their lipid content in the carrier material. In the case of dry skin conditions, this short term effect can afterwards be compensated by applying an appropriate cream. On a long term view, there will be no disadvantage since the linoleic acid content of phosphatidylcholine will be integrated into the barrier-protecting ceramide I, a process which will improve the skin barrier in the long run.

Besides the PC-based biodegradable nanoparticles, there are non-biodegradable nanodispersions containing waxes and carbohydrates as carrier substances which form solid spherical bodies (SLN = solid lipid nanoparticles) and hence show a different behavior. They cover up the skin comparable to a topical film and release the encapsulated active agents from the skin surface into the deeper skin layers. This involves an occlusive effect which supports the penetration. As a matter of fact, SLNs act like W/O emulsions whereas the respective carrier substances themselves are non-effective and consequently cannot be utilized by the skin.

Active agent supply

In the case of PC containing carriers we speak of lamellar systems that physically correspond to the bilayer structure of the cell membranes

and the skin barrier. The increased permeability of the skin barrier can successfully be utilized in masks containing a number of active agents. To reclose the skin barrier then, it is recommended to apply creams with the saturated PC variant instead of the linoleic acid containing (unsaturated) phosphatidylcholine used in liposomes and nanodispersions. The saturated PC also occurs in every living organism. Though, it contains chemically bound palmitic and stearic acid and forms planar (bi-layers) instead of cell-shaped membranes. Both their specific composition and structure reverse the formerly activated fluidization of the skin barrier and reduce the TEWL to the standard level if liposomes are involved. The cream bases in turn, also called Derma Membrane Structure (DMS), are carriers for barrier active, skin protective caring agents like e.g. phytosterols, triglycerides and ceramides. In other words: the skin barrier can be artificially opened and the deeper skin layers can thus be provided with the appropriate individually adapted active agents. Finally, the skin barrier is reclosed to its normal condition with the help of DMS. The treatment procedure described corresponds with the enhanced corneotherapeutic treatment. Just as a reminder: The original corneotherapy according to Professor Dr. A. Kligman is targeted at recovering the disordered horny layer (stratum corneum) in order to avoid that triggers of skin problems in the deeper layers can penetrate from the outside. Even inflammatory skin conditions can be treated in this way.

The use of singular substances

As mentioned above, there are also singular substances whose presence will help pass active agents through the skin barrier. The substance class of "amides" belongs to this group. Amides consist of acids that are chemically linked to amines. A very basic compound in this context is urea. The acid components of urea result from carbonic acid and the amine components from ammonia. Urea can bind water but can also break up the hydrogen bridges in the skin barrier. Hence, it has increased keratolytic effects in high concentrations up to the point that even fingernails can be removed. Moderate concentrations up to 3 percent can be used as penetration enhancers without any problems. It also has antipruritic properties – similar to the chemically related allantoin and to niacinamide (vitamin B₃). Fatty acid amides from palmitic acid and aminoethanol also have barrier active and antipruritic effects and frequently are used for the care of the atopic skin. D-panthenol, the pre-stage of pantothenic acid (vitamin B₅) does not reveal

its intrinsic properties as mentioned above at a first glance. Hence, D-panthenol is a popular component in facial tonics which are recommended to use before the application of masks.

There is a whole variety of additional substances and carrier materials, however, it should be mentioned that these substances almost exclusively are used as ingredients of dermatological formulations.

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