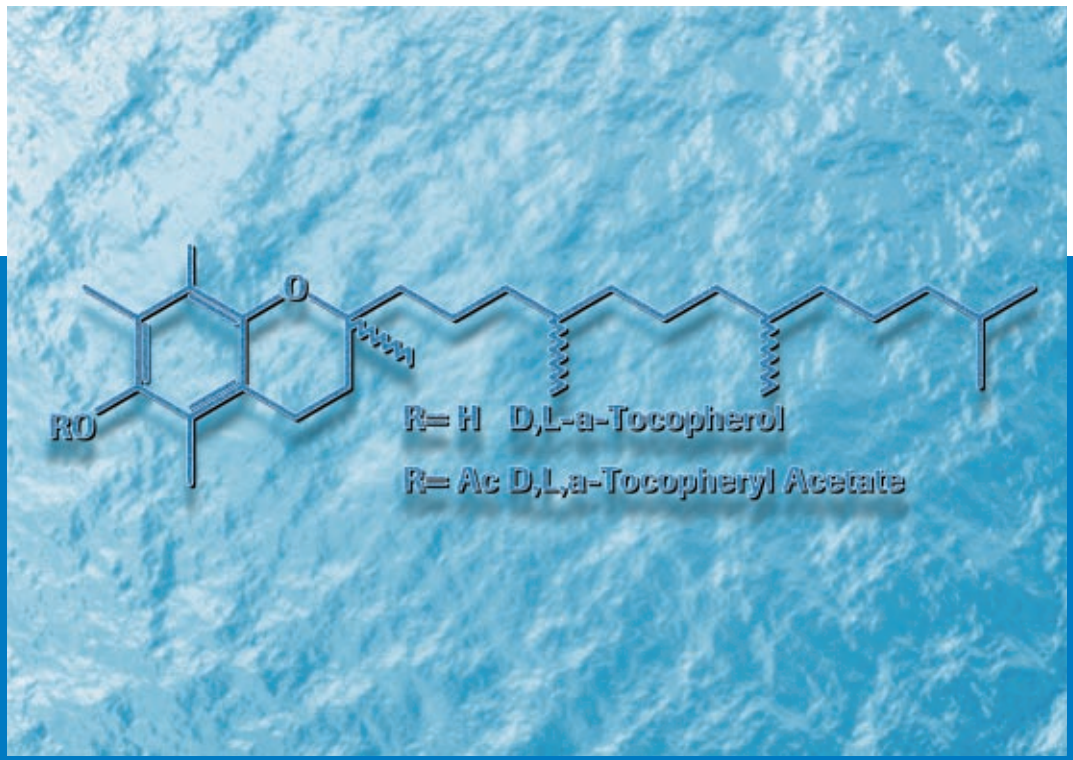
Roche

Vitamins

Vitamin E



VITAMINE VITE ENGL
2000 - INFOLIO 04/99

α-Tocopherol and α-Tocopheryl Acetate are used in the cosmetic industry in many of applications.

α-Tocopherol is used as an antioxidant in concentrations of 0.05 to 0.2%. The combination of α-Tocopherol with Ascorbylpalmitate exerts a synergistic effect and also helps to avoid the formation of Nitrosamines.

The stable form of Vitamin E, α-Tocopheryl Acetate, is the form mainly used in cosmetics.

A number of studies in the past few years have shown that Vitamin E Acetate is responsible for a number of complex protective functions within the skin. Quantitative penetration studies carried out by *G. Klecak* showed that radiolabelled Vitamin E Acetate is deposited in different skin layers. Many protection functions of Vitamin E can only be seen after 16 to 24 hours as the penetration and the metabolism are concentration and time dependent.

A study by *E.P. Norkus* (1990) showed that after 10 days treatment with Vitamin E Acetate, UVB irradiation can improve the penetration by over 60% (Fig. 1).

The following effects of Vitamin E Acetate on and in the skin have been confirmed in published studies:

- Increasing the moisturisation of the horny layer
- Improving the skin surface relief

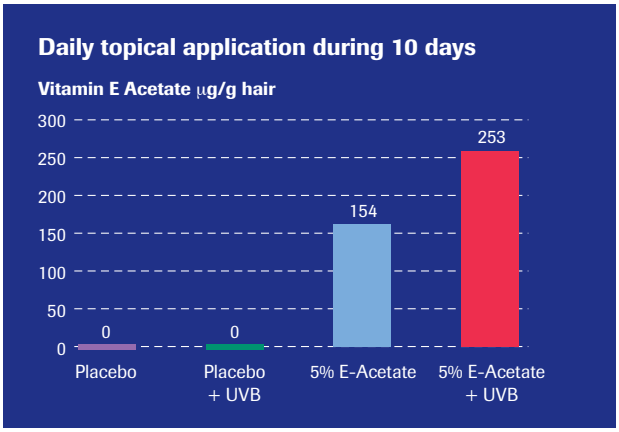


Fig. 1: In vivo-penetration of Vitamin E Acetate in the skin of hairless mice

- anti-inflammatory properties
- Increase the epithelisation of surface wounds
- Increase the enzyme activity in the skin
- Prevention of skin damage induced by fee radicals
- Protecting properties against sun burn
- Vitamin E Acetate can increases the sun protecting factor (SPF)
- Reduction in the amount of the UV damaged cells
- Protection against damage by reactive oxygen radicals

Influence on the enzyme activity of the skin

H.Weiser and *G. Erlemann* proved, that epithelisation is increased by factor 1.4 when a 5% Vitamin E Acetate cream was used.

In a further study using a different method, *L Miyamoto et al.* (1986) determined the improvement of the epithelisation and an increase in enzyme activity in the skin (Tab. 1).

Bioactive substance	% increase of enzyme activity compared with Placebo cream				wound healing index
	LDH	MDH	ICDH	G6PDH	
E Acetate	+19	+26	+10	+17	+15
A Acetate	+26	+17	+21	-3	+19
Allantoin	-8	+9	+50	-9	-5
Aloe Extr.	-10	-3	+41	0	+5

LDH = Lactate dehydrogenase
MDH = Malate dehydrogenase
ICDH = Isocitrate dehydrogenase
G6PDH = Glycose-6-phosphate-dehydrogenase

I. Miyamoto, 1986

Tab. 1: Effect of bioactive substances on enzyme activity and wound healing

Prevention of skin damage induced by free radicals

In two published studies Pugliese (Xienta-Institute, USA 1985, 1986) showed the protecting effect of Vitamin E Acetate on lipid peroxidation, which occurs after UV-irradiation. Vitamin E Acetate also reduces the premature skin ageing caused by UV-irradiation.

Protecting effect against sun burn

M.A.Pathak (Harvard University, 1987) proved that a sufficiently high dose of Vitamin E Acetate has a positive effect in reducing erythema production (Tab. 2).

Studies carried out in 1990, commissioned by Hoffmann-La Roche USA, showed that Vitamin E Acetate can increase the Sun Protecting Factor (SPF). A gel, containing 2.5% Vitamin E Acetate, had an SPF of 0.9. After appliying to theskin for 10 days the SPF was increased to 3 (Fig. 2).

UVB-dose mJ/cm²	Vitamin E Acetate µg/cm²	erythema formation 24 h	48 h
300	-	++	+++
450	-	+++	+++
300	1250	+	+
450	1250	+	+
300	2500	+	+
450	2500	+	+
300	6250	+	+
450	6250	+	+

detection range:
+ mild, ++ intensivly red, +++ fire red

M.A. Pathak, 1987

Tab. 2: The effect of Vitamin E on Erythema

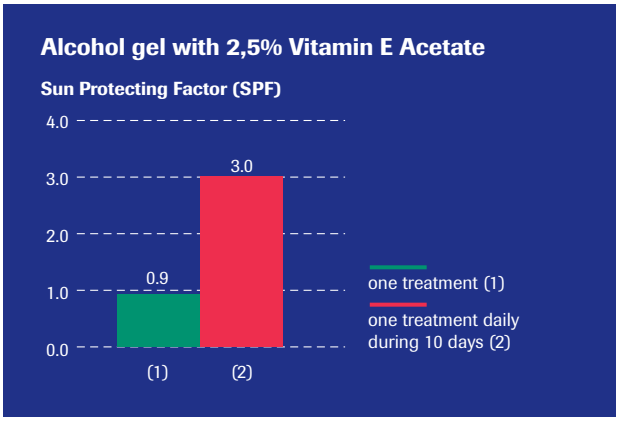


Fig. 2: In vivo effect of Vitamin E on SPF

The SPF of a commercial suncare product was increased from 2 to 4 when the skin was pre-treated for 10 days with a 2.5% Vitamin E gel (Fig. 3).

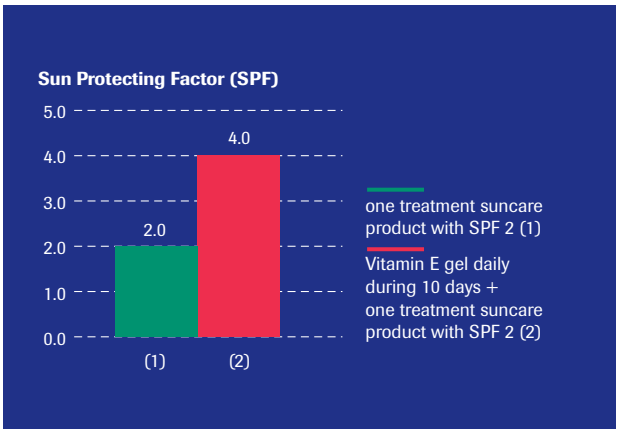


Fig. 3: In vivo effect of Vitamin E on SPF

Vitamin E Acetate is easily incorporated into cosmetics and has a good stability. In the skin Vitamin E Acetate builds up a protecting depot store. The effective antioxidant form dl-α-Tocopherol, is steadily released, by enzymatic hydrolysis of the Acetate form. This is was shown in the study by *E.P. Norkus* (1990).

The study shows the bioconversion of Vitamin E Acetate to Tocopherol in the skin over a period of 10 days.

This conversion can be increased by about 70% by the influence of UVB irradiation (Fig. 4).

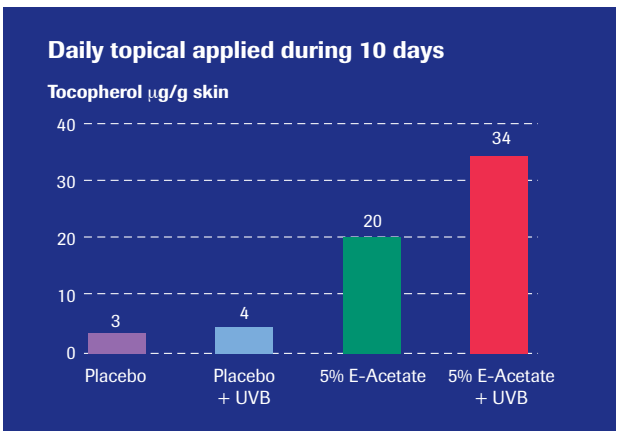


Fig. 4: Bioconversion of Vitamin E Acetate to Tocopherol in the skin of hairless mice

H.E. Junginger (University Leyden) showed in his presentation to the Forum Cosmeticum (Basle, February 1989) the protecting effect of α-Tocopherol and α-Tocopheryl Acetate during UV-irradiation. He showed a higher protective factor with Vitamin E Acetate than with α-Tocopherol.

Reduction of UV damaged skin cells

M.A. Pathak (1988) showed in a study with UVB irradiated guinea pigs, that repeated application of Vitamin E Acetate significantly reduced the number of damaged cells (sun burn cells) (Tab. 3).
All these results show that by using Vitamin E Acetate in skincare and suncare products the damaging influences of UV-irradiation can be reduced.

UVB-dose mJ/cm²	Vitamin E Acetate µg/cm²	No of treatments	No of sunburn cells per 4 mm²
150	-	no	7
300	-	no	10
450	-	no	20
150	150	1	7
300	150	1	20
450	150	1	20
150	250	5	1
300	250	5	1
450	250	5	13

M.A. Pathak, 1988

Tab. 3: Effect of Vitamin E on the formation of sunburn cells

Protective effect against damage by reactive oxygen radicals

It is well known, that the enzyme Superoxide Dismutase (SOD) is responsible for the protection of the body cells against active oxygen. UV-irradiation strongly reduces this protective function. The effect of SOD can be improved, by the treatment of the skin with Vitamin E Acetate.

M.A. Pathak (Harvard-University, 1988) showed in two studies the protective effect of Vitamin E Acetate against UV irradiation both by single and multiple treatment of the skin.

The results of tests with 3-Carbethoxypsoralen photosensitised skin were remarkable. The study showed, that Vitamin E Acetate is also effective against photosensitation (Tab. 4).

Skin treatment			% decrease of SOD in skin homogenate
	untreated		0
UVA 6 J/cm²	+ Vitamin E 10 µg/cm²	+ 3-CP 50 µg/cm²	
•	•		36
•			7
•	•	•	67
•		•	22
UVB 6 J/cm²			
•	•		34
•			14

3-CP = 3-Carbethoxypsoralen — M.A. Pathak, 1988

Tab. 4: Vitamin E protects cells against damage by oxygen radicals

Other beauty treatment products

- Panthenol
- Ethyl Panthenol
- Vitamin A Palmitate
- Vitamin A Acetate
- Borage oil
- Evening primerose oil
- Sun filters (Parsol®)
- Emulsifiers (Amphisol®)
- Phytantriol

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