In vitro skin penetration of acetyl hexapeptide-8 from a cosmetic formulation.

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Abstract

There is a concern that peptides in cosmetic creams marketed as anti-aging/anti-wrinkle may penetrate into the deep layers of the skin and potentially stimulate biological activity. Claims for one cosmetic peptide, acetyl hexapeptide-8 (Ac-EEMQRR-amide), suggest interference with neuromuscular signaling as its anti-wrinkle mechanism of action. Therefore, the skin penetration of commercially available Ac-EEMQRR-amide from a cosmetic formulation (oil-in-water (O/W) emulsion) was determined in hairless guinea pig (HGP) and human cadaver skin assembled into in vitro diffusion cells. An O/W emulsion containing 10% Ac-EEMQRR-amide was applied to skin at a dose of 2 mg/cm². After a 24-h exposure, the skin surface was washed to remove unabsorbed peptide. Skin disks were tape stripped to determine the amount of peptide in the stratum corneum. Removal of the stratum corneum layers was verified by confocal microscopy. The epidermis was heat separated from the dermis and each skin fraction was homogenized. Skin penetration of Ac-EEMQRR-amide was measured in skin layers by hydrophilic interaction liquid chromatography with tandem mass spectrometry using electrospray ionization (ESI) in the positive mode. Stable isotopically labeled hexapeptides were used as internal standards for the quantitation of native hexapeptides to correct for matrix effects associated with ESI. The results (percent of applied dose) showed that the majority of the Ac-EEMQRR-amide was washed from the surface of both HGP and human skin. Ac-EEMQRR-amide that penetrated skin remained mostly in the stratum corneum of HGP (0.54%) and human (0.22%) with the peptide levels decreasing as each layer was removed by tape stripping. Total Ac-EEMQRR-amide found in the epidermis of HGP and human skin was similar at 0.01%. No peptide was detected in the dermis or buffer collected underneath the skin for both human and HGP. There was no hexapeptide metabolite (H2N-EEMQRR-amide) detected in any layers of HGP skin, human skin or buffer collected underneath the skin. This skin penetration data will be useful for evaluating the safety of cosmetic products containing small peptide cosmetic ingredients.

KEYWORDS: Acetyl hexapeptide-8; peptide; skin penetration

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