Wissenschaftliche Posterausstellung: Poster 9

Physiochemical Characterization of Topical Formulations containing NSAIDs (with Emphasis on Ibuprofen): Thermal Gravimetric Analysis and Differential Scanning Calorimetry

Lusiana, C. C. Müller-Goymann

Institut für Pharmazeutische Technologie der TU Braunschweig, Mendelssohnstraße 1, 38106 Braunschweig

Topical pain relievers are popular OTC products since they offer an instant analgetic action as well as comfortable feeling on the injured site, e.g., after a physical exercise. In order to achieve an immediate effect, the drug must be delivered rapidly into the deeper skin layers. Penetration enhancers are commonly incorporated to enhance drug permeation across the skin, typically via modulation of skin lipid organization [1]. Differential scanning calorimetry (DSC) can be applied to visualize the interaction of the formulation components with the skin lipids [2]. In addition, a fast and steady evaporation of the volatile components may improve patient compliance which is commonly sensed as “cooling”. The thermal gravimetric analysis (TGA) can be applied to investigate the evaporation profile of the formulations under study.

The formulations under study were doc® Ibuprofen Schmerzgel, Dolgit® Mikrogel, Ibutop® Gel, Ibutop® Creme, Voltaren® Emulgel and Voltaren® Schmerzgel. The evaporation profile of the formulation was examined at different temperatures between 25 and 40 °C. Some potential solvents of the topical formulations (water, dimethyl isosorbide, isopropyl alcohol and medium chain triglycerides) were also investigated. DSC measurement of pre-treated stratum corneum (with the formulation) was conducted within the temperature range of 20-120 °C with a heating rate of 5K/min.

From all the tested formulations, there were three groups of formulations with comparable evaporation rates each, i.e., Voltaren® products with 84% loss, the remaining gel products with 70% loss and Ibutop® Creme with the lowest loss of 25% (all after 60 min, 37 °C). The film/ residue of the formulation may still contain moisture and this is measurable by means of Karl-Fischer titration. The film of doc® Ibuprofen Schmerzgel, e.g., contained about 1.5% water. The evaporation rate of the solvents (at 25 and 37 °C) was isopropyl alcohol> water> dimethyl isosorbide> oil. This result shows that alcohol is responsible for a fast, initial cooling while sustained cooling is then kept by water. DSC measurements showed that the three gel formulations (doc® Ibuprofen Schmerzgel, Dolgit® Mikrogel and Ibutop® Gel) revealed a comparable interaction on the skin lipids. A weaker interaction was shown by Voltaren® Schmerzgel and Ibutop® Creme, respectively.

Acknowledgement
Financial support from Hermes Arzneimittel GmbH (Munich, Germany) is gratefully acknowledged.

References
[1] Williams AC and Barry BW. Penetration enhancers. Adv Drug Deliv Rev. 2004;56:603–618.
[2] Barry BW. Mode of action of penetration enhancers in human skin. J Control Release. 1987;6:85–97.