Introduction

- The ability of UV-filters to act on the skin surface and within the stratum corneum was demonstrated by Trefalt et al. For maximal sun protection and minimal UV-filter permeation the penetrated amount must remain in the outer layers of the stratum corneum.

- The vehicle has an important effect on skin penetration and retention properties, and even on the sun protection factor (Trefalt et al.).

- MBC penetrates more into the skin from Propyleneglycole (concentration 2.4%) than from Mineral Oil (concentration 8.8%).

Methods

1 Application of the formulations: Experiment 1

- Investigation of the effect of the following factors on the MBC-penetration into stratum corneum: saturated solution (thermodynamic activity = 1)
- vehicle: Mineral Oil (MO) vs. Propyleneglycole (PG)
- application duration: 1h, 3h, 6h
- Application on the forearm of 5 healthy volunteers
- After removal of the solutions, stratum corneum samples were immediately collected by standardized tape stripping (area 13 cm²)

Experiment 2

- Investigation of the effect of penetration enhancer Transcutol® CG on the stratum corneum of MBC in stratum corneum
- The applied formulation consisted of saturated (thermodynamic activity = 1) MBC-solutions in Macrogol 400 containing:
  - 0% Transcutol® CG (applied to each volunteer as a reference)
  - 10% Transcutol® CG
  - 50% Transcutol® CG
- Application on the forearm of 10 healthy volunteers during 1 hour
- After removal of the solutions, tape stripping was performed immediately or after 6h at the different sites.

2 Sampling method: Tape Stripping

- Stratum corneum was completely removed by tape stripping, which is a prerequisite to determine the thickness of stratum corneum of the individual volunteer.
- The first stripped tape was discarded due to potential drug remaining on the skin surface.

Table 1: Parameters and defined values for tape stripping standardization

<table>
<thead>
<tr>
<th>Parameter</th>
<th>Defined value</th>
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</thead>
<tbody>
<tr>
<td>Environmental conditions</td>
<td>20 ± 1°C (temperature) 38 ± 0.5% (relative humidity)</td>
</tr>
<tr>
<td>Skin washing</td>
<td>distilled water</td>
</tr>
<tr>
<td>Tape characteristics</td>
<td>Texas 57315 Beiersdorf (1.5 cm)</td>
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<tr>
<td>Template</td>
<td>1.3 cm x 3.3 cm</td>
</tr>
<tr>
<td>Pressure applied</td>
<td>140 g/cm²</td>
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<tr>
<td>Time interval between tape stripping and measurement</td>
<td>24 hours</td>
</tr>
</tbody>
</table>

3 Analytics: UV/VIS Spectrometry

- UV/VIS spectrum of a tape strip removed from skin treated with MBC formulation. The spectrophotometer was modified to record a rectangular beam of 1 cm² determined simultaneously.
- Amount of stratum corneum and MBC adhering to the tape is determined simultaneously.
- 430 nm: stratum corneum
- 287 nm: MBC

Experiment 2

- Saturation solubility [w/w] of MBC at 33°C:
  - 2.4 ± 0.1% in Propyleneglycole
  - 6.8 ± 0.7% in Mineral Oil

- Significant differences in MBC-penetration were found between Mineral Oil and Propyleneglycole formulations.

- The factors time, volunteer, as well as their interaction showed no significant influence on MBC-penetration in both vehicles.

- More MBC penetrate the skin from Propyleneglycole (concentration 2.4%) than from Mineral Oil (concentration 8.8%) vehicle.

Conclusions

- MBC-penetration into stratum corneum was influenced by different vehicle, penetration enhancer and application-duration parameters.

- Propyleneglycole had much more impact on MBC-penetration than Mineral Oil, even though MBC-concentration in the Mineral Oil vehicle was four times higher.

- Increasing concentration of penetration enhancer Transcutol® CG enhanced MBC-penetration into the stratum corneum.

References