

How to Use Alpha-Hydroxy Acids in Cosmetics

Alpha-hydroxy acids (AHAs) are naturally occurring organic carboxylic acids such as, for example, glycolic acid, a natural constituent of sugar cane juice, lactic acid, found in sour milk and tomato juice, or citric acid, found in various citric fruits. Topical formulations incorporating these acids are now frequently used or prescribed by dermatologists and they are also present in a wide range of cosmetic products. The growth in sales of these products has been phenomenal. Already back in 1994, sales of products containing AHAs totalled \$300 million and by 1996 more than 45 companies were manufacturing over 200 different AHA-containing products.

Mechanism of action

AHAs exfoliate dead skin cells and moisturize the skin. Their main action is to facilitate degradation of the binding structures between cells leading to an increased des-quamation of the horny skin cells and an increased regeneration.

There is also an increase in the skin's content of natural hyaluronic acid (which holds 1000x times its weight in water) which explains the moisturizing effect of AHAs. In addition, this might be also one of the causes of increased skin 'plumpness'. By normalizing cohesion of the horny cells, the upper skin layer is somewhat thinned, smoother and more flexible (even at low relative humidity), and the formation of dry flaky scales is reduced.

The overall result is skin which looks and feels better. Claims that AHAs reverse photodamage and reduce wrinkles, brown spots and roughness are somewhat controversial and are currently being reviewed by the CTFA (Cosmetic, Toiletory and Fragrance Association), the FDA and the FTC (Federal Trade Commission). Several aspects concerning the mechanism of action of AHAs are still unknown. In particular, little is known about the correlation between the structural and functional changes in the upper skin layer induced by AHA treatment. Several studies have suggested that treatment with AHAs produce significant reversal of epidermal and dermal markers of photoaging.

Properties of AHAs

- Exfoliation (desquamation of horny cells)
- Moisturization (reducing water loss)
- Anti-wrinkle effect
- Skin-whitening effect
- Smoothing effect
- Improves skin texture & tone
- Unblocks & cleans pores

Therapeutic use

The dermatological use of AHAs is critical – is it to be used as a cosmeceutical, a dermatologic application or as a chemical peel? It is known that the formulation is more important than concentration alone. In particular, the bioavailability of the AHA is a major determinant. For example, a high concentration of AHA near neutral pH is ineffective because the AHAs are inactive at neutral pH. At the other extreme, at low pH even small concentrations of AHAs can be very effective because a major amount of the AHAs is available and active. In brief, the more free acid in a formulation, the more biologically active are AHAs. An expert panel of the CIR (US Cosmetic Ingredient Review) concluded:

AHAs are safe in cosmetic products at concentrations of 10% or less, at a pH of 3.5 or greater, and formulated to avoid increasing the skin's sensitivity to the sun or accompanied by directions to use sun protection daily. Stronger formulations of AHAs (concentrations up to 30% and a pH as low as 3.0) are safe if applied by trained professionals. Such use should be brief, discontinuous, and followed by thorough rinsing and accompanied by directions to use sun protection daily. In some dermatologic diseases, even stronger concentrations may sometimes be needed for the pathologically thickened horny skin layer.

AHAs are safe in cosmetics if:

- AHA concentration is < 10%
- pH of formula is not < 3.5
- Product is not formulated to increase the sensitivity to sun rays
- Sun protection is applied
- AHAs at high concentrations (> 10%) and/or low pH (< 3.5) are applied only by trained cosmetologist or dermatologists (mini-peel)

When formulations of AHAs are to be applied daily, chemical buffering or partial neutralization are very important to ensure skin tolerance. However, to maintain the activity of the AHAs, buffering agents should not bring the pH > 4.0. Formulations used for peeling purposes perform best when the AHAs are completely bioavailable and active at their native low pH.

The usefulness of AHAs for acne treatment is unproven and is awaiting further confirmation in clinical studies.

AHAs and Sun

A recent clinical study sponsored by the cosmetics industry indicated that AHAs may make users more sensitive to sunlight and especially to ultraviolet (UV) radiation. The FDA is currently evaluating this study and is pursuing additional studies that will make sure these products are safe for consumers to use. However, this study makes clear that sun protection is even more important if one uses AHA-containing products. The FDA recommends that sun protection, including a sun screen, should be applied, even if one hasn't used the AHA product the same day. If the AHA contains a sunscreen, the FDA suggests that applying an additional sunscreen (SPF 15) before going into the sun will be beneficial. If AHAs are used at bedtime, an additional sunscreen should be applied in the morning before going into the sun.

Adverse effects

AHAs are acids and can cause mild irritation unless they are neutralized in the final product. Low concentrations of AHAs appear to be minimally irritating, and no other adverse effects have been reported so far. However, long-term studies have not been done. AHAs can sometimes cause stinging, burning or irritation in the nose and eye areas. If an acid peel has been accomplished, then photosensitivity is a concern for about two weeks after the peel. The FDA recommends that AHAs should not be used in children.

New Products

Although glycolic and lactic acids are the two AHAs of which there is the most clinical experience, new AHAs are now available including:

- malic acid, citric acid
- glycolic acid + ammonium glycolate
- alpha-hydroxyethanoic acid & ammonium alpha-hydroxyethanoate
- alpha-hydroxyoctanoic acid
- alpha-hydroxycaprylic acid
- hydroxycaprylic acid
- mixed or triple fruit acid
- tri-alpha hydroxy fruit acids
- sugar cane extract
- alpha hydroxy and botanical complex
- L-alpha hydroxy acid
- glycomer in fatty acids alpha nutrium (3 AHAs).

Sources:

Maddin S. Current review of the alpha hydroxy acids. *Skin Therapy Letter* 1998; 3(5):1
Ramos-E-Silva M, et al. Alpha hydroxy acids: unapproved uses or indications. *Skinmed* 2004; 3: 141
FDA Background: Alpha hydroxy acids in cosmetics.
<http://www.cfsan.fda.gov/~dms/cos-aha.html>

T. Bombeli, MD