

The Importance of Penetration Enhancers choice in Topical Products

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Topical products are widely used in the treatment of pain or inflammatory and degenerative conditions. Their undeniable advantage is sufficiently proven clinical efficacy and also a generally favourable safety profile due to their minimal systemic exposure compared to orally used products. It was also demonstrated that exact formulation used is critical for topical analgesic in management of pain conditions. This brief overview emphasizes the important role of different excipients like penetration enhancers which chemical properties suggesting a different disrupting effect on the skin barrier. It summarizes the well-known properties of the skin as a key barrier to any pharmacological effects and focuses on a differences in using different excipients like penetration enhancers.

Key words: penetration enhancer, oleyl alcohol, oleic acid, skin barrier.

Důležitost volby enhancerů penetrace v topických léčivých přípravcích

Topické přípravky jsou široce používány v léčbě bolesti nebo zánětlivých a degenerativních stavů. Jejich nespornou výhodou je dostatečně prokázaná klinická účinnost a také obecně příznivý bezpečnostní profil vzhledem k jejich minimální systémové expozici ve srovnání s perorálně užívanými přípravky. Bylo také prokázáno, že při zvládání bolestivých stavů je u topických analgetik kritické i použití konkrétní formulace. Tento stručný přehled zdůrazňuje důležitou roli různých pomocných látek, jako jsou enhancery penetrace, jejichž chemické vlastnosti naznačují odlišný účinek na narušení struktury kožní bariéry. Shrnuje dobře známé vlastnosti kůže jako klíčové bariéry pro jakékoli farmakologické účinky a zaměřuje se na rozdíly v používání různých pomocných látek jako enhancery penetrace.

Klíčová slova: enhancer penetrace, oleylalkohol, kyselina olejová, kožní bariéra.

Introduction

The idea of administering the medicinal product through the skin, directly to the site of intended effect, is thousands of years old. Various reports of efforts to influence human health with such approaches can be found in the oldest civilizations. Anyhow, the idea of such a method of application is still relevant, and even more, is still gaining in popularity, especially in the treatment of painful and/or inflammatory conditions.

It is very important to think about attributes required for topical products like delivery of active substance in amounts sufficient for clinical efficacy, as small as possible perturbation of the skin barrier properties, reversibility

of their impact on the structure of stratum corneum etc.

For topical application, non-steroidal anti-inflammatory drugs (NSAIDs) are commonly used. A fundamental requirement for their successful therapeutic use is the attainment of their site of action (in quantities sufficient for clinical efficacy without any significant long-term perturbation of the skin barrier properties), which is not determined primarily by the pharmacologically active substance, but by the chosen pharmaceutical form (mostly gel formulations) and the excipients contained (14).

The main advantages of topically applied NSAIDs can be summarized in the following points:

- application directly to the affected site with minimal systemic exposure;
- absence of first-pass metabolism;
- limitation of systemic side effects and drug interactions;
- possibility of administration in patients with impossibility/unsuitability of oral or otherwise systemically administered NSAIDs;
- presumption of good compliance.

Skin structure

A problem that should be kept in mind when applying medicinal products to the skin, assuming their action in deeper structures or even systemically, is the structure and