

Wissenschaftliche Posterausstellung 2017: Poster 8

“BergaCare SmartLipids Retinol” – product features for improved dermal retinol delivery

Florence Olechowski (1), Sung Min Pyo (2), Cornelia Keck (3), Rainer H. Müller (2)

(1) Berg + Schmidt GmbH & Co. KG, 20099 Hamburg, Germany

(2) Freie Universität Berlin, Institute of Pharmacy, 12169 Berlin, Germany

(3) Philipps Universität, Institute of Pharmacy, Robert-Koch-Str. 4, 35037 Marburg, Germany

The BergaCare SmartLipids are a novel dermal delivery system developed in 2014 [1], the successor generation after the solid lipid nanoparticles (SLN) and (NLC). They combine the advantages of SLN and NLC with new features, mainly increased loading capacity, higher physical stability and firm inclusion of the active during storage (no expulsion of cosmetic/pharma active from carrier due to polymorphic transition of the particle matrix lipids). BergaCare SmartLipids are able to protect chemically labile actives against degradation, thus they are a highly suitable carrier system for formulating the labile retinol. The problems of dermal retinol products are: a) chemical instability, b) suboptimal release to the skin (too high initial concentrations), c) suboptimal penetration profile from emulsions and d) potential skin irritation at effective retinol concentrations due to suboptimal release.

The solution for these problems is the formulation of retinol into BergaCare SmartLipids particles. The BergaCare SmartLipids proved to effectively stabilize retinol. Storage at room temperature: after 6 months still 94% retinol remained in BergaCare SmartLipids, whereas already after 4 months only 78% remained in the reference emulsion. When storing the retinol BergaCare SmartLipids in the fridge, 100% retinol were recovered after 6 months.

In comparison to emulsions, the BergaCare SmartLipids show a prolonged in vitro release (40%), versus undesired burst from the reference retinol emulsion (80%) (USP paddle method). Skin penetration was studied using pig ear skin and the tape stripping test. Relative high concentrations of retinol were found in the upper cell layers when released from the emulsion. In contrast, retinol released from lipid particles avoided such high concentrations, substantial retinol amounts were found in deeper layers.

The modified release pattern is the basis to avoid skin irritation and redness. Lipid particles loaded with retinol were used in an anti-couperosis formulation and tested in a human case study. Improvement was clearly seen within 5 days after laser treatment, and long-term treatment (> 1 year) avoided the often happening re-occurrence of the couperosis.

The particle concentration of the BergaCare SmartLipids concentrate is 17%, retinol content 2.3%. The concentrate can simply be admixed to the water phase of dermal products directly after their production (e.g. in cooling down phase), dilution factor is about 20 (depending on



the desired retinol concentration in the final market product).

References:

1. Müller, R. H., Ruick, R., Keck, C. M., smartLipids® - the new generation of lipid nanoparticles after SLN and NLC, Abstract T3134, AAPS Annual Meeting, San Diego, 2-6 November 2014

