Light-Stable Vitamin E by Inclusion in γ-Cyclodextrin

Authors: Marlies Regiert*, Wacker Chemie AG, Burghausen, Germany

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Abstract

Vitamin E is increasingly being used in sunscreen and skin-care products because of its nature as a free-radical scavenger. Its most effective form, d-α-tocopherol, is so light-sensitive, however, that manufacturers usually avoid problems by turning to the stable, though less effective, esters of α-tocopherol. Recently, by complexing with γ-cyclodextrin, a d-α-tocopherol-complex has been developed which is resistant to the effects of air and light, as has been demonstrated by studies of its thermal, storage and light stability. Under conditions like those found after a formulation has been applied to the skin, d-α-tocopherol is released from this host-guest inclusion compound in a controlled way. This means that d-α-tocopherol has become available for the first time in a light-stable form for use in cosmetic products.

Introduction

As the biological interface between the body and its environment, the skin is exposed to the influence of the atmosphere and the sun's rays, so that oxygen, reactive oxygen-containing compounds (such as ozone, nitrogen oxides and peroxy radicals) and UV radiation are acting on the skin all the time. These exogenous burdens on the skin have increased in the past few decades as a consequence of air pollution with nitrogen oxides and ozone, the depletion of the ozone layer in the stratosphere and changes in people's recreational activities.

UV radiation can penetrate the skin and cause sunburn, leading to premature aging of the skin. It can weaken the immune system and cause skin cancer. At a molecular level, UV radiation is responsible for two effects in particular.

The first effect ("oxidative stress") is the formation of extremely reactive free radicals when UV light and reactive oxygen species interact . The formation of radicals triggers a sequence of reactions in which biologically active molecules are attacked either directly or by reaction products. In this way, oxygen-containing free radicals can, for example, peroxidize the unsaturated phospholipids in the cell membranes. This not only weakens the cell membranes but also reduces the skin's elasticity and its ability to bind water. Malonic dialdehyde, a decomposition product of the peroxidized unsaturated phospholipids, crosslinks the collagen in the skin's connective tissue. As a result, the collagen fibres become brittle and the skin's elasticity is reduced.

The second effect is the direct damage done to the DNA by the formation of thymine dimers. When two thymine moieties are incorporated into a DNA strand side by side, their C=C double bonds can react under the influence of the radiation to form a cyclobutane ring, covalently linking the two originally separate thymine moieties into dimers. These thymine dimers do not fit geometrically into the double helix of the DNA. Each dimer causes a kink in the DNA strand. If DNA lesions of this kind are not eliminated by the body's own repair systems, they can damage the individual's genetic make-up and contribute to the development of cancer.

As a precaution against these effects, the cosmetics industry has developed sunscreen and skin-care products containing antioxidants. Chief among these additives is vitamin E, i.e. α-tocopherol and its esters. The vitamin acts as a free-radical scavenger and renders reactive free radicals harmless before they damage the skin. While α-tocopherol itself is an extremely effective free-radical scavenger, its esters – as "pro-drugs" – first have to be hydrolyzed in the body into α-tocopherol.

Vitamin E is known as the "protective vitamin". In its alcoholic, effective form, however, it is so sensitive to light and air that it needs protecting itself. Micro-encapsulation, which is occasionally employed, does not completely succeed in satisfactorily stabilizing the α-tocopherol, and it involves certain disadvantages in terms of