Avena Lab
Farmadria d.o.o. Since 1991.

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Capsaicin

Raw Material Name: Capsaicin
INCI Name: Capsaicin
CAS: 404-86-4
Synonyms: trans-8-Methyl-N-vanillyl-6-nonenamide; 8-Methyl-N-vanillyl-6-nonenamide; N-Vanillylnonanamide; Capsicin

Chemical Classification: Alkaloid amide (vanilloid); phenolic derivative with an amide functional group
Functional Category: Rubefacient; local irritant; microcirculation stimulant; active ingredient for warming-effect products
IUPAC Name: (6E)-N-(4-hydroxy-3-methoxybenzyl)-8-methylnon-6-enamide

Description:
Capsaicin is a bioactive compound of natural origin isolated from fruits of the Capsicum genus. Chemically, it is classified as a vanilloid alkaloid with pronounced pharmacological effects on peripheral nerve endings. It is a lipophilic crystalline substance, poorly soluble in water but readily soluble in alcohols, glycols, esters, and oils, which determines its formulation strategy in cosmetic systems. Due to its chemical structure, it contains both phenolic and amide functional groups responsible for its biological activity and specific sensory profile.

Its mechanism of action is based on the activation of TRPV1 (Transient Receptor Potential Vanilloid 1) receptors present on cutaneous sensory neurons. By binding to these receptors, capsaicin induces a sensation of heat and tingling, leading to local vasodilation and increased microcirculation. Prolonged or controlled use results in functional desensitization of nerve endings due to depletion of substance P, thereby producing an analgesic effect. This mechanism underlies its use in massage preparations, sports recovery products, hair growth stimulation formulations, and anti-cellulite products.

In cosmetics, it is used in very low concentrations due to its strong biological activity. Its application requires careful dosing and proper dispersion in the oil or glycol phase to ensure uniform distribution and controlled warming effect. It is often combined with soothing and hydrating ingredients to mitigate its initial irritant potential. It is

stable across a wide pH range but sensitive to oxidation upon prolonged exposure to light and air; therefore, storage in dark, tightly sealed packaging is recommended.

The safety profile of capsaicin in cosmetic use depends on concentration and application area. When properly used, it acts as a functional active ingredient with a well-defined physiological effect; however, in higher doses it may cause significant irritation, erythema, and burning sensations. It is not recommended for sensitive areas such as the eye contour or damaged/irritated skin. Professional formulation and precise concentration control are essential to achieve the desired effect while maintaining user safety.

Mechanism of Action:
The mechanism of action of capsaicin is based on its ability to selectively activate the TRPV1 (Transient Receptor Potential Vanilloid 1) receptor located on peripheral sensory neurons in the skin. This receptor is physiologically responsible for the perception of heat and pain induced by high temperatures or chemical stimuli.

When capsaicin binds to TRPV1, ion channels open, allowing a rapid influx of calcium and sodium ions into the nerve cell. This causes neuronal depolarization and generates a nerve impulse perceived by the central nervous system as heat, burning, or tingling. Simultaneously, local release of neuropeptides—primarily substance P—induces vasodilation and increased microcirculation in the treated area.

With prolonged or repeated exposure, functional desensitization occurs. Continuous stimulation of TRPV1 leads to depletion of substance P and reduced responsiveness of nerve endings. As a result, pain perception decreases, which explains the analgesic effect of capsaicin in massage and sports recovery products. In cosmetic formulations, this mechanism is used to achieve warming, circulation-stimulating, and skin perfusion-enhancing effects, while controlled concentrations ensure that irritation remains within safe limits.

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Benefits:
• Stimulates local microcirculation by increasing blood flow to the treated area.
• Creates a controlled warming effect that enhances the subjective feeling of muscle relaxation.
• Contributes to temporary pain relief through desensitization of nerve endings.
• Enhances penetration of other active ingredients due to increased skin perfusion.
• Supports anti-cellulite formulations through stimulation of local circulation.
• May support scalp stimulation and improve conditions for hair growth.
• Enhances sensory perception of products by creating a pronounced thermal effect.

Method of Use:
Capsaicin is used in cosmetic formulations at very low concentrations and must first be dissolved in an appropriate lipophilic or glycol solvent such as ethanol, propylene glycol, dipropylene glycol, isopropyl myristate, or vegetable oils. Direct addition of the powder into a finished formulation is not recommended, as it may lead to uneven distribution and localized irritation.

The active ingredient is typically introduced into the oil phase of an emulsion or pre-dissolved and then added before homogenization, with controlled mixing to ensure uniform dispersion.

In anti-cellulite creams, gels, and serums, concentrations typically range from 0.01% to 0.1%, with lower values used for mild warming effects and higher values for stronger stimulation. In massage and sports recovery products, concentrations of approximately 0.025% to 0.075% are used, often combined with emollients and soothing agents to improve tolerability. In scalp care and hair growth formulations, typical concentrations range from 0.005% to 0.02%, as the scalp is more sensitive.

In specialized high-intensity warming products, concentrations may reach up to 0.1%, but such formulations require prior safety assessment and tolerance testing. Capsaicin-containing products are intended for external use only and should not be applied to damaged skin or sensitive areas such as around the eyes. A patch test is recommended before regular use. Precise concentration control and proper formulation are essential for achieving both efficacy and safety.

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Precautions for Handling and Use of Capsaicin:
Capsaicin is a highly bioactive substance requiring careful handling during formulation and application. Due to its strong irritant potential, it must not come into contact with eyes, mucous membranes, or sensitive areas such as the eye contour. Direct contact with concentrated material can cause intense burning, redness, and stinging sensations.

Protective gloves, safety goggles, and adequate ventilation are recommended during handling. In case of spillage or skin contact, the affected area should not be rinsed with warm water, as heat may intensify the sensation. Instead, oils or mild surfactants should be used to remove residues, followed by rinsing with lukewarm water.

It must not be applied to damaged, irritated, or inflamed skin. Products containing capsaicin are intended exclusively for external use. Hands should be thoroughly washed after application to prevent accidental transfer to the face or mucous membranes.

A patch test on a small skin area is recommended before commercial use. Formulations must contain precisely controlled concentrations to ensure the desired warming effect without excessive irritation. Storage should be in tightly closed containers, protected from light and heat sources.

Safety of Use:
In 2007, the Cosmetic Ingredient Review (CIR) published a safety assessment of capsaicin and related capsaicinoids used in cosmetic products. The panel evaluated available toxicological data, including acute and subchronic toxicity, dermal irritation, sensitization, genotoxicity, and systemic absorption.

Results indicated low systemic toxicity following dermal exposure at cosmetic-use concentrations. Acute toxicity studies showed high LD50 values in experimental models, indicating a low risk of systemic effects from topical use. Genotoxicity testing (in vitro and in vivo) did not demonstrate relevant mutagenic potential at cosmetic-relevant concentrations.

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The primary safety concern relates to local irritation and sensory effects. Dermal studies confirmed that capsaicin causes transient erythema, burning, and warmth in a dose-dependent manner. Sensitization tests did not reveal significant allergic potential at low concentrations, although careful control of usage levels is emphasized due to its irritant mechanism of action.

The CIR concluded that capsaicin is safe for use in cosmetic products at concentrations that do not cause excessive irritation and when formulated to control sensory intensity. In practice, this generally corresponds to up to approximately 0.1% in skin care products, with lower levels recommended for more sensitive formulations. Safety is primarily dependent on proper formulation, concentration control, and clear instructions for external use.

Natural or Synthetic Ingredient:
Capsaicin is a naturally occurring compound primarily obtained by extraction from fruits of the Capsicum genus (most commonly Capsicum annuum and Capsicum frutescens). In this form, it is a natural bioactive component found in peppers and chili varieties.

In addition to natural extraction, capsaicin can also be produced via chemical synthesis, yielding a molecule that is chemically identical in structure and biological activity. The synthetic form is often used when high purity, standardized quality, and precise control of active concentration are required. From a regulatory and functional standpoint, natural and synthetic capsaicin are chemically identical; the difference lies solely in the method of production.

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Animal Testing:
In accordance with current European Regulation (EC) No. 1223/2009 on cosmetic products, the substance has not been tested on animals. The safety assessment is based on available toxicological data, scientific literature, and validated alternative methods (in vitro and in silico).

“In silico” refers to testing and evaluation methods performed using computer-based models and simulations rather than in vivo (living organisms) or in vitro (cell cultures) testing.

This statement confirms compliance with the ban on animal testing and is provided for informational purposes for cosmetic formulation use.

GMO: Not GMO
Vegan: Does not contain animal-derived components
Raw Material Origin: China