Colloidal Nano-sized Carrier Systems for Dermal Drug Delivery

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Human skin is an important target site for the dermal and transdermal administration of drugs. Apart from the physicochemical properties the drug itself, the type of vehicle such as colloidal nano-sized carrier systems (microemuslions, ME) influence significantly the concentration-time profiles within the skin. The challenge is getting the drug effectively transported into special skin layers and the blood circulation, respectively. MEs are a promising alternative to conventional vehicle systems. The lecture gives an overview about physicochemical features of these modern colloidal systems as well as the methods to characterize these systems and shows their power in dermal drug delivery of drugs with insufficient penetration such as peptides. One highly lipophilic peptide (ciclosporin) and one cosmetic active substance (dihydroavenantramide, DHAvD) having a medium lipophilicity were used as model drugs.

The MEs were prepared using dermal well accepted surfactants. Light and neutron scattering, electron and polarisation microscopy as well as affinity capillary electrophoresis was used to characterize the MEs. Drug penetration from the ME was studied using the Franz diffusion cell and skin under in vitro conditions.

It will be shown that the colloidal phases of the MEs have radii from 10 to 30 nm. The colloidal phases seem to have a spherical form. Incorporating the lipophilic peptide ciclosporin in o/w ME systems a high penetration of the drug into deeper skin layers and into the acceptor fluid could be observed. Sufficient concentrations of DHAvD within the skin were measured throughout all the layers, but even in short time experiments, high amounts of DHAvD were found in the acceptor fluid (25-60% of the applied dose), having passed the skin already.

In conclusion, o/w MEs are effective colloidal, nano-sized dermal carrier systems both for extremely lipophilic peptides such as ciclosporin and substances having a medium lipophilicity (DHAvD). On the other hand the w/o MEs have to be still optimized in order to carry hydrophilic biopharmaceuticals such as peptides and DNA in and through the human skin.

Therefore, MEs are a well-calculated vehicles of choice for certain drugs dependent on the purpose of the treatment as well on the physicochemical properties of the drug.