26. Jahrestagung in Tübingen: Poster-Abstracts

The influence of amorphous stabilization on dermal penetration

Westermann, L. (1), Keck, C.M. (1)

(1) Philipps-Universität Marburg, Department of Pharmaceutics and Biopharmaceutics, 35037 Marburg, Germany

E-Mail: westerm7@pharmazie.uni-marburg.de

Micellar formulations represent the current standard in dermal care, enhancing both the cleansing efficacy of detergents and the dermal uptake of active ingredients (AI) [1]. With regard to dermal drug delivery, recent studies have demonstrated increased penetration of amorphously stabilized AI compared to micellar and nanosized formulations [2]. This study compares a commercial micellar formulation and amorphously stabilized AI on mesoporous silica, using an ex vivo porcine ear model to determine which approach offers superior biological efficacy.

Syloid® XDP 3050 silica particles (Grace GmbH & Co. KG, Worms, Germany) were loaded with a 2.5 mg/mL solution of curcumin in ethanol using the solvent evaporation method to produce smartPearls®. The amorphous state of curcumin was verified using X-ray diffractometry. An amount of smartPearls® containing 1.5 mg of curcumin was applied to 4 cm2 of skin with 30 µL of medium-chain triglycerides (MCT, Miglyol® 812) and an equivalent amount of curcumin from the leading commercial formulation was applied and incubated for 2 h at 32 ± 1 °C. After removal of the drug carriers, treated skin areas were excised and sectioned using a cryomicrotome. The obtained skin sections were then analyzed using epifluorescence microscopy (Fig. 1) in combination with digital image analysis.

Curcumin was stabilized in an amorphous state on silica particles, as evidenced by the absence of crystalline reflexes in the X-ray diffractogram. The stratum corneum thickness was increased in the area treated with the MCT-based formulation compared to the micellar-treated area, indicating an occlusive effect. The amount of penetrated curcumin and the penetration depth were both increased compared to the micellar formulation, displaying enhanced dermal penetration of amorphously stabilized curcumin.

The results of the study demonstrate the straightforward loading of lipophilic AI on silica particles in an amorphous state via solvent evaporation, without the need for additional excipients. The observed dermal penetration corroborates previous findings and highlights the enhanced delivery performance of amorphously stabilized actives. Still, additional research is essential to further develop the amorphous stabilization of active ingredients as a viable dermal drug delivery solution.

References:

[1] Tadros, T. F. (1992). Future developments in cosmetic formulations. International journal of cosmetic science, 14(3), 93-111.
[2] Eckert, R. W., Wiemann, S., & Keck, C. M. (2021). Improved dermal and transdermal delivery of curcumin with smartfilms and nanocrystals. Molecules, 26(6), 1633.

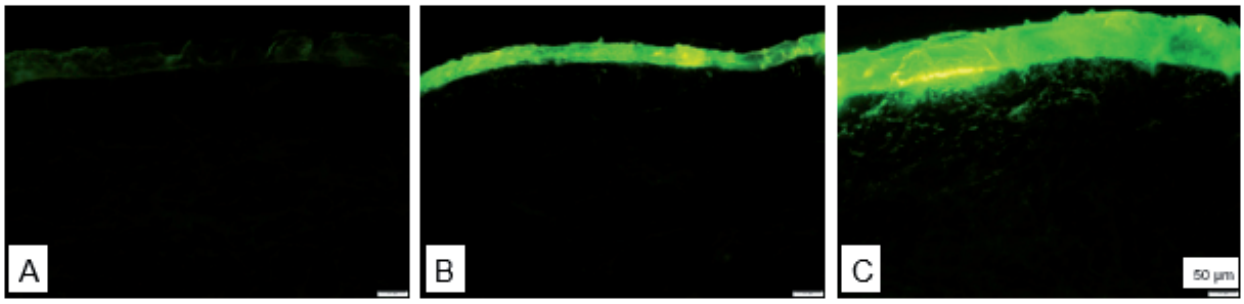

Figure 1: Epifluorescence microscopy images of untreated skin (A), skin treated with a micellar curcumin formulation (B) and skin treated with amorphously stabilized curcumin on mesoporous silica (C); 200-fold magnification.