

NEW

## Caffeine Herbasome®

Enhanced Skin and Hair Stimulation

Skin Care  
Hair Care  
Bath Care

Caffeine is a natural methylxanthine alkaloid found in over 60 plants worldwide, including coffee beans, tea leaves and cacao pods. As a mild stimulant of the central nervous system, caffeine has been used for both recreational and medicinal purposes since ancient civilizations and has become today's most common ergogenic ingredient for food and dietary supplements<sup>[1]</sup>.

Caffeine Herbasome® is a multi-active complex with concentrated caffeine for cosmetic applications. Due to its innovative formulation with liposomally encapsulated caffeine from green coffee beans and vitamin B3, Caffeine Herbasome® can efficiently modulate the barrier properties of the stratum corneum and transport its active ingredients deep into the skin where it can effectively treat cellulitis, reduce hair loss and protect the skin by different biochemical pathways<sup>[2]</sup>.

### Anti-Cellulite

- Stimulation of adipocyte lipolysis<sup>[3]</sup>
- Stimulation of the microcirculation of blood vessels<sup>[4]</sup>

### Hair Growth

- Inhibits the activity of 5- $\alpha$ -reductase<sup>[5]</sup>
- Stimulation of cellular metabolism<sup>[5]</sup>

### Anti-Aging

- Efficient Radical Scavenger<sup>[6]</sup>
- Accelerated apoptosis of UVB damaged keratinocytes<sup>[7]</sup>

## in vitro studies

Caffeine has become a widely used and extensively studied active ingredient in cosmetics, especially for anti-cellulite and slimming treatments. While the site of action for this and other applications is mainly located in the deeper layers of the skin (dermis and subcutaneous tissue), the penetration of caffeine into the skin and permeation through the epidermis is limited by its high hydrophilicity and low solubility in water<sup>[8]</sup>. Caffeine Herbasome<sup>®</sup> was designed to overcome such limitations by increasing the permeability properties of caffeine and modulating the barrier properties of the epidermis. Two synergistic effects result in an increase of the bioavailability of caffeine in the lower skin layers:

- High caffeine concentration (10%). An improved solubility of caffeine in water could be achieved by combining the two hydrotropic agents caffeine and nicotinamide (complexation by  $\pi$ -stacking of the aromatic chromophores)<sup>[9]</sup>.
- Encapsulation of caffeine with soybean lecithin (liposome size: ~20 nm).

**Permeation Study:** A diffusion chamber (Franz cell) with an upper (Donor) and lower (Acceptor) part was used, between human skin tissue was clamped (separated from the subcutaneous fatty layer, skin mean thickness: 500  $\mu\text{m}$ , diffusion area: 1.8  $\text{cm}^2$ ). Test items: Caffeine Herbasome<sup>®</sup> and a control solution (without lecithin), dose: 200 mg. The permeated amounts of caffeine were quantified over a time period of 26 h by withdrawing samples from the acceptor compartment.

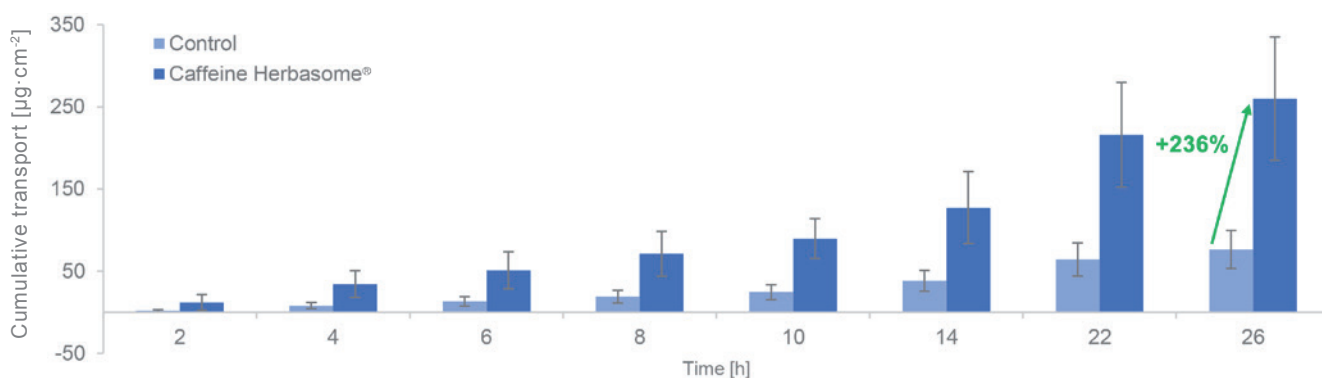


Figure 1. Cumulative transport of caffeine through skin into acceptor fluid.

- Strongly enhanced transport of caffeine through the skin (+538% after 2 h, +236% after 26 h)
- Steady caffeine supply for > 24 h

**Penetration Study:** After 26 h, the amounts of caffeine taken up into the skin were measured by stripping and subsequent extraction of the skin. The upper corneous layers of the skin (stratum corneum) were segmented by tape stripping, deeper skin layers were cryo-sectioned into samples with a thickness of 50  $\mu\text{m}$ . The content of caffeine was determined by HPLC.

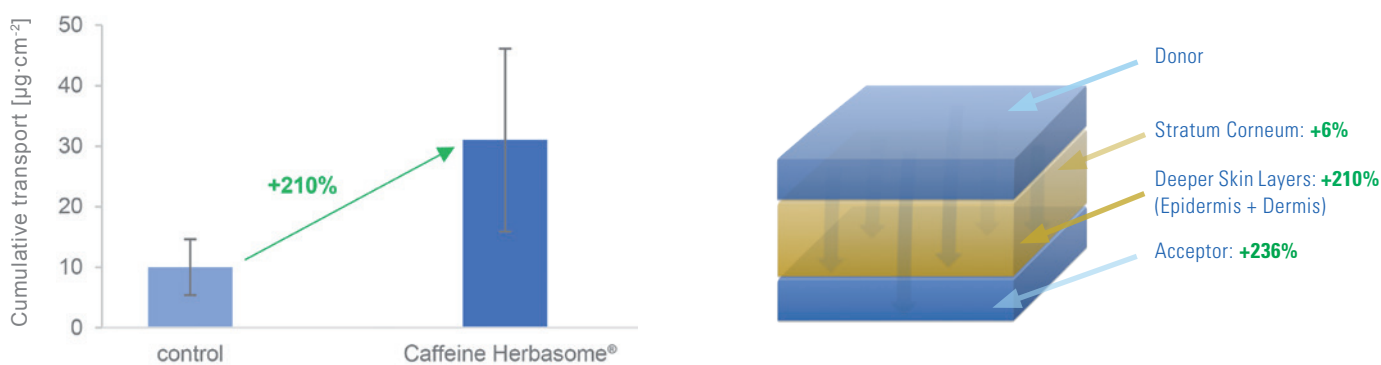


Figure 2. Cumulative transport of caffeine into epidermis + dermis.

- Strongly increased transport of caffeine into the skin (epidermis + dermis)
- Modulation of the barrier properties but no accumulation of caffeine in the stratum corneum

## Frame Formulations

### 1. Skin Care: Caffeine Cellulite Control Lotion

Phase	Ingredient	INCI	% w/w
A	Deionized Water Keltrol CG-SFT Glycerin 86.5%	Aqua (Water) Xanthan Gum Glycerin	Add 100 0.20 5.00
	Tego Care CG90	Cetearyl Glucoside	1.50
B	Squalane, Olive Myritol 318 Vitamin E-Acetate	Squalane Caprylic/Capric Triglyceride Tocopheryl Acetate	10.00 10.00 1.00
	Carbopol Ultrez 21 Polymer	Acrylates/c 10-30 Alkyl Acrylate Crosspolymer	0.20
C	<b>Guarana Herbasec®</b> Deionized Water	<b>Maltodextrin, Paullinia Cupana Seed Extract</b> Aqua (Water)	<b>1.00</b> 9.00
D	<b>Caffeine Herbasome®</b>	<b>Aqua (Water), Niacinamide, Propylene Glycol, Caffeine, Lecithin, Phenoxyethanol , Tocopherol</b>	<b>5.00</b>
E	Preservative NaOH Café au Lait	Sodium hydroxide Parfum (Fragrance)	q.s. q.s. 1.00

#### Procedure

- Mix phase A and heat to 80 °C
- Mix phase B and heat to 80 °C
- Add phase B to phase A, homogenize at 9500 rpm
- Cool down the emulsion to 40 °C
- Add phase C after dispersing Guarana Herbasec in water and homogenize shortly again
- Cool down the emulsion to RT, add phase D
- Add Preservative, adjust pH at around 5.5
- Add perfume

The structure and size of the liposomes is maintained in the final formulation:

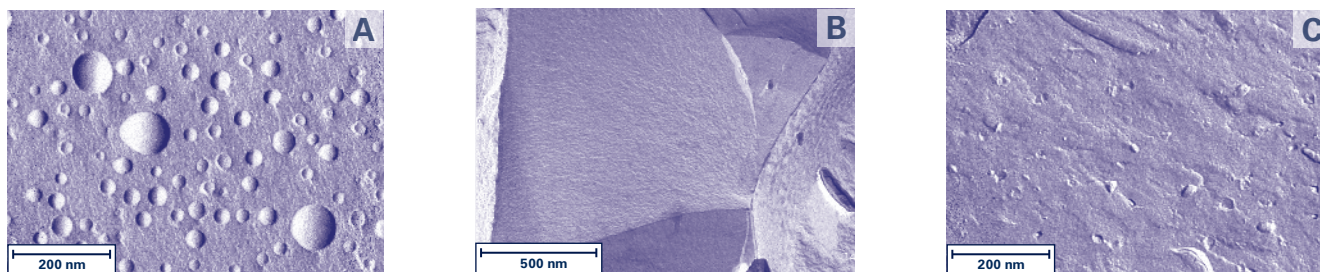


Figure 3. Freeze fracture electron microscopy images of Caffeine Herbasome® (A), Frame formulation without (B) and with Caffeine Herbasome® (C)

### 2. Hair Care: Stimulating Hair Solution with Birch Herbasol®

Phase	Ingredient	INCI	% w/w
A	Deionized Water Alcohol Absolutus Glycerin 86.5% Panthenol (D+), EP	Aqua (Water) Alcohol Glycerin Panthenol	ad 100 10.00 5.00 0.50
	Euxyl PE9010	Phenoxyethanol, Ethylhexylglycerin	1.00
B	<b>Birch Herbasol® Extrakt PG</b> <b>Caffeine Herbasome®</b>	<b>Propylene Glycol, Aqua (Water), Sorbitol, Betula Alba Leaf Extract</b> <b>Aqua (Water), Niacinamide, Propylene Glycol, Caffeine, Lecithin, Phenoxyethanol , Tocopherol</b>	<b>1.00</b> <b>5.00</b>
	Café au Lait Emulgator 17P	Parfum (Fragrance) PEG-40-Hydrogenated Castor Oil, Ethoxydiglycol, Propylene Glycol	1.00 5.00
D	Citric acid	Citric acid	q.s.

#### Procedure

- Add all ingredients of phase A under stirring into the water
- Add B while stirring
- Mix phase C and add to batch
- Adjust pH at around 5.5

## Benefits

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- Water-soluble complex with 10% caffeine and 20% niacinamide
- Anti-cellulite, anti-aging and hair-stimulating properties
- Encapsulation for enhanced bioavailability in deeper skin layers
- Natural caffeine from green coffee beans
- Non-GMO soybean lecithin

## Recommended Applications & Use Levels

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- Skin Care, Hair Care, Body Care
- Recommended use level: 1 - 5%

## INCI

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US: Water, Niacinamide, Propylene Glycol, Caffeine, Lecithin, Phenoxyethanol, Tocopherol  
EU: Aqua, Niacinamide, Propylene Glycol, Caffeine, Lecithin, Phenoxyethanol, Tocopherol

Please refer to the proprietary composition declaration for up-to-date INCI listing.

## Safety & Regulatory

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Compliant with the REACH regulation (EC) No. 1907/2006 and its amendments.

## Literature

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1. Heckman, Melanie A., et al. "Caffeine (1, 3, 7 trimethylxanthine) in foods: a comprehensive review on consumption, functionality, safety, and regulatory matters." *Journal of food science* 75.3 (2010).
2. Herman, A., and A. P. Herman. "Caffeine's mechanisms of action and its cosmetic use." *Skin pharmacology and physiology* 26.1 (2012): 8-14.
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6. León-Carmona, Jorge Rafael, and Annia Galano. "Is caffeine a good scavenger of oxygenated free radicals?." *The Journal of Physical Chemistry B* 115.15 (2011): 4538-4546.
7. Kerzendorfer, Claudia, and Mark O'Driscoll. "UVB and caffeine: inhibiting the DNA damage response to protect against the adverse effects of UVB." *Journal of Investigative Dermatology* 129.7 (2009): 1611-1613.
8. Luo, Lin, and Majella E. Lane. "Topical and transdermal delivery of caffeine." *International journal of pharmaceutics* 490.1 (2015): 155-164.
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