

Alkaloids in cosmetic applications

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Hot and spicy, reviving or even intoxicating – we are talking of alkaloids. If you now think of nicotine, caffeine or cocaine in this context, you are quite right. However, the family of alkaloids is more diverse and also makes a significant component in skin care preparations.

Historically, the term alkaloid used to be connoted with the characteristic that in aqueous solutions the representatives of the family react like bases. In other words, they show alkaline reaction and form salts with acids. Today this feature no longer is applicable for all the different alkaloids though. Nevertheless, they all have the same suffix “-ine” and they all have nitrogen atoms within their chemical structure. A further characteristic is that alkaloids occur in the plant secondary metabolism. The substances are produced by the plants but obviously without any essential function within the plants. Hence plants could possibly cope without alkaloids - evidently without defects

For protective purposes

The fact that alkaloids could be significant from an evolutionary point of view can be explained with the example of capsaicin, the tangy substance of pepperonis, chilli and bell peppers (capsicum). This tangy taste deters especially mammals from eating the fruits of specific plants. Birds are not sensitive to these tangy substances though. It is suspected that this is the reason why the fruits are preferably eaten by birds and that the seeds this way are spread over wide distances via bird droppings. Different alkaloids have different effects on the human organism. The family also includes toxins that already show strong effects in very low dosage, such as for instance strychnine or ergot alkaloids. And yet others reduce the experience of pain, such as morphine, or have cytostatic effects, such as vincristine. The list could be continued.

The above-mentioned capsaicin is an amide of vanillin and has completely different effects when applied in a cosmetic cream. It has a warming effect that can be made use of in foot care for instance. The reason of the warming effect – as with other capsaicinoids – is that the substance docks on TRPV1-receptors (TRPV1 = transient receptor potential vanilloid 1), which in their turn stimulate the nerves (“peripheral nociceptive neurons”) that are

responsible for the experience of heat or pain. The warming of the tissue also enhances the microcirculation. That is the reason why medicine uses capsaicin in the form of heat plasters for external application in the case of muscle tenseness. Vanillin esters react with the same receptors and also increase the temperature of the skin.

Ointments with concentrations of about 0.025-0.01% of capsaicin are administered in the case of neuropathic pain. Consequently applied, the preparations reduce the experience of pain after an initial burning sensation. This effect can be compared with the tolerance limit for hot food which will increase after extended consumption. Spilanthol (N-2-Isobutyl-2,6,8-decatrienamide) is related to the capsaicinoids. The amide also is called affinine. Affinine causes the spicy taste of paracress and has strong anesthetizing features.

Against wrinkles

Spilanthol reduces the muscle contractions of the expression lines and relaxes them which consequently results in a fast and visible smoothing of wrinkles in the skin. Due to their chemical structure, the tangy substances accumulate in a depot-like way in the stratum corneum of the skin which is the reason why the dosage can be reduced after a certain time. On the other hand, also the conditioning of nerves and muscles allows a reduction of the dosage, an experience that also has been made with botulinum toxin. The application of paracress extracts causes a light tingling sensation for several minutes. Subsequently, the treated skin areas will become insensitive.

Piperine, the main active agent of pepper extract also has an amidic structure and is a tangy-tasting alkaloid. It can trigger the proliferation of melanocytes which is the reason why it became an interesting substance in the treatment of vitiligo. It is however highly doubtful whether the consumers will accept the tangy sensation of piperine on the skin on the long term. It also has to be considered whether the formaldehyde eliminating potential of its

methylenedioxy group will not cause undesired side effects when administered in high dosage. Caffeine alias theine, the well-known alkaloids that we daily consume with our coffee or tea stimulate the microcirculation similar to capsaicin: they dilate the peripheral vessels (vasodilating effect) without a major superficial warming effect though. Caffeine belongs to the xanthines which are characterized by their lipolytic (fat-splitting) activity. The pure substance can be replaced by extracts from green tea, cola or guaraná. Caffeine in liposomal dispersions is particularly well available and used in concentrations of up to 2 percent. Just to give you an example for comparison: one cup of filter coffee contains about 100 mg of caffeine and a cup of tea about 50 mg. Caffeine is a frequent component of cosmetic products used in the case of atrophic skin, cellulite and hair growth disorders. Hydrogels with liposomal caffeine or liposome dispersions in combination with green tea extract have proved beneficial when it comes to massaging cellulite-affected thigh parts or a partial ultrasound treatment of the mentioned areas. In combination with mechanical energy the preparations mobilize fat depots and intensify the microcirculation.

Natural mixture

Besides pure caffeine, the extracts of different tea qualities are of interest as they are natural combination preparations. They are useful above all in the case of atrophic and impurity-prone skin because the anti-oxidative, anti-inflammatory, astringent and antimicrobial potential of polyphenols (flavonoids, epigallocatechin gallate) can also be made use of besides the effect on the capillary blood vessels. Occasionally it has been postulated that caffeine could stimulate hair growth when administered in combination with epigallocatechin gallate.

The different types of tea originate from the different refining techniques after the harvest. Green tea is produced by steaming the tea leaves which impedes the enzyme activity immediately after the harvest. Hence colour and vitamins are largely preserved. When the enzymes are not inactivated, the tea leaves get darker and finally turn black (black tea). White tea is gained by applying heat after a certain span of time in order to inactivate the enzymes of the tea leaves.

The family of alkaloids also comprises anti-inflammatories. The extract from the roots of mahonia (*mahonia aquifolium*), a family member of the shrub-like, thornless barberry bushes contains the anti-inflammatory and germ-inhibiting berberine. Within the extract,

this dark yellow alkaloid occurs in the form of a salt and has occasionally been used as an oral antiseptic. The germ-inhibiting effect particularly is directed against bacteria and monads. A multitude of pharmacological in-vitro and in-vivo effects have been published until now. In the cosmetic context the extract is used in low-fat gels or creams to treat bad and acne-prone respectively also adult acne-prone skin. Pure berberine has the colour index CI 75160.

Skin-tightening extracts

Alkaloids frequently occur as small amounts or traces in the plant extracts and thus contribute to the sphere of action of cosmetic preparations. In these cases, the single effects on the skin have not been fully identified yet. Sparteine shall be mentioned as an example in this context: it is a chinolizidin alkaloid which occurs in dosages of up to 1.5 percent in the dried herbs of common broom and tetterwort (also greater celandine). It stimulates the cardiovascular system and stabilizes the cell membranes in the case of cardiac irregularities. In minor amounts the alkaloid can also be found in butcher's broom extract; in combinations with saponins and their aglycons it tightens the capillary blood vessels and the connective tissue. Butcher's broom is used to treat rosacea, couperosis and also is an ingredient in skin care preparations for the eye area. In eye preparations, the extract tightens the skin and is effective against dark circles and oedema.

Centella Asiatica extracts (Asian coinleaf, Asian pennywort or Asian coinwort, among others) also have a tightening effect on the skin. Similar to butcher's broom extracts, they also contain saponins and their aglycons.

The function of the alkaloid hydrocotyline is not known yet. It is however an interesting fact that it is used for wound healing purposes in Asian medicine.

Bitter to poisonous

As already initially mentioned, many of the alkaloids are toxic. The generally bitter taste of plants rich in alkaloids deters mammals and humans from consuming the plants. The edible parts however can be peeled as for instance potatoes and tomatoes with their green parts which are rich in solanine. Through fungus activity, ears may grow ergots with their highly toxic alkaloids. They are reliably removed during the flour production process.

The vegetable oils used in the cosmetic context generally are free of alkaloids. Hence borage oil which is used against barrier disorders and neurodermatitis due to its high content in

gamma-linolenic acid does not contain the pyrrolizidine alkaloids which are characteristic for the plant, even after decating. The reason is that the alkaloids occur in the form of salts that dissolve in water but not in oils. That is also the reason why potatoes are safe regarding their solanine content. The cooking water of potatoes has always been poured away. And vice versa, alkaloids that are useful in cosmetic preparations only occur in aqueous or aqueous-alcoholic extracts.

The amidic capsaicinoids including spilanthol make an exception here. They are lipophilic and can be processed in the oily phase of cosmetics. The same applies for very hot food: fatty cream and oils reduce the sharpness by

absorbing capsaicin. Beverages however induce an intensified adsorption on the mucous membranes. By the way, nicotinic acid and nicotinamide (INCI: Niacinamide) are not related to nicotine, the main alkaloid of the tobacco plant. Nicotinic acid (vitamin B₃) only is a degradation product forming through chemical oxidation of nicotine. It is however an interesting fact – and so the circle is complete with regard to the initially mentioned capsaicin – that benzyl nicotinate has a similar vasodilating and warming effect and is used as an ointment against rheumatic pain.

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