Encapsulated substances - the capacity of carrier systems

published in Kosmetik International 2001 (2), 112-116

Liposomes and nanoparticles have a high affinity to the horny layer of the skin due to their composition. With the help of these carrier systems water and oil soluble substances can easier penetrate the skin.

Above all, the ingredients are the focus of interest, when ever specific effects of cosmetic products are achieved and discussed. Nevertheless, the (transport-) packaging of the active agents plays a major role. Speaking of a packaged or encapsulated active agent, the consumer generally assumes that the appropriately protected ingredients are released to their optimum. Regarding the publicity, even a very simple active agent can make up an interesting story.
One of the examples of recent date is the encapsulation of the all-pervasive oxygen in nanoparticles in order to stimulate the microcirculation and metabolism of the skin with the help of the increased oxygen concentration. The pros and cons of this oxygen transport were comprehensively and controversially discussed in several issues of Kosmetik International.

Protected and prepared for a long shelf life

Apart from the publicity bonus, encapsulations are only useful, when the intention is to protect the active agents and extend their shelf life and, in addition when they are supposed to easily penetrate into the skin, to spread and then be released evenly.
In the cosmetic field, above all products like vitamins or provitamins are predestined for this process as they generally are perishable substances. Vitamin A, vitamin C, vitamin E and coenzyme Q10 are active agents which help to protect the skin against free radicals or are used for the care of the elderly skin. These active agents are frequently encapsulated in liposomes or nanoparticles.

Limited to pharmaceutical preparations

Other methods of encapsulation like solid nanoparticles, which used to consist of hardened protein or peptide polymerizates and pharmaceutically active agents, have virtually not become established in the cosmetic sector. These particles which slowly release their active agents out of the polymeric network are of historical interest as in this connection the term "nanoparticles" was coined for the first time.
Several years ago wax-like lipid-nanoparticles (lipopearls) were presented, which among others, also could assimilate liposoluble active agents which are slowly releasing from the wax dispersions into the skin. The manufacturing of these particles is relatively complicated and compared with the liposomes and nano-particles with membrane structure already in the market they do not show any advantages. It is rather stated as a disadvantage that an additional additive (wax) has to be used. Also the so-called nano- or microcapsules are virtually restricted to pharmaceutical use.
Reality proves that active agents encapsulated in liposomes and nanoparticles are by far more effective than the regular active agents whereas not only the active agents itself play a major part but also the synergy effects achieved by the capsule material.
The capsule material of liposomes are bilayers and the capsule material of nanoparticles are monolayers of a substance that nature uses for the structures of the cell membranes of every single organism. This natural substance is called phosphatidylcholine which is pretty difficult to pronounce; the appropriate INCI term is lecithin.
The term lecithin actually is incorrect as lecithin is a mixture of different substances of which phosphatidylcholine is only one of several components. The membrane-forming phos-phatidylcholine itself is a very interesting active agent as it contains two essential, chemically fixed components for the body and the skin: polyunsaturated fatty acids, predominantly linoleic acids, and choline, a substance with cell protecting functions. Even so-called empty liposomes, these are liposomes without encapsulated active agents already have excellent effects in cases of skin impurities and minor forms of acne.
Liposomes are a carrier substance used for water-soluble (e.g. panthenol, NMF, amino acids, vegetable extracts, mineral salts) or amphiphilic substances, which are able to

combine with water as well as with fats. This is quite convincing as the interior of liposomes is aqueous and the thin layer offers little room for fat-like substances.

Electron microscope makes the differences visible

The nucleus of nanoparticles consists of an oily body which by nature can assimilate oil soluble active agents like e.g. vitamin E, vitamin A, carotin, coenzyme Q10, primrose oil, wheat germ oil, shea butter and tea tree oil.
Liposomes and nanoparticles are about the same size and cannot be detected with the eye neither with the help of a microscope. Their structure can only be seen under the electron microscope. Due to larger particles the watery dispersion has opalescent or milky appearance.
Speaking of milk: the structure of natural milk is very similar to the structure of nanoparticles with the difference that the particles are larger and that the outer layer of milk contains, besides phosphatidylcholine, additional natural substances like proteins and cholesterol. Also the chylomicrons which transport fats in the blood and lymph system are related to the nanoparticles.
Talking of the transport of encapsulated active agents, it has to be clearly differentiated between penetration and permeation. Penetration means seeping into the horny layer and permeation means seeping through the whole skin.
Accordingly, the penetration – in combination with a depot effect in the horny layer - is the most important effect of the cosmetic whereas the transdermal permeation is rather restricted to pharmaceutical use.

A steady release of the precious freight

The active agents which initially penetrated into the horny layer are slowly released in deeper, living layers of the epidermis; otherwise the effects of different active agents could not be explained.
The process of releasing proceeds very evenly which is the reason for the fact that the active agents which are encapsulated in liposomes and nanoparticles are very well tolerated and in comparison to conventional systems can be added in lower doses. According to the cosmetic decree, the effect of the encapsulated substances has to be restricted to the skin.
There is another reason for the perfect tolerance of liposomes and nanoparticles which lies in the physical structure of the horny layer. Barrier layers in form of bilayers which are located between the dead corneocytes pass through the horny layer. The structure of these bilayers is similar to cell membranes and liposome membranes. Thus, the membrane forming substances of the barrier layers, liposomes and nanoparticles are interchangeable.
Today, the penetration supporting effect of liposomes on their encapsulated active agents can less be explained with the encapsulation itself but by the fact that the permeability of the skin barrier layers is increased by integrating liposome membranes. The expert calls it the fluidization of the barrier layers. That is why the effects of the liposome components can be measured after the application of liposomal products but intact liposomes cannot be detected. Sweeping theories on the depth or the ways of penetration of intact spherical liposomes through the skin as they are still shown in advertising campaigns are outdated herewith.
The fluidizing properties of liposomes and in a weakened form of nanoparticles should be known in the cosmetic practice as e.g. combined treatments of liposomes and other products may cause increased effects or even undesirable side effects. Now, two examples: After a cosmetic treatment with a highly concentrated liposome product with a following or simultaneous application of a vegetable extract, e.g. green tea, it will be realized that the stimulating effect of green tea is increased in comparison to the single application of the vegetable extract. In the end this is a positive effect as the tea either can be applied in a lower dosage or respectively the application has a long-term effect especially for the elderly skin.

Preservatives should be avoided

On the opposite, it is counter-productive when e.g. preservatives or perfumes to a large extent pass through the barrier layers of the skin in presence of liposomes as this will increase the risk of sensitization.
That is why liposomes or nanoparticle products containing a high percentage of membrane components like phosphatidylcholine should be used unpreserved. Also a combination with products containing preservatives should be avoided. It is repeatedly stated, that cosmetic and dermatic products have to be preserved due to the possible health risks. However, this is no longer state-of-the-art.
In this connection it should be mentioned that there are more and more creams on DMS-base (DMS = Derma Membrane Structure) in

the market whose base also is rich in membrane substances; the membranes in the creams are only fragmentary, however. Just like liposome and nanoparticle dispersions the DMS-creams also are free of emulsifiers and can be combined in any way.
This is very important as membrane containing products react very sensitive to the emulsifiers included in conventional products and as a result, the membranes will be destroyed which also happens when emulsifiers affect the barrier membranes of the horny layer.

Excellent tolerance

Due to the excellent skin tolerance of the products, the family of membrane products consisting of liposomes, nanoparticles, DMS, is predestined for the care of problem skin.
On the one hand the active agents can be used at optimum and on the other hand the natural bilayer structure of the skin remains intact. And last but not least, well-balanced formulations can completely avoid problem substances like preservatives and perfumes. Furthermore, membrane substances have their own positive effects on different types of skin disorders.
Even if at the beginning of the liposome products the marketing story rather became the focus of attention and the products only showed traces of liposomes, it is a fact today, that there are obvious advantages in contrast to conventional products on the base of W/O or O/W emulsions. These advantages are documented in detail in the technical literature.

Dr. Hans Lautenschläger