

Influence of dimethicone and time of its dermal application on dermal penetration efficacy of lipophilic active compounds

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Various excipients are known to make temporary alterations in the stratum corneum to ameliorate the penetration efficacy of active ingredients (AI) [1,2]. However, the influence of the time points at which the excipient and the AI are applied on the skin is often not known and was therefore investigated in this study. Dimethicone was used as excipient and the fluorescent dye Nile Red (NR) was used as lipophilic AI surrogate. The dermal penetration efficacy for NR was determined utilizing the ex-vivo porcine ear model [3] for i) an oily solution that contained 0.005% w/w NR in medium chain triglycerides (10 µl), ii) formulation I and dimethicone (10 µl + 30 µl) and iii) formulation I (10 µl) that was applied on skin that was pretreated with 30 µl dimethicone for 1h at 32°C, respectively. The incubation time was 3h at 32°C. Vertical skin cuts were obtained from punch biopsies from the differently treated skin areas and used for image analysis using inverted epifluorescence microscopy and advanced digital image analysis [3]. Results revealed that the addition of dimethicone resulted in a small increase in the penetration efficacy of NR (about +15%). In contrast, the pre-treatment of the skin with dimethicone could almost completely prevent the penetration of NR into the skin (Fig. 1). The hampered penetration of NR due to the pre-treatment of the skin with dimethicone can be explained by the solvent drag mechanism [4]. Dissolved NR in medium chain triglycerides and dimethicone is dragged with its solvents into the skin if the formulations are applied on untreated skin [4]. If the skin is pre-treated with dimethicone, the mechanism is hampered because after the pre-treatment, the skin cannot take up additional liquid [4]. The results demonstrate that not only the type of excipient but also its time of application (together with the AI or before) is vital to determine its role as permeation "enhancer" or "diminisher".



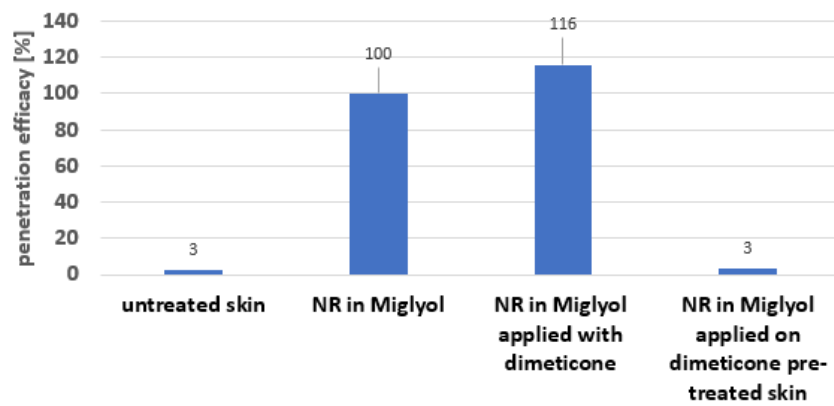


Figure 1: Penetration efficacy [%] of the lipophilic AI surrogate NR with and without dimeticone and after pre-treatment of skin with dimeticone.

References:

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