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# New Nanocapsules with High Loading of UV-Filters

## New UV-Nanocapsules: Characteristics

Sophi-Caps were developed with the ability to encapsulate 50% of the organic UV- filters Avobenzone (UVA) together with Octocrylene (UVB). This combination offers a photostabilization of Avobenzone and prevents the UVA filter from crystallization.
These capsules are dispersed in a water / ethanol solution and can be used directly after thickening for example with xanthan gum. The size of the capsules is around 700 nm and they have a negatively charged surface. Due to the size these capsules are not able to enter the skin but form an uniform layer onto the skin's surface. Therefore they permit preparing a formulation of safe and effective sun protection.
The capsules consist of a liquid core composed of a mixture of UV-B filter (Octocrylene, Eusolex OCR) and UV-A filter (Avobenzone, Eusolex 9020) with a ratio UV-B to UV-A of 3.5 : 1. The shell surrounding the inner part is composed of a membrane forming emulsifier together with an inulin-based polymeric co-emulsifier. The polymeric structure of the shell prevents the nanocapsules from degradation by formulation detergents.

## Preparation of the Test Formulations and Stability

Simple formulations were produced using Sophi-Caps UV or the pure liquid filter mixture (10% hydrophobic filters each) incorporated into water by homogenisation and thickened by xantham gum.
The formulation containing the pure filter mixture showed a great droplet size of the oily components in water and a phase separation over time. With the Sophi-Caps UV a stable uniform formulation could be achieved. **(Fig. 1)**.

### UV-Protection of skin (*in vivo*)

The UV-protection of the skin by both test formulations as well as the penetration of UV-filters into the skin was evaluated on 4 volunteers *in vivo*. The formulations (5 mg/cm²) were applied onto the skin of the inner forearm. After 60 minutes the skin was stripped by tape stripping and the absorption of each tape was measured at 303 nm (UVB) and 357 nm (UVA).
The Caps-formulation showed a significantly higher absorption in the utmost layer of the skin compared to the pure filter-solution **(Fig. 2)**.

## Introduction

**UV radiation is the main malefactor of several types of skin cancer and the visible signs of photoageing (1,2). Mainly ultraviolet A (UVA) radiation (320 – 400 nm), able to penetrate into the deeper skin layers, is responsible for the generation of free radicals and arising oxidative stress. The importance of adequate UVA protection has therefore become a major goal in sun care as well as in skin care.**
**A huge variety of organic sun filters are on the market. Both UVA and UVB filters are mainly oil soluble. Therefore they can not be used in innovative oil-free sun care formulations like sprays or gels.**
**Special products have been developed to get a »water-soluble« UVA filter by different encapsulation technologies (Hybrid from Sunjin (3) or Eusolex UV-Pearls from Merck (4,5)). In both cases the UVA filter Avobenzone was encapsulated together with a UVB filter to increase the photostability of Avobenzone. The total filter concentration in both preparations is approximately 40%. This encapsulation into the particles (2 – 7 µm for Hybrid and 1 µm for the Pearls) separates the UVA filter from other components coming out from the formulation and enhances the safety of the formulation.**

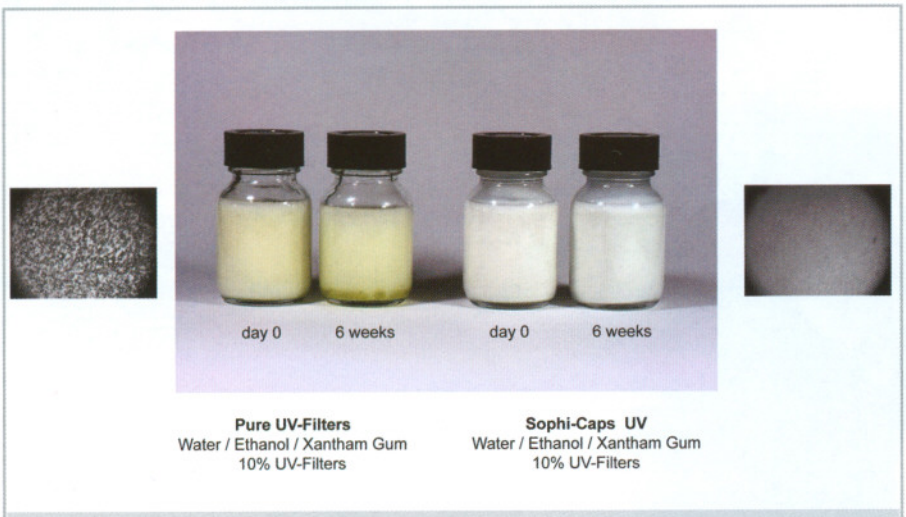


Fig. 1 Aqueous formulation with pure filters versus encapsulated filters


Fig. 3 Irritation potential after tape stripping

increase of time one could stay in the sun by using a radical protection (UV filters and antioxidants) and getting only the same number of induced free radicals as for the unprotected skin.

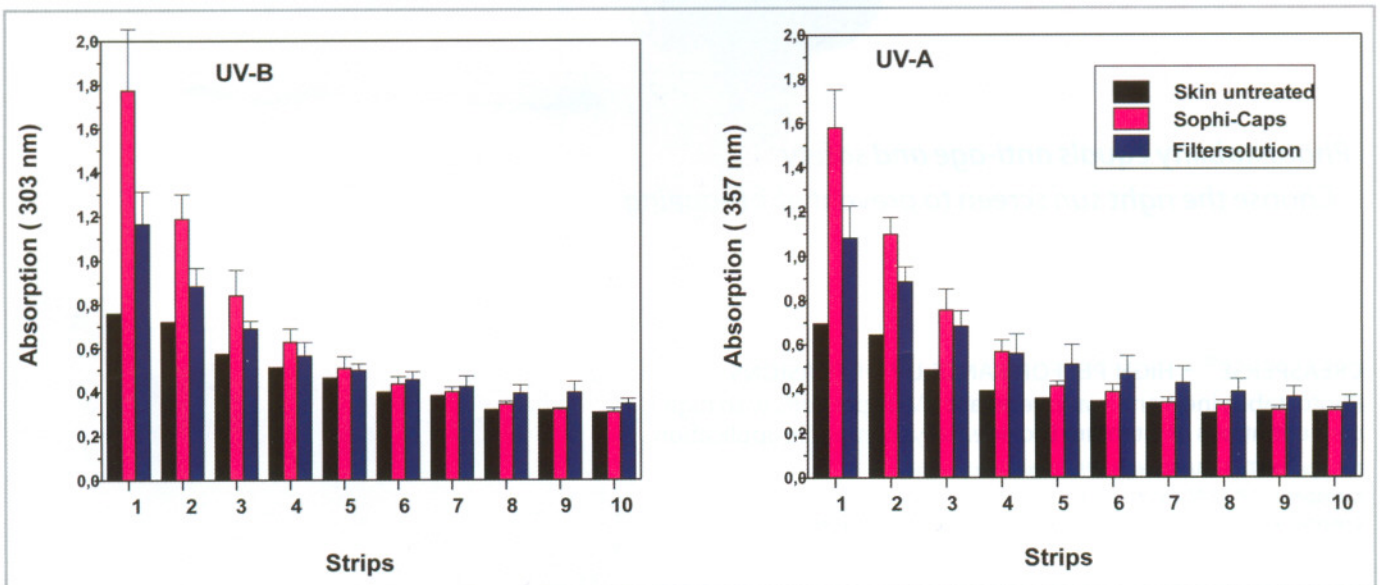


Fig. 2 UV protection of skin and penetration of UV filters

Also a slight penetration of the pure filters could be observed, as demonstrated by higher UV-absorption in the strips 7-10.

The Sophi-Caps offer a »non-delivery encapsulation« which prevents the resorption of filters by the skin, thus reducing the potential of irritation and avoiding a loss in effective sun protection **(Fig. 3)**.

### Measurements of Radical Skin Protection Factor (RSF):

Exogenous influences like UV-radiation with a wave length smaller than 400 nm possess sufficient energy for damaging molecules directly in the skin or generating free radicals. These UV-induced free radicals (reactive oxygen species, ROS) can be measured *ex vivo* on irradiated skin explants by Electron Spin Resonance (ESR).

The RSF is an universal factor which characterizes the free radical status in the skin and also the protection effects of UV-filters or sunscreens (5, 6).

The RSF corresponds to the ratio between the number Nu of generated radicals in the unprotected skin related to the number Np of free radicals in the protected skin assuming a constantly applied UV dose. It is also a factor for the Substances or influences with a radical reducing effect like UV-filters result in a RSF > 1, with a radical increasing effect in a RSF < 1. No influence means accordingly RSF = 1.

The performance of a sunscreen formulation strongly depends on the ability to form a uniform film on the skin's surface. This property is difficult to achieve when light, sprayable sunscreen formulations are requested.

The two dispersions (Sophi-Caps and Filter-Solution) are applied on the epidermal side of skin biopsy (2 mg / $cm^2$) and the RSF was determined as described by *Herrling* et al. (8).

The differences between the formulations containing either encapsulated (Sophi-Caps) or non-encapsulated UV-Filters (Filter-Solution) were significant **(Fig. 4)**. Analysing the standard deviations of the data the UV filters showed a more homogeneous distribution onto the skin's surface when encapsulated into the Caps.

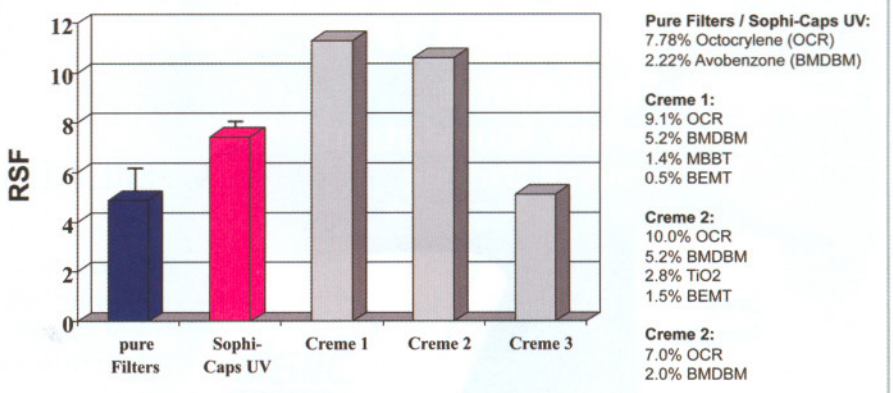


Fig. 4 Radical skin protection factors of different formulations

## ■ Implementation in a Hair Styling Gel

### Preparation of the test formulations

Hair Styling gels were prepared among all others of 2.5 % Polyquaternium-46 (Luviquat Hold) and 3% PVA/VA Copolymer (Luviskol VA 64) and Sophi-Caps UV or the pure filter mixture (total filter concentration = 10%).

The gel containing the Sophi-Caps gives a white uniform soft formulation with strong styling properties in contrast to the yellow inhomogeneous formulation with the pure filters.

### Measurements of Radical Hair Protection Factor (RHF)

Oxidative damage is the main reason for hair condition changes and the most important contributor to oxidation is UV radiation. The melanin molecule is a polymer with radical character, which makes melanin a perfect detection system. Brown and black hair possess a typical, well defined melanin signal (Melanin I) which is stable. Oxidative reactions in hair lead to the generation of free radicals which are »trapped« by the melanin polymer. Due to re-organization a UV-inducible »new« melanin signal (Melanin II) is detectable. The increase of this Melanin II signal is directly proportional to the UV dose. An efficient approach to alleviate the damage is the application of UV filters to reduce the amount of free radicals and to stop the radical chain reactions.

The RHF represents the ratio between the number Nu of generated radicals in the unprotected hair related to the number of generated radicals Np in the protected hair assuming the same applied UV dose for both.

The RHF factors of the both styling gels containing Sophi-Caps or the pure filter mixture were determined as described by *T. Herrling* and *K. Jung* (9).

The placebo hair gel (without any filters) shows no radical protection after UV exposure.

The hair gel containing the pure UV-filters shows a RHF factor of 2.17 meaning a hair protection of 54% whereas the gel with the Sophi-Caps has a slightly higher value of 2.36 (protection of 58%) **(Fig. 5)**. But there are clear differences in the standard deviations, indicating that the pure UV filters are not distributed homogeneously in contrast to the Caps. The hair gel containing pure UV-filters shows phase separation and a non homogeneous distribution of the oily filters. After 2 months of storage at room temperature the RHF factor of the gel with the free filters decreases to 2.07 but the deviation of the measurements increases by 22%. No changes were observed with the styling formulation containing the Sophi-Caps.

## ■ Summary

The newly developed Sophi-Caps containing 50% of UV-filters allow a new field of application. Photostabilized UVA filter in combination with UVB filter can

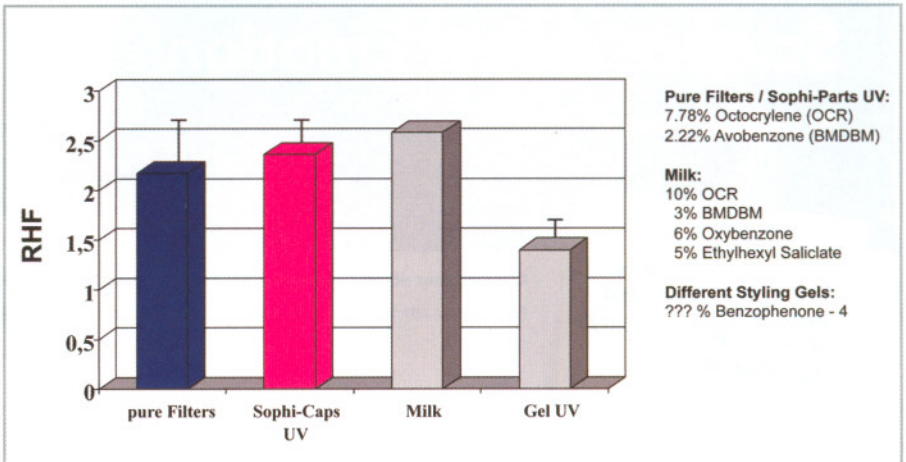


Fig. 5 Radical hair protection factors of different formulations

be added to the hydrophilic water phase even in gels or styling gel without any phase separation. The resulting formulations are clear white and can be homogenously applied onto skin or hairs.

## References

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