Approved skin lightener – tranexamic acid is effective against pigmented spots and redness

In the medical field, tranexamic acid is a reliable haemostatic agent during surgery and in the case of accidental injuries. In medical cosmetics, tranexamic acid is used for skin treatments: already small amounts can bleach pigmented spots and reduce redness – also in combination with instrument-based techniques.

Tranexamic acid also is known as a pharmaceutical agent. Already in 2011\(^1\) it has been entered on the "Model List of Essential Medicines" (EML) of the World Health Organisation (WHO) – in particular for the treatment of trauma after traffic accidents or in the case of haemorrhagic risks and even fatal haemorrhage. Tranexamic acid (= International Nonproprietary Name, INN), from the chemical viewpoint, is an amino acid, and more precisely, we are speaking of trans-4-(aminomethyl)cyclohexanecarboxylic acid.

\[\text{Structure of tranexamic acid}\]

The colourless crystalline compound belongs to the group of antifibrinolytics, or in other words, agents that prevent the breakdown of fibrin. Fibrin is a cross-linked protein which is formed during bleeding and which closes the wounds. Fibrinolysis, or in other words, the opposed process of dissolution of protein, starts shortly after fibrin formation. Antifibrinolytics are frequently used during surgical interventions and tooth extractions in order to reduce bleedings.

A chance discovery for dermatology

In dermatology the effects of tranexamic acid have been known for a long time, namely in the context of pigment disorders. The first report on the treatment of melasmas with tranexamic acid dates back to 1979\(^2\) and comes from an accidental observation after the oral administration of tranexamic acid. In the particular case, the intensity of a melasma was significantly reduced within a period of two to three weeks.

Melasmas or hyperpigmentations form in a variety of ways\(^3\). They are triggered by miscellaneous endogenic and exogenic influences such as

- UV radiation of the sunlight
- photosensitization, or in other words, the reduced sensitivity threshold of the skin to light caused by essential oils for instance
- hormonal influences, as for example during pregnancy
- inflammation mediators such as prostaglandins and cytokines (post-inflammatory hyperpigmentation)
- AGE (Advanced Glycation Endproducts), or in other words, products that form in the body due to the reaction of proteins or lipids with carbohydrates; they are held responsible for a variety of health implications
- other deposits of endogenous metabolic products

A considerable number of studies on the effects

Pale or dark spots on the skin are annoying and can affect the mental health when the spots are clearly visible. Preparations against hyperpigmentations therefore have special aesthetic importance. This is the reason why first many clinical and later on also cosmetic studies on tranexamic acid have been published.

\(^1\) 18th Meeting of the WHO Expert Committee
lished after its effects had been observed for the first time.

The studies have shown the following results: tranexamic acid is effective after oral administration and after topical application. A variety of biochemical mechanisms have been discussed, among them the inhibition of tyrosinase and the preceding processes such as the reduction of free arachidonic acid and prostaglandin E₂. Main field of application of tranexamic acid however is the prevention. Tranexamic acid already is effective in low dosage; high dosage will not improve the effects but rather cause irritations.

Solo in creams and sera...

In cosmetics, the water-soluble acid is used in commercial creams and liposomal sera in a dosage of 2% at the maximum. The first liposomal formulation was developed in 2002. The native phosphatidycholine in liposomal sera improves the penetration. Other techniques to enhance the efficacy such as for instance the iontophoresis have not proved successful. There have been reports on intradermal microinjections. Therefore it can be assumed that tranexamic acid can be successfully used in the context of dermal needling.

...and in combination with instrument-based techniques

The combination of fruit acid peelings, microdermabrasion, IPL and laser treatments with liposomal tranexamic acid preparations is widely spread in South East Asia and meanwhile also applied in Europe. Occasionally, additional tyrosinase inhibitors such as ascorbyl phosphate (vitamin C), herbal extracts and kojic acid, and in the medical context also hydrosquinone (banned in the European Cosmetic Directive) are topically applied in order to retard the circulatory system via gastro-intestinal absorption. The AGE inhibition of niacinamide is an important adjuvant in the treatment of melasma (Review Article), Journal of Cosmetic Dermatology 2013; 12: 57-66


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Tranexamic acid and niacinamide also complement each other in another indication, i.e. the skin care of the rosacea prone skin. While in this particular case tranexamic acid reduces the redness, vitamin B₃ has anti-inflammatory effects, which, by the way, also is observed in the case of acne. The combination of tranexamic acid with azelaic acid and boswellic acids offers a very effective treatment option, since azelaic acid as alpha-reductase inhibitor controls the anaerobic bacterial flora (propionibacterium acnes and staphylococcus epidermidis) which is typical for acne and rosacea, and boswellic acids reduce the increased activity of the natural proteases.

Orally administered tranexamic acid that entered the circulatory system via gastro-intestinal tract is renal excreted within a few hours. The safety report required by the European Cosmetic Directive does not mention any health risks in the context of topically applied tranexamic acid for cosmetic purposes. Irrita-
tions after application of liposomal preparations (with or without niacinamide) have not yet been observed.

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