EFFECT OF NEW TYPES OF DERMAL DELIVERY VEHICLES ON SKIN HYDRATION AND BARRIER FUNCTION

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INTRODUCTION

Moisturizing products are formulated with the intention of improving skin condition and appearance by increasing skin hydration. The penetration of an active pharmaceutical ingredient (API) into the deeper layers can be enhanced through a well-hydrated skin also. During moisturizing, the intercellular space between the corneocytes increases due to the swelling of the stratum corneum (SC). Furthermore, in many dermatological disorders a significant improvement can be achieved with a well-moisturizing vehicle even in the absence of API. From the medical point of view, it is very advantageous to develop well-hydrating drug delivery systems. Nowadays the novel dermal delivery systems (such as lyotropic liquid crystals, microemulsions, gel-emulsions, hydrogels) play even greater role besides the conventional dermal dosage forms (ointments, o/w or w/o creams and emulsions) in the pharmaceutical technology.

AIMS

The aim of our study was to develop and investigate new types of dermal delivery systems, which moisture the skin well and lastingly without disrupt the special lipid bilayer structure of the stratum corneum caused increase in the transepidermal waterloss.

MATERIALS

The examined samples:

- Lamellar lyotropic liquid crystals (LLC)
- Pemulen TR1 (PTR1) polymeric emulsifier containing hydrogel and gel-emulsion
- 1,2-propandiol-alginate (PA) containing hydrogel and oil dispersion

METHODS

- Determining the electrical capacitance, indicating the hydration level of the SC: Corneometer® CM 825 (Courage and Khazaka Electronic GmbH, Cologne, Germany)

- Measuring the transepidermal waterloss (TEWL) as an indicator of skin barrier integrity: Tewameter® TM 300 (Courage and Khazaka Electronic GmbH, Cologne, Germany)

- Studying the water binding mechanisms by thermogravimetric measurements (TG and dTG curves): MOM Derivatograph-C (MOM GmbH, Hungary)

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Compositions

Lamellar lyotropic liquid crystals		
	LLC1	LLC2
Purified water (Ph.Eur.6.)	30%	10%
Cremophor RH40 (= CrRH40, Polyethoxylated 40 hydrogenated castor oil, USP/NF)	60%	30%
Isopropyl miristate (Ph.Eur.6.)	10%	60%

Pemulen TR1 polymeric emulsifier containing hydrogel and gel-emulsion		
	Oil-free PTR1 gel	PTR1 gel-emulsion
PTR1 (Pemulen TR1, Noveon)	0,2%	0,2%
Trolamine (Ph.Eur.6.)	0,1%	0,1%
Purified water (Ph.Eur.6.)	99,7%	69,7%
Neutral oil (Miglyol 812, Ph.Eur.6.)	0%	30,0%

Kelcoloid LVF alginate containing hydrogel and oil dispersion		
	PA gel	PA oil dispersion
Kelcoloid LVF (=1,2 propandiol-alginate=PA)	5%	4,5%
Purified water (Ph.Eur.6.)	95%	85,5%
Neutral oil (Miglyol 812, Ph.Eur.6.)	0%	10,0%

RESULTS AND DISCUSSION

- In the case of the LLCs and PTR1 polymeric emulsifier containing samples was found the greatest change in the hydration state and the lowest TransEpidermal Waterloss.
- The effect of the PA systems on the hydration was irrelevant and in this case more intense evaporation and barrier function impairment was observed.
- From the maximal evaporation speed (mg/min) was concluded, that LLC2, PTR1 gel-emulsion and PA oil dispersion have stronger water bounds, than the oil-free samples.
- From the percentage weight loss values was found that the PTR1 gel, PA gel and LLC1 lose the major proportion of their water content under 125 °C. The higher oil-containing LLC2, PTR1 gel-emulsion and PA oil dispersion have approximately 5% water even over 150 °C.
- More peaks could be distinguished in the dTG curves of the preparations with a complex structure (LLCs, PTR1 gel-emulsion, PA emulsion), where the water is supposed to be bound through various binding mechanisms.
- The higher oil-containing preparations with complex structure (LLC1, LLC2, PTR1 gel-emulsion) are able to hydrate the skin well and lastingly and they can protect the special structure of the SC.

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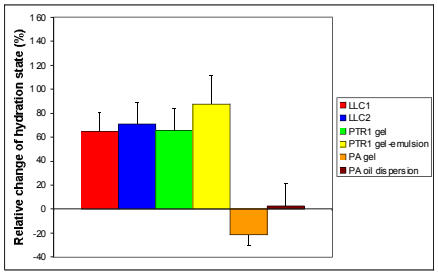
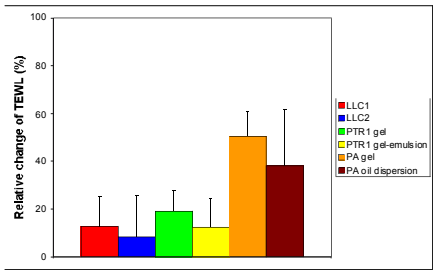
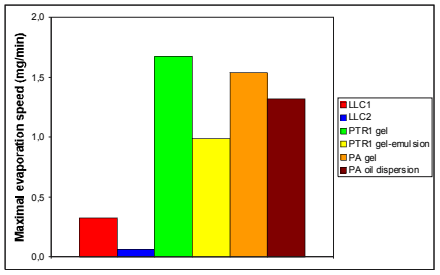
Change in the hydratoin state of the skin



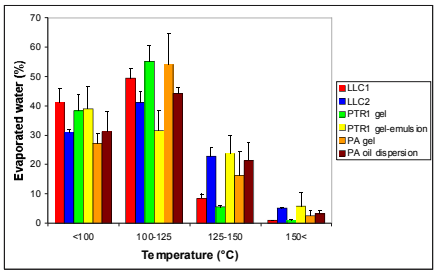
Change in the transepidermal waterloss



The maximal evaporation speed of the investigated samples



Percentage weight loss values over the specified temperature ranges



Thermogravimetry- dTG curves