

Rutin smartCrystals® for an improved antioxidant activity in skin

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Rutin, as a naturally occurring flavonoid, shows promising high antioxidant activity on cellular level. Nonetheless, it cannot be used efficiently for dermal purpose due to its poor solubility in both aqueous and organic media. Since only dissolved active is able to create a concentration gradient and diffuse into the skin, the bioavailability is consequently insufficient. To circumvent this problem, the solubility of rutin has to be increased significantly. Thus, in present study, rutin was formulated using the smartCrystal®-technology and the penetration and antioxidant activity were compared to µm-sized raw drug powder.

Rutin smartCrystal® suspension was kindly provided by PharmaSol GmbH. As reference, a rutin raw drug powder (RDP) suspension was prepared with identical composition. The particle size distribution was analysed by laser diffraction (LD, Mastersizer 2000, Malvern Instruments, UK). A DPPH (2,2-diphenyl-1-picrylhydrazyl) assay was carried out to compare the antioxidant activity of rutin smartCrystals® in intense lifting eye serum (Dr. JK Cosmeceuticals, Germany) with 8 other marketed anti-aging products, having rutin or its derivatives incorporated as active agent. A methanolic extract of samples were added to a methanolic DPPH solution and the discoloration was investigated using a PharmaSpec UV-1700 photometer (Shimadzu Corporation, Japan). In addition, a tape stripping test was performed to compare the penetration strength and depth of topically applied rutin as smartCrystal® and µm-sized raw drug powder.

The rutin smartCrystals® showed LD diameters 50 % of 240 nm and 90 % of 860 nm, thus being in the nanosize range. DPPH study confirms the superior antioxidant activity of the rutin smartCrystals® in intense lifting eye serum (Dr. JK Cosmeceuticals GmbH, Germany). While all other tested anti-aging products are able to discolor at maximum 60 % of the DPPH solution, the intense lifting eye serum was able to decolorize more than 85 % within the same reaction time. In general, the tested products can be divided into 3 different antioxidant activity classes, from very strong discoloration of test solution (class I, > 80 %) equivalent to a very high antioxidant activity, to medium (class II, 60 – 20 %) and low discoloration of test solution (< 10 %) also standing for low antioxidant activity. The absolute and relative amount of the penetrated rutin from smartCrystal® hydrogel and RDP were compared among each other. In the upper layers of the stratum corneum (until the 7th tape strip) 1.5 fold higher amount of active could be found if applied as RDP. But in the more relevant deeper layers the penetration behaves inversely. Comparing the tape number 14 and 23 shows 2.2 and 2.5 times higher drug



amount for the rutin as smartCrystal®.

The antioxidant activity of intense lifting eye serum (Dr. JK Cosmeceuticals GmbH, Germany) containing rutin smartCrystals® proved to be superior in comparison to marketed products containing rutin formulated in standard manner. Rutin smartCrystals® show higher antioxidant activity combined with an increased skin penetration leading to an improved dermal bioactivity.

